

10/607,716

EAST Search History (UPDATED, INCLUDES INTERFERENCE)

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
S16	10229	548/200 or 548/248 or 548/537 or 546/102 or 546/146 or 514/297 or 514/307 or 514/365 or 514/378 or 514/423	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/10/23 11:28
S17	260	S16 and (hepatitis or hepc) and protease	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/10/23 11:28
S18	73	S17 and pyrrole	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/10/23 11:29
S19	116	S17 and pyrrolidine	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/10/23 11:29
S20	136	S18 or S19	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/10/23 11:29
S21	✓ 63	S20 and benzyloxy	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/10/23 11:29

- UPDATED STN SEARCH TRANSCRIPT

10/607,716-

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NEWS 4 JUL 02 CHEMCATS accession numbers revised
NEWS 5 JUL 02 CA/CAPLUS enhanced with utility model patents from China
NEWS 6 JUL 16 CAPLUS enhanced with French and German abstracts
NEWS 7 JUL 18 CA/CAPLUS patent coverage enhanced
NEWS 8 JUL 26 USPATPUL/USPAT2 enhanced with IPC reclassification
NEWS 9 JUL 19 USGENF now available on STN
NEWS 10 AUG 06 CAS REGISTRY enhanced with new experimental property tags
NEWS 11 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 12 AUG 13 CA/CAPLUS enhanced with additional kind codes for granted patents
NEWS 13 AUG 20 CA/CAPLUS enhanced with CAS indexing in pre-1907 records
NEWS 14 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 15 AUG 27 USPATOLD now available on STN
NEWS 16 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 17 SEP 07 STN Anavist, Version 2.0, now available with Derwent World Patents Index
NEWS 18 SEP 13 FORIS renamed to SOFIS
NEWS 19 SEP 13 INPADOCDB enhanced with monthly SDI frequency
NEWS 20 SEP 17 CA/CAPLUS enhanced with printed CA page images from 1967-1998
NEWS 21 SEP 17 CAPLUS coverage extended to include traditional medicine patents
NEWS 22 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 23 OCT 02 CA/CAPLUS enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 24 OCT 19 BEILSTEIN updated with new compounds
NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2., CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0c(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
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1 2 3 4 5
chain bonds :
1-11 2-6 4-10 6-7 6-8 7-13 11-12 13-14 13-16 14-15
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-11 4-10 6-7 6-8 7-13 13-16
exact bonds :
2-3 2-6 3-4 4-5 11-12 13-14 14-15
isolated ring systems :
containing 1 :

GL: C, O, S, N

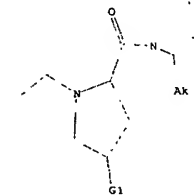
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

>> d 11

L1 HAS NO ANSWERS

L1 STR



Q1 C, O, S, N

Structure attributes must be viewed using STN Express query preparation.

>> # 11
SAMPLE SEARCH INITIATED 09:45:02 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED 830 TO ITERATE

100.0% PROCESSED 830 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 14872 TO 18328
PROJECTED ANSWERS: 1709 TO 3011

L2 50 SEA SSS SAM L1

>> file caplus

STN Columbus

FILE 'HOME' ENTERED AT 09:44:21 ON 23 OCT 2007

>> file registry.
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL
FULL ESTIMATED COST 0.21 0.21

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DICTIONARY FILE UPDATES: 21 OCT 2007 HIGHEST RN 951124-19-9

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

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chain nodes :
6 7 8 10 11 12 13 14 15 16
ring nodes :

COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL
FULL ESTIMATED COST 0.45 0.66

FILE 'CAPLUS' ENTERED AT 09:45:09 ON 23 OCT 2007
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>> # 12

L3 40 L2

>> d 1-40

L3 ANSWER 1 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2007:994050 CAPLUS

DN 147:344378

TI Preparation of peptides in methods combining an HCV protease inhibitor and an AKR competitor for treating hepatitis C

IM Ghosal, Anima; Kishnani, Narendra Sugno; Alton, Kevin B.; White, Ronald E.

PA USA

SO U.S. Pat. Appl. Publ., 133pp., Cont..in-part of U.S. Ser. No. 502,562.

CODEN: USXXCO

DT Patent

LA English

FAN, CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
P1 US 2007207949	A1	20070906	US 2006-635470	20061207
US 2006276404	A1	20061207	US 2006-443647	20060531
US 2007232527	A1	20071004	US 2006-502562	20060810
PRA1 US 2005-686924P	P	20050602		
US 2006-443647	A2	20060531		
US 2006-502562	A2	20060810		
OS MARPAT 147:344378				

L3 ANSWER 2 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2007:703903 CAPLUS

DN 147:125520

TI Metastin derivatives with improved biological activities and their therapeutic use

IN Asami, Taiji; Nishizawa, Naoki

PA Takeda Pharmaceutical Company Limited, Japan

SO PCT Int. Appl., 196pp.

CODEN: PIXXD2

DT Patent

LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 200702997 A1 20070628 WO 2006-JP326176 20061221
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD,
GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BO, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
PRAI JP 2005-370388 A 20051222
JP 2006-275843 A 20061006
OS MARPAT 147:125520
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2007:70925 CAPLUS
DN 147:118496
TI Preparation of peptidomimetics, especially modified lysine-mimetic
compounds, as antiarrhythmic agents
IN Larsen, Bjarne Due; Petersen, Jorgen Soberg; Haugan, Ketil Jorgen; Butera,
John A.; Hennan, James K.; Kerns, Edward N.; Platinitski, Evgenii Lvovich
PA Den.
SO U.S. Pat. Appl. Publ., 48pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
PI US 2007149460 A1 20070628 US 2006-643192 20061221
US 2007078990 A2 20070712 US 2006-US48790 20061221
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD,
GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BO, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
PRAI US 2005-753628 P 20051223
OS MARPAT 147:118496

L3 ANSWER 4 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2007:410161 CAPLUS
DN 146:415592
TI Composition and methods for stimulating gastrointestinal motility using
ghrelin analogs
IN Datta, Rakesh; Dong, Zheng Xin
PA Societe de Conseils de Recherches et d'Applications Scientifiques S.A.S.,
Fr.
SO PCT Int. Appl., 18pp.
CODEN: PIXXD2

CA 2473032 A1 20030731 CA 2003-2473032 20030116
WO 2003062265 A2 20030131 WO 2003-US1430 20030116
WO 2003062265 A3 20040916
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID,
IL, IN, IS, JP, KE, KZ, LC, LK, LR, LT, LU, LV, LY, MA, MD, MG,
MK, MN, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,
SC, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US,
UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BO, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
EP 1481000 A2 20041201 EP 2003-731956 20030116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
BR 2003006931 A 20050419 BR 2003-6931 20030116
CN 1633446 A 20050629 CN 2003-805931 20030116
JP 2005524628 T 20050818 JP 2003-562142 20030116
NO 2004002792 A 20041015 NO 2004-2792 20040702
ZA 2004005104 A 20050329 ZA 2004-5104 20040702
IN 2004001564 A 20060224 IN 2004-CN1564 20040715
MX 2004PA06934 A 20050419 MX 2004-PA6934 20040716
US 2007232549 A1 20071104 US 2007-714457 20070306
PRAI US 2000-220108 P 20000721
US 2001-908955 A2 20010719
US 2002-52386 A 20020118
WO 2003-US1430 W 20030116
OS MARPAT 146:229614
RE.CNT 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2006:1286314 CAPLUS
DN 146:45748
TI Preparation of peptides for treating hepatitis C
IN Gupta, Samir K.; Malcolm, Bruce A.
PA Schering Corporation, USA
SO PCT Int. Appl., 63pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2006130552 A2 20061207 WO 2006-US20732 20060531
WO 2006130552 A3 20070907
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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VN, YU, ZA, ZM, ZW
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IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
US 2006276406 A1 20061207 US 2006-443959 20060531
PRAI US 2005-686946 P 20050602
OS MARPAT 146:45748
L3 ANSWER 8 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2006:1286312 CAPLUS
DN 146:45747

DT Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2007041278 A2 20070412 WO 2006-US18027 20060928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
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IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
PRAI US 2005-721916 P 20050929
OS MARPAT 146:415592

L3 ANSWER 5 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2007:262967 CAPLUS
DN 146:416541
TI Isolation and structure-activity of h-conotoxin TIIIA, a potent
inhibitor of tetrodotoxin-sensitive voltage-gated sodium channels
AU Lewis, Richard J.; Schroeder, Christina I.; Ekberg, Jenny; Nielsen,
Katherine J.; Loughnan, Marion; Thomas, Linda; Adams, Denise A.;
Drinkwater, Roger; Adams, David J.; Alewood, Paul F.
CS Institute for Molecular Bioscience, The University of Queensland, St.
Lucia, Queensland, Australia
SO Molecular Pharmacology (2007), 71(3), 676-695
CODEN: MOPMA3; ISSN: 0026-895X
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2007:151062 CAPLUS
DN 146:229614
TI Preparation of peptides as NS3-serine protease inhibitors of hepatitis C
virus
IN Sakena, Anil K.; Girijavallabhan, Vijayoor Moopil; Lovey, Raymond G.; Jao,
Edwin; Bennett, Frank; McCormick, Jinning L.; Wang, Haiyan; Pike, Russell
E.; Bogen, Stephanie L.; Chan, Tin-Yau; Liu, Yi-Tsung; Zhu, Zhaoning;
Njorge, F. George; Arasappan, Ashok; Parekh, Tejal; Ganguly, Ashit K.;
Chen, Kevin X.; Venkataran, Srikanth; Vaccaro, Henry A.; Pinto, Patrick
A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wibby,
Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua;
Wong, Jesse K.; Nair, Latha G.
PA Schering Corporation Corvas International, Ltd., USA
SO U.S. Pat. Appl. Publ., 41pp., Cont.-in-part of U.S. Ser. No. 908,955.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4
PATENT NO. KIND DATE APPLICATION NO. DATE
PI US 2007032433 A3 20070208 US 2002-52386 20020118
US 7244721 B2 20070717
US 2003216325 A1 20031120 US 2001-908955 20010719
US 2004254117 A9 20041216
US 7012066 B2 20060314

TI Controlled-release formulation for treating hepatitis C
IN Malcolm, Bruce A.; Bradley, Prudence K.; Cho, Wing-Kee Philip; Qiu, Zhihui
PA Schering Corporation, USA
SO PCT Int. Appl., 52pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2
PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2006130607 A2 20061207 WO 2006-US20969 20060531
WO 2006130607 A3 20070913
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD,
GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX,
MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
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VN, YU, ZA, ZM, ZW
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GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRAI US 2005-686861 P 20050602
OS MARPAT 146:45747

L3 ANSWER 9 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2006:1286311 CAPLUS
DN 146:45748
TI Preparation of peptides in methods combining an HCV protease inhibitor and
an AKR competitor for treating hepatitis C
IN Ghoshal, Anima; Kishnadi, Narendra S.; Alton, Kevin B.; White, Ronald E.
PA Schering Corporation, USA
SO PCT Int. Appl., 24pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3
PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2006130666 A2 20061207 WO 2006-US21083 20060531
WO 2006130666 A3 20070621
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VN, YU, ZA, ZM, ZW
RW: AT, BE, BO, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRAI US 2005-686924 P 20050602
OS MARPAT 146:45748
L3 ANSWER 10 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2006:1286303 CAPLUS
DN 146:45745
TI Preparation of peptides for treating hepatitis C
IN Albrecht, Janice K.
PA Schering Corporation, USA
SO PCT Int. Appl., 54pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006130627	A2	20061207	WO 2006-US21002	20060531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, EA, EP, OA				
US 2006276405	A1	20061207	US 2006-443923	20060531
PRAI US 2005-686904P	P	20050602		
OS MARPAT 146:45745				

L3 ANSWER 11 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2006:1286272 CAPLUS
DN 146:45744
TI Preparation of peptides for treating hepatitis C
IN Albrecht, Janice K.; Brass, Clifford A.
PA Schering Corporation, USA
SO U.S. Pat. Appl. Publ., 515pp.
CODEN: USXXCO

DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006276407	A1	20061207	US 2006-444109	20060531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AP, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, EA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, EP, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 2006276405	A1	20061207	US 2006-443923	20060531
PRAI US 2005-686903P	P	20050602		
OS MARPAT 146:45744				

L3 ANSWER 12 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2006:128644 CAPLUS
DN 146:45743
TI Liver/plasma concentration ratio for dosing hepatitis C virus protease inhibitor
IN White, Ronald E.; Cheng, Kuo-Chi
PA Schering Corporation, USA
SO PCT Int. Appl., 591pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006130626	A2	20061207	WO 2006-US21001	20060531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 2006281689	A1	20061214	US 2006-443817	20060531
PRAI US 2005-686958P	P	20050602		
OS MARPAT 146:45741				

L3 ANSWER 15 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2006:1283435 CAPLUS
DN 146:45739
TI Preparation and administration of HCV protease inhibitors in combination with food to improve bioavailability
IN Zhang, Jenny; Gupta, Samir K.
PA Schering Corporation, USA
SO PCT Int. Appl., 623pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006130686	A2	20061207	WO 2006-US21115	20060531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 2006281688	A1	20061214	US 2006-443793	20060531
PRAI US 2005-686925P	P	20050602		
OS MARPAT 146:45739				

L3 ANSWER 16 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2006:1279351 CAPLUS
DN 146:45738
TI Method of treating interferon non-responders using HCV protease inhibitor
IN Albrecht, Janice K.; Laughlin, Mark A.; Malcolm, Bruce A.
PA Schering Corporation, USA
SO PCT Int. Appl., 479pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006061585	A1	20060615	WO 2005-GB4659	20051206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006130687	A2	20061207	WO 2006-US21116	20060531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 2007021351	A1	20070125	US 2006-444055	20060531
PRAI US 2005-686836P	P	20050602		
OS MARPAT 146:45743				

L3 ANSWER 13 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2006:1285858 CAPLUS
DN 146:45742
TI Preparation of peptides and pharmaceutical formulations for treating hepatitis C
IN Malcolm, Bruce A.; Bradley, Prudence K.; Pavlovsky, Anastasia; Cho, Wing-kee Philip; Oiu, Zhihui
PA Schering Corporation, USA
SO PCT Int. Appl., 477pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006130628	A2	20061207	WO 2006-US21003	20060531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 2007010431	A1	20070111	US 2006-444078	20060531
PRAI US 2005-686945P	P	20050602		
OS MARPAT 146:45742				

L3 ANSWER 14 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2006:1285857 CAPLUS
DN 146:45741
TI Method for modulating activity of HCV protease through use of a novel HCV protease inhibitor to reduce duration of treatment period
IN Malcolm, Bruce A.
PA Schering Corporation, USA
SO PCT Int. Appl., 606pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006130553	A2	20061207	WO 2006-US20733	20060531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 2007064635	A1	200706104	US 2006-443868	20060531
PRAI US 2005-686926P	P	20050602		
OS MARPAT 146:45738				

L3 ANSWER 17 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2006:1278780 CAPLUS
DN 146:45736
TI Asymmetric dosing methods in treatment of hepatitis C
IN Malcolm, Bruce A.
PA Schering Corporation, USA
SO PCT Int. Appl., 564pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006130688	A2	20061207	WO 2006-US21117	20060531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 2006282748	A1	20061221	US 2006-443887	20060531
PRAI US 2005-686800P	P	20050602		
OS MARPAT 146:45736				

L3 ANSWER 18 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2006:578135 CAPLUS
DN 145:63141
TI Preparation of 3,4-dihydroxy-2-pyrrolidinonecarboxamide derivatives
IN Block, Timothy; Davis, Ben; Chapman, Timothy; Schofield, Christopher
PA Isis Innovation Limited, UK
SO PCT Int. Appl., 73 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006061585	A1	20060615	WO 2005-GB4659	20051206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

GB, OH, OM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GO, GM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
EP 1020116 A1 20070905 EP 2005-823279 20051206
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
PRAI GB 2004-26661 A 20041206
NO 2005-084659 W 20051206
OS MARPAT 145:63143
RE.CMT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2006:79149 CAPLUS
DN 144:156613
TI Conopeptides and methods of use
IN Marlin, Frank
PA Florida Atlantic University, USA
SO U.S. Pat. Appl. Publ. 59 pp., Cont.-in-part of U.S. Ser. No. 794,640.
CODEN: USXXCO
DT Patent
LA English
FAN.CMT 2
PATENT NO. KIND DATE APPLICATION NO. DATE
PI US 2006019892 A1 20060126 US 2005-149757 20050610
US 2004176303 A1 20040909 US 2004-794640 20040305
PRAI US 2003-452030P P 20030305
US 2004-794640 A2 20040305

L3 ANSWER 20 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2005:1320187 CAPLUS
DN 144:143237
TI Identification of the Key Residue of Calcitonin Gene Related Peptide (CGRP) 27-37 to Obtain Antagonists with Picomolar Affinity at the CGRP Receptor
AU Lang, Manja; De Pol, Silvia; Baldauf, Carsten; Hofmann, Hans-Joerg; Reiser, Oliver; Beck-Sickinger, Annette G.
CS Institute of Biochemistry, University of Leipzig, Leipzig, D-04103, Germany
PB Journal of Medicinal Chemistry (2006), 49(2), 616-624
CODEN: JMCMAH, ISSN: 0022-2623
SO American Chemical Society
DT Journal
LA English
OS CASREACT 144:143237
RE.CMT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2005:1259562 CAPLUS
DN 144:7095
TI Preparation of prolyl peptides as inhibitors of hepatitis C virus NS3 serine protease
IN Arasappan, Ashok; Njoroge, F. George; Girijavallabhan, Viyyoor Moopil
PA Schering Corporation, USA
SO PCT Int. Appl., 120 pp.
CODEN: PIXXD2

IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, BR, HR, LV, MK, YU
BR 2005008085 A 20070717 BR 2005-8085 20050224
JP 2007525514 T 20070906 JP 2007-500955 20050224
IN 2006C03109 A 20070608 IN 2006-C03109 20060825
MX 2006PA09813 A 20061030 MX 2006-PA9813 20060828
NO 2006004358 A 20061124 NO 2006-4358 20060926
PRAI US 2004-548670P P 20040227
NO 2005-085795 W 20050224
OS MARPAT 143:32663
RE.CMT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2005:1021737 CAPLUS
DN 143:32663
TI Preparation of novel peptides as inhibitors of hepatitis C virus NS3 serine protease
IN Venkaraman, Srikanth; Njoroge, F. George; Blackman, Melissa L.; Wu, Wanli; Nair, Latha G.; Arasappan, Ashok; Bogen, Stephanie L.; Chen, Kevin X.; Sannigrahi, Mousumi; Bennett, Frank; Girijavallabhan, Viyyoor M.
PA Schering Corporation, USA
SO PCT Int. Appl., 302 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CMT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2005087721 A2 20050922 WO 2005-US5772 20050224
WO 2005087721 A3 20051110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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AU 2005222055 A1 20050922 AU 2005-222055 20050224
CA 2557247 A1 20050922 CA 2005-2557247 20050224
US 2005289233 A1 20051228 US 2005-65509 20050224
US 7192957 B2 20070220
EP 1748983 A2 20070207 EP 2005-723589 20050224
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, BR, HR, LV, MK, YU
CN 1946691 A 20070411 CN 2005-80812710 20050224
BR 2005008095 A 20070717 BR 2005-8095 20050224
JP 2007525510 T 20070906 JP 2007-500946 20050224
IN 2006C03093 A 20070608 IN 2006-C03093 20060825
NO 2006PA09811 A 20061030 MX 2006-PA9811 20060828
MX 2006004356 A 20061124 NO 2006-4356 20060926
US 2007031434 A1 20070208 US 2006-542356 20061003
US 2007049536 A1 20070301 US 2006-542228 20061003
PRAI US 2004-548507P P 20040227
US 2005-65509 A3 20050224
NO 2005-085795 W 20050224
OS MARPAT 143:32663

L3 ANSWER 24 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2005:347009 CAPLUS

DT Patent
LA English
FAN.CMT 1
PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2005113501 A1 20051201 WO 2005-US17401 20050507
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GM, ML, MR, NE, SN, TD, TG
CA 2566611 A1 20051201 CA 2005-2566610 20050518
US 2005272653 A1 20051208 US 2005-132083 20050518
EP 1773868 A1 20070418 EP 2005-751923 20050518
K: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, BR, HR, LV, MK, YU
CN 1948492 A 20070620 CN 2005-80024074 20050518
NO 2006PA13404 A 20070123 MX 2006-PA13404 20061117
PRAI US 2004-573191P P 20040520
WO 2005-US17401 W 20050518
OS MARPAT 144:7095

RE.CMT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2005:1026933 CAPLUS
DN 143:32663
TI Preparation of peptidyl sulfur compounds as inhibitors of hepatitis C virus NS3 serine protease
IN Bennett, Frank; Lovey, Raymond G.; Huang, Yuhua; Hendrata, Siska; Saksena, Anil K.; Bogen, Stephanie L.; Liu, Yi-Tsung; Njoroge, F. George; Venkaraman, Srikanth; Chen, Kevin X.; Sannigrahi, Mousumi; Arasappan, Ashok; Girijavallabhan, Viyyoor M.; Velazquez, Francisco
PA Schering Corporation, USA
SO PCT Int. Appl., 754 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CMT 2

PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2005087731 A1 20050922 WO 2005-US5795 20050224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GM, ML, MR, NE, SN, TD, TG
AU 2005222060 A1 20050922 AU 2005-222060 20050224
CA 2557495 A1 20050922 CA 2005-2557495 20050224
EP 1770110 A1 20061213 EP 2005-723607 20050224
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, BR, HR, LV, MK, YU

DN 142:411657
TI Preparation of peptides as inhibitors of serine proteases, particularly HCV NS3-H58A protease
IN Perni, Robert B.; Court, John J.; Britt, Shawn D.; Picilik, Janos; Van Drie, John H.
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CMT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2005035525 A2 20050421 WO 2004-US29093 20040907
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GM, ML, MR, NE, SN, TD, TG
AU 2004279800 A1 20050421 AU 2004-279800 20040907
CA 2536436 A1 20050421 CA 2004-2536436 20040907
US 2005171339 A1 20050623 US 2004-936450 20040907
EP 1667998 A2 20060614 EP 2004-809688 20040907
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
BR 2004014176 A 20061011 CN 2004-80025418 20040907
JP 2007504251 T 20070301 JP 2006-525519 20040907
MX 2006PA02476 A 20060620 MX 2006-PA2476 20060303
NO 2006001426 A 20060329 NO 2006-1426 20060329
PRAI US 2003-500670P P 20030905
WO 2004-US29093 W 20040907
OS CASREACT 142:411657; MARPAT 142:411657

L3 ANSWER 25 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2005:281807 CAPLUS
DN 142:349026
TI Inhibitors of serine proteases, particularly hepatitis C virus NS3-N94A proteases, preparation methods, and use in treatment of HCV infection
IN Cottrell, Kevin M.; Perni, Robert P.; Picilik, Janos; Schairer, Wayne C.
PA Vertex Pharmaceuticals, Incorporated, USA
SO PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CMT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2005028502 A1 20050331 WO 2004-US30428 20040917
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GM, ML, MR, NE, SN, TD, TG

SN, TD, TG

AU 2004274468 A1 20050331 AU 2004-274468 20040917
 CA 2538791 A1 20050331 CA 2004-2538791 20040917
 US 2005119189 A1 20050602 US 2004-943265 20040917
 EP 1664091 A1 20050607 EP 2004-784319 20040917

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

PRAI US 2003-504405P P 20030518
 WO 2004-0530428 W 20040917

OS CASREACT 142:349026; MARPAT 142:349026
 RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ ANSWER 26 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2004:1106809 CAPLUS
 DN 142:240685

TI Glyco- and Peptidomimetics from Three-Component Joulie-Ugi Coupling Show Selective Antiviral Activity

AU Chapman, Timothy M.; Davies, Iwan G.; Gu, Baohua; Block, Timothy M.; Scopes, David I. C.; Hay, Philip A.; Courtney, Stephen M.; McNeill, Luke A.; Schofield, Christopher J.; Davis, Benjamin G.

CS Chemistry Research Laboratory, University of Oxford, Oxford, OX1 3TA, UK

SO Journal of the American Chemical Society (2005), 127(2), 506-507

CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

OS CASREACT 142:240685

RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ ANSWER 27 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2004:996207 CAPLUS
 DN 141:406125

TI Novel mu-conotoxin peptides to treat neurological and cardiovascular diseases

IN Lewis, Richard James; Drinkwater, Roger; Adams, Denise; Neilsen, Katherine; Ekberg, Jenny

PA The University of Queensland, Australia

SO PCT Int. Appl., 160 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004099238	A1	20041118	WO 2004-AU583	20040505
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MN, MO, MU, MY, NA, NI, NO, NZ, OM, PA, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RM: BM, GW, HM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI AU 2003-902131 A 20030505

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ ANSWER 28 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2004:934462 CAPLUS
 DN 141:406764

TI Synthetic genes for hydroxyproline-rich glycoproteins of plant gums and their use in gum manufacture with transgenic organisms

IN Kieliszewski, Marcia J.

PA Ohio University, USA

SO PCT Int. Appl., 179 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 6

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004094590	A2	20041118	WO 2004-US11174	20040413
WO 2004094590	A3	20050519		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MN, MO, MU, MY, NA, NI, NO, NZ, OM, PA, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RM: BM, GW, HM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005074838	A1	20050407	US 2003-418032	20030416
CA 2522904	A1	20041104	CA 2004-2522904	20040413
EP 1622635	A2	20060208	EP 2004-759826	20040413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2006255120	A1	20061109	US 2005-243295	20050930
PRAI US 2003-018032	A	20030416		
US 1997-897556	A2	19970721		
US 1998-119507	A2	19980720		
US 2000-547693	A2	20000412		
US 2003-257199	B1	20030509		
WO 2004-US11174	W	20040413		

LJ ANSWER 29 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2004:880243 CAPLUS
 DN 142:56643

TI Catalysis with Phosphine-Containing Amino Acids in Various "Turn" Motifs

AU Agarkov, Andrey; Greenfield, Scott J.; Ohishi, Takahiro; Colibee, Scott E.; Ollerton, Scott R.

CS Department of Chemistry, Washington University, Saint Louis, MO, 63130-4899, USA

SO Journal of Organic Chemistry (2004), 69(23), 8077-8085

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ ANSWER 30 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2004:772801 CAPLUS
 DN 141:282794

TI Composition comprising extensin and, optionally, pectic polyaccharides

IN Sorensen, Marinus Blaasbjerg

PA New Nordic Danmark Aps, Den.

SO U.S., 5 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004099238	A1	20041118	WO 2004-AU583	20040505
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MN, MO, MU, MY, NA, NI, NO, NZ, OM, PA, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RM: BM, GW, HM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2003-902131 A 20030505

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ ANSWER 31 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2004:626200 CAPLUS
 DN 141:307041

TI SAR and pharmacokinetic studies on phenethylamide inhibitors of the hepatitis C virus NS3/NS4A serine protease

AU Malancon, Savina; Colarusso, Stefania; Ontoria, Jesus M.; Marchetti, Antonella; Poma, Marco; Stansfield, Ian; Laufer, Ralph; Di Marco, Annalisa; Talloni, Marina; Verdine, Maria; Gonzalez-Paz, Odalis; Metassa, Victor G.; Narjes, Frank

CS Department of Chemistry, Istituto di Ricerche di Biologia Molecolare, Merck Research Laboratories, Rome, 00040, Italy

SO Bioorganic & Medicinal Chemistry Letters (2004), 14(17), 4575-4579

CODEN: BMCLEB; ISSN: 0960-894X

PB Elsevier B.V.

DT Journal

LA English

OS CASREACT 141:307041

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ ANSWER 32 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2004:189154 CAPLUS
 DN 140:350052

TI Inhibitors of hepatitis C virus NS3-4A protease 2. Warhead SAR and optimization

AU Perni, Robert B.; Pitlik, Janos; Britt, Shawn D.; Court, John J.; Courtney, Lawrence F.; Deininger, David D.; Farmer, Luc J.; Gates, Cynthia A.; Harbeson, Scott L.; Levin, Rhonda B.; Lin, Chao; Lin, Kai; Moon, Young-Choon; Luong, Yu-Ping; O'Malley, Ethan T.; Rao, B. Govinda; Thomson, John A.; Tung, Roger D.; Van Drie, John H.; Wei, Yunyi

CS Vertex Pharmaceuticals Inc., Cambridge, MA, 02139, USA

SO Bioorganic & Medicinal Chemistry Letters (2004), 14(6), 1441-1446

CODEN: BMCLEB; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 140:350052

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ ANSWER 33 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2004:80708 CAPLUS
 DN 140:140069

TI Synthesis and therapeutic uses of ghrelin analogs

IN Dong, Zheng Xin; Shen, Yuelan

PA Scientifiques (S.C.R.A.S.) Societe De Conseils De Recherches Et D'Application, Fr.

SO PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004099616	A2	20040129	WO 2003-US22925	20030723
WO 2004099616	A3	20040129		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MN, MO, MU, MY, NA, NI, NO, NZ, OM, PA, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RM: BM, GW, HM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2003-902131 A 20030505

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ ANSWER 34 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2003:912843 CAPLUS
 DN 139:181756

TI Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus

IN Saksena, Anil K.; Girijavallabhan, Vijayor Moopil; Lovey, Raymond G.; Jao, Edwin; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-tsung; Zhu, Zhaoning; Hjiroge, F. George; Arasappan, Aahok; Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua

PA Schering Corporation, USA; Dendreon Corporation

SO U.S. Pat. Appl. Publ., 629 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 200316325	A1	20031120	US 2001-908955	20010719
US 2004254117	A9	20041216		
US 7012066	B2	20060314		
CN 1498224	A	20040519	CN 2001-813111	20010719
US 2007032433	A1	20070208	US 2002-52386	20020118
US 7244721	B2	20070717		
ZA 2002010312	A	20040329	ZA 2002-10312	20031219
US 2006205672	A1	20060914	US 2005-241656	20050930
US 2007232549	A1	20071004	US 2007-714457	20070306
PRAI US 2000-220108P	P	20000721		
US 2001-908955	A2	20010719		
US 2002-52386	A3	20020118		

OS MARPAT 139:181756

RE.CNT 111 THERE ARE 111 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ ANSWER 35 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2003:841841 CAPLUS
 DN 140:70302

TI Inhibitors of hepatitis C virus NS3-4A protease 1. Non-Charged tetrapeptide variants

AU Perni, Robert B.; Britt, Shawn D.; Court, John C.; Courtney, Lawrence F.

Deininger, David D.; Farmer, Luc J.; Gates, Cynthia A.; Harbeson, Scott L.; Kim, Joseph L.; Landro, James A.; Levin, Rhonda B.; Luong, Yu-Ping; O'Malley, Ethan T.; Pitlik, Janos; Rao, B. Govinda; Schairer, Wayne C.; Thomson, John A.; Tung, Roger D.; Van Drie, John H.; Wei, Yunyi
Vertex Pharmaceuticals Inc., Cambridge, MA, 02139, USA
CS Bioorganic & Medicinal Chemistry Letters (2003), 13(22), 4059-4063
CODEN: BMCLEB; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 140:70302
RE.CMT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ ANSWER 36 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2003:591204 CAPLUS
DN 139:149928
TI Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus
IN Sakena, Anil K.; Girijavallabhan, Vijayoor M.; Lovey, Raymond G.; Jao, Edwin; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-yau; Liu, Yi-taung; Zhu, Zhaoning; Njoroge, George F.; Arasappan, Ashok; Parekh, Tejpal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lin-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Wong, Jesse K.; Nair, Latha G.
PA Schering Corporation, USA; Corvas International, Inc.; Dendreon Corp.
SO PCT Int. Appl., 633 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CMT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062265	A2	20030731	WO 2003-US1430	20030116
WO 2003062265	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO				
US 200702433	A1	20070208	US 2002-52386	20020118
US 7244721	B2	20000717		
CA 2473032	A1	20030731	CA 2003-2473032	20030116
EP 1481000	A2	20041201	EP 2003-731956	20030116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003006931	A	20050419	BR 2003-6931	20030116
JP 2005524628	T	20050818	JP 2003-562142	20030116
NO 2004002792	A	20041015	NO 2004-2792	20040702
IN 2004CN01564	A	20050224	IN 2004-CN1564	20040716
MX 2004PA06934	A	20050419	MX 2004-PA6934	20040716
PRAI US 2002-52386	A	20020118		
US 2000-220108P	P	20000721		
US 2001-904855	A2	20010719		
WO 2003-US1430	W	20030116		
OS MARPAT 139:149928				

LJ ANSWER 37 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2003:732140 CAPLUS

HU 2003000855	A2	20031028	HU 2003-855	20010831
JP 2004517047	T	20040610	JP 2002-523884	20010831
BR 2001013666	A	20040927	BR 2001-13666	20010831
CN 1869061	A	20061129	CN 2006-10680326	20010831
NZ 541302	A	20070427	NZ 2001-541302	20010831
AU 2001288318	B2	20070906	AU 2001-288318	20010831
IN 2003KN00242	A	20050311	IN 2003-KN242	20030225
NO 2003000928	A	20030416	NO 2003-928	20030227
MX 2003PA01780	A	20030604	MX 2003-PA1780	20030227
ZA 2003001641	A	20040621	ZA 2003-1641	20030227
US 2005197299	A1	20050908	US 2004-344112	20041217
IN 2007KN00465	A	20070713	IN 2007-KN465	20070312
PRAI US 2000-229398P	P	20000831		
US 2001-277641P	P	20010131		
CN 2001-015055	A3	20010831		
WO 2003-US26008	W	20010831		
IN 2003-KN242	A3	20030225		
OS MARPAT 136:232547				

LJ ANSWER 40 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2002-90062 CAPLUS
DN 136:167698
TI Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus
IN Sakena, Anil K.; Girijavallabhan, Vijayoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-Taung; Zhu, Zhaoning; Njoroge, P. George; Arasappan, Ashok; Parekh, Tejpal N.; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Kemp, Scott Jeffrey; Levy, Odile Esther; Lin-Wilby, Marguerita; Tamura, Susan Y.
PA Schering Corporation, USA; Corvas International, Inc.
SO PCT Int. Appl., 536 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CMT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008244	A2	20020131	WO 2001-US22678	20010719
WO 2002008244	A3	20030619		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA				
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CA 2410662	A1	20020131	CA 2001-2410662	20010719
AU 200176988	A	20020205	AU 2001-76988	20010719
BR 2001012540	A	20030624	BR 2001-12540	20010719
EP 1385870	A2	20040204	EP 2001-954764	20010719
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JP 2004504404	T	20040212	JP 2002-514149	20010719
CN 1498224	A	20040519	CN 2001-813111	20010719
HU 2004001730	A2	20041228	HU 2004-1730	20010719
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AU 2001276988	B2	20010719	AU 2001-276988	20010719
ZA 2002010312	A	20040329	ZA 2002-10312	20021219
IN 2003CN00089	A	20050408	IN 2003-CN89	20030116
NO 2003000272	A	20030321	NO 2003-272	20030120

DN 138:2152
TI Design of Non-Cysteine-Containing Antimicrobial β -Hairpins: Structure-Activity Relationship Studies with Linear Protegrin-1 Analogues
AU Lni, Jonathan R.; Huck, Bayard R.; Weisblum, Bernard; Gellman, Samuel H.
CS Graduate Program in Biophysics and Departments of Chemistry and Pharmacology, University of Wisconsin, Madison, WI, 53706, USA
SO Biochemistry (2002), 41(42), 12815-12842
CODEN: BICHAU; ISSN: 0006-2960
PB American Chemical Society
DT Journal
LA English
RE.CMT 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ ANSWER 38 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2002:288633 CAPLUS
DN 137:20590
TI Preparation of novel O-sulfated amino acid building blocks with improved acid stability for Pmoc-based solid-phase peptide synthesis
AU Campos, Socorro Vazquez; Miranda, Les P.; Meldal, Morten
CS Center for Solid-Phase Organic Combinatorial Chemistry, Department of Chemistry, Carlsberg Laboratory, Copenhagen, DK-2500, Den.
SO Journal of the Chemical Society, Perkin Transactions 1 (2002), (5), 682-686
CODEN: JCSPEC; ISSN: 1472-7781
PB Royal Society of Chemistry
DT Journal
LA English
OS CASREACT 137:20590
RE.CMT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ ANSWER 39 OF 40 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2002:171885 CAPLUS
DN 136:232547
TI Preparation of peptidomimetic protease inhibitors
IN Sabine, Robert Edward; Chen, Shu Hui; Lamar, Jason Eric; Snyder, Nancy June; Sun, Xicheng David; Tebbe, Mark Joseph; Victor, Frantz; Wang, O. May; Yip, Yvonne Yee Mai; Collado, Ivan; Garcia-Paredes, Cristina; Parker, Raymond Samuel, III; Jin, Ling; Guo, Deqi; Glass, John Irvin
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 424 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CMT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018369	A2	20020107	WO 2001-US26008	20010831
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RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO				
CA 2419607	A1	20020307	CA 2001-2419607	20010831
AU 200188318	A	20020311	AU 2001-88318	20010831
EP 120540	A2	20030626	EP 2001-968040	20010831
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1451014	A	20031022	CN 2001-815055	20010831

MX 2003PA00627 A 20040730 MX 2003-PA627 20030120
PRAI US 2000-229398P P 20000721
WO 2001-US22678 W 20010719
OS MARPAT 136:167698

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'RG' IS NOT A VALID FILE NAME
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DICTIONARY FILE UPDATES: 21 OCT 2007 HIGHEST RN 951124-19-9

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<http://www.cas.org/support/stngen/stdoc/properties.html>

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FULL SEARCH INITIATED 09:45:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 16263 TO ITERATE

100.0% PROCESSED	16263 ITERATIONS	2483 ANSWERS
SEARCH TIME: 00.00.01		

L4 2483 SEA SSS FUL L1

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	220.43

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L5 591 L4

L6 551 L5 NOT L3

L6 551 L5 NOT L3

L6 551 L5 NOT L3

L6 ANSWER 551 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1967:422137 CAPLUS

DOCUMENT NUMBER: 67:42438,42468

ORIGINAL REFERENCE NO.: 67:42438,42468

TITLE: Synthesis and pharmacological activity of

7-L-hydroxyproline-oxytocin, 9- β -alanine-

oxytocin, 9-L-alanine-oxytocin, and 9-deamido-oxytocin

Dutta, A. S.; Anand, Nitya; Kar, Karunamoy

Central Drug Res. Inst., Lucknow, India

Indian Journal of Chemistry (1966), 4(11), 488-92

CODEN: IJOCAP; ISSN: 0019-5103

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The title compds. were prepared as follows. (The analogs were purified by countercurrent distribution using 1000:1000:1 sec-BuOH-H₂O-HOAc as distribution solvent (Jaquenoud and Boissacq, CA 53: 216966). Amino acid analysis of the purified samples was carried out as described earlier (CA 65: 3963c) and the amino acids are of L-configuration unless otherwise specified). Et₃N (2 g.) was added to a -5° solution of 4 g. benzylloxycarbonylhydroxyproline in 35 ml. CHCl₃ and 15 ml. PhMe. The mixture was treated with 2 g. isobutyl chloroformate (I), stirred 1.5 hrs. at -5°, and mixed with a precooled solution of 3.4 g. Et leucylglycinate in 50 ml. CHCl₃. The reaction mixture was kept overnight in a refrigerator to yield 4.5 g. Et benzylloxycarbonylhydroxyprolylleucylglycinate (II), m. 156° (dilute EtOH), [α]_D²⁰ -69° (c 2, EtOH). NH₃ was passed 2 hrs. at 0° through a solution of 4 g. II in 80 ml. MeOH, the mixture left overnight, solvent removed and the residue washed with EtOAc to yield 3.4 g. benzylloxycarbonylhydroxyprolylleucylglycinate (III), m. 176° (c 2, MeOH), [α]_D²⁰ -60° (c 2, MeOH). III (3 g.) was treated 30 min. at 20° with 12 ml. 2N HBr-HOAc. Ether was added to the solution precipitating HBr salts which were dissolved in 15 ml.

HOAc (DMF) and after treatment with Et₃N (IV) condensed with 3.3 g. p-nitrophenyl N-benzylloxycarbonyl-S-benzylcysteinate (V). The mixture was kept 48 hrs. to yield 3.8 g. N-benzylloxycarbonyl-S-benzylcysteinyllprolylleucylglycinate (XIV), m. 218°, [α]_D²⁰ -50° (c 1, DMF). After removal of the benzylloxycarbonyl group, XXIV was treated with XI to give 43% p-nitrobenzyl N-benzylloxycarbonyl-S-benzylcysteinyllprolylleucylglycinate (XXV), m. 247°, [α]_D²⁰ -48° (c 1, DMF). XXV was converted into 9-deamido-oxytocin (XXVI) by Na-NH₂ reduction and oxidation by air. The synthetic analogs were tested

for their biological activity as described earlier (loc. cit.). Oxytocic and vasodepressor activities of XXVI, XX, and 9- β -alanine-oxytocin (XXVII) were very low whereas XIII was inactive in these tests. Cumulative dose response studies showed that XX and XXVI had intrinsic activity similar to that of oxytocin, while XXVI had greatly reduced intrinsic activity.

IT 14902-41-1P 14902-42-2P 14902-43-3P

15011-19-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

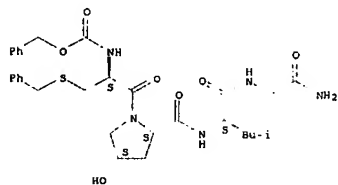
(Preparation of)

RN 14902-41-1 CAPLUS

CN Glycinamide, S-benzyl-N-carboxy-L-cysteinyll-4-hydroxy-L-prolyl-L-leucyl-,

benzyl ester (8CI) (CA INDEX NAME)

Absolute stereochemistry.

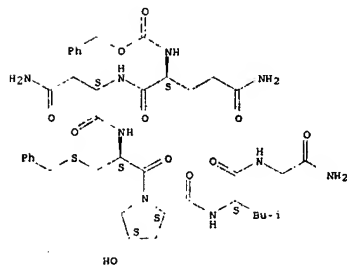


RN 14902-42-2 CAPLUS

CN Glycinamide, N2-carboxy-L-glutaminyll-L-asparaginyll-S-benzyl-L-cysteinyll-4-hydroxy-L-prolyl-L-leucyl-, benzyl ester (8CI) (CA INDEX NAME)

Absolute stereochemistry.

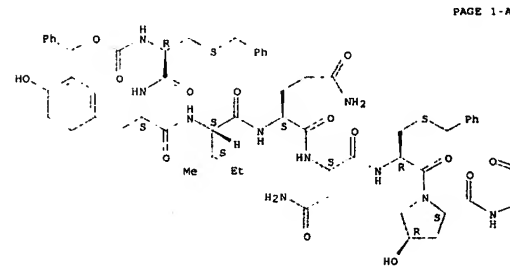
g. benzylloxycarbonylasparaginyll-S-benzylcysteinyllhydroxyprolylleucylglycinate (VIII), m. 198°, [α]_D²⁰ -45° (c 1, DMF). IV (2.1 ml.) and 1.4 g. p-nitrophenyl benzylloxycarbonylglutamate (IX) was added to a solution of the HBr salt of VIII (obtained by treatment of 2.5 g. VIII with 45 ml. 2N HBr-HOAc). The solution was stirred overnight at room temperature to yield 2.8 g. benzylloxycarbonylglutaminyllasparaginyll-S-benzylcysteinyllhydroxyprolylleucylglycinate (X), m. 231°, [α]_D²⁰ -40° (c 1, DMF). HCl (4N 1.5 ml.) and 0.2 ml. 5M NaNO₂ was added at -5° to a cooled solution of 0.65 g. N-benzylloxycarbonyl-S-benzylcysteinylltyrosylisoleucyl hydrazide (XI) in 10 ml. DMF. The mixture was stirred 5 min. at -5° and 0.8 ml. IV in 30 ml. EtOAc added. Separated IV-HCl was filtered off, the filtrate dried (Na₂SO₄) and condensed with L-glutaminyllasparaginyll-S-benzylcysteinyllhydroxyprolylleucylglycinate (prepared by HBr-HOAc treatment of X) to yield 0.53 g. N-benzylloxycarbonyl-S-benzylcysteinylltyrosylisoleucylglutaminyllasparaginyll-S-benzylcysteinyllhydroxyprolylleucylglycinate (XII), m. 238°, [α]_D²⁰ -40° (c 1, DMF). X was added in small portions to 0.4 g. XII in 125 ml. liquid NH₃ until a blue color persisted for 15 min. The mixture was treated with NH₄Cl until a clear colorless solution was obtained. NH₃ was removed in vacuo, residue dissolved in 250 ml. H₂O, pH adjusted to 6.5, and CO₂ passed 4 hrs. through the solution until the Na nitroprusside test was neg. The solution was freeze-dried and the crude 7-hydroxyproline-oxytocin (XIII) purified by countercurrent distribution (450 transfers). I (4.1 g.) was added to a solution of 8 g. benzylloxycarbonylleucine and 4.3 ml. IV in 40 ml. CHCl₃ and 40 ml. PhMe at -5°. After 1.5 hrs., a precooled solution of 4.2 g. Me alaninate hydrochloride and 4.3 g. IV in 70 ml. CHCl₃ was added and the mixture left overnight in a refrigerator to yield 7 g. Me benzylloxycarbonylleucylalaninate (XIV), m. 101° (dilute EtOH), [α]_D²⁰ -38° (c 1, EtOH). Treatment of 5 g. XIV with HBr-HOAc and condensation with 5.6 g. p-nitrophenyl benzylloxycarbonylproline (as for VII) yielded 5 g. Me benzylloxycarbonylprolylleucylalaninate (XVI), m. 147-8° (EtOAc-petroleum ether), [α]_D²⁰ -72° (c 2, EtOH). XV was converted into the corresponding amide (XVII), m. 215°, [α]_D²⁰ -78° (c 1, EtOH), as for II. Treatment of the HBr salt of XVI (from 3 g. XVI, prepared in the usual way) in 30 ml. EtOAc with 2 ml. IV and 3.5 g. V yielded 3 g. N-benzylloxycarbonyl-S-benzylcysteinyllprolylleucylalaninate (XVIII), m. 147° (EtOAc-petroleum ether), [α]_D²⁰ -35° (c 1, DMF). Condensation of the HBr salt of XVII (from 3 g. XVII) in 15 ml. DMF and 2 ml. IV with 1.8 g. VIII yielded 2.5 g. benzylloxycarbonylasparaginyll-S-benzylcysteinyllprolylleucylalaninate (XVIIII), m. 206°, [α]_D²⁰ -25° (c 1, DMF). Removal of the benzylloxycarbonyl group from XVIIII (as in VIII) and condensation with IX yielded 81% benzylloxycarbonylglutaminyllasparaginyll-S-benzylcysteinyllprolylleucylalaninate (XXI), m. 216°, [α]_D²⁰ -40° (c 1, DMF). Treatment of XXI with HBr-HOAc and condensation with XI (as for XIII) yielded 35% N-benzylloxycarbonyl-S-benzylcysteinylltyrosylisoleucylglutaminyllasparaginyll-S-benzylcysteinyllprolylleucylalaninate (XXII), m. 249-50°, [α]_D²⁰ -50° (c 1, DMF), which on treatment with Na-NH₂ and subsequent oxidation by air (as for XIII) yielded 9-L-alanine-oxytocin (XX). Similarly, p-nitrobenzyl glycinate was condensed with p-nitrophenyl benzylloxycarbonylleucinate to yield 84% p-nitrobenzyl benzylloxycarbonylleucylglycinate, m. 94° (EtOAc-petroleum ether), [α]_D²⁰ -20° (c 5, EtOH), which on HBr-HOAc treatment and condensation with p-nitrophenyl benzylloxycarbonylproline yielded 81% p-nitrobenzyl benzylloxycarbonylprolylleucylglycinate (XXI), m. 126°, [α]_D²⁰ -55° (c 2, DMF). Removal of the benzylloxycarbonyl protecting group of XXI and condensation with V yielded 75% p-nitrobenzyl N-benzylloxycarbonyl-S-benzylcysteinyllprolylleucylglycinate (XXIII), [α]_D²⁰ -40° (c 1, DMF), which could not be crystallized. XXIII was treated with HBr-HOAc and then with VIII to yield 81% p-nitrobenzyl benzylloxycarbonylasparaginyll-S-benzylcysteinyllprolylleucylglycinate (XXIIII), m. 169°, [α]_D²⁰ -45° (c 1, DMF).



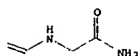
RN 14902-43-3 CAPLUS

CN Glycinamide, S-benzyl-N-carboxy-L-cysteinyll-L-tyrosyl-L-isoleucyl-L-glutaminyll-L-asparaginyll-S-benzyl-L-cysteinyll-4-hydroxy-L-prolyl-L-leucyl-, benzyl ester (8CI) (CA INDEX NAME)

Absolute stereochemistry.

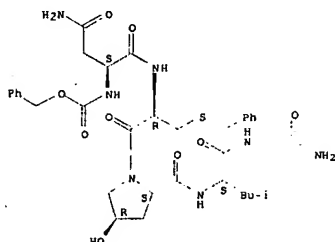


PAGE 1-A



RN 15011-39-9 CAPLUS
CN Glycinamide, N2-carboxy-L-asparaginy-L-S-benzyl-L-cysteinyl-4-hydroxy-L-prolyl-L-leucyl-, benzyl ester (8C1) (CA INDEX NAME)

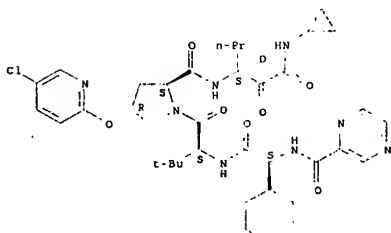
Absolute stereochemistry.



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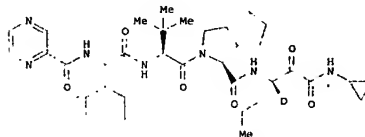
L6 ANSWER 1 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2007:1088479 CAPLUS
TITLE: Preparation of deuterated peptide α -keto amides as hepatitis C protease inhibitors
INVENTOR(S): Perni, Robert B.; Chen, Minzhang; Jung, Young Chun; Forslund, Raymond E.; Tanoury, Gerald J.; Bennani, Yousef; Zlokarnik, Gregor; Maltais, Francois
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 56pp.
CODEN: PIXXD2
DOCUMENT TYPE: English
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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L6 ANSWER 2 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2007:742377 CAPLUS
TITLE: Neuroleptic properties of the ion-channel-forming peptide zervamicin: locomotor activity and behavioral effects
AUTHOR(S): Ovchinnikova, Tatiana V.; Levitskaya, Natalia G.; Voskresenskaya, Olga G.; Yakimenko, Zoya A.; Tagaev, Andrey A.; Ovchinnikova, Anastasia Y.; Murashev, Arkadiy N.; Kamenskii, Andrey A.
CORPORATE SOURCE: Shemyakin & Ovchinnikov Institute of Bioorganic Chemistry, Russian Academy of Sciences, Moscow, 117997, Russia
SOURCE: Chemistry & Biodiversity (2007), 4(6), 1374-1387
CODEN: CBH1AM; ISSN: 1612-1872
PUBLISHER: Verlag Helvetica Chimica Acta AG
DOCUMENT TYPE: English
LANGUAGE: English
AB Zervamicins IIA and IIB are members of the peptaibol family of peptide antibiotics. They are produced by the fungus Emericellopsis salmosynnemata. Peptaibols are known to be of potential usefulness for chemotherapeutic applications, as are other secondary fungal metabolites. Previously, we have found zervamicins to decrease spontaneous locomotor activity in mice, suggesting their neurotropic properties on an equal footing with antimicrobial activity. The current study deals with behavioral effects of zervamicins IIA and IIB in mice. According to our results, both zervamicins induce a reliable decrease in locomotion and exploratory activity measured in the hole-board test. The behavioral effects of zervamicin IIA become apparent at lower dosages (0.05-2.0 mg/kg) as compared with zervamicin IIB (0.5-12.0 mg/kg). The expts. on behavioral effects in the elevated plus maze test showed that both zervamicins caused a reliable decrease in the number of head-dippings, open-arm entries, and rearings. The observed behavioral effects may be rather associated with a decrease in the exploratory activity than with anxiety-related responses in mice. Zervamicins induced depression-like behavior of exptl. animals in the forced-swim test. Both peptaibols reduce phys. endurance and change motor coordination of exptl. animals in the bar-holding test. Taken together, the data obtained clearly indicate that both zervamicins possess neuroleptic activity.
IT INDEXING IN PROGRESS
IT 79395-85-0, zervamicin IIB 79395-86-1, zervamicin IIA
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (neuroleptic activity of ion-channel-forming peptaibol zervamicin)
RN 79395-85-0 CAPLUS

WO 2007109080 A2 20070927 WO 2007-US6493 20070314
W: AE, AG, AL, AM, AT, AU, A2, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BU, CF, CO, CI, CM, GN, GO, GW, ML, MR, NE, SN, TD, TG, BH, OM, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
US 2007225297 A1 20070927 US 2007-717991 20070314
PRIORITY APPLN. INFO.: US 2006-782788P P 20060316
US 2006-782976P P 20060316
US 2006-844771P P 20060915
GI

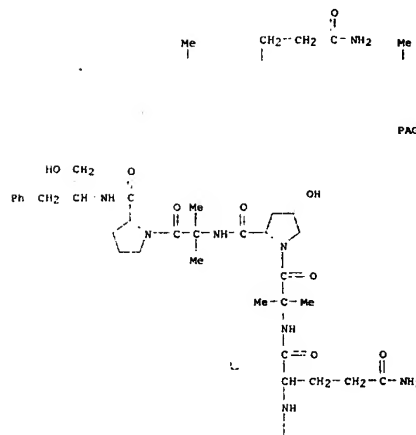


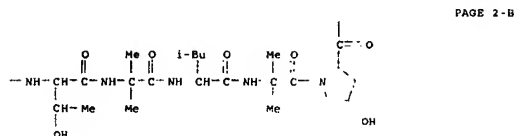
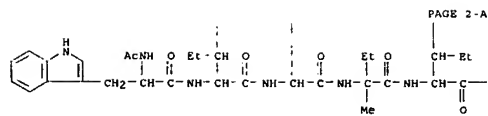
AB The invention relates to deuterated α -keto amides
R1CONR2CONR3CONR4R5 (D denotes a deuterium atom on a steric specific carbon atom; R1 is optionally-substituted azaheterocyclyl, R2, R3, R4 are independently H or alkyl; R5 is H, alkyl, aryl, alkylaryl, amino groups) for use as hepatitis C protease inhibitors. Thus, α -keto amide I was prepared via peptide coupling and Dess-Martin oxidation and showed $K_i < 50$ nM and $IC_{50} < 10.0$ μ M in the HCV replicon assay.
IT 950842-33-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of deuterated peptide α -keto amides as hepatitis C protease inhibitors)
RN 950842-33-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED
Absolute stereochemistry.

CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

PAGE 1-A

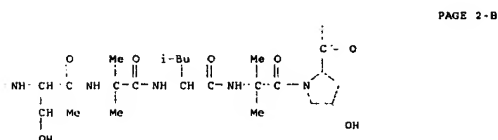
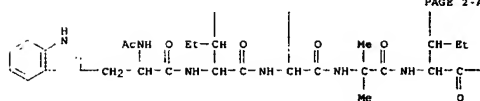
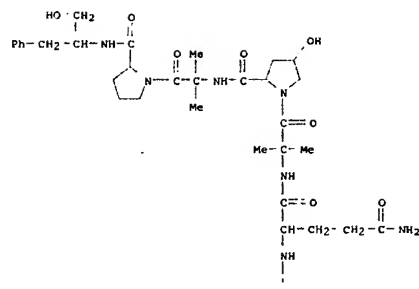
PAGE 1-B





RN 79395-86-1 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

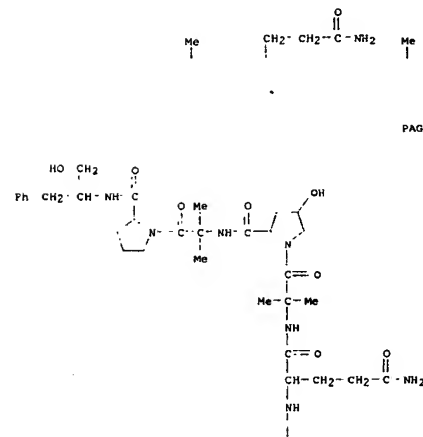
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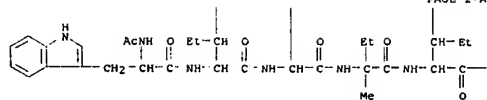


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

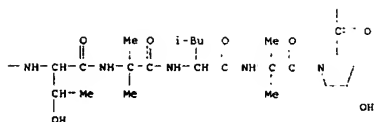
L6 . ANSWER 3 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:742375 CAPLUS
DOCUMENT NUMBER: 147:183389
TITLE: Membrane permeabilization of a mammalian neuroendocrine cell type (PC12) by the channel-forming peptides zervamicin, alamethicin, and gramicidin
AUTHOR(S): Weidema, Adam P.; Kropacheva, Tatyana N.; Raap, Jan; Ypey, Dirk L.

CORPORATE SOURCE: Department of Neurophysiology, Leiden University Medical Center (LUMC), Leiden, Neth.
SOURCE: Chemistry & Biodiversity (2007), 4(6), 1347-1359
CODEN: CBH1AM; ISSN: 1612-1872
PUBLISHER: Verlag Helvetica Chimica Acta AG
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Zervamicin IIB (ZER) is a 16-mer peptaibol that produces voltage-dependent, conductances in artificial membranes, a property considered responsible for its antimicrobial activity to mainly Gram-pos. microorganisms. In addition, ZER appears to inhibit the locomotor activity of the mouse (see elsewhere in this issue), probably by affecting the brain. To examine whether the electrophysiol. properties of the neuronal cells of the central neural system might be possibly influenced by the pore forming ZER, the present study was undertaken as a first attempt to unravel the mol. mechanism of this biol. activity. To this end, membrane permeabilization of the neuron-like rat pheochromocytoma cell (PC12) by the channel-forming ZER was studied with the whole-cell patch-clamp technique, and compared with the permeabilizations of the well-known voltage-gated peptaibol alamethicin F50/5 (ALA) and the cation channel-forming peptide-antibiotic gramicidin D (GRAM). While 1 μM GRAM addition to PC12 cells kept at a membrane potential V_m = 0 mV causes an undelayed gradual increase of a leak conductance with a neg. reversal potential of ca. -24 mV, ZER and ALA are ineffective at that concentration and potential. However, if ZER and ALA are added in 5-10 μM concns. while V_m is kept at -60 mV, they cause a sudden and strong permeabilization of the PC12 cell membrane after a delay of 1-2 min, usually leading to disintegrating morphol. changes of the patched cell but not of the surrounding cells of the culture at that time scale. The zero reversal potential of the established conductance is consistent with the known selectivity of the channels formed. This sudden permeabilization does not occur within 10-20 min at V_m = 0 mV, in accordance with the known voltage dependency of ZER and ALA channel formation in artificial lipid membranes. The permeabilizing action of these peptaibols on the culture as a whole is further supported by K⁺-release measurements from a PC12 suspension with a K⁺-selective electrode. Further anal. suggested that the permeabilizing action is associated with extra- or intracellular calcium effects, because barium inhibited the permeabilizing effects of ZER and ALA. We conclude, for the membrane of the mammalian neuron-like PC12 cell, that the permeabilizing effects of the peptides ZER and ALA are different from those of GRAM, consistent with earlier studies of these peptides in other (artificial) membrane systems. They are increased by cis-pos. membrane potentials in the physiol. range and may include calcium entry into the PC12 cell.
IT 79395-86-0, Zervamicin IIB
RL: BSU (Biological study, unclassified); BIOL (Biological study) (membrane permeabilization of neuroendocrine cell type by the channel-forming peptides zervamicin, alamethicin, and gramicidin)
RN 79395-86-0 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)





PAGE 2-A



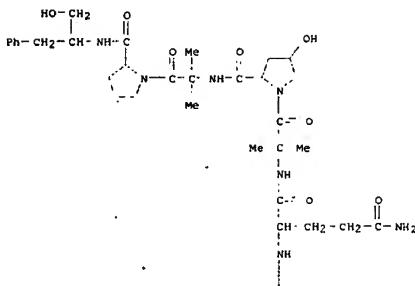
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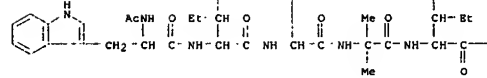
L6 ANSWER 4 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2007:742366 CAPLUS
 TITLE: Solvent effects on the secondary structure of the membrane-active zervamicin determined by PELDOR spectroscopy
 AUTHOR(S): Milov, Alexander D.; Tsvetkov, Yuri D.; Gorbunova, Elena Y.; Mustaeva, Leyla G.; Ovchinnikova, Tatiana V.; Handgraaf, Jan-Willem; Raap, Jan
 CORPORATE SOURCE: Institute of Chemical Kinetics and Combustion, Russian Academy of Sciences, Novosibirsk, 630090, Russia
 SOURCE: Chemistry & Biodiversity (2007), 4(6), 1243-1255
 CODEN: CBHIAM; ISSN: 1612-1872
 PUBLISHER: Verlag Helvetica Chimica Acta AG
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Zervamicin is a voltage-gated ion-channel-forming peptide. Channels are generally considered to be formed by first insertion of amphipathic moieties into the phospholipid bilayer, followed by self-assembly of a variable number of transmembrane helices. The authors have studied the length of the peptide structure to address the question whether this peptide is long enough to span the phospholipid bilayer. The pulsed electron-electron double resonance (PELDOR) spectroscopic technique was used to determine the length of the helical mol. in membrane-mimicking solvents. This was achieved from the distance-related dipole-dipole interaction between spin labels, which were located at both ends of the linear peptide chain. The data were obtained by using samples of frozen glassy solns. of MeOH, MeOH/toluene, and MeOH/CHCl₃. Contributions of inter- and intramol. interactions of spin labels were separated to analyze the intramol. interaction and the distance distribution function between the labels. It is shown that the main maximum of the distribution functions is located at a distance of ca. 3.3 nm, and this distance appears to be only slightly dependent on the solvent composition. The distribution function was observed to narrow after addition of either CHCl₃ or toluene to MeOH. This effect is rationalized in terms of a decreased mobility of the terminal amino acid residues. By mol.-dynamics simulations, it was shown that the conformation, corresponding with the predominant distance found by PELDOR, agrees well with the mixed α/310-helical that was previously determined

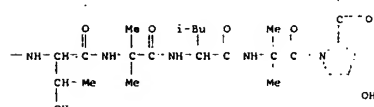
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PAGE 2-A



PAGE 2-B

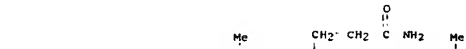


REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2007:742365 CAPLUS
 TITLE: Antiamoebin I in methanol solution: rapid exchange between right-handed and left-handed 310-helical conformations
 AUTHOR(S): Shinkarev, Zakhar D.; Paramonov, Alexander S.; Nadezhdin, Kirill D.; Bocharov, Eduard V.; Kudelina, Irina A.; Skladnev, Dmitry A.; Tagaev, Andrey A.

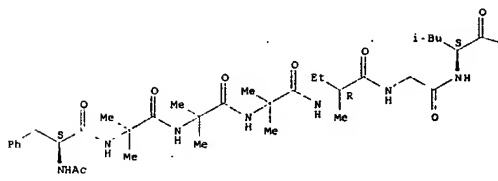
by NMR. However, in the case toluene was added to the MeOH solution to further increase the hydrophobicity of the environment of the membrane-active peptide, the distribution function gives rise to a minor fraction (7-8%) with a distance of 4.2 nm. This distance corresponds most likely to the more extended 27-helix structure.
 IT INDEXING IN PROGRESS
 IT 79195-86-1, zervamicin-IIA
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of doubly spin-labeled zervamicin-IIA and study of solvent effect on secondary structure of membrane-active voltage-gated ion-channel-forming peptide)
 RN 79195-86-1 CAPLUS
 CN L-Prolineamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

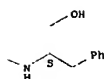
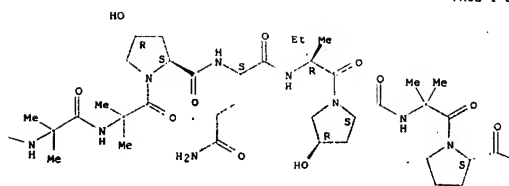
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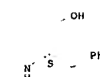
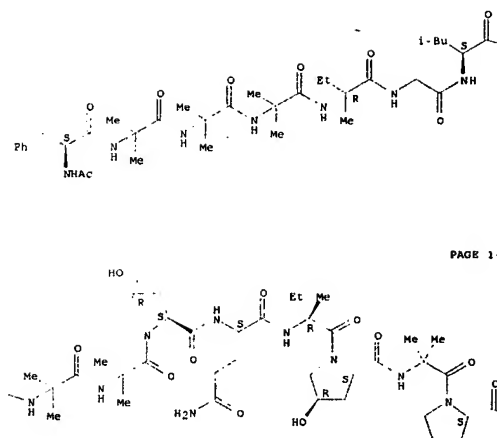
Yakimenko, Zoya A.; Ovchinnikova, Tatiana V.; Arseniev, Alexander S.
 CORPORATE SOURCE: Shemyakin & Ovchinnikov Institute of Bioorganic Chemistry, Russian Academy of Sciences, Moscow, 117997, Russia
 SOURCE: Chemistry & Biodiversity (2007), 4(6), 1219-1242
 CODEN: CBHIAM; ISSN: 1612-1872
 PUBLISHER: Verlag Helvetica Chimica Acta AG
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Antiamoebin I (Aam-I) is a membrane-active peptaibol antibiotic isolated from fungal species belonging to the genera Cephalosporium, Emericellopsia, Gliocladium, and Stielbella. Antiamoebin I has the amino acid sequence: Ac-Phe1-Alb-Alb-Alb-Iva-Gly-Leu-Alb8-Alb-Hyp-Gln-Iva-Hyp-Alb-Pro-Phl16 (Alb = NHCMe2CO, Iva = isovaline residue, Phl = phenylalaninol). By using the uniformly 13C,15N-labeled sample of Aam-I, the set of conformationally dependent J couplings and 3hJNC couplings through H-bonds were measured. Anal. of these data along with the data on magnetic nonequivalence of the 13C nuclei [W(13C)] in Alb and Iva residues allowed us to draw the univocal conclusion that the N-terminal part (Phe1-Gly6) of Aam-I in MeOH solution is in fast exchange between the right-handed and left-handed 310-helical conformations, with an approx. equal population of both states. An addnl. conformational exchange process was found at the Alb8 residue. The 15N-NMR-relaxation and CD-spectroscopy measurements confirmed these findings. Mol. modeling and Monte Carlo simulations revealed that both exchange processes are correlated and coupled with significant hinge-bending motions around the Alb8 residue. Our results explain relatively low activity of Aam-I with respect to other 15-amino acid residue peptaibols (for example, zervamicin) in functional and biol. tests. The high dynamic 'propensity' possibly prevents both initial binding of the antiamoebin to the membrane and subsequent formation of stable ionic channels according to the barrel-stave mechanism.
 IT 64347-37-1DP, Antiamoebin I, 13C,15N-labeled
 RL: BPN (Biosynthetic preparation); PRP (Properties); BIOL (Biological study); PREP (Preparation); PRP (Properties)
 (antiamoebin I in methanol solution undergoes rapid exchange between right-handed and left-handed 310-helical conformations)
 RN 64347-37-1 CAPLUS
 CN Antiamoebin I (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

PAGE 1-A





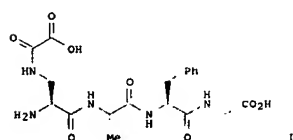
IT 64347-37-1, Antiamoebin I
 RL: PRP (Properties); PRP (Properties)
 (antiamoebin I in methanol solution undergoes rapid exchange between
 right-handed and left-handed 310-helical conformations)
 RN 64347-37-1 CAPLUS
 CN Antiamoebin I (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



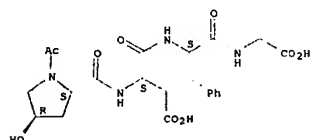
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RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2007:557778 CAPLUS
 DOCUMENT NUMBER: 147:189383
 TITLE: Solid-phase synthesis of carboxylic and oxamic acids
 via OsO₄/NaIO₄/HMTA-mediated oxidative cleavage of
 acetylenic peptides
 AUTHOR(S): Nielsen, Thomas E.; Le Quemant, Sebastian T.; Meldal,
 Morten
 CORPORATE SOURCE: SPOCC Centre, Carlsberg Laboratory, Valby, DK-2500,
 Den.
 SOURCE: Organic Letters (2007), 9(13), 2469-2472
 CODEN: ORLE77; ISSN: 1523-7060
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 147:189383
 GI



AB A general method for the solid-phase synthesis of carboxy-functionalized
 peptides by oxidative cleavage of alkynes is presented. Clean and quant.
 conversion was enabled by the addition of bases, such as DABCO and HMTA, to
 the classical OsO₄/NaIO₄ mixture. The utility of the reaction was further
 illustrated by the synthesis of oxamic acids, e.g., I.
 IT 944414-31-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (solid-phase preparation of peptidocarboxylic acids and oxamic acids via
 preparation of acetylenic peptides followed by OsO₄/NaIO₄/HMTA-mediated
 oxidative cleavage)
 RN 944414-31-7 CAPLUS
 CN Glycine, (4R)-1-acetyl-4-hydroxy-L-prolyl-L-α-aspartyl-L-
 phenylalanyl- (CA INDEX NAME)
 Absolute stereochemistry.



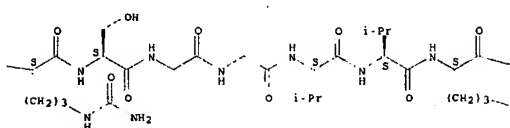
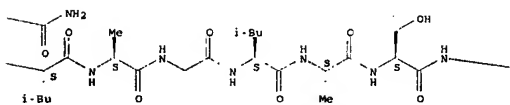
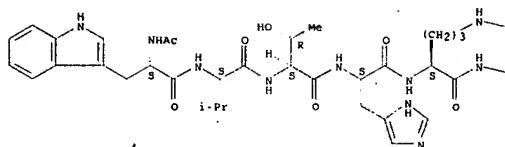
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2007:464416 CAPLUS
 DOCUMENT NUMBER: 146:476040
 TITLE: CGRP peptide antagonists and conjugates
 [INVENTOR(S): Gegg, Colin V., Jr.; Miranda, Leslie P.; Walker,
 Kenneth W.; Johnson, Eileen J.; Holder, Jerry Ryan;
 Wright, Marie E.; D'Amico, Derin C.
 Amgen Inc., USA
 PATENT ASSIGNEE(S): PCT Int. Appl., 162pp.
 SOURCE: CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007048026	A2	20070426	WO 2006-0541220	20061020
WO 2007048026	A3	20070726		

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 GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
 KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
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 RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO, BW, GH,
 GM, KE, LB, LM, MG, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
 PRIORITY APPLN. INFO.: US 2005-729083P P 20051021
 US 2006-584177 A 20061019

OTHER SOURCE(S): MARPAT 146:476040
 AB Disclosed is a composition of matter that involves a CGRP peptide (calcitonin
 gene-related peptide) antagonist. A pharmaceutical composition is disclosed
 that comprises the composition of matter and a pharmaceutically acceptable
 carrier, which can be configured for administration to a patient. Also
 disclosed is a method of producing the composition of matter. Methods of
 treating, preventing, or mitigating migraine are also disclosed.
 IT 935558-69-3
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (calcitonin gene-related peptide antagonists and conjugates and
 pharmaceutically acceptable carriers for mitigating migraine)
 RN 935558-69-3 CAPLUS
 CN L-Phenylalaninamide, N-acetyl-L-tryptophyl-L-valyl-L-threonyl-L-histidyl-
 NS-(aminocarbonyl)-L-ornithyl-L-leucyl-L-alanyl-L-alanyl-L-
 seryl-N5-(aminocarbonyl)-L-ornithyl-L-seryl-L-valyl-L-valyl-L-valyl-L-
 arginyl-L-lysyl-L-asparaginyl-L-phenylalanyl-L-valyl-(4R)-4-hydroxy-L-
 prolyl-L-threonyl-L-α-aspartyl-L-valyl-L-valyl-L-phenylalanyl-
 L-alanyl- (CA INDEX NAME)
 Absolute stereochemistry.



HN

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GO, GM, ML, MR, NE, SM, TD, TG, BW, GH, GM, KE, LS, NM, NZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

US 2005-721557P P 20050928
US 2005-748904P P 20051209
US 2005-750771P P 20051215

OTHER SOURCE(S):

MARPAT 146,395,616

AB The present invention features ghrelin analogs, active at the GHS receptor as either agonists or antagonists, in which amino acids at position 15, 16, 17, 18, 19, or 20 have been substituted with Gly(myristyl), Lys(biotinyl), etc. These analogs exhibited higher cell membrane binding affinity and were found to interact more efficiently with membrane bound receptors and thus were more biol. potent compared to native ghrelin. They are effective in stimulating the appetite, treating post-operative ileus, treating obesity, diabetes, cardiovascular disorders, inflammation, etc.

IT 932732-49-5P 932732-54-2P 932733-44-3P
932733-49-8P

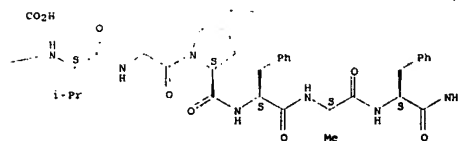
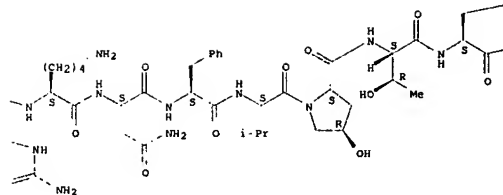
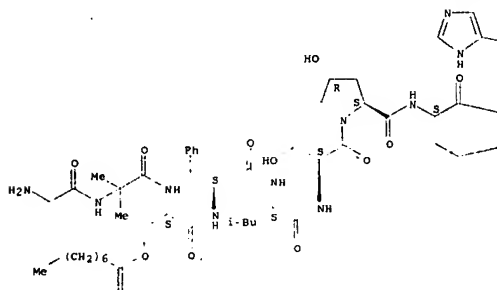
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of therapeutic analogs of ghrelin active at the GHS receptor)

RN 932732-49-5 CAPLUS

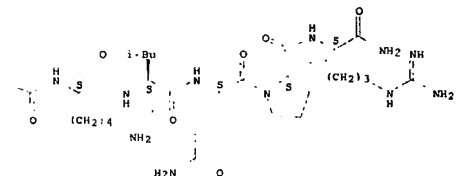
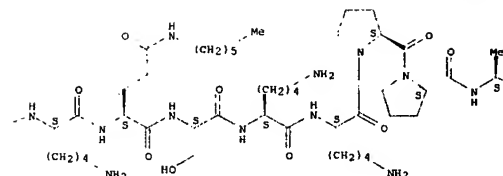
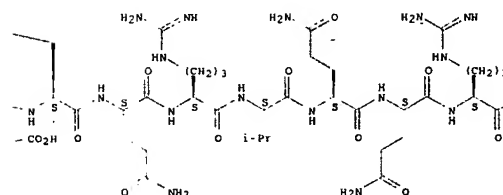
CN L-Argininamide, glycyl-2-methylalanyl-O-(1-oxooctyl)-L-seryl-L-phenylalanyl-L-leucyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-α-glutamyl-L-histidyl-L-glutamyl-L-arginyl-L-valyl-L-glutamyl-L-glutamyl-L-arginyl-L-lysyl-L-hexyl-L-glutamyl-L-seryl-L-lysyl-L-lysyl-L-prolyl-L-arganyl-L-alanyl-L-lysyl-L-leucyl-L-glutamyl-L-prolyl- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 8 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:379162 CAPLUS
DOCUMENT NUMBER: 146:395616
TITLE: Preparation of therapeutic analogs of ghrelin active at the GHS receptor
INVENTOR(S): Dong, Zheng Xin; Culler, Michael Dewitt; Shen, Yeeiana; Comstock, Jeanne Mary
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques S.A.S., Fr.
SOURCE: PCT Int. Appl., 110pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007038678	A2	20070405	WO 2006-US17889	20060927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LF, LR, LS, LT, LU, LV, LY, MA, MD, MG, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RM: AT, BE, BO, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IS,				

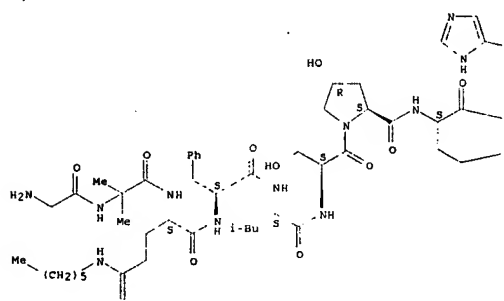


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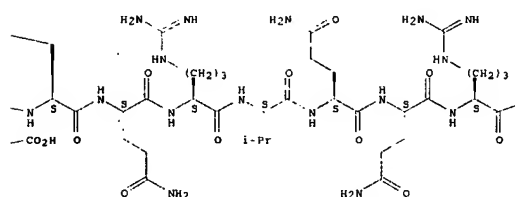
RN 932732-54-2 CAPLUS
 CN L-Argininamide, glycyL-2-methylalanyl-N-hexyl-L-glutaminyL-L-phenylalanyl-L-leucyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L- α -glutamyl-L-histidyl-L-glutaminyL-L-arginyl-L-valyl-L-glutaminyL-L-arginyl-L-lysyl-N-hexyl-L-glutaminyL-L-seryl-L-lysyl-L-prolyl-L-alanyl-L-lysyl-L-leucyl-L-glutaminyL-L-prolyl- (CA INDEX NAME)

Absolute stereochemistry.

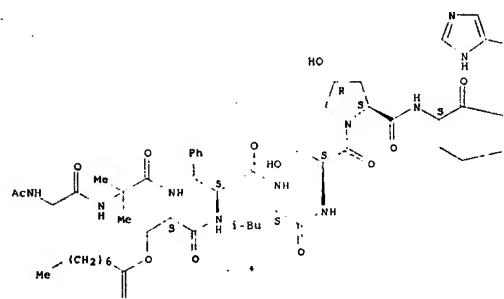
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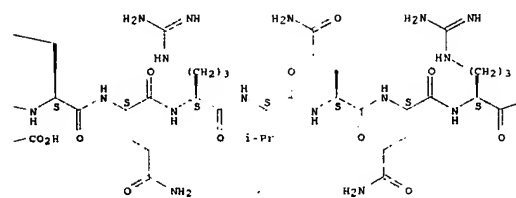
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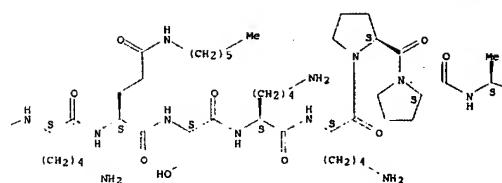
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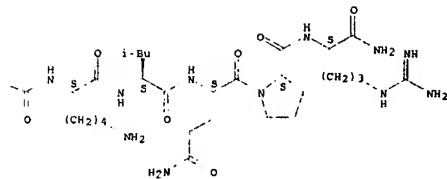
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PAGE 1-C



PAGE 1-D

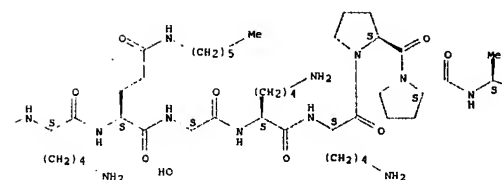


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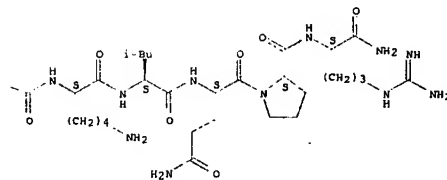
RN 932733-44-3 CAPLUS
 CN L-Argininamide, N-acetylglucyl-2-methylalanyl-O-(1-oxooctyl)-L-seryl-L-phenylalanyl-L-leucyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L- α -glutamyl-L-histidyl-L-glutaminyL-L-arginyl-L-valyl-L-glutaminyL-L-glutaminyL-L-arginyl-L-lysyl-N-hexyl-L-glutaminyL-L-seryl-L-lysyl-L-prolyl-L-prolyl-L-alanyl-L-lysyl-L-leucyl-L-glutaminyL-L-prolyl- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-C



PAGE 1-D

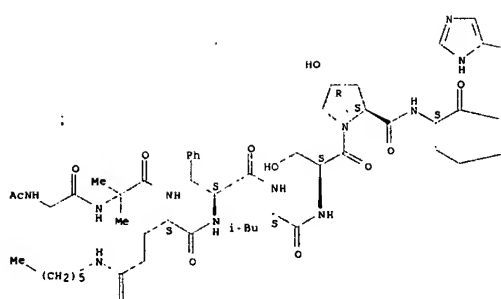


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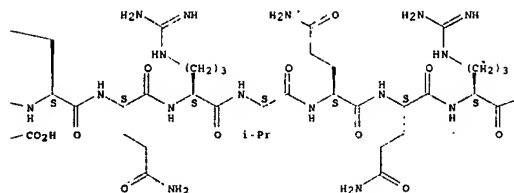
RN 932733-49-8 CAPLUS
 CN L-Argininamide, N-acetylglucyl-2-methylalanyl-N-hexyl-L-glutaminyL-L-phenylalanyl-L-leucyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L- α -glutamyl-L-histidyl-L-glutaminyL-L-arginyl-L-valyl-L-glutaminyL-L-glutaminyL-L-arginyl-L-lysyl-N-hexyl-L-glutaminyL-L-seryl-L-lysyl-L-prolyl-L-prolyl-L-alanyl-L-lysyl-L-leucyl-L-glutaminyL-L-prolyl- (CA INDEX NAME)

Absolute stereochemistry.

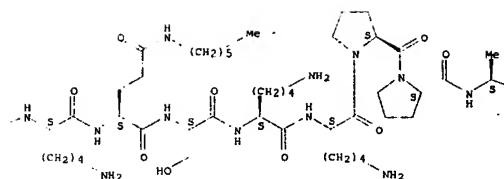
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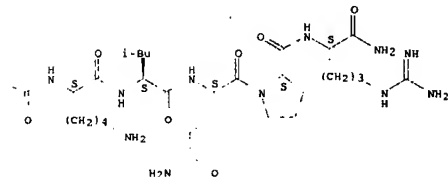
PAGE 1-B



PAGE 1-C



PAGE 1-D



PAGE 2-A

L6 ANSWER 9 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2007:340399 CAPLUS
 DOCUMENT NUMBER: 146:501337
 TITLE: Novel glycosylated (Lys7)-dermorphin analogues: synthesis, biological activity and conformational investigations
 AUTHOR(S): Biondi, Laura; Filira, Fernando; Giannini, Elisa; Gobbo, Marina; Lattanzi, Roberto; Negri, Lucia; Rocchi, Raniero
 CORPORATE SOURCE: Department of Chemical Sciences, Institute of Biomolecular Chemistry, C.N.R., Section of Padova, University of Padova, Padua, I-35131, Italy
 SOURCE: Journal of Peptide Science (2007), 13(3), 179-189
 CODEN: JPSIBI; ISSN: 1075-2617
 PUBLISHER: John Wiley & Sons Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Syntheses of the [Lys7]- and [Hyp6,Lys7]-dermorphin analogs in which either Tyr5 or Hyp6 are O-glucosylated are described. For comparison, the carbohydrate-free peptides have also been prepared. Structural

investigations by FT-IR and CD measurements were carried out on the synthetic analogs and some preliminary pharmacol. expts. were also performed. The biol. potency of the glucosylated analogs was compared with that of the μ -opioid receptor agonist dermorphin in GPI preps. Glucosylation of either Tyr5 or Hyp6 reduces the potency of both [Lys7]-dermorphin and [Hyp6,Lys7]-dermorphin. The effect induced by the Tyr5 glucosylation is quite strong and the potency of both peptides is reduced by about 150 times. A similar but less dramatic effect is induced by the glucosylation of the Hyp6 residue, and the potency of the parent peptide is reduced by about 15 times. The presence of acetyl groups on the sugar hydroxyl functions further reduces the agonistic potency of the glucosylated analogs. The analgesic potency of [Hyp6,Lys7]-, [Hyp6,Lys7]- and [Tyr(HOic),Lys7]-dermorphin were also tested in vivo by the tail-flick test. The glucosylated hydroxyproline-containing analog is 9-10 times less active than the parent peptide, but its analgesic effect lasts significantly longer.

IT 220713-64-4P 936346-26-8P 936346-27-9P

936346-28-0P 936346-29-1P

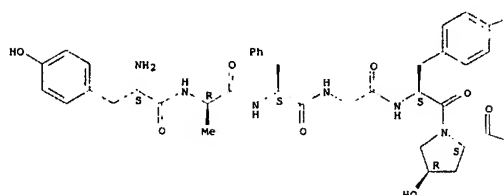
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation, conformation and biol. activity of glycosylated (Lys7)-dermorphin analogs as μ -opioid receptor agonists)

RN 220713-64-4 CAPLUS

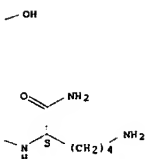
CN L-Lysinamide, L-tyrosyl-D-alanyl-L-phenylalanylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A



PAGE 1-B

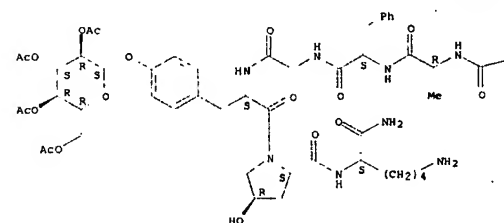


RN 936346-26-8 CAPLUS

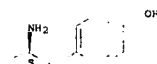
CN L-Lysinamide, L-tyrosyl-D-alanyl-L-phenylalanylglycyl-O-(2,3,4,6-tetra-O-acetyl- β -D-glucopyranosyl)-L-tyrosyl-(4R)-4-hydroxy-L-prolyl- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

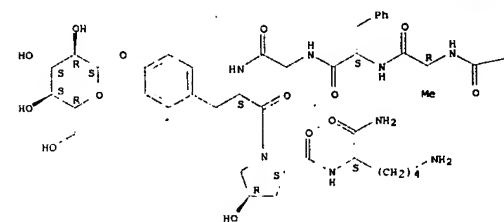


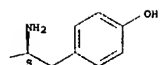
RN 936346-27-9 CAPLUS

CN L-Lysinamide, L-tyrosyl-D-alanyl-L-phenylalanylglycyl-O- β -D-glucopyranosyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl- (CA INDEX NAME)

Absolute stereochemistry.

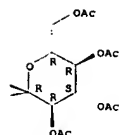
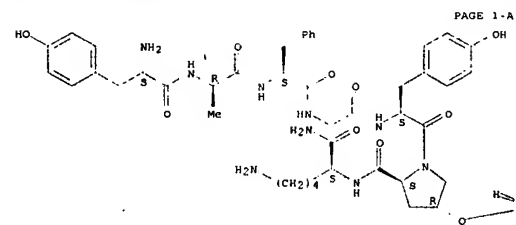
PAGE 1-A





RN 936346-28-0 CAPLUS
CN L-Tyrosinamide, L-tyrosyl-D-alanyl-L-phenylalanylglycyl-L-tyrosyl-(4R)-4-((2,3,4,6-tetra-O-acetyl-beta-D-glucopyranosyloxy)-L-prolyl)- (CA INDEX NAME)

Absolute stereochemistry.



RN 936346-29-1 CAPLUS
CN L-Tyrosinamide, L-tyrosyl-D-alanyl-L-phenylalanylglycyl-L-tyrosyl-(4R)-4-((2,3,4,6-tetra-O-acetyl-beta-D-glucopyranosyloxy)-L-prolyl)- (CA INDEX NAME)

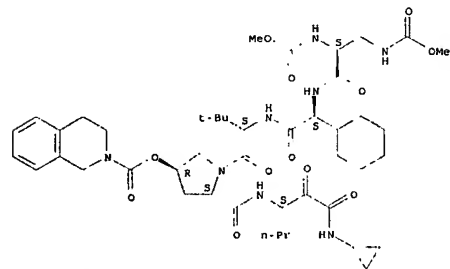
Absolute stereochemistry.

hurdle to their use in patients. A systematic assessment of combinations of interferon and/or novel anti-hepatitis C virus agents from several different mechanistic classes was performed in vitro. Combinations of inhibitors with different mechanisms of action consistently demonstrated more synergy than did compds. with similar mechanisms of action. These results suggest that combinations of inhibitors with different mechanisms of action should be prioritized for assessment in clin. trials for chronic hepatitis C virus infection.

IT 832090-66-1
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synergy of small mol. inhibitors of hepatitis C virus replication directed at multiple viral targets)

RN 832090-66-1 CAPLUS
CN L-Prolinamide, N-(methoxycarbonyl)-3-[(methoxycarbonylamino)-L-alanyl-(2S)-2-cyclohexylglycyl-3-methyl-L-valyl-N-[(1S)-1-[2-(cyclopropylamino)-2-oxoacetyl]butyl]-4-[[[3,4-dihydro-2(1H)-isoquinolinyl]carbonyloxy]-, (4R)- (CA INDEX NAME)

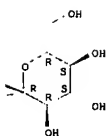
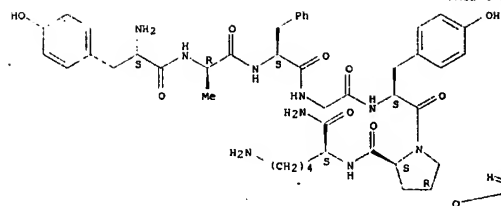
Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:232767 CAPLUS
DOCUMENT NUMBER: 146:291465
TITLE: Peptide plant hormones controlling plant cell differentiation. Discovery and identification of mature peptide MCLV3 derived from CLV3 specifically expressed in stem cells
AUTHOR(S): Sakagami, Yoji; Kondo, Tatsuhiro
CORPORATE SOURCE: Grad. Sch. Bio-Agric. Sci., Nagoya University, Japan
SOURCE: Kagaku to Seibutsu (2007), 45(2), 78-80
CODEN: KASEAA; ISSN: 0453-073X
PUBLISHER: Gakkai Shuppan Senta
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese

AB A review on the identification of MCLV3 as mature peptide encoded by clv3 by MALDI-TOF MS in Arabidopsis, comparison of MCLV3 with TDIF (tracheary element differentiation inhibitory factor), and functions of CLE-motif-containing peptides in the regulation of thd differentiation of plant cells.

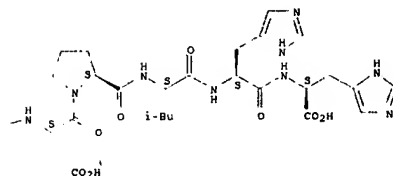
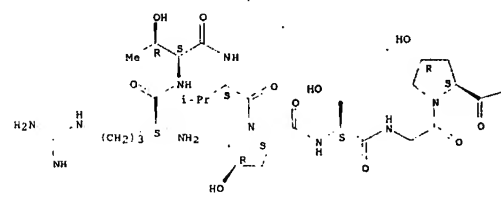


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:325665 CAPLUS
DOCUMENT NUMBER: 146:474836
TITLE: Synergy of small molecular inhibitors of hepatitis C virus replication directed at multiple viral targets
AUTHOR(S): Myles, David L.; Kaihara, Kelly A.; Vaida, Florin; Schooley, Robert T.
CORPORATE SOURCE: Department of Medicine, Division of Infectious Diseases, University of California, San Diego, La Jolla, CA, USA
SOURCE: Journal of Virology (2007), 81(6), 3005-3008
CODEN: JOVIAM; ISSN: 0022-538X
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Chronic hepatitis C virus (HCV) infection is a significant worldwide health problem with limited therapeutic options. A number of novel, small mol. inhibitors of HCV replication are now entering early clin. trials in humans. Resistance to small mol. inhibitors is likely to be a significant

IT 908127-11-7P
RL: BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
(identification of MCLV3 as mature peptide of CLV3 and its role in plant cell differentiation)
RN 908127-11-7 CAPLUS
CN L-Histidine, L-arginyl-L-threonyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-tyrosylglycyl-(4R)-4-hydroxy-L-prolyl-L-aspartyl-L-prolyl-L-leucyl-L-histidyl- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 12 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:85180 CAPLUS
DOCUMENT NUMBER: 146:184740
TITLE: Preparation of peptides for use in the treatment of obesity
INVENTOR(S): Semelous, Ulrich; Christensen, Leif; Spetzler, Jane; Frieboes, Kilian Waldemar Conde; Thøgersen, Henning
FATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 114pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007009894	A2	20070125	WO 2006-EP64027	20060707
WO 2007009894	A3	20070913		
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TO, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.: EP 2005-106554 A 20050718

OTHER SOURCE(S): MARPAT 146:184740

AB The invention relates to novel peptide compds. T-A-L-P [T is tetrazol-5-yl; A is (cycloalkenyl, (cycloalkenyl, or (cycloalkenyl, which may be substituted by halogen, hydroxy, or aryl; L is a bond or linker; P is a peptide structure comprising at least six α -amino acid residues], which are effective in modulating one or more melanocortin receptor types. The compds. of the invention are of particular interest in relation to the treatment of obesity as well as a variety of diseases or conditions associated with obesity. Thus, 16-(tetrazol-5-yl)hexadecanoyl-Gly-Thr-Gln-His-Nle-cyclo[α -Glu-Hyp-D-Phe-Arg-Trp-Lys]-NH₂ was prepared by the solid-phase method.

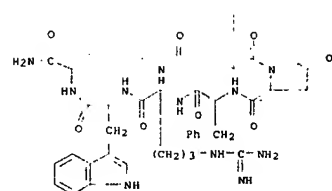
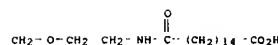
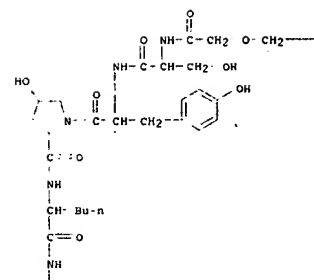
IT 921761-65-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation of peptides for use in treatment of obesity)

RN 921761-65-1 CAPLUS

CN L-lysineamide, N-[2-[(2-[(15-carboxy-1-oxopentadecyl)amino]ethoxy]ethoxy]acetyl]-L-seryl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-norleucyl-L-glutamyl-(4R)-4-hydroxy-L-prolyl-D-phenylalanyl-L-arginyl-L-tryptophyl-(5-10)-lactam (CA INDEX NAME)



L6 ANSWER 13 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:33380 CAPLUS
DOCUMENT NUMBER: 146:122306
TITLE: Preparation of prolyl peptides as HCV inhibitors
INVENTOR(S): Graupe, Michael; Link, John O.; Venkataramani, Chandrasekar

PATENT ASSIGNEE(S): Virobay, Inc., USA
SOURCE: PCT Int. Appl., 87pp.
CODEN: PIXAD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007005838	A2	20070111	WO 2006-US25996	20060629
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, CA, GM, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

US 2007054864 A1 20070308 US 2006-478337 20060628

PRIORITY APPLN. INFO.: US 2005-695767P 20050630

OTHER SOURCE(S): MARPAT 146:122306

Q1

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is directed to peptides I [E is COCONR5R6, COCF2CONR5R6, COCF2CONR5, COCOR7, COCF2R8, COR9, COCOR2R10, CONR11R12, or B(R13)2, where R5-R13 are independently H, alkyl, cycloalkyl, aryl, etc.; X is O, NR14 (R14 is H, alkyl, substituted alkyl), S, SO, or SO2; Y is CONH, COCHN, NR14CONH, or NR14CO2; R1, R3 are independently alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroalkyl, heterocyclyl, or heterocyclylalkyl; R2 is heteroaryl or CO-(fused heterocyclyl); R4 is alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroalkyl, heterocyclyl, or heterocyclylalkyl (alkyl, aryl, and other groups may be substituted)] or their pharmaceutically-acceptable salts which inhibit replication of HCV and are therefore useful in treating hepatitis C infections. Thus, (quinolyl)prolyl peptide II was prepared by a multistep sequence which includes peptide coupling and shown to inhibit HCV replication with IC50 < 10 micromolar.

IT 918662-17-6P

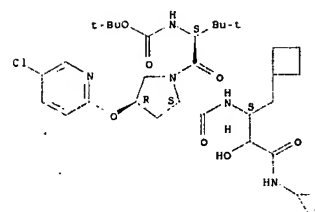
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(Preparation of prolyl peptides as HCV inhibitors)

RN 918662-17-6 CAPLUS

CN L-Prolineamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-4-[(5-chloro-2-pyridinyl)oxy]-N-[(1S)-1-(cyclobutylmethyl)-3-(cyclopropylamino)-2-hydroxy-3-oxopropyl]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 918662-11-0P 918662-13-2P 918662-18-7P

918662-19-8P 918662-20-1P 918662-21-2P

918662-22-3P 918662-23-4P 918662-24-5P

918662-25-6P 918662-27-8P 918662-28-9P

918662-30-3P 918662-39-2P 918662-46-1P

918662-59-6P 918662-60-9P 918662-61-0P

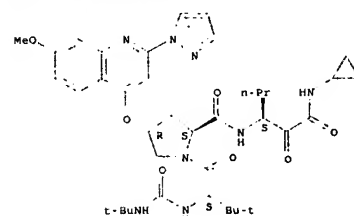
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation of prolyl peptides as HCV inhibitors)

RN 918662-11-0 CAPLUS

CN L-Prolineamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-N-[(1S)-1-[2-(cyclopropylamino)-2-oxoacetyl]butyl]-4-[(7-methoxy-2-(1H-pyrazol-1-yl)-4-quinolinyl)oxy]-, (4R)- (CA INDEX NAME)

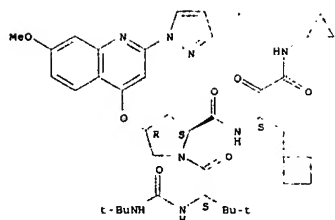
Absolute stereochemistry.



RN 918662-13-2 CAPLUS

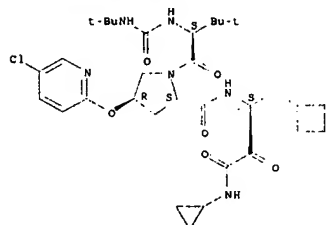
CN L-Prolineamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-N-[(1S)-1-(cyclobutylmethyl)-3-(cyclopropylamino)-2,3-dioxopropyl]-4-[(7-methoxy-2-(1H-pyrazol-1-yl)-4-quinolinyl)oxy]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



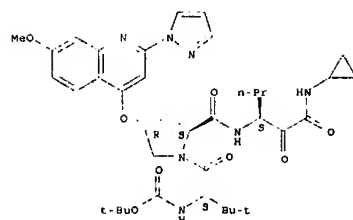
RN 918662-18-7 CAPLUS
CN L-Prolinamide, N-[[[(1,1-dimethylethyl)amino]carbonyl]-3-methyl-L-valyl-4-[[5-chloro-2-pyridinyl]oxy]-N-[(1S)-1-(cyclobutylmethyl)-3-(cyclopropylamino)-2,3-dioxopropyl]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



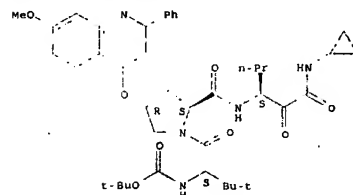
RN 918662-19-8 CAPLUS
CN L-Prolinamide, N-[[[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-N-[(1S)-1-[2-(cyclopropylamino)-2-oxoacetyl]butyl]-4-[[7-methoxy-2-(1H-pyrazol-1-yl)-4-quinolinyl]oxy]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



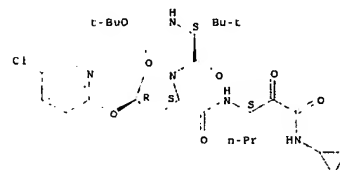
RN 918662-20-1 CAPLUS
CN L-Prolinamide, N-[[[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-N-[(1S)-1-[2-(cyclopropylamino)-2-oxoacetyl]butyl]-4-[[7-methoxy-2-phenyl-4-quinolinyl]oxy]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



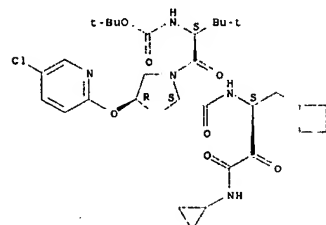
RN 918662-21-2 CAPLUS
CN L-Prolinamide, N-[[[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-N-[(1S)-1-[2-(cyclopropylamino)-2-oxoacetyl]butyl]-4-[[7-methoxy-2-phenyl-4-quinolinyl]oxy]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



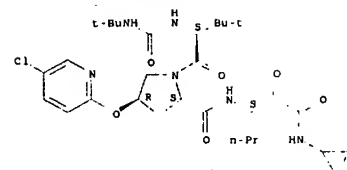
RN 918662-22-3 CAPLUS
CN L-Prolinamide, N-[[[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-4-[[5-chloro-2-pyridinyl]oxy]-N-[(1S)-1-(cyclobutylmethyl)-3-(cyclopropylamino)-2,3-dioxopropyl]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



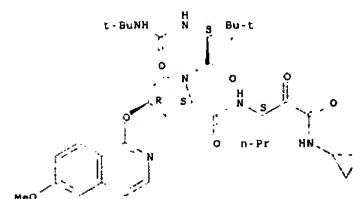
RN 918662-23-4 CAPLUS
CN L-Prolinamide, N-[[[(1,1-dimethylethyl)amino]carbonyl]-3-methyl-L-valyl-4-[[5-chloro-2-pyridinyl]oxy]-N-[(1S)-1-[2-(cyclopropylamino)-2-oxoacetyl]butyl]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



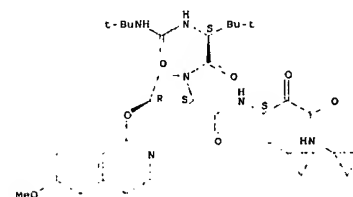
RN 918662-24-5 CAPLUS
CN L-Prolinamide, N-[[[(1,1-dimethylethyl)amino]carbonyl]-3-methyl-L-valyl-N-[(1S)-1-[2-(cyclopropylamino)-2-oxoacetyl]butyl]-4-[[6-methoxy-1-isoquinolinyl]oxy]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



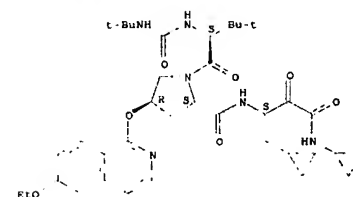
RN 918662-25-6 CAPLUS
CN L-Prolinamide, N-[[[(1,1-dimethylethyl)amino]carbonyl]-3-methyl-L-valyl-N-[(1S)-1-[2-(cyclopropylamino)-1-(cyclopropylmethyl)-2,3-dioxopropyl]-4-[[6-methoxy-1-isoquinolinyl]oxy]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



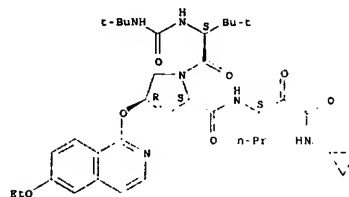
RN 918662-27-8 CAPLUS
CN L-Prolinamide, N-[[[(1,1-dimethylethyl)amino]carbonyl]-3-methyl-L-valyl-N-[(1S)-1-[2-(cyclopropylamino)-1-(cyclopropylmethyl)-2,3-dioxopropyl]-4-[[6-ethoxy-1-isoquinolinyl]oxy]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



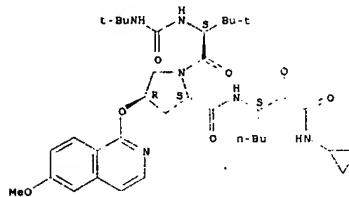
RN 918662-28-9 CAPLUS
 CN L-Prolinamide, N-[[[(1,1-dimethylethyl)amino]carbonyl]-3-methyl-L-valyl-N-
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 isoquinolinyl)oxy]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



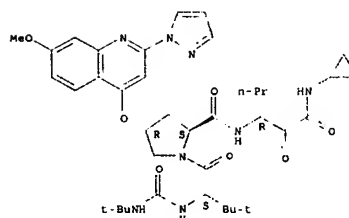
RN 918662-30-3 CAPLUS
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 isoquinolinyl)oxy]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



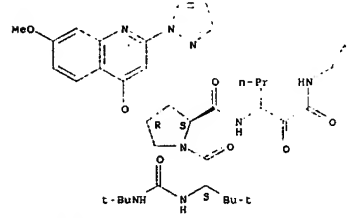
RN 918662-39-2 CAPLUS
 CN L-Prolinamide, N-[[[(1,1-dimethylethyl)amino]carbonyl]-3-methyl-L-valyl-N-
 [(1S)-1-[2-(cyclopropylamino)-2-oxoacetyl]butyl]-4-[(2-cyclopropyl-7-
 methoxy-4-quinolinyl)oxy]-, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry.

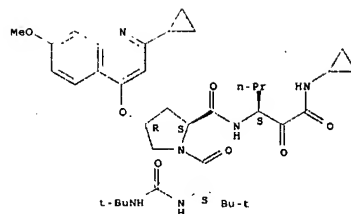


RN 918662-60-9 CAPLUS
 CN L-Prolinamide, N-[[[(1,1-dimethylethyl)amino]carbonyl]-3-methyl-L-valyl-N-
 [(1S)-1-[2-(cyclopropylamino)-2-oxoacetyl]butyl]-4-[[7-methoxy-2-(1H-
 pyrazol-1-yl)-4-quinolinyl]oxy]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



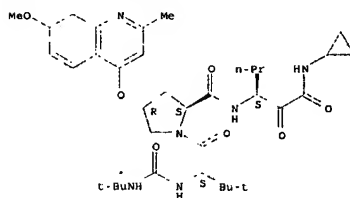
RN 918662-61-0 CAPLUS
 CN 2-Pyrrolidinylcarboxamide, N-[[[(1,1-dimethylethyl)amino]carbonyl]-3-methyl-L-valyl-N-
 [(1S)-1-[2-(cyclopropylamino)-2-oxoacetyl]butyl]-4-[[7-methoxy-2-methyl-4-quinolinyl]oxy]-, (4R)- (CA INDEX NAME)



● HCl

RN 918662-46-1 CAPLUS
 CN L-Prolinamide, N-[[[(1,1-dimethylethyl)amino]carbonyl]-3-methyl-L-valyl-N-
 [(1S)-1-[2-(cyclopropylamino)-2-oxoacetyl]butyl]-4-[[7-methoxy-2-methyl-4-
 quinolinyl]oxy]-, (4R)- (CA INDEX NAME)

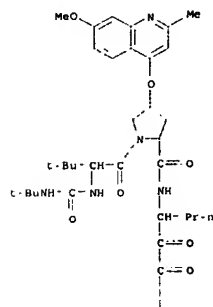
Absolute stereochemistry.



RN 918662-59-6 CAPLUS
 CN L-Prolinamide, N-[[[(1,1-dimethylethyl)amino]carbonyl]-3-methyl-L-valyl-N-
 [(1S)-1-[2-(cyclopropylamino)-2-oxoacetyl]butyl]-4-[[7-methoxy-2-(1H-
 pyrazol-1-yl)-4-quinolinyl]oxy]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



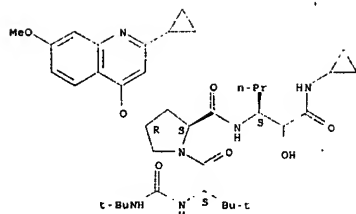
PAGE 2-A



IT 918662-38-1P 918662-45-OP 918662-48-3P
 918662-55-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of prolyl peptides as HCV inhibitors)

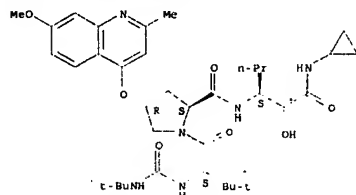
RN 918662-38-1 CAPLUS
 CN L-Prolinamide, N-[[[(1,1-dimethylethyl)amino]carbonyl]-3-methyl-L-valyl-N-
 [(1S)-1-[2-(cyclopropylamino)-1-hydroxy-2-oxoethyl]butyl]-4-[(2-
 cyclopropyl-7-methoxy-4-quinolinyl)oxy]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



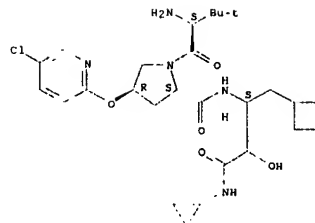
RN 918662-45-0 CAPLUS
CN L-Prolineamide, N-[[[(1,1-dimethylethyl)amino]carbonyl]-3-methyl-L-valyl-N-[[[(18)-1-[2-(cyclopropylamino)-1-hydroxy-2-oxoethyl]butyl]-4-[[7-methoxy-2-methyl-4-quinolinyloxy]-, (4R)- (CA INDEX NAME)]

Absolute stereochemistry.



RN 918662-48-3 CAPLUS
CN L-Prolineamide, 3-methyl-L-valyl-4-[[5-chloro-2-pyridinyloxy]-N-[[[(18)-1-(cyclobutylmethyl)-3-(cyclopropylamino)-2-hydroxy-3-oxopropyl]-, hydrochloride (1:1), (4R)- (CA INDEX NAME)]

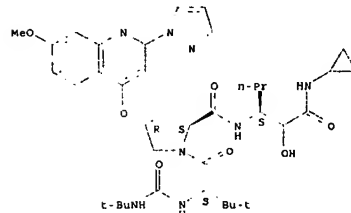
Absolute stereochemistry.



● HCl

RN 918662-55-2 CAPLUS
CN L-Prolineamide, N-[[[(1,1-dimethylethyl)amino]carbonyl]-3-methyl-L-valyl-N-[[[(18)-1-[2-(cyclopropylamino)-1-hydroxy-2-oxoethyl]butyl]-4-[[7-methoxy-2-[[1H-pyrazol-1-yl]-4-quinolinyloxy]-, (4R)- (CA INDEX NAME)]

Absolute stereochemistry.



L6 ANSWER 14 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:4118 CAPLUS
DOCUMENT NUMBER: 146:252097
TITLE: Synthesis, conformation, and bioactivity of novel analogues of the antiviral lipopeptide halovir A
AUTHOR(S): Dalla Bona, Andrea; Formaggio, Fernando; Peggion, Cristina; Kaptein, Bernard; Broxterman, Quirinus B.; Galdiero, Stefania; Galdiero, Massimiliano; Vitiello, Mariateresa; Benedetti, Ettore; Toniolo, Claudio
CORPORATE SOURCE: Department of Chemistry, University of Padova, Padova, 35131, Italy
SOURCE: Journal of Peptide Science (2006), 12(12), 748-757
CODEN: JPSIEI; ISSN: 1075-2617
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal

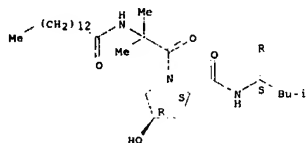
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:252097
AB Three halovir A analogs, [L-Leu6-OMe], [L-(4Me)Leu3, L-Leu6-OMe], and [L-(4Me)Val4, L-Leu6-OMe] were synthesized by solution-phase methods. The [L-Leu6-OMe] analog was known to be biol. equipotent to its naturally occurring, antiviral, lipopeptide amide parent compound. The preferred conformations of the L-(4Me)Leu- and L-(4Me)Val-containing analogs, with a potentially reinforced helicity, were compared with those of [L-Leu6-OMe] halovir A and the natural peptide itself by use of a combination of FT-IR absorption and NMR techniques. Measurements of the antiviral activity against herpes simplex virus type-1 (HSV-1) of halovir A and its three analogs were also carried out. Interestingly, the [L-(4Me)Val4, L-Leu6-OMe] analog exhibited the most significant activity in reducing HSV-1 infectivity, notably higher than that of halovir A itself.

IT 772990-41-7P
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

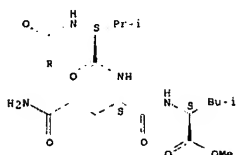
(preparation, conformation, cytotoxicity and antiviral activity of lipopeptide halovir A and its analogs)

RN 772990-41-7 CAPLUS
CN L-Leucine, 2-methyl-N-(1-oxotetradecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-L-glutaminy-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



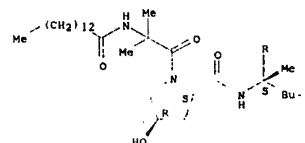
PAGE 1-A



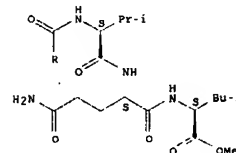
PAGE 2-A

CN L-Leucine, 2-methyl-N-(1-oxotetradecyl)alanyl-(4R)-4-hydroxy-L-prolyl-2-methyl-L-leucyl-L-valyl-L-glutaminy-, methyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



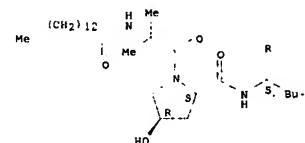
PAGE 1-A



PAGE 2-A

RN 926310-99-7 CAPLUS
CN L-Leucine, 2-methyl-N-(1-oxotetradecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-2-methyl-L-valyl-L-glutaminy-, methyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

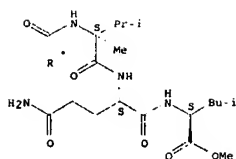


PAGE 1-A

IT 926310-99-8P 926311-03-7P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, conformation, cytotoxicity and antiviral activity of lipopeptide halovir A and its analogs)

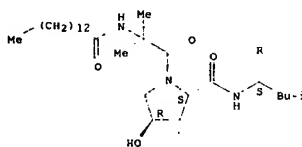
RN 926310-99-8 CAPLUS



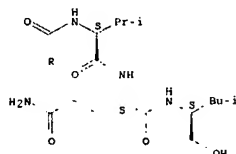
PAGE 2-A

IT 277302-27-9P, Halovir A
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation, conformation, cytotoxicity and antiviral activity of lipopeptide halovir A and its analogs)
 RN 277302-27-9 CAPLUS
 CN L-Glutamamide, 2-methyl-N-[(1-oxotetradecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-N1-[(1S)-1-(hydroxymethyl)-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2006:1320935 CAPLUS

ACCESSION NUMBER: 2006:1309945 CAPLUS
 DOCUMENT NUMBER: 146:45749
 TITLE: Preparation of KAPREKY peptidomimetics
 INVENTOR(S): Peters, Carsten; Buenemann, Christoph; Weigand, Klaus
 PATENT ASSIGNEE(S): Austria
 SOURCE: U.S. Pat. Appl. Publ., 13pp.
 CODEN: USXXCO
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

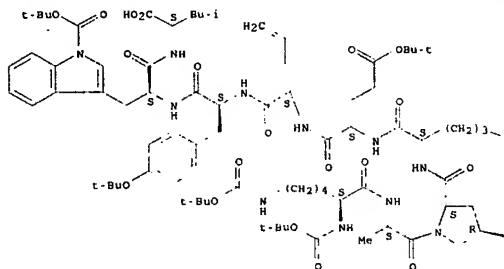
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006281671	A1	20061214	US 2006-449211	20060608
PRIORITY APPLN. INFO:			GB 2005-11771	A 20050609
OTHER SOURCE(S):		MARPAT 146:45749		

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to analogs of the amino acid sequence KAPREKY and their use in screening for compounds which interact with FcγRIIa. In an example, peptidomimetic I was prepared by a sequence which includes peptide coupling and ring-closing metathesis.
 IT 916601-11-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of KAPREKY peptidomimetics)
 RN 916601-11-1 CAPLUS
 CN L-Leucine, N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-alanyl-(4R)-4-(2-propen-1-yloxy)-L-prolyl-N5-[[[(2,3-dihydro-2,2,4,6,7-pentamethyl-5-benzofuran-1-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-L-α-glutamyl-4,5-didehydro-L-norvalyl-O-[(1,1-dimethylethyl)-L-tyrosyl-1-[(1,1-dimethylethoxy)carbonyl]-L-tryptophyl-, 5-[(1,1-dimethylethyl) ester (CA INDEX NAME)

Absolute stereochemistry.

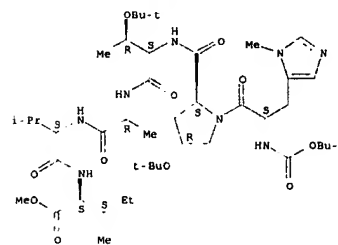
PAGE 1-A



DOCUMENT NUMBER: 146:142156
 TITLE: Remote Desymmetrization at Near-Nanometer Group Separation Catalyzed by a Miniaturized Enzyme Mimic
 AUTHOR(S): Lewis, Chad A.; Chiu, Anna; Kubryk, Michele; Balsells, Jaume; Pollard, David; Esser, Craig R.; Murry, Jerry; Reamer, Robert A.; Hansen, Karl S.; Miller, Scott J.
 CORPORATE SOURCE: Department of Chemistry, Yale University, New Haven, CT, 06520, USA
 SOURCE: Journal of the American Chemical Society (2006), 128(51), 16454-16455
 CODEN: JACSAT; ISSN: 0002-7863
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 146:142156
 AB The chirality of biol. receptors often requires syntheses of therapeutic compds. in single enantiomer form. The field of asym. catalysis addresses enantioselective synthesis with chiral catalysts. Chemical differentiation of sites within mols. that are separated in space by long distances presents special challenges to chiral catalysts. As the distance between enantiotopic sites increases within a substrate, so too may the requirements for size and complexity for the catalyst. The extreme of catalyst complexity could be defined by macromol. enzymes and their amazing capacity to effect stereospecific reactions over long distances between reactive sites and enzyme-substrate contacts. We report here a synthetic, miniaturized enzyme mimic that catalyzes a desymmetrization reaction over a very long distance.

IT 918935-23-6P
 RL: CAT (Catalyst use); CPN (Combinatorial preparation); PREP (Physical, engineering or chemical process); CMBI (Combinatorial study); PREP (Preparation); PROC (Process); USES (Uses)
 (catalytic peptide, non-optimized; remote desymmetrization of a bisphenol by enantioselective acylation catalyzed by a peptide library of miniaturized enzyme mimics)
 RN 918935-23-6 CAPLUS
 CN L-Isoleucine, N-[[[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-histidyl-(4R)-4-[(1,1-dimethylethoxy)-L-prolyl-O-[(1,1-dimethylethyl)-L-threonyl-D-alanyl-L-valyl-, methyl ester (CA INDEX NAME)

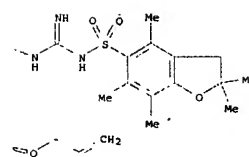
Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

PAGE 1-B

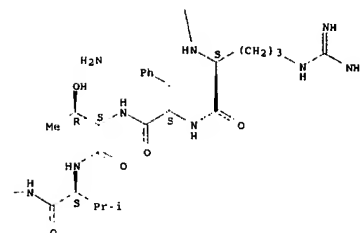
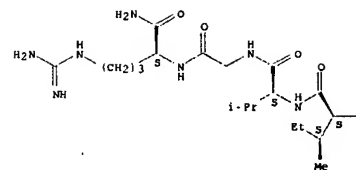
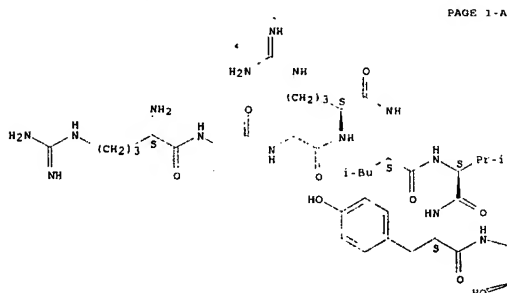


L6 ANSWER 17 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2006:1261708 CAPLUS
 DOCUMENT NUMBER: 146:179002
 TITLE: Roles of Salt and Conformation in the Biological and Physicochemical Behavior of Protegrin-1 and Designed Analogues: Correlation of Antimicrobial, Hemolytic, and Lipid Bilayer-Perturbing Activities
 AUTHOR(S): Lai, Jonathan R.; Epan, Raquel F.; Weisblum, Bernard; Epan, Richard M.; Gellman, Samuel H.
 CORPORATE SOURCE: Graduate Program in Biophysics and Departments of Chemistry and Pharmacology, University of Wisconsin, Madison, WI, 53706, USA
 SOURCE: Biochemistry (2006), 45(51), 15718-15730
 CODEN: BICHAM; ISSN: 0006-2960
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Protegrins are short (16-18 residues) cationic peptides from porcine leukocytes that display potent, broad-spectrum antimicrobial activity. Protegrin-1 (PG-1), one of five natural homologs, adopts a rigid β-hairpin structure that is stabilized by two disulfide bonds. We have previously employed the principles of β-hairpin design to develop PG-1 variants that lack disulfide bonds but nevertheless display potent antimicrobial activity. The activity of these disulfide-free variants, however, is attenuated in the presence of salt, and the activity of PG-1 itself is not. Salt-induced inactivation of host-defense peptides, such as human defensins, is thought to be important in some pathol. situations (e.g., cystic fibrosis), and the variation in salt-sensitivity among our PG-1 analogs offers a model system with which to explore the origins of these salt effects. We find that the variations in antimicrobial activity among our peptides are correlated with the folding propensities of these mols. and with the extent to which the peptides induce leakage of contents from synthetic liposomes. Comparable correlations were observed between folding and hemolytic activity. The extent to which added salt reduces antimicrobial activity parallels salt effects on vesicle perturbation, which suggests that the biol. effects of high salt concns. arise from modulation of peptide-membrane interactions.

IT 921205-84-7

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (roles of salt and conformation in the biol. and physicochem. behavior of protegrin-1 and designed analogs and correlation of antimicrobial, hemolytic, and lipid bilayer-perturbing activities)
 RN 921205-84-7 CAPLUS
 CN L-Arginyl-L-arginylglycylglycyl-L-arginyl-L-leucyl-L-valyl-L-tyrosyl-L-threonyl-L-arginyl-(4S)-4-amino-D-prolyl-L-arginyl-L-phenylalanyl-L-threonyl-L-valyl-L-isoleucyl-L-valylglycyl- (CA INDEX NAME)

Absolute stereochemistry.

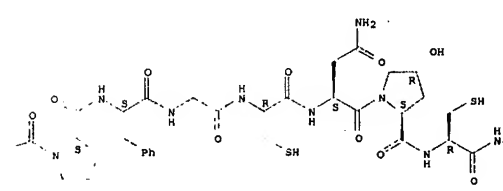
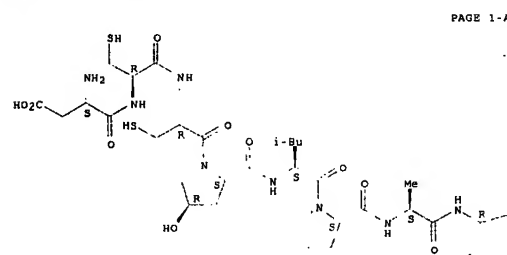


REFERENCE COUNT: 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE.FORMAT

L6 ANSWER 18 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:1258519 CAPLUS
 DOCUMENT NUMBER: 146:200049
 TITLE: Characterization of novel M-superfamily conotoxins with new disulfide linkage
 AUTHOR(S): Han, Yu-Hong; Wang, Qi; Jiang, Hui; Liu, Li; Xiao, Cai; Yuan, Duo-Duo; Shao, Xiao-Xia; Dai, Qiu-Yun; Cheng, Ji-Sheng; Chi, Cheng-Wu
 CORPORATE SOURCE: Key Laboratory of Proteomics, Institute of Biochemistry and Cell Biology, Shanghai Institutes for Biological Sciences, Graduate School of the Chinese Academy of Sciences, Chinese Academy of Sciences, Shanghai, Peop. Rep. China
 SOURCE: FEBS Journal (2006), 273(21), 4972-4982
 CODEN: FJBOAC; ISSN: 1742-464X
 PUBLISHER: Blackwell Publishing Ltd.

DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The M-superfamily with the typical Cys framework (-CC-C-C-CC-) is one of the seven major superfamilies of conotoxins found in the venom of cone snails. Based on the number of residues in the last Cys loop (between C4 and C5), M-superfamily conotoxins can be provisionally categorized into four branches (M-1, M-2, M-3, M-4). Here we report the purification of seven M-superfamily conotoxins from *Conus marmoreus* (five are novel and two are known as mr3a and mr3b) and one known M-1 toxin tx3a from *Conus textile*. In addition, six novel cDNA sequences of M-superfamily conotoxins have been identified from *Conus marmoreus*, *Conus leopardus* and *Conus quercinus*. Most of the above novel conotoxins belong to M-1 and M-2 and only one to M-3. The disulfide analyses of two M-1 conotoxins, mr3e and tx3a, revealed that they possess a new disulfide bond arrangement (C1-C5, C2-C4, C3-C6) which is different from those of the M-4 branch (C1-C4, C2-C5, C3-C6) and M-2 branch (C1-C6, C2-C4, C3-C5). This newly characterized disulfide connectivity was confirmed by comparing the HPLC profiles of native mr3e and its two regioselectively folded isoforms. This is the first report of three different patterns of disulfide connectivity in conotoxins with the same cysteine framework.
 IT 923276-29-3, Conotoxin M mr3g
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (amino acid sequence; characterization of novel M-superfamily conotoxins with new disulfide linkage)
 RN 923276-29-3 CAPLUS
 CN L-Cysteine, L-4-aspartyl-L-cysteiny-L-cysteiny-L-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-prolyl-L-alanyl-L-cysteiny-L-prolyl-L-phenylalanylglycyl-L-cysteiny-L-asparaginy-L-(4R)-4-hydroxy-L-prolyl-L-cysteiny-L- (CA INDEX NAME)

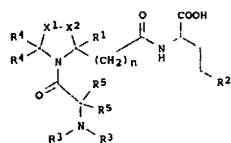
Absolute stereochemistry.



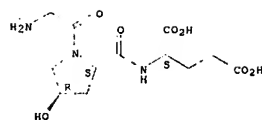
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE.FORMAT

L6 ANSWER 19 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:1252883 CAPLUS
 DOCUMENT NUMBER: 146:28049
 TITLE: Preparation of analogs of glycyl-prolyl-glutamate as neuroprotective agents
 INVENTOR(S): Srimble, Margaret Anne; Harris, Paul William Richard; Siegf, Frank
 PATENT ASSIGNEE(S): Neuren Pharmaceuticals Limited, N. Z.; Neuren Pharmaceuticals Inc.
 SOURCE: PCT Int. Appl., 101pp.
 CODEN: PIAXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

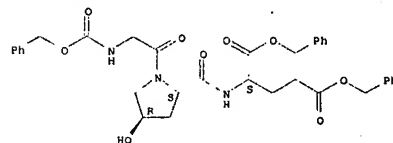
PATENT NO. KIND DATE APPLICATION NO. DATE
 WO 2006127702 A2 20061100 WO 2006-US19909 20060523
 WO 2006127702 A3 20070614
 M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
 PRIORITY APPLN. INFO.: US 2005-683813P P 20050523
 OTHER SOURCE(S): MARPAT 145:28049
 GI



AB The invention relates to novel glycidylprolylglutamate (GPE) analogs 1 (the bond between X1 and X2 is saturated or unsatd.; X1 is CH, CH2, S, CH(OH); X2 is CH, CH2, CH2CH2; R1, R4, R5 are independently H, (un)substituted alkyl, alkenyl, aryl, or arylalkyl; R2 is Me or CO2H; R3 is H, alkyl; or NR23 is pyrrolidino or piperidino; n is 0-21 or their pharmaceutically-acceptable salts and their use to protect neural cells from degeneration and/or death in response to injury or disease. Disorders treatable with the compds. and compns. of the invention include hypoxia/ischemia, toxic injury, and chronic neurodegenerative disorders including Parkinson's disease. Thus, glycidyl-L-thia-5,5-dimethylprolyl-L-glutamic acid was prepared by a peptide coupling sequence and in the concentration range 10 nM to 10µM showed 100% protection against loss of neural cell viability caused by okadaic acid.
 IT 32302-79-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of analogs of glycidyl-prolyl-glutamate as neuroprotective agents)
 RN 32302-79-7 CAPLUS
 CN L-Glutamic acid, glycidyl-(4R)-4-hydroxy-L-prolyl- (CA INDEX NAME)
 Absolute stereochemistry. Rotation (-).



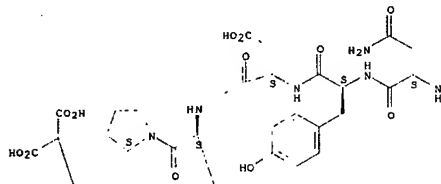
IT 91595a-47-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of analogs of glycidyl-prolyl-glutamate as neuroprotective agents)
 RN 91595a-47-3 CAPLUS
 CN L-Glutamic acid, N-[(phenylmethoxy)carbonyl]glycidyl-(4R)-4-hydroxy-L-prolyl-3,3,5-bis(phenylmethyl) ester (CA INDEX NAME)
 Absolute stereochemistry. Rotation (-).



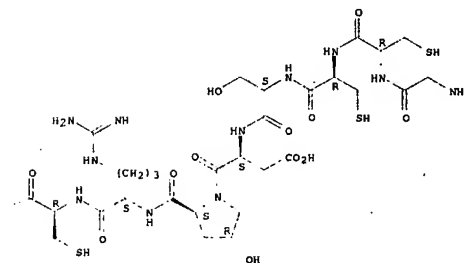
L6 ANSWER 20 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2006:1175403 CAPLUS
 DOCUMENT NUMBER: 145:500122
 TITLE: Treating peripheral neuropathies
 INVENTOR(S): Belyea, Christopher Ian
 PATENT ASSIGNEE(S): Metabolic Pharmaceuticals Limited, Australia
 SOURCE: PCT Int. Appl., 64pp.
 CODEN: PIXX2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
 WO 2006116808 A1 20061109 WO 2006-AUS69 20060501
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

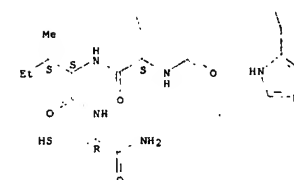
PRIORITY APPLN. INFO.: AU 2005-902187 A 20050429
 OTHER SOURCE(S): MARPAT 145:500122
 AB The invention provides a method of treating or preventing a peripheral neuropathy or treating nerves by administering to a patient an effective amount of an antagonist of a neuronal nicotinic acetyl choline receptor (nAChR), for example an antibody or antisense mol. or an "conotoxins. Administration of a nAChR antagonist, ACV1, to diabetic rats resulted in significant analgesic activity as indicated by the reduction of allodynia and hyperalgesia. ACV1 protected brain cerebellar cortex cells against glutamate-induced toxicity and neuronal cells against cisplatin toxicity.
 IT 913961-65-6
 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (nAChR antagonists for treating peripheral neuropathies)
 RN 913961-65-6 CAPLUS
 CN L-Cysteineamide, glycidyl-L-cysteiny-L-cysteiny-L-seryl-L-α-aspartyl-(4R)-4-hydroxy-L-prolyl-L-arginyl-L-cysteiny-L-asparagyl-L-tyrosyl-L-α-aspartyl-L-histidyl-L-prolyl-4-carboxy-L-α-glutamyl-L-isoleucyl- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



PAGE 1-A



PAGE 1-B



PAGE 2-A

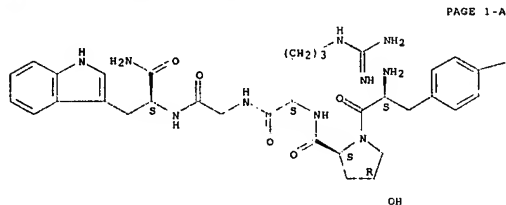
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2006:1167944 CAPLUS
 DOCUMENT NUMBER: 145:198406
 TITLE: Efficacy and safety of 30 mg/d and 45 mg/d nemifide compared to placebo in major depressive disorder
 AUTHOR(S): Montgomery, Stuart A.; Feighner, John P.; Sverdlov, Lev; Shrivastava, Ram K.; Cunningham, Lynn A.; Kiev, Ari; Hlavka, Joseph; Tonelli, George
 CORPORATE SOURCE: Imperial College School of Medicine, London, UK
 SOURCE: International Journal of Neuropsychopharmacology (2006), 9(5), 517-528
 CODEN: IJNUPB; ISSN: 1461-1457
 PUBLISHER: Cambridge University Press
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Nemifide is a novel pentapeptide antidepressant, which appears to be effective in the treatment of major depressive disorder (MDD). In the present study 81 patients with MDD, DSM-IV criteria were randomized following a 1-wk screening period to receive 30 mg/d nemifide, 45 mg/d nemifide or placebo in a 6-wk double-blind, multicenter, outpatient

efficacy study. Nemifitide or placebo was delivered by s.c. injection for 2 wk daily for 5 days (Monday to Friday) in the first 2 wk and patients were followed up for a further 4 wk. The primary efficacy measure was the change from baseline on the Montgomery-Asberg Depression Rating Scale. Secondary measures included the 17-item Hamilton Psychiatric Rating Scale for Depression (HAM-D), the CGI severity and improvement scale and the Carroll Self-Rating Scale for Depression. This proof-of-principle study demonstrated a statistically significant superiority of the 45-mg/d dose vs. placebo at the time-point of peak effect (1 wk after the end of treatment). There appeared to be a greater effect with the 45 mg/d nemifitide dose than with 30 mg/d. An addnl. exploratory anal. by stratification of all patients by severity above and below or equal to the median baseline HAM-D score of 22 showed a higher percentage of responders for both doses of nemifitide with statistical separation from placebo for patients with baseline HAM-D score of >22 (above the median). There was no significant difference among treatment groups for patients with baseline HAM-D score of ≤22. Nemifitide showed a good tolerability and safety profile. There were no dropouts due to adverse events, and the incidence of side-effects with nemifitide was comparable with that of placebo.

IT 173240-15-8, Nemifitide
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (nemifitide 45-mg/d had greater effect compared with placebo than 30 mg/d and had good tolerability and safety profile in patient with major depressive disorder)
 RN 173240-15-8 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



PAGE 1-A

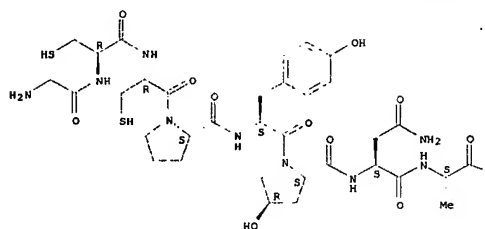
PAGE 1-B

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:1157899 CAPLUS
 DOCUMENT NUMBER: 145:495302
 TITLE: Fusion proteins of toxin peptides with linkers and IgG and their use as therapeutic agents

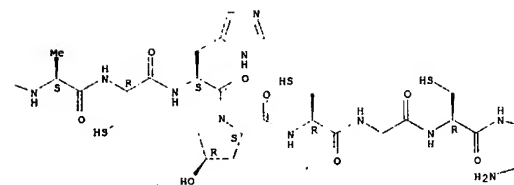
serylglycyl- (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

PAGE 1-B



INVENTOR(S): Sullivan, John K.; McOivern, Joseph G.; Miranda, Leslie P.; Nguyen, Hung Q.; Walker, Kenneth W.; Hu, Shaw-Fen Sylvia; Gegg, Colin V., Jr.; McDonough, Stefan I.
 PATENT ASSIGNEE(S): Amgen Inc., USA
 SOURCE: PCT Int. Appl., 317pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006116156	A2	20061102	WO 2006-US15199	20060418
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, ML, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, GM, ML, MR, NE, NG, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2007071764	A1	20070329	US 2006-406454	20060417

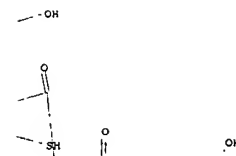
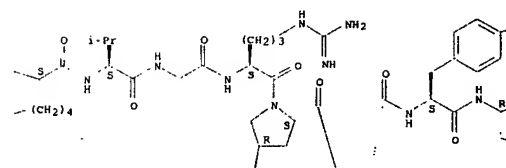
PRIORITY APPLN. INFO.:
 AB Disclosed is a composition of matter of the formula (I)
 (X1a)-(F11d)-(X21b)-(F21e)

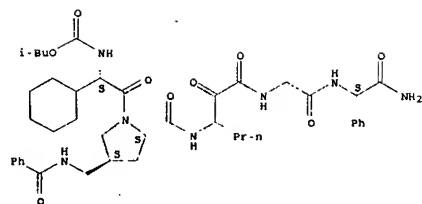
(X31c) and multimers thereof, in which F1 and F2 are half-life extending moieties, and d and e are each independently 0 or 1, provided that at least one of d and e is 1; X1, X2, and X3 are each independently -(L1f-P-(L1g)- and f and g are each independently 0 or 1; P is a toxin peptide of no more than about 40 amino acid residues in length, comprising at least two intrapeptide disulfide bonds; L is an optional linker; and a, b, and c are each independently 0 or 1, provided that at least one of a, b and c is 1. Linkage to the half-life extending moiety or moieties increases the in vivo half-life of the toxin peptide, which otherwise would be quickly degraded. Thus, for example, the 35-amino acid toxin peptide from *Stichodactylus helianthus* (SHK) is fused to the IgG1 Fc fragment via a G4S04S linker, and optionally PEGylated by oxime formation or amidation, and shown to suppress severe autoimmune encephalomyelitis in an animal model. A pharmaceutical composition comprises the composition and a pharmaceutically acceptable carrier. Also disclosed are a DNA encoding the inventive composition of matter, an expression vector comprising the DNA, and a host cell comprising the expression vector. Methods of treating an autoimmune disorder, such as, but not limited to, multiple sclerosis, type 1 diabetes, obesity, psoriasis, inflammatory bowel disease, contact-mediated dermatitis, rheumatoid arthritis, psoriatic arthritis, transplant rejection, graft-vs.-host disease, and lupus and of preventing or mitigating a relapse of a symptom of multiple sclerosis are also disclosed.

IT 913988-74-6DP, fusion products with half-life extending moieties
 RL: BPN (Biosynthetic preparation); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (fusion proteins of toxin peptides with linkers and IgG and their use as therapeutic agents)
 RN 913988-74-6 CAPLUS
 CN Glycine, glycy-L-cysteiny-L-cysteiny-L-prolyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-asparagyl-L-alanyl-L-alanyl-L-cysteiny-L-histidyl-(4R)-4-hydroxy-L-prolyl-L-cysteinyglycyl-L-cysteiny-L-lysyl-L-valylglycyl-L-arginyl-(4R)-4-hydroxy-L-prolyl-(4R)-4-hydroxy-L-prolyl-L-tyrosyl-L-cysteiny-L-L-aspartyl-L-arginyl-(4R)-4-hydroxy-L-prolyl-L-

PAGE 1-C

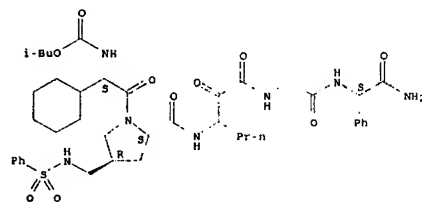
PAGE 1-D





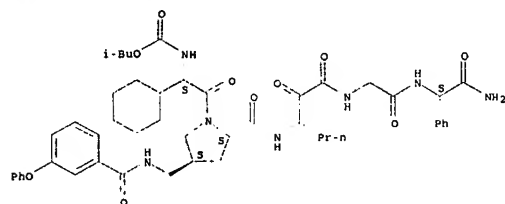
RN 394722-95-3 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[[(phenylsulfonyl)amino)methyl]-L-prolyl-3-amino-2-oxohexanoyl]glycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



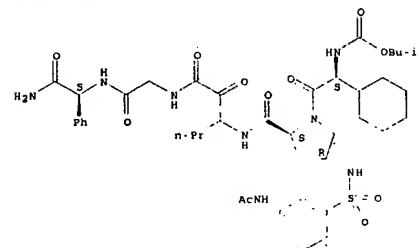
RN 394722-96-4 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4S)-4-[[[(3-phenoxybenzoyl)amino)methyl]-L-prolyl-3-amino-2-oxohexanoyl]glycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 394723-00-3 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[[(3-(acetylamino)phenyl)sulfonyl]amino]-L-prolyl-3-amino-2-oxohexanoyl]glycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

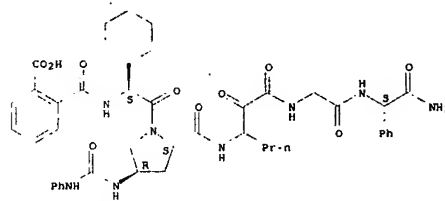


RN 394723-01-4 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[[(3,4-dichlorophenyl)sulfonyl]amino]-L-prolyl-3-amino-2-oxohexanoyl]glycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

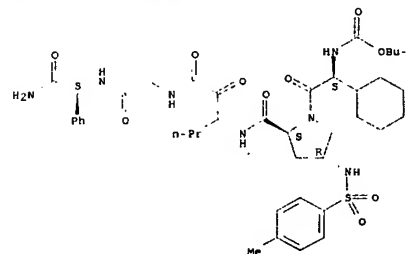
RN 394722-97-5 CAPLUS
CN Glycinamide, (2S)-N-(2-carboxybenzoyl)-2-cyclohexylglycyl-(4R)-4-[[[(phenylamino)carbonyl]amino]-L-prolyl-3-amino-2-oxohexanoyl]glycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



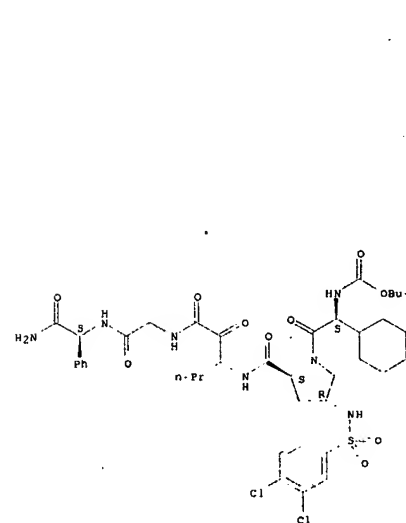
RN 394722-98-6 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[[(4-methylphenyl)sulfonyl]amino]-L-prolyl-3-amino-2-oxohexanoyl]glycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



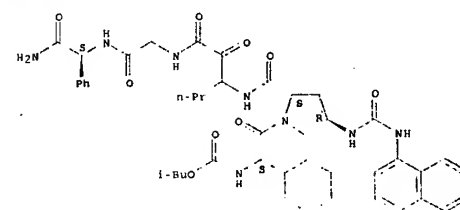
RN 394722-99-7 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[[(3-chlorophenyl)sulfonyl]amino]-L-prolyl-3-amino-2-oxohexanoyl]glycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



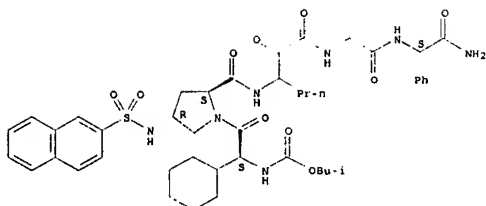
RN 394723-02-5 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[[(1-naphthalenylamino)carbonyl]amino]-L-prolyl-3-amino-2-oxohexanoyl]glycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



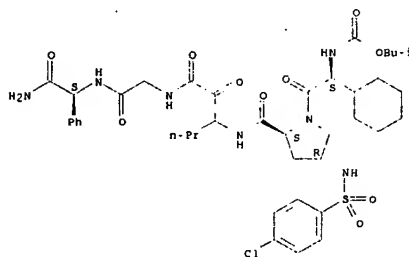
RN 394723-03-6 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[[(2-naphthalenylsulfonyl)amino]-L-prolyl-3-amino-2-oxohexanoyl]glycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



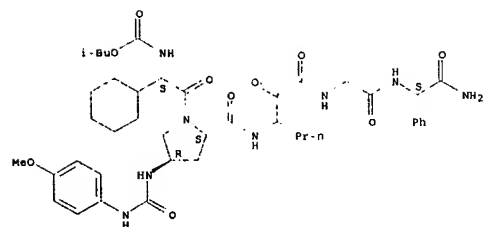
RN 394723-04-7 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[[4-chlorophenyl)sulfonyl]amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



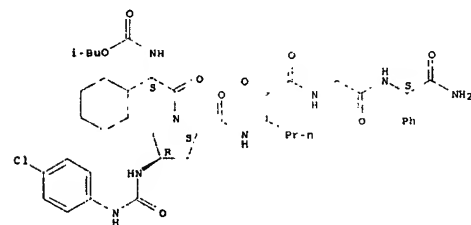
RN 394723-05-8 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[[2,3-dihydro-5-benzofuranyl)sulfonyl]amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



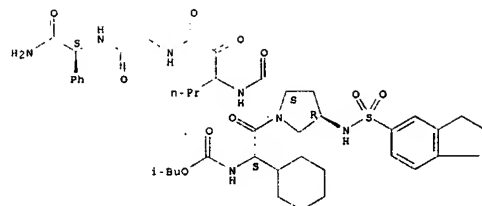
RN 394723-08-1 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[[4-methoxyphenyl)amino]carbonyl]amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 394723-09-2 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[[4-acetylphenyl)amino]carbonyl]amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

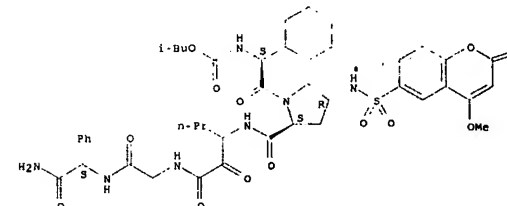
Absolute stereochemistry.



RN 394723-06-9 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[[4-methoxy-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

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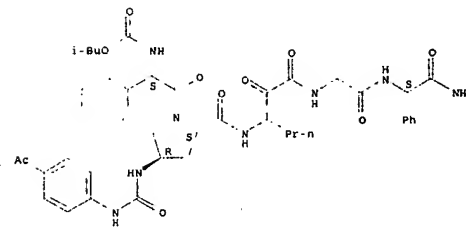


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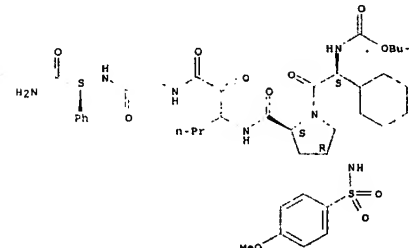
RN 394723-07-0 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[[4-methoxyphenyl)amino]carbonyl]amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



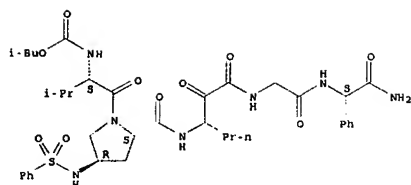
RN 394723-10-5 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[[4-methoxyphenyl)sulfonyl]amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



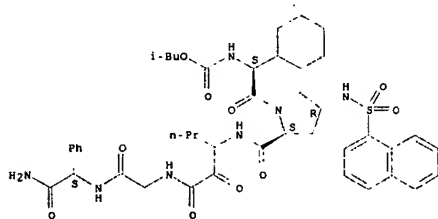
RN 394723-11-6 CAPLUS
CN Glycinamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-[(phenylsulfonyl)amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



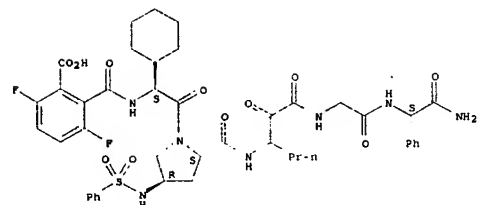
RN 394723-12-7 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[(1-naphthalenylsulfonyl)amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



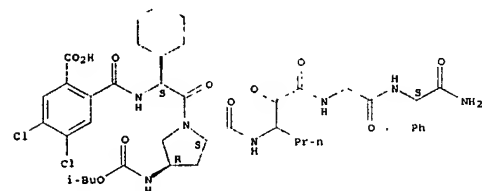
RN 394723-13-8 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[(8-quinolynylsulfonyl)amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



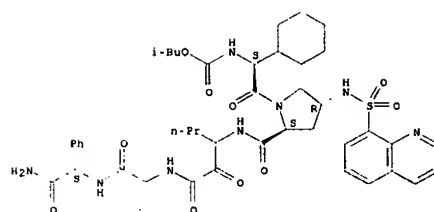
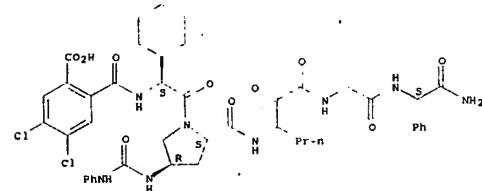
RN 394723-16-1 CAPLUS
CN Glycinamide, (2S)-N-(2-carboxy-4,5-dichlorobenzoyl)-2-cyclohexylglycyl-(4R)-4-[(2-methylpropoxy)carbonyl]amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



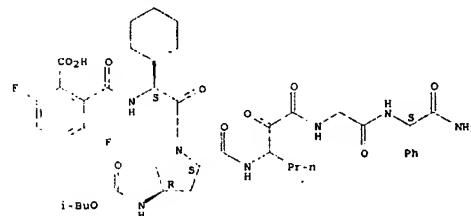
RN 394723-17-2 CAPLUS
CN Glycinamide, (2S)-N-(2-carboxy-4,5-dichlorobenzoyl)-2-cyclohexylglycyl-(4R)-4-[(phenylamino)carbonyl]amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 394723-14-9 CAPLUS
CN Glycinamide, (2S)-N-(2-carboxy-3,6-difluorobenzoyl)-2-cyclohexylglycyl-(4R)-4-[(2-methylpropoxy)carbonyl]amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

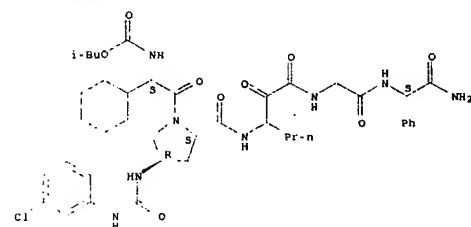


RN 394723-15-0 CAPLUS
CN Glycinamide, (2S)-N-(2-carboxy-3,6-difluorobenzoyl)-2-cyclohexylglycyl-(4R)-4-[(phenylsulfonyl)amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

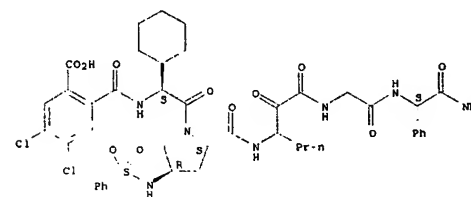
RN 394723-18-3 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[(3-chlorophenyl)amino]carbonyl]amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



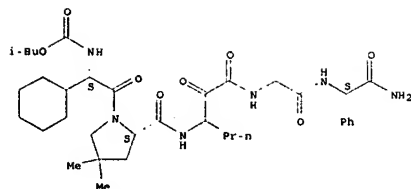
RN 394723-19-4 CAPLUS
CN Glycinamide, (2S)-N-(2-carboxy-4,5-dichlorobenzoyl)-2-cyclohexylglycyl-(4R)-4-[(phenylsulfonyl)amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



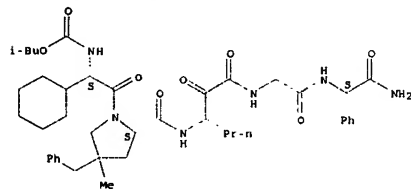
RN 394723-22-9 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-4,4-dimethyl-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



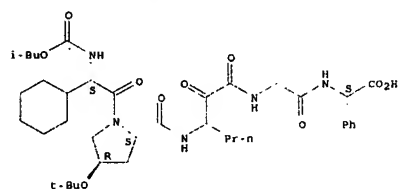
RN 394723-23-0 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-4-methyl-4-(phenylmethyl)-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 394723-26-3 CAPLUS
CN Glycine, (2S)-2-cyclohexyl-N-[(2,2-dimethylpropoxy)carbonyl]glycyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

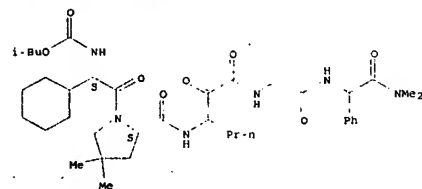
Absolute stereochemistry.



RN 394723-27-4 CAPLUS
CN Glycine, (2S)-2-cyclohexyl-N-[(2,2-dimethylpropoxy)carbonyl]glycyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

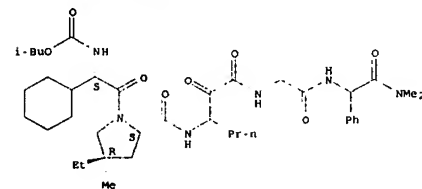
RN 394723-36-9 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-4,4-dimethyl-L-prolyl-3-amino-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (CA INDEX NAME)

Absolute stereochemistry.



RN 394723-33-2 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-ethyl-4-methyl-L-prolyl-3-amino-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

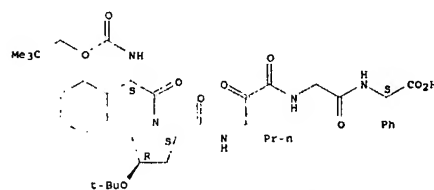


RN 394723-34-3 CAPLUS
CN Glycine, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-4,4-dimethyl-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

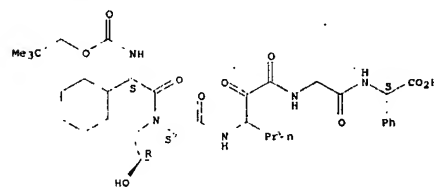
(1,1-dimethylethoxy)-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



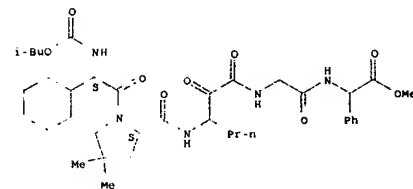
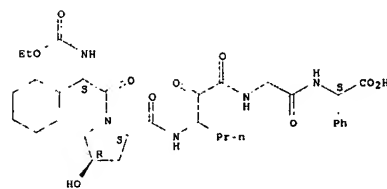
RN 394723-28-5 CAPLUS
CN Glycine, (2S)-2-cyclohexyl-N-[(2,2-dimethylpropoxy)carbonyl]glycyl-(4R)-4-hydroxy-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



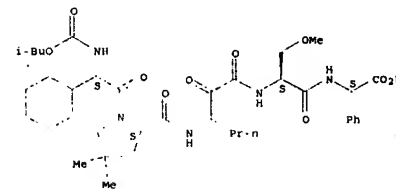
RN 394723-29-6 CAPLUS
CN Glycine, (2S)-2-cyclohexyl-N-[(2,2-dimethylpropoxy)carbonyl]glycyl-(4R)-4-hydroxy-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



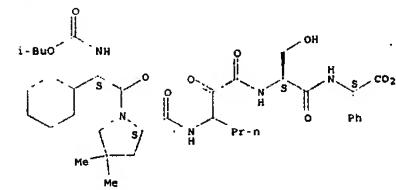
RN 394723-37-6 CAPLUS
CN Glycine, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-4,4-dimethyl-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 394723-38-7 CAPLUS
CN Glycine, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-4,4-dimethyl-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

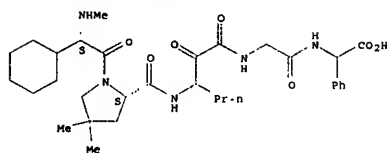
Absolute stereochemistry.



RN 394723-40-1 CAPLUS
CN Glycine, (2S)-2-cyclohexyl-N-methylglycyl-4,4-dimethyl-L-prolyl-3-amino-2-

oxohexanoylglycyl-2-phenyl- (CA INDEX NAME)

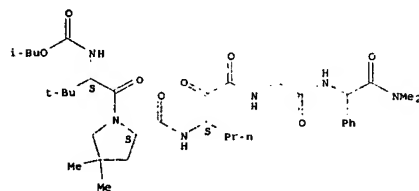
Absolute stereochemistry.



RN 394723-41-2 CAPLUS

CN Glycinamide, 3-methyl-N-[(2-methylpropoxy)carbonyl]-L-valyl-4,4-dimethyl-L-prolyl-3-amino-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

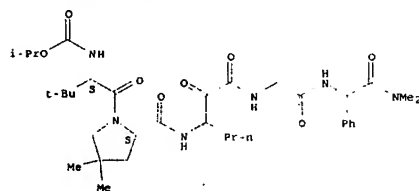
Absolute stereochemistry.



RN 394723-41-5 CAPLUS

CN Glycinamide, 3-methyl-N-[(1-methylethoxy)carbonyl]-L-valyl-4,4-dimethyl-L-prolyl-3-amino-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



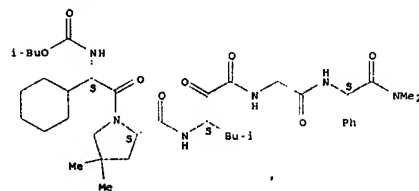
RN 394723-46-7 CAPLUS

CN Glycinamide, 3-methyl-N-[(2-methylpropoxy)carbonyl]-L-valyl-4,4-dimethyl-L-prolyl-3-amino-5-methyl-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl- (CA INDEX NAME)

RN 394723-54-7 CAPLUS

CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-4,4-dimethyl-L-prolyl-3-amino-5-methyl-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (CA INDEX NAME)

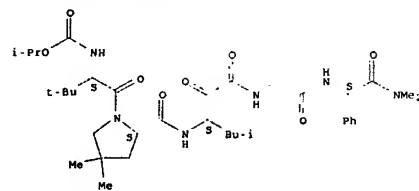
Absolute stereochemistry.



RN 394723-55-8 CAPLUS

CN Glycinamide, N-[(1S)-1,2-dimethylpropoxy]carbonyl-4,4-dimethyl-L-prolyl-3-amino-5-methyl-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



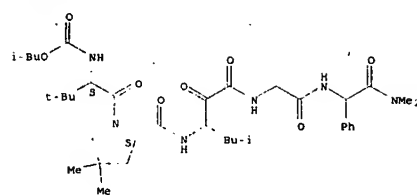
RN 394723-57-0 CAPLUS

CN Glycinamide, N-[(1R)-1,2-dimethylpropoxy]carbonyl-4,4-dimethyl-L-prolyl-3-amino-5-methyl-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

INDEX NAME)

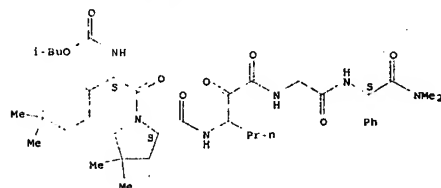
Absolute stereochemistry.



RN 394723-50-3 CAPLUS

CN Glycinamide, (2S)-2-(4,4-dimethylcyclohexyl)-N-[(2-methylpropoxy)carbonyl]glycyl-4,4-dimethyl-L-prolyl-3-amino-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

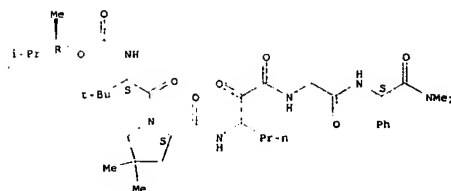
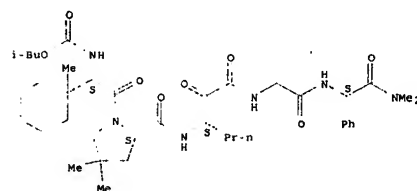
Absolute stereochemistry.



RN 394723-51-4 CAPLUS

CN Glycinamide, (2S)-2-(1-methylcyclohexyl)-N-[(2-methylpropoxy)carbonyl]glycyl-4,4-dimethyl-L-prolyl-3-amino-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (CA INDEX NAME)

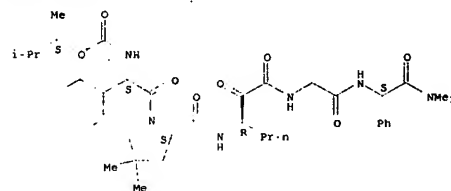
Absolute stereochemistry.



RN 394723-58-1 CAPLUS

CN Glycinamide, (2S)-2-cyclohexyl-N-[(1S)-1,2-dimethylpropoxy]glycyl-4,4-dimethyl-L-prolyl-3-amino-5-methyl-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (CA INDEX NAME)

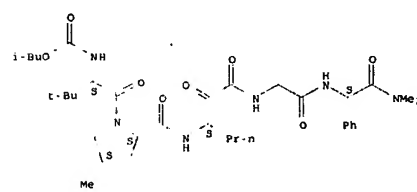
Absolute stereochemistry.



RN 394723-59-2 CAPLUS

CN Glycinamide, (2S)-2-cyclohexyl-N-[(1R)-1,2-dimethylpropoxy]glycyl-4,4-dimethyl-L-prolyl-3-amino-5-methyl-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

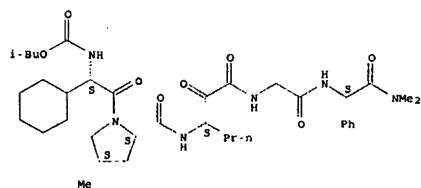


RN 394723-60-5 CAPLUS

CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-4,4-dimethyl-L-prolyl-3-amino-5-methyl-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (CA INDEX NAME)

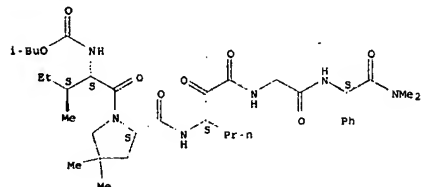
methyl-L-prolyl-(3S)-3-amino-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 394723-64-9 CAPLUS
CN Glycinamide, N-[(2-methylpropoxy)carbonyl]-L-isoleucyl-4,4-dimethyl-L-prolyl-(3S)-3-amino-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

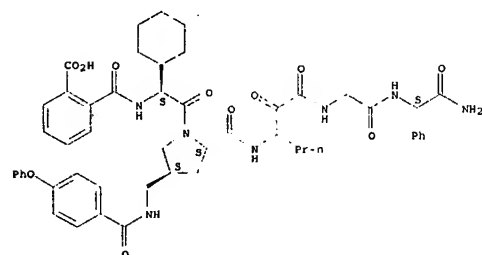


RN 394723-69-4 CAPLUS
CN Glycinamide, (R)-R-methyl-N-[(2-methylpropoxy)carbonyl]phenylanyl-4,4-dimethyl-L-prolyl-3-amino-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

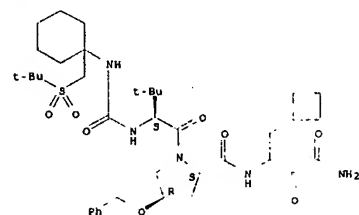
phenoxybenzoyl)amino)methyl]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



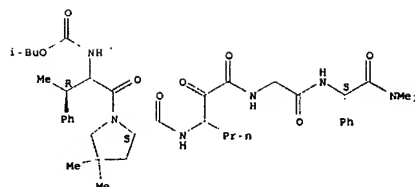
RN 865462-15-3 CAPLUS
CN L-Prolinamide, N-[[[1-[(1,1-dimethylethyl)sulfonyl]methyl]cyclohexyl]amino]carbonyl]-3-methyl-L-valyl-N-(3-amino-1-(cyclobutylmethyl)-2,3-dioxopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



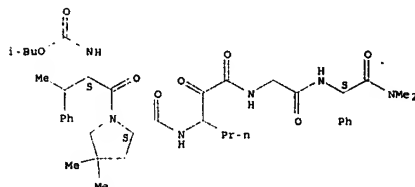
RN 865462-17-5 CAPLUS
CN L-Prolinamide, (2S)-2-cyclohexyl-N-[(1,1-dimethylethoxy)carbonyl]glycyl-4-(methylsulfonyl)-N-[1-(oxo(2-propenylamino)acetyl)butyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



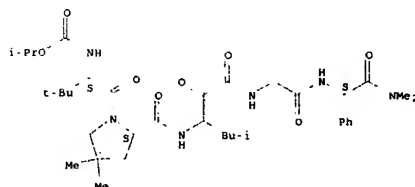
RN 394728-81-5 CAPLUS
CN Glycinamide, N-methyl-N-[(2-methylpropoxy)carbonyl]-L-phenylalanyl-4,4-methyl-L-prolyl-3-amino-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

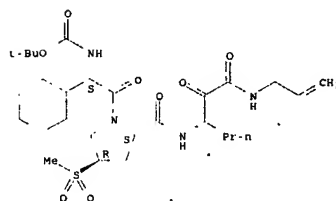


RN 394728-87-1 CAPLUS
CN Glycinamide, 3-methyl-N-[(1-methylethoxy)carbonyl]-L-valyl-4,4-dimethyl-L-prolyl-3-amino-5-methyl-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

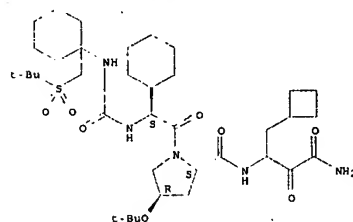


RN 394728-91-7 CAPLUS
CN Glycinamide, (2S)-N-(2-carboxybenzoyl)-2-cyclohexylglycyl-(4S)-4-[[[4-(2-methylpropoxy)carbonyl]-L-phenylalanyl]-L-prolyl]-3-amino-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (CA INDEX NAME)



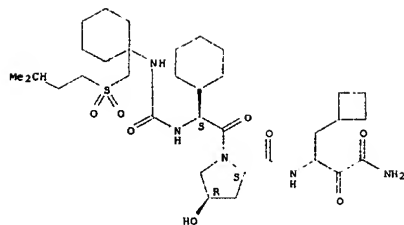
RN 865462-18-6 CAPLUS
CN L-Prolinamide, (2S)-2-cyclohexyl-N-[[[1-[(1,1-dimethylethyl)sulfonyl]methyl]cyclohexyl]amino]carbonyl]glycyl-N-(3-amino-1-(cyclobutylmethyl)-2,3-dioxopropyl)-4-(1,1-dimethylethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 865462-36-8 CAPLUS
CN L-Prolinamide, (2S)-2-cyclohexyl-N-[[[1-[(1,1-dimethylethyl)sulfonyl]methyl]cyclohexyl]amino]carbonyl]glycyl-N-(3-amino-1-(cyclobutylmethyl)-2,3-dioxopropyl)-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 24 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2006:945679 CAPLUS
 DOCUMENT NUMBER: 145:308145
 TITLE: Infectious chimeric hepatitis C virus, mammalian culture cell lines for its production and reporter assay for antiviral drug screening
 INVENTOR(S): Rice, Charles; Lindenbach, Brett D.; Evans, Matthew J.; Jones, Christopher
 PATENT ASSIGNEE(S): The Rockefeller University, USA
 SOURCE: PCT Int. Appl., 65pp.
 DOCUMENT TYPE: CODEN: PIXXD2
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: English
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006096459	A2	20060914	WO 2006-US7454	20060303
M:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RN:	AT, BE, BO, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 2006210969	A1	20060921	US 2006-366839	20060303
PRIORITY APPL. INFO.:	US 2005-658187P			20050304
AB	The present invention provides infectious recombinant Hepatitis C Viruses (HCV), and vectors, cells and animals comprising the same. The present invention provides methods of producing infectious recombinant HCV, and their use in identifying anti-HCV therapeutic agents, as well as sequences of HCV associated with HCV pathogenesis. In particular embodiments, the invention provides the genomic sequences of five chimeric HCV composed of two HCV strains, J6 or H77 (first), and JFH1 (second). The chimeric HCV genome comprises the structural core, E1 and E2 genes and nonstructural p7 and NS2 genes from the first HCV strain, and a 5' noncoding region (NCR), nonstructural NS3, NS4A, NS4B, NS5A, NS5B genes and a 3' noncoding region (NCR) from the second HCV strain. Furthermore, the invention develops a			

SO, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RN: AT, BE, BO, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 US 2006211685 A1 20060921 US 2006-364153 20060228
 PRIORITY APPL. INFO.:

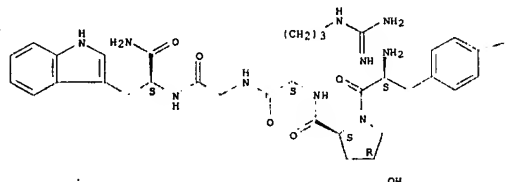
AB The invention relates to new pharmaceutical compns. for the treatment and/or prevention of depression and methods for the preparation thereof. In a preferred embodiment, the instant invention is directed to pharmaceutical combinations comprising (l)ibanserin as one active ingredient in combination with at least one addnl. active ingredient for the treatment and/or prevention of depression and methods for the preparation thereof.

IT 173240-15-8, Nemifitide
 RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
 (l)ibanserin compns. for the treatment and/or prevention of depression)

RN 173240-15-8 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4'-hydroxy-L-prolyl-L-arginylglycyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



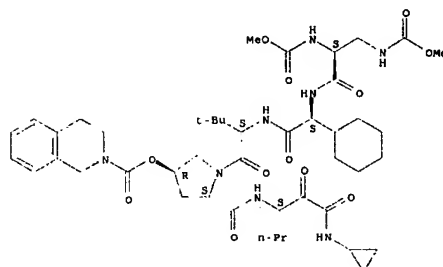
PAGE 1-B

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 36 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2006:912197 CAPLUS
 DOCUMENT NUMBER: 145:467055
 TITLE: Stereoelectronic Tuning of the Structure and Stability of the Trp Cage Miniprotein
 AUTHOR(S): Naduthambi, Devan; Zondlo, Neal J.
 CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Delaware, Newark, DE, 19716, USA
 SOURCE: Journal of the American Chemical Society (2006), 128(38), 12410-12431
 CODEN: JACSAT; ISSN: 0002-7863

high throughput reporter assay for chimeric HCV replication by inserting a reporter gene into the HCV core gene or nonstructural gene p7. The methods are also used for screening for anti-HCV drugs and HCV variants containing mutations affecting viral growth, such as H2476L mutation in the NS5B protein, S1107T mutation in the NS3 protein, K12N mutation in the core protein, I248S mutation or A269T mutation in E1 protein.
 IT 832090-66-1, P1 1
 RL: BSU (Biological study, unclassified); BIOL (Biological study) (HCV RNA replication inhibited by; infectious chimeric hepatitis C virus, mammalian culture cell lines for its production and reporter assay for antiviral drug screening)
 RN 832090-66-1 CAPLUS
 CN L-Prolineamide, N-(methoxycarbonyl)-3-[(methoxycarbonyl)amino]-L-alanyl-(2S)-2-cyclohexylglycyl-3-methyl-L-valyl-N-[(1S)-1-(2-cyclopropylamino)-2-oxoacetyl]butyl-4-[[1(1,4-dihydro-2(1H)-isoquinolinyl)carbonyl]oxy]-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 25 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2006:945575 CAPLUS
 DOCUMENT NUMBER: 145:321755
 TITLE: Pharmaceutical compositions for the treatment and/or prevention of depression
 INVENTOR(S): Pyke, Robert; Ceci, Angelo
 PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co KG
 SOURCE: PCT Int. Appl., 30pp.
 DOCUMENT TYPE: CODEN: PIXXD2
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: English
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006096435	A1	20060914	WO 2006-US7357	20060228
M:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			

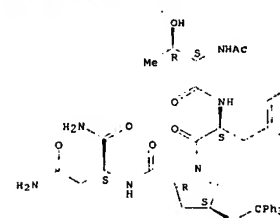
PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Proline residues are critical structural elements in proteins, defining turns, loops, secondary structure boundaries, and polyproline helices. Control of proline conformation therefore may be used to define protein structure and stability. 4-Substituted proline derivs. may be used to control proline ring pucker, which correlates with protein main chain conformation. To examine the use of proline conformational restriction to tune globular protein stability, a series of peptides derived from the trp cage miniprotein was synthesized. Proline at residue 12 of the trp cage miniprotein, which adopts a Cy-exo ring pucker in the NMR structure, was replaced with 4-substituted proline derivs., including 4R derivs. favoring a Cy-exo ring pucker and 4S derivs. favoring a Cy-endo ring pucker. Eight trp cage peptides were synthesized, five of which included residues that are not com. available, without requiring any solution phase chemical Anal. of the trp cage peptides by CD and NMR indicated that the structure and stability of the trp cage miniprotein was controllable based on the conformational bias of the proline derivative. Replacement of Pro12 with 4S-substituted proline derivs. that favor the Cy-endo ring pucker destabilized the trp cage, while replacement of Pro12 with 4R-substituted proline derivs. that favor a Cy-exo ring pucker resulted in increased α -helicity and thermal stability of the trp cage. The most stable trp cage derivs. contained benzoates of 4R-hydroxyproline, which also exhibited the most pronounced stereoelectronic effects in TrpCage model peptides. Overall, the stability of the trp cage was tunable by over 50° depending on the identity of the proline side chain at residue 12.

IT 913820-90-3DP, resin bound 913820-91-4DP, resin bound
 RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (stereoelectronic tuning of structure and stability of Trp cage miniprotein)

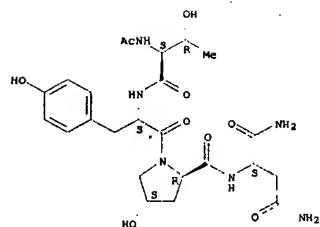
RN 913820-90-3 CAPLUS
 CN L-Aspartamide, N-acetyl-L-threonyl-L-tyrosyl-(4S)-4-(triphenylmethoxy)-D-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



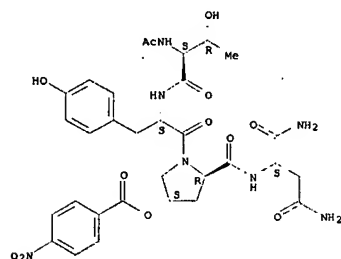
RN 913820-91-4 CAPLUS
 CN L-Aspartamide, N-acetyl-L-threonyl-L-tyrosyl-(4S)-4-(triphenylmethoxy)-D-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 913820-92-5P 913820-93-6P
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);
 BIOL (Biological study); PREP (Preparation)
 (stereoelectronic tuning of structure and stability of Trp cage
 miniprotein)
 RN 913820-92-5 CAPLUS
 CN L-Aspartamide, N-acetyl-L-threonyl-L-tyrosyl-(4S)-4-[(4-nitrobenzyl)oxyl]-
 D-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



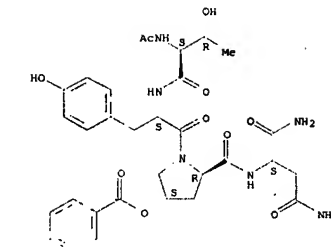
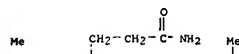
RN 913820-93-6 CAPLUS
 CN L-Aspartamide, N-acetyl-L-threonyl-L-tyrosyl-(4S)-4-[(4-
 (trifluoromethyl)benzyl)oxyl]-D-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

peptides and proteins in well ordered membranes, which are required to
 determine the secondary and supramol. structures of membrane active peptides,
 proteins and aggregates.

IT 79395-85-0, Zervamicin IIB
 RL: PRP (Properties)
 (13C-MAOSS-NMR at high spinning speed of trans and surface membrane
 bound zervamicin IIB)
 RN 79395-85-0 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-
 isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-
 L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-
 methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

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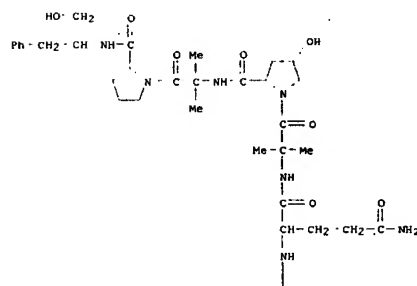


F3C

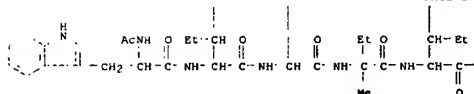
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:871542 CAPLUS
 DOCUMENT NUMBER: 145:412658
 TITLE: Trans and surface membrane bound zervamicin IIB:
 13C-MAOSS-NMR at high spinning speed
 Raap, J., Hollander, J., Ovchinnikova, T. V.,
 Swischeva, N. V., Skladnev, D., Kihne, S.,
 Leiden Institute of Chemistry, Leiden University,
 Leiden, 2300 RA, Neth.
 SOURCE: Journal of Biomolecular NMR (2006), 35(4), 285-293
 CODEN: JBNMES; ISSN: 0925-2738
 PUBLISHER: Springer
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Interactions between 15N-labeled peptides or proteins and lipids can be
 investigated using membranes aligned on a thin polymer film, which is
 rolled into a cylinder and inserted into the MAS-NMR rotor. This can be
 spun at high speed, which is often useful at high field strengths.
 Unfortunately, substrate films like com. available polycarbonate or PEEK
 produce severe overlap with peptide and protein signals in 13C-MAOSS NMR
 spectra. We show that a simple house hold foil support allows clear
 observation of the carbonyl, aromatic and Cα signals of peptides and
 proteins as well as the ester carbonyl and choline signals of
 phosphocholine lipids. The utility of the new substrate is validated in
 applications to the membrane active peptide zervamicin IIB. The stability
 and macroscopic ordering of thin PC10 bilayers was compared with that of
 thicker POPC bilayers, both supported on the household foil. Sidebands in
 the 31P-spectra showed a high degree of alignment of both the supported
 POPC and PC10 lipid mols. Compared with POPC, the PC10 lipids are
 slightly more disordered, most likely due to the increased mobilities of
 the shorter lipid mols. This mobility prevents PC10 from forming stable
 vesicles for MAS studies. The 13C-peptide peaks were selectively detected
 in a 13C-detected 1H-spin diffusion experiment. Qual. anal. of build-up curves
 obtained for different mixing times allowed the transmembrane peptide in
 PC10 to be distinguished from the surface bound topol. in POPC. The
 13C-MAOSS results thus independently confirms previous findings from 15N
 spectroscopy [Bechinger, S., Skladnev, D.A., Ogrel, A., Li, X.,
 Rogozhnikina, E.V., Ovchinnikova, T.V., O'Neil, J.D.J. and Raap, J. (2001)
 Biochem., 40, 9428-9437]. In summary, application of house hold foil
 opens the possibility of measuring high resolution 13C-NMR spectra of

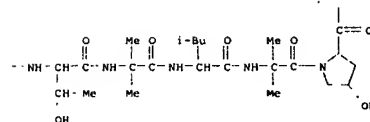
PAGE 1-B



PAGE 2-A



PAGE 2-B



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:788455 CAPLUS
 DOCUMENT NUMBER: 145:288614
 TITLE: A Plant Peptide Encoded by CLV3 Identified by in Situ
 MALDI-TOF MS Analysis
 Kondo, Tatsuhiko; Sawa, Shinichiro; Kinoshita, Atsuko;
 Mizuno, Satoko; Kakimoto, Tatsuo; Fukuda, Hiroo;
 Sakagami, Youji

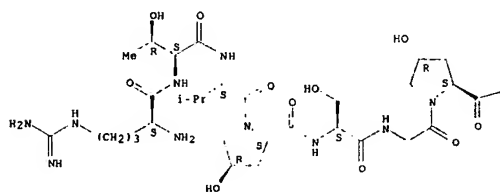
CORPORATE SOURCE: Graduate School of Bio-Agricultural Sciences, Nagoya University, Chikusa, Nagoya, 464-8601, Japan
SOURCE: Science (Washington, DC, United States) (2006), 313(5788), 845-848
CODEN: SCIEAS; ISSN: 0036-8075
PUBLISHER: American Association for the Advancement of Science
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The Arabidopsis CLAVATA3 (CLV3) gene encodes a stem cell-specific protein presumed to be a precursor of a secreted peptide hormone. Matrix-assisted laser desorption/ionization-time-of-flight mass spectrometry (MALDI-TOF MS) applied to in situ Arabidopsis tissues determined the structure of a modified 12-amino acid peptide (MCLV3), which was derived from a conserved motif in the CLV3 sequence. Synthetic MCLV3 induced shoot and root meristem consumption as cells differentiated into other organs, displaying the typical phenotype of transgenic plants overexpressing CLV3. These results suggest that the functional peptide of CLV3 is MCLV3.

IT 908127-11-7P
RL: BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation) (plant peptide encoded by CLV3 identified by in situ MALDI-TOF MS anal.)
RN 908127-11-7 CAPLUS
CN L-Histidine, L-arginyl-L-threonyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-serylglycyl-(4R)-4-hydroxy-L-prolyl-L-n-aspartyl-L-prolyl-L-leucyl-L-histidyl- (CA INDEX NAME)

Absolute stereochemistry.

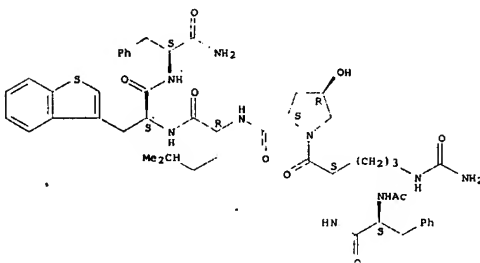
PAGE 1-A



P, OH, (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, etc., X2 is a radical that mimics the biol. binding characteristics of a phenylalanine unit, X3 and X4 are a spacer preferably selected from amino acids, amino acid analogs and amino acid deriva., X5 is a radical that mimics the biol. binding characteristics of a cyclohexylalanine or homoleucine unit, X6 is a radical that mimics the biol. binding characteristics of a tryptophan unit, and X7 is a radical that mimics the biol. binding characteristics of a norleucine or phenylalanine unit. The compds. were prepared by combined solid-phase and solution chemical and tested for their activity as C5a receptor antagonists. Thus, Ac-Phe(Orn-Pro-cha-Trp-Phe) (cha = D-β-cyclohexylalanine) showed IC50 20 ≤ 50 nM.

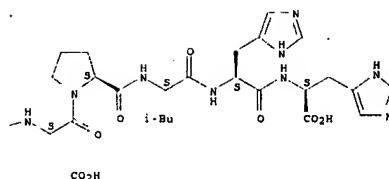
IT 899836-14-7P 899836-38-5P 899836-44-3P
899836-45-4P 899837-39-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of cyclic peptide deriva. as C5a receptor antagonists for treatment of disease)
RN 899836-14-7 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-phenylalanyl-L-ornithyl-(4R)-4-hydroxy-L-prolyl-5-methyl-D-norleucyl-3-benzo[b]thien-3-yl-L-alanyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 899836-38-5 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-phenylalanyl-L-ornithyl-(4R)-4-hydroxy-L-prolyl-5-methyl-D-norleucyl-4-fluoro-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

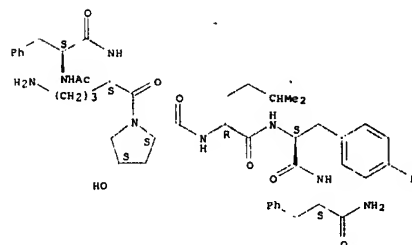
L6 ANSWER 29 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2006:703133 CAPLUS
DOCUMENT NUMBER: 145:167558
TITLE: Synthesis of cyclic peptide derivatives as C5a receptor antagonists for treatment of disease
INVENTOR(S): Hummel, Gerd; Locardi, Elsa; Polakowski, Thomas; Scharn, Dirk; Schnatbaum, Karsten
PATENT ASSIGNEE(S): Jerini AG, Germany
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006074964	A1	20060720	WO 2006-EP365	20060117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KH, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, ME, MG, MK, MN, MU, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TW, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GM, ML, MR, NE, SN, TD, TO, BM, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006205830	A1	20060720	AU 2006-205830	20060117
CA 2594934	A1	20060720	CA 2006-2594934	20060117
EP 1838725	A1	20071003	EP 2006-705265	20060117
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IN 2007DN05446	A	20070817	IN 2007-DN5446	20070713
PRIORITY APPLN. INFO.: EP 2005-857 A 20050117 WO 2006-EP365 W 20060117				

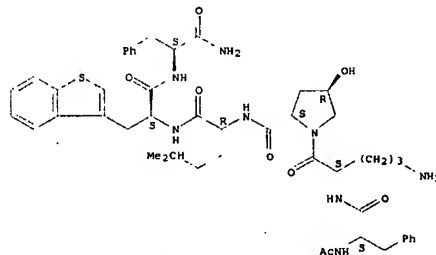
OTHER SOURCE(S): MARPAT 145:167558

AB The invention relates to compds. X1-X2-cyclo(X3-X4-X5-X6-X7)n, where X1 is a radical having a mass of about 1-300 and is preferably R5, R5CO, R5R6NCO, R5O2C, R5SO2, R5R6NCO2, R5R6N, R5R6NCO, R5R6NCO(NH), R5CO, R5P(O)OH, R5B(OH), or R5CH2NOCH2CO, in which R5, R6 are independently H,



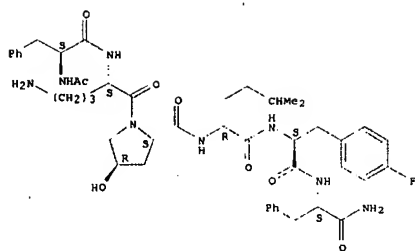
RN 899836-44-3 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-phenylalanyl-L-ornithyl-(4R)-4-hydroxy-L-prolyl-5-methyl-D-norleucyl-3-benzo[b]thien-3-yl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 899836-45-4 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-phenylalanyl-L-ornithyl-(4R)-4-hydroxy-L-prolyl-5-methyl-D-norleucyl-4-fluoro-L-phenylalanyl- (9CI) (CA INDEX NAME)

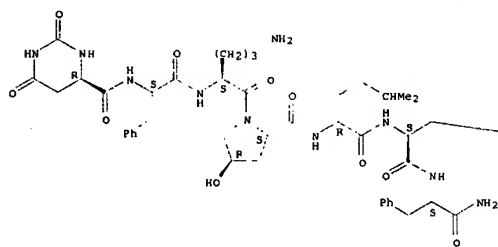
Absolute stereochemistry.



RN 899837-39-9 CAPLUS
 CN L-Phenylalaninamide, (4R)-hexahydro-2,6-dioxo-4-pyrimidinocarbonyl-L-phenylalaninyl-L-ornithinyl-(4R)-4-hydroxy-L-prolyl-5-methyl-D-norleucyl-4-fluoro-L-phenylalaninyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 30 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:661970 CAPLUS

DOCUMENT NUMBER: 145:308266

TITLE: Novel gamma-carboxyglutamic acid-containing peptides

from the venom of Conus textile

AUTHOR(S): Czerwec, Eva; Kalume, Dario E.; Koepstorff, Peter;

Hambe, Bjorn; Purie, Bruce; Purie, Barbara C.;

Stenflo, Johan

CORPORATE SOURCE: Marine Biological Laboratory, Woods Hole, MA, USA

SOURCE: FEBS Journal (2006), 273(12), 2779-2788

CODEN: FJBOAC; ISSN: 1742-464X

PUBLISHER: Blackwell Publishing Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The cone snail is the only invertebrate system in which the vitamin K-dependent carboxylase (or gamma-carboxylase) and its product gamma-carboxyglutamic acid (Gla) have been identified. It remains the sole source of structural information of invertebrate gamma-carboxylase substrates. Four novel Gla-containing peptides were purified from the venom of *Conus textile* and characterized using biochem. methods and mass spectrometry. The peptides Gla(1)-TxVI, Gla(2)-TxVI/A, Gla(2)-TxVI/B and Gla(3)-TxVI each have six Cys residues and belong to the O-superfamily of conotoxins. All four conopeptides contain 4-trans-hydroxyproline and the unusual amino acid 6-L-bromotryptophan. Gla(2)-TxVI/A and Gla(2)-TxVI/B are isoforms with an amidated C-terminus that differ at positions +1 and +13. Three isoforms of Gla(3)-TxVI were observed that differ at position +7: Gla(3)-TxVI, Gla(3)-TxVI and Asp7-Gla(3)-TxVI. The cDNAs encoding the precursors of the four peptides were cloned. The predicted signal sequences (amino acids -46 to -27) were nearly identical and highly hydrophobic. The predicted propeptide region (-20 to -1) that contains the gamma-carboxylation recognition site (gamma-CRS) is very similar in Gla(2)-TxVI/A, Gla(2)-TxVI/B and Gla(3)-TxVI, but is more divergent for Gla(1)-TxVI. Kinetic studies utilizing the *Conus* gamma-carboxylase and synthetic peptide substrates localized the gamma-CRS of Gla(1)-TxVI to the region -14 to -1 of the polypeptide precursor: the K_m was reduced from 1.8 mM for Gla (1)-TxVI lacking a propeptide to 24 μ M when a 14-residue propeptide was attached to the substrate. Similarly, addition of an 18-residue propeptide to Gla(2)-TxVI/B reduced the K_m value tenfold.

IT 367965-86-4P, Conotoxin Gla(3)-TxVI (Conus textile venom)
 909111-72-4P, Conotoxin Gla(2)-TxVI/A (Conus textile venom)
 909111-75-7P, Conotoxin Gla(3)-TxVI [7-glutamic acid] (Conus textile venom)
 909111-76-8P, Conotoxin Gla(3)-TxVI [7-aspartic acid] (Conus textile venom)

RL: ANT (Analyte); PRP (Properties); PUR (Purification or recovery); ANST

PAGE 1-B

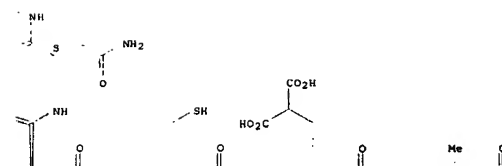
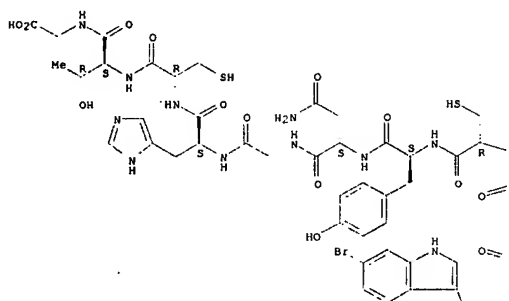
(Analytical study); PREP (Preparation)
 (amino acid sequence; novel gamma-carboxyglutamic acid-containing peptides from venom of *Conus textile*)

RN 367965-86-4 CAPLUS

CN Glycine, L-leucyl-L-cysteinyl-(4R)-4-hydroxy-L-prolyl-L- L-aspartyl-L-tyrosyl-L-threonyl-4-carboxy-L- L-glutamyl-(4R)-4-hydroxy-L-prolyl-L-cysteinyl-L- L-seryl-L-histidyl-L-alanyl-L-histidyl-4-carboxy-L- L-glutamyl-L-cysteinyl-L-cysteinyl-L-seryl-6-bromo-L-tryptophyl-L-asparaginyl-L-cysteinyl-L-tyrosyl-L-asparaginylglycyl-L-histidyl-L-cysteinyl-L-threonyl- (9CI) (CA INDEX NAME)

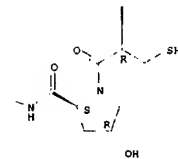
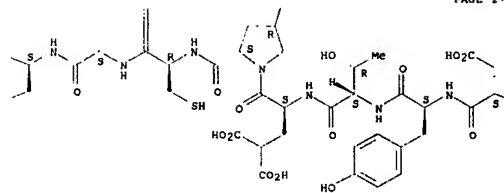
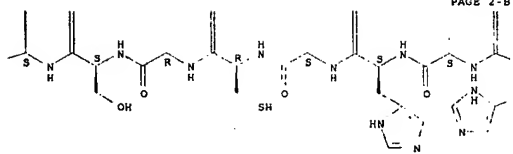
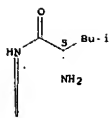
Absolute stereochemistry.

PAGE 1-A



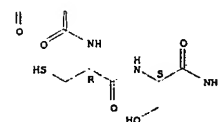
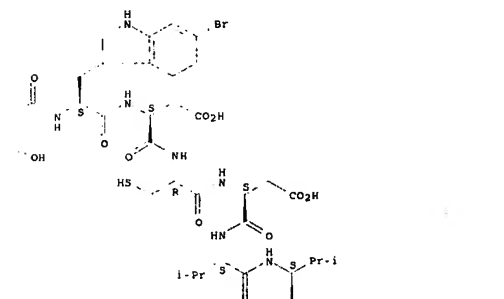
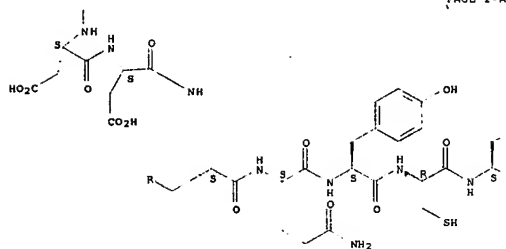
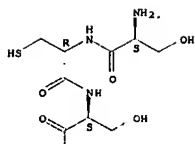
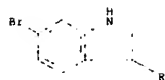
PAGE 1-C





RN 909111-72-4 CAPLUS
 CN L-Serinamide, L-seryl-L-cysteinyl-L-seryl-L-alpha-aspartyl-L-alpha-aspartyl-6-bromo-L-tryptophyl-L-glutamyl-L-tyrosyl-L-cysteiny-4-carboxy-L-alpha-glutamyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-alpha-aspartyl-L-cysteiny-L-cysteiny-L-seryl-6-bromo-L-tryptophyl-L-alpha-aspartyl-L-cysteiny-L-alpha-aspartyl-L-valyl-L-valyl-L-cysteiny- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

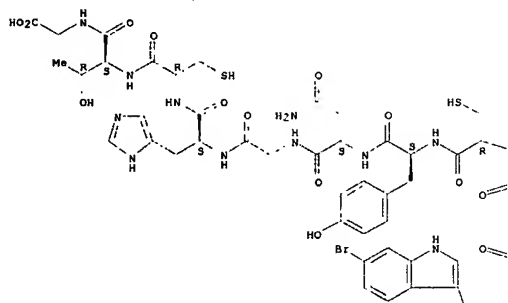


CN Glycine, L-leucyl-L-cysteinyl-(4R)-4-hydroxy-L-prolyl-L- α -aspartyl-L-tyrosyl-L-threonyl-L- α -glutamyl-(4R)-4-hydroxy-L-prolyl-L-cysteinyl-L-seryl-L-histidyl-L-alanyl-L-histidyl-4-carboxy-L- α -glutamyl-L-cysteinyl-L-cysteinyll-L-seryl-6-bromo-L-tryptophyl-L-asparaginyll-L-cysteinyll-L-tyrosyl-L-asparaginyllglycyl-L-histidyl-L-cysteinyll-L-threonyll-(9CI) (CA INDEX NAME)

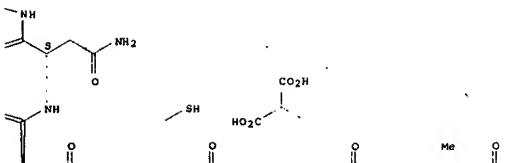
PAGE 1-C

Absolute stereochemistry.

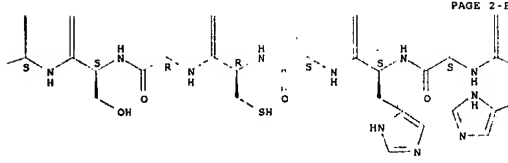
PAGE 1-A



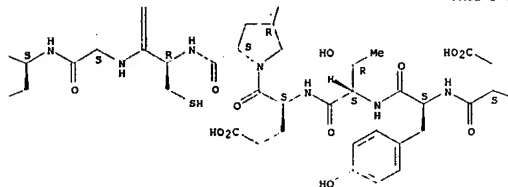
PAGE 1-B



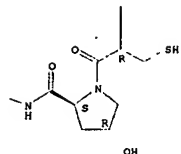
PAGE 2-A



PAGE 2-C



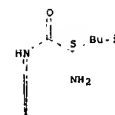
PAGE 2-D



RN 909111-76-8 CAPLUS
CN Glycine, L-leucyl-L-cysteinyl-(4R)-4-hydroxy-L-prolyl-L- α -aspartyl-L-tyrosyl-L-threonyl-L- α -aspartyl-(4R)-4-hydroxy-L-prolyl-L-cysteinyl-L-seryl-L-histidyl-L-alanyl-L-histidyl-4-carboxy-L- α -glutamyl-L-



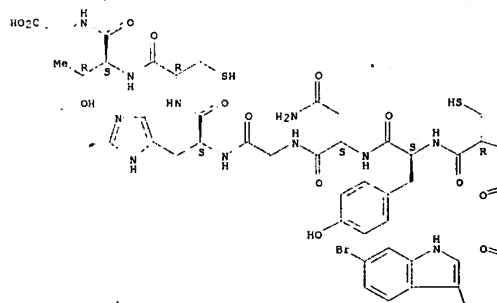
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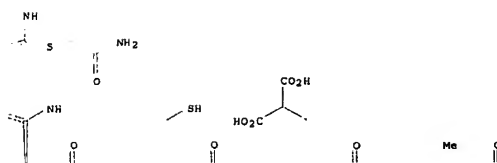
cysteinyll-L-cysteinyll-L-seryl-6-bromo-L-tryptophyl-L-asparaginyll-L-cysteinyll-L-tyrosyl-L-asparaginyllglycyl-L-histidyl-L-cysteinyll-L-threonyll-(9CI) (CA INDEX NAME)

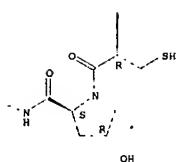
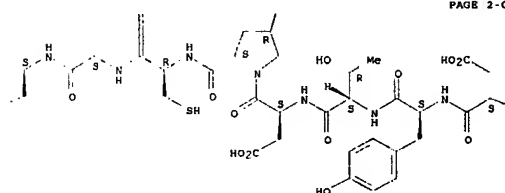
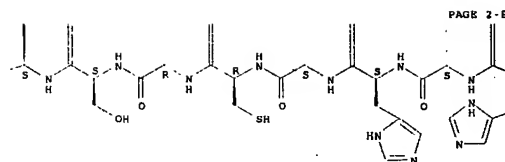
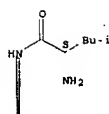
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 31 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2006:602611	CAPLUS
DOCUMENT NUMBER:	145:226315	
TITLE:	Helical twists of collagen model peptides	
AUTHOR(S):	Okuyama, Kenji; Wu, Guanghan; Jiravannichanun, Nattha; Hongo, Chiuru; Nocchi, Keiichi	
CORPORATE SOURCE:	Department of Macromolecular Science, Graduate School of Science, Osaka University, Toyonaka Osaka, 560-0043, Japan	
SOURCE:	Biopolymers (2006), 84(4), 421-432	
PUBLISHER:	CODEN: BIPMAA; ISSN: 0006-3525	
DOCUMENT TYPE:	John Wiley & Sons, Inc.	
LANGUAGE:	Journal English	

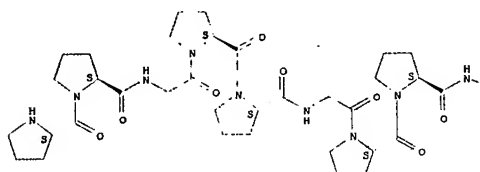
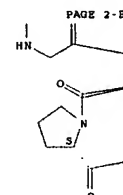
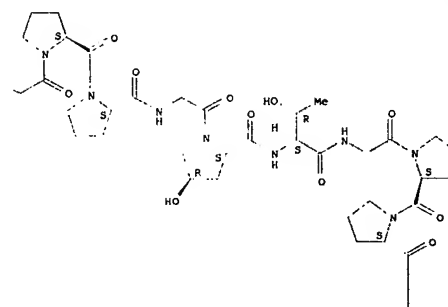
LANGUAGES: English

AB Average helical twists were calculated by the method of Sugeta and Miyazawa for all of the collagen model peptides analyzed to date. Calcn. of the helical twists of all triplets in each peptide strand provided novel insights for several model peptides. In the (Pro-Pro-Gly)n (n = 9 and 10), the helical twists showed cyclic fluctuations between 40 and 65° with a 20 Å period, suggesting that their mol. conformations were close enough to the ideal 7/2-helix to show the helical twist of 20 Å of 7/2-helical twist. Helical twist in guest regions of IBP in complex and 73-785 were attributed to the interaction with Integrin I domain and a relaxed conformation caused by three consecutive triplets lacking imino acid residues, resp. Although most of the triplets used in this study were imino acid-rich triplets, helical twists were scattered in a wide range from 30 to 70° with an overall average of 52.6°. This distribution of helical twist indicates a strong preference for the 7/2-helical conformation (51.4°) rather than the 10/3-helical model (36°).

IT 088960-91-6 905584-88-5
 RI: BSU (Biological study, unclassified); PRP (Properties); BLO
 (Biological study)
 (helical twists of collagen model peptides)

RN 880960-91-6 CAPLUS
CN Glycine-L-prolyl-L-prolylglycyl-L-prolyl-L-prolylglycyl-L-prolyl-L-prolylglycyl-L-prolyl-L-prolylglycyl-(4R)-4-hydroxy-L-prolyl-L-threonylglycyl-L-prolyl-L-prolylglycyl-L-prolyl-L-prolylglycyl-L-prolyl-L-prolylglycyl-L-prolyl-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



Absolute stereochemistry.

L6 ANSWER 12 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:601682 CAPLUS
 DOCUMENT NUMBER: 145:61505
 TITLE: Deacylation of lipopeptides using recombinant
 Actinoplanes utahensis aculeacin A deacylase
 INVENTOR(S): Ehrlinger, Eberhard; Decker, Heinrich; Rissom, Sebastian;
 Seidel, Guido; Olliger, Reiner
 PATENT ASSIGNEE(S): Sanofi-Aventis Deutschland GmbH, Germany
 SOURCE: PCT Int. Appl., 39 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006061783	A1	20060622	WO 2005-EP13336	20051213
W:				
CR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, AR, CU, CZ, DE, DK, DM, DG, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RO, RU, RW, SA, SD, SE, SG, SI, SK, SL, SM, SN, SR, ST, SV, SW, SY, TD, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VE, VN, YU, ZA, ZM, ZW				

AS Novel peptidomimetic compds. with partial structures being the same as that of echinocandin B, a well known antifungal lipopeptide, were synthesized. The structures of these compds. were confirmed by NMR and MS. The synthesized compds. were tested for their in vitro antifungal and cytotoxic activity. The results suggested that the hydroxyproline-threonine section in the north-western position of the echinocandin is important for the activity.

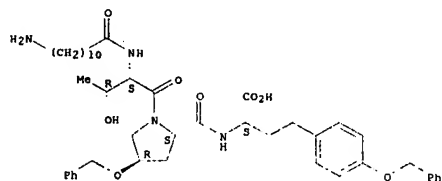
IT 894807-31-9P 894807-37-5P 894807-38-6P
894807-39-7P 894807-40-0P 894807-41-1P
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of peptidomimetic analogs of echinocandins lacking antifungal and anticancer activity)

RN 894807-31-9 CAPLUS

CN Benzenebutanoic acid, N-[(11-amino-1-oxoundecyl)-L-threonyl-(4R)-4-(phenylmethoxy)-L-prolyl- α -amino-4-(phenylmethoxy)-, (aS)- (9CI) (CA INDEX NAME)

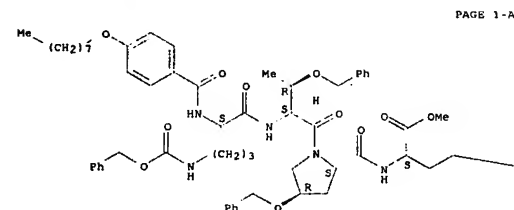
Absolute stereochemistry.



RN 894807-37-5 CAPLUS

CN Benzenebutanoic acid, N2-[4-(octyloxy)benzoyl]-N5-[[(phenylmethoxy)carbonyl]-L-ornithyl-O-(phenylmethoxy)-L-threonyl-(4R)-4-(phenylmethoxy)-L-prolyl- α -amino-4-(phenylmethoxy)-, methyl ester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

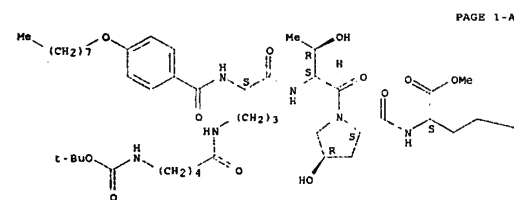


PAGE 1-A

PAGE 1-B

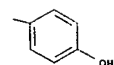
prolyl- α -amino-4-hydroxy-, methyl ester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

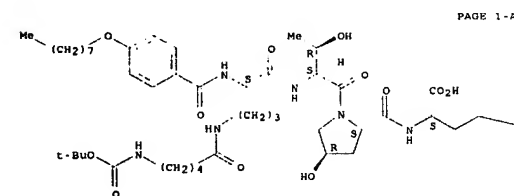
PAGE 1-B



RN 894807-40-0 CAPLUS

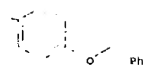
CN Benzenebutanoic acid, N5-[5-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopentyl]-N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-(4R)-4-hydroxy-L-prolyl- α -amino-4-hydroxy-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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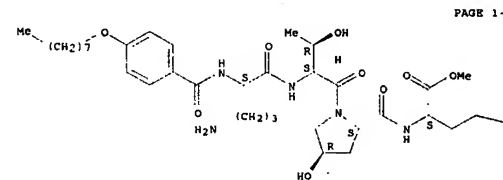
PAGE 1-B



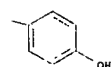
RN 894807-38-6 CAPLUS

CN Benzenebutanoic acid, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-(4R)-4-hydroxy-L-prolyl- α -amino-4-hydroxy-, methyl ester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

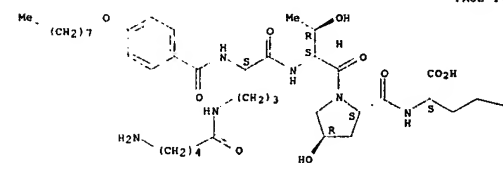


PAGE 1-A

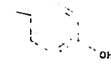


RN 894807-39-7 CAPLUS

CN Benzenebutanoic acid, N5-[5-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopentyl]-N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-(4R)-4-hydroxy-L-



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IT 894807-30-8P 894807-34-2P 894807-35-3P

894807-36-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

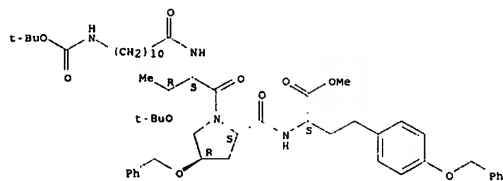
(synthesis of peptidomimetic analogs of echinocandins lacking antifungal and anticancer activity)

RN 894807-30-8 CAPLUS

CN Benzenebutanoic acid, N-[(11-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-

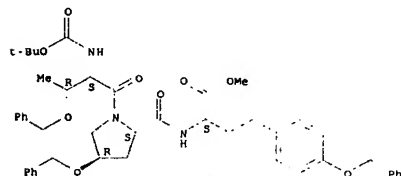
oxoundecyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(phenylmethoxy)-L-prolyl- α -amino-4-(phenylmethoxy)-, methyl ester, (aS)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 894807-34-2 CAPLUS
CN Benzenebutanoic acid, N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-threonyl-(4R)-4-(phenylmethoxy)-L-prolyl- α -amino-4-(phenylmethoxy)-, methyl ester, (aS)- (9CI) (CA INDEX NAME)

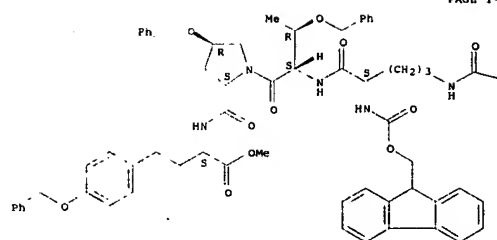
Absolute stereochemistry.



RN 894807-35-3 CAPLUS
CN Benzenebutanoic acid, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-O-(phenylmethyl)-L-threonyl-(4R)-4-(phenylmethoxy)-L-prolyl- α -amino-4-(phenylmethoxy)-, methyl ester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

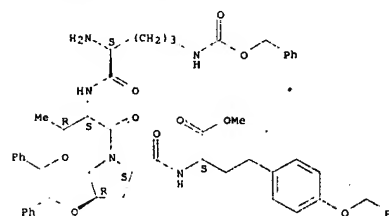


PAGE 1-B



RN 894807-36-4 CAPLUS
CN Benzenebutanoic acid, N5-[(phenylmethoxy)carbonyl]-L-ornithyl-O-(phenylmethyl)-L-threonyl-(4R)-4-(phenylmethoxy)-L-prolyl- α -amino-4-(phenylmethoxy)-, methyl ester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



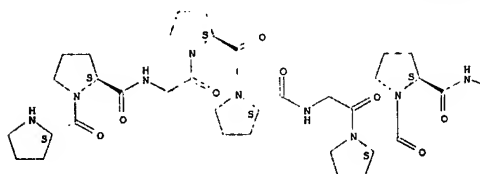
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 34 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:393219 CAPLUS

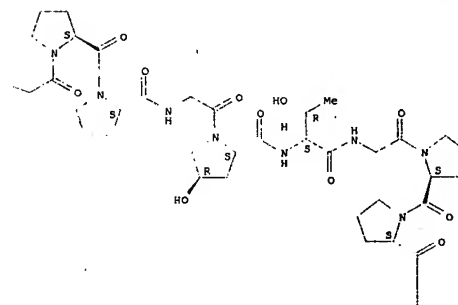
DOCUMENT NUMBER: 145:22926
TITLE: Threonine in collagen triple-helical structure
AUTHOR(S): Jiravanichanun, Nattha; Mizuno, Kazunori; Bachinger, Hans Peter; Okuyama, Kenji
CORPORATE SOURCE: Department of Macromolecular Science, Graduate School of Science, Osaka University, Toyonaka, 560-0043, Japan
SOURCE: Polymer Journal (Tokyo, Japan) (2006), 38(4), 400-403
CODEN: POLJBB; ISSN: 0032-3896
PUBLISHER: Society of Polymer Science, Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Only the T3-785 peptide has a reported structure of threonine in a triple-helical structure. The x-ray determination of the H-(Pro-Pro-Gly)4-(4R)-Hyp-Thr-Gly-(Pro-Pro-Gly)4-OH (OTG) peptide provides insight into detailed structure of frequently observed residues in Riftia pachyptila cuticle collagen. Although the stabilization mechanism of the OTG peptide is not clearly understood, the fine structure of the OTG peptide provides valuable information of Thr conformation including diversity of water-mediated hydrogen bonds around Thr in the triple-helical structure. Interestingly, the observed hydration patterns of Thr are similar to those of 4(R)Hyp and moreover, OH group side-chain characteristic of Thr and 4(R)Hyp is similar as well.
IT 888960-91-6
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(role of threonine in collagen triple-helical structure)
RN 888960-91-6 CAPLUS
CN Glycine, L-prolyl-L-prolylglycyl-L-prolyl-L-prolylglycyl-L-prolyl-L-prolylglycyl-L-prolyl-L-prolylglycyl-(4R)-4-hydroxy-L-prolyl-L-threonylglycyl-L-prolyl-L-prolylglycyl-L-prolyl-L-prolylglycyl-L-prolyl-L-prolylglycyl-L-prolyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

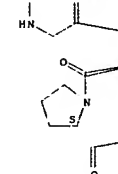
PAGE 1-A

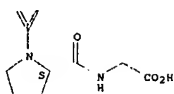
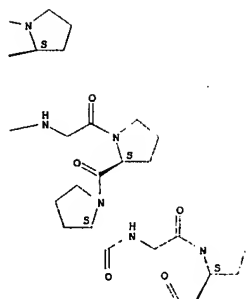


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PAGE 2-B



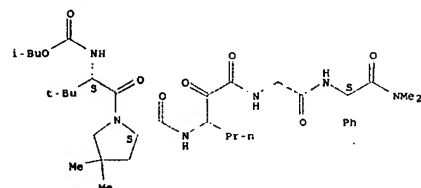


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:311844 CAPLUS
 DOCUMENT NUMBER: 145:20399
 TITLE: Discovery of SCH446211 (SCH6): A New Ketoamide Inhibitor of the HCV NS3 Serine Protease and HCV Subgenomic RNA Replication
 AUTHOR(S): Bogen, Stephanie L.; Arasappan, Ashok; Bennett, Frank; Chen, Kevin; Jao, Edwin; Liu, Yi-Taung; Lovey, Raymond G.; Venkatraman, Srikanth; Pan, Weidong; Parekh, Tajel; Pike, Russel E.; Ruan, Sumei; Liu, Rong; Baroudy, Bahig; Agrawal, Sony; Chase, Robert; Ingravallo, Paul; Piccardo, John; Prongay, Andrew; Brisson, Jean-Marc; Hsieh, Tony Y.; Cheng, Kuo-Chi; Kemp, Scott J.; Levy, Odile E.; Lim-Wilby, Marguerita; Tamura, Susan Y.; Saksena, Anil K.; Girijavallabhan, Vlyoor; Njoroge, F. George
 CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
 SOURCE: Journal of Medicinal Chemistry (2006), 49(9), 2750-2757
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society

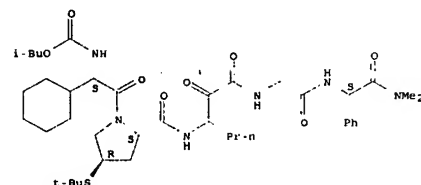
RN 888323-43-1 CAPLUS
 CN Glycinamide, 3-methyl-N-[(2-methylpropoxy)carbonyl]-L-valyl-4,4-dimethyl-L-prolyl-3-amino-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 888323-45-3 CAPLUS
 CN Glycinamide, 3-methyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[(1,1-dimethylethyl)thio]-L-prolyl-3-amino-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

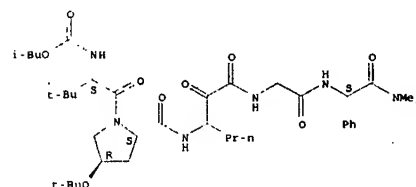


REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 36 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:300496 CAPLUS
 DOCUMENT NUMBER: 145:20852
 TITLE: Short peptide fragments with antiulcer activity from a collagen hydrolysate
 AUTHOR(S): Zolotarev, Yu. A.; Badmaeva, K. E.; Bakaeva, Z. V.; Samonina, O. E.; Kopylova, O. N.; Dadayan, A. K.; Zverkov, Yu. B.; Gararin, S. K.; Vaskovsky, B. V.; Ashmarin, I. P.; Myasoedov, N. F.
 CORPORATE SOURCE: Institute of Molecular Genetics, Russian Academy of Sciences, Moscow, 123182, Russia
 SOURCE: Russian Journal of Bioorganic Chemistry (2006), 32(2), 174-178
 CODEN: RJBCET; ISSN: 1068-1620
 PUBLISHER: Pleiades Publishing, Inc.
 DOCUMENT TYPE: Journal

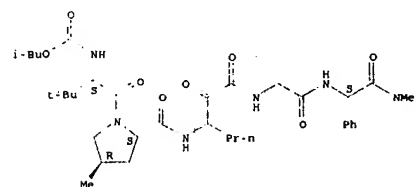
DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 145:20399
 AB Introduction of various modified prolines at P2 and optimization of the P1 side chain led to the discovery of SCH6 (24, Table 2), a potent ketoamide inhibitor of the HCV NS3 serine protease. In addition to excellent enzyme potency (K_i = 3.8 nM), 24 was also found to be a potent inhibitor of HCV subgenomic RNA replication with IC₅₀ and IC₉₀ of 40 and 100 nM, resp. Recently, antiviral activity of 24 was demonstrated with inhibition of the full-length genotype 2a HCV genome. In addition, 24 was found to restore the responsiveness of the interferon regulatory factor 3 (IRF-3) in cells containing HCV RNA replicons.
 IT 394721-44-9P 888323-42-0P 888323-43-1P
 888323-45-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USBS (Uses)
 (preparation of α-ketoamides as HCV NS3 serine protease inhibitors: discovery of SCH446211 (SCH6))
 RN 394721-44-9 CAPLUS
 CN Glycinamide, 3-methyl-N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-[(1,1-dimethylethoxy)-L-prolyl-3-amino-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 888323-42-0 CAPLUS
 CN Glycinamide, 3-methyl-N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-methyl-L-prolyl-3-amino-2-oxohexanoylglycyl-N,N-dimethyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

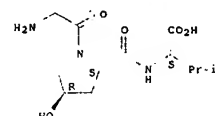
Absolute stereochemistry.



LANGUAGE: English
 AB A peptide acidic hydrolyzate of collagen (PHC) was obtained under conditions (4 N HCl) ensuring the predominant formation of short peptides, glyprolines. They were separated and their antiulcer activity was studied. Thirty individual peptides with mol. masses of 174-420 amu were isolated from the PHC by HPLC. The PHC was shown to predominantly contain 2-to 4-aa peptides, including PG, GP, and PGP. Expts. on rats demonstrated that, on intragastric administration at a dose of 1 mg/kg, PHC enhances the stability of the gastric mucosa to the action of ulcerogenic factors, such as ethanol and stress, and exhibits a protecting antiulcer effect. Even a lesser dose (0.1 mg/kg), which reduced ulcer area twofold, was effective in the stress model of ulcer formation. The i.p. and intragastric administration of PHC at a dose of 1 mg/kg was found to exhibit a therapeutic effect in the acetate model of ulcer formation.

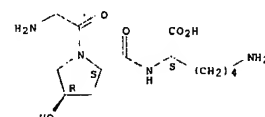
IT 888732-49-8 888732-51-2
 RL: BSU (Biological study, unclassified); BIOL (Biological study) (short peptide fragments with antiulcer activity from a collagen hydrolyzate)
 RN 888732-49-8 CAPLUS
 CN L-Valine, glycyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 888732-51-2 CAPLUS
 CN L-Lysine, glycyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



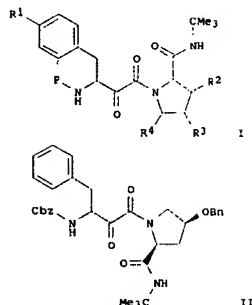
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 37 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:261710 CAPLUS
 DOCUMENT NUMBER: 145:28251
 TITLE: Preparation of α-ketoamide or hydroxyethylamine peptidomimetics as HIV protease inhibitors
 INVENTOR(S): Laslo, Karen; Sleet, Deborah W.; Wong, Chi-Huey
 PATENT ASSIGNEE(S): The Scripps Research Institute, Australia
 SOURCE: Aust. Pat. Appl., 191 pp., Division of Aust. 2001 18,270.
 CODEN: AUXXCM
 DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 2004202175	A1	20040617	AU 2004-202175	20040520
PRIORITY APPLN. INFO.:			AU 2001-18270	A3 20010202
OTHER SOURCE(S):	MARPAT	145:28251		

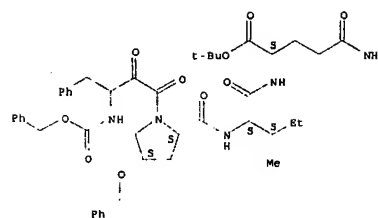
QI



AB Combinatorial libraries of HIV and FIV protease inhibitors are characterized by α -ketoamide or hydroxyethylamine core structures flanked by on one side by substituted pyrrolidines, piperidines, or α -sugars and on the other side by phenylalanine, tyrosine, or substituted tyrosines. α -ketoamide I [R1 is H, OH, alkoxy, OBn or OP, where P is a protecting group; R2, R3 are independently groups given for R1 or benzyl substituted by methoxy, nitro or hydroxy groups; R4 is H, CH₂OH, alkoxyethyl or CH₂OP (with the proviso that R1-R4 cannot all be H)] are claimed. The libraries are synthesized via a one step coupling reaction. Highly efficacious drug candidates are identified by screening the libraries for binding and inhibitory activity against both HIV and FIV protease. Drug candidates displaying clin. useful activity against both HIV and FIV protease are identified as being potentially resistant against a loss of inhibitory activity due to development of resistant strains of HIV. Thus, α -ketoamide II (Cbz = benzyloxycarbonyl) was prepared and showed KI = 65 nM for inhibition of HIV protease.

IT 191851-38-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α -ketoamide or hydroxyethylamine peptidomimetics as HIV protease inhibitors)
RN 191851-38-4 CAPLUS
CN L-Glutamine, (4S)-1-[[1,2-dioxo-4-phenyl-3-[[[phenylmethoxy]carbonyl]amino]butyl]-4-(phenylmethoxy)-L-prolyl-L-isoleucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



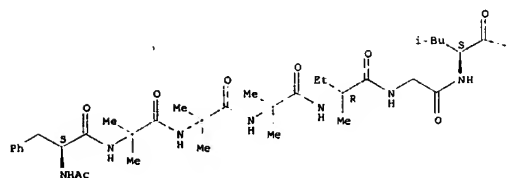
L6 ANSWER 38 OF 551 CAPLUS COPYRIGHT 2007 ACS on STM
ACCESSION NUMBER: 2006:196847 CAPLUS
DOCUMENT NUMBER: 145:413896
TITLE: Antiamoebins, myrocin B and the basis of antifungal antibiotics in the coprophilous fungus *Stilbella erythrocephala* (syn. *S. fimetaria*)
AUTHOR(S): Lehr, Nina-A.; Meffert, Anja; Antelo, Luis; Sterner, Olav; Anke, Heidrun; Weber, Roland W. S.
CORPORATE SOURCE: Department of Biotechnology, University of Kaiserslautern, Kaiserslautern, Germany
SOURCE: FEMS Microbiology Ecology (2006), 55(1), 105-112
CODEN: FMECEZ; ISSN: 0168-6496
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Antiamoebins I, III and XVI as well as several others in minor amts. were produced by four strains of the coprophilous fungus *Stilbella erythrocephala* (syn. *S. fimetaria*) in its natural substrate and in liquid culture. The total antiamoebin concentration in dung was 126-624 μ g g⁻¹ fresh weight, with min. inhibitory concns. against most other coprophilous fungi being at or below 100 μ g ml⁻¹. Myrocin B, not previously described from *S. erythrocephala*, was also produced, but only at low, nonfungicidal levels (< 5.3 μ g g⁻¹). No other antifungal substances were detected. It is concluded that antiamoebins are responsible for antibiotics in dung colonized by *S. erythrocephala*.
IT 64347-37-1P, Antiamoebin I 280774-61-0P, Antiamoebin III 280774-62-1P, Antiamoebin IV 280774-64-3P, Antiamoebin VI 280774-66-5P, Antiamoebin VIII 280774-70-1P, Antiamoebin XII 280774-71-2P, Antiamoebin XIII 280774-72-3P, Antiamoebin XIV 280774-73-4P, Antiamoebin XV 280774-74-5P, Antiamoebin XVI
RL, BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
(antiamoebins, myrocin B and antifungal antibiotics in coprophilous fungus *Stilbella erythrocephala*)
RN 64347-37-1 CAPLUS
CN Antiamoebin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.

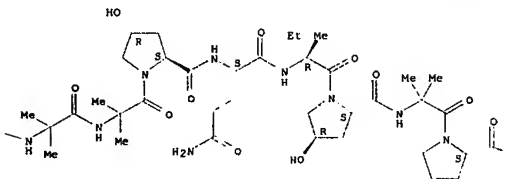
CN L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-L-leucyl-2-methylalanyl-2-methylalanyl-4(R)-4-hydroxy-L-prolyl-L-glutamyl-D-isovalyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

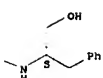
PAGE 1-A



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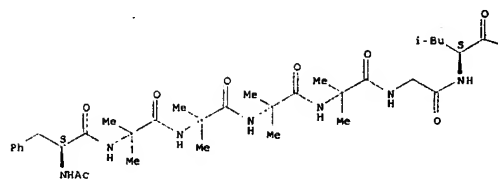


PAGE 1-C

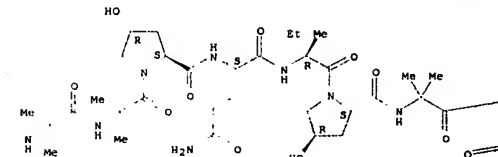


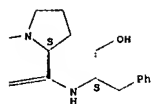
RN 280774-61-0 CAPLUS

PAGE 1-A



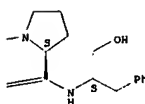
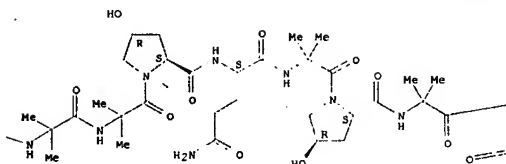
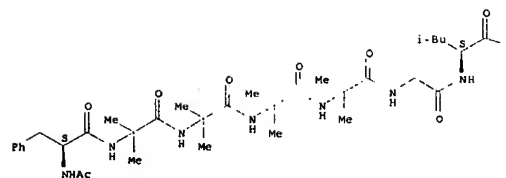
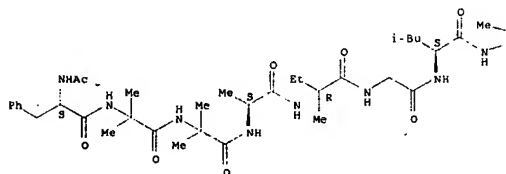
PAGE 1-B



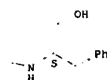
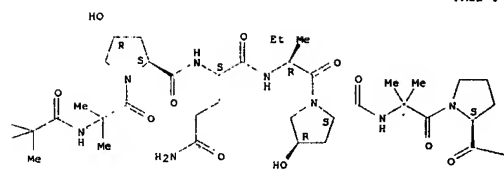


RN	280774-62-1	CAPLUS
CN	L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-L-alanyl-D-isovalylglycyl-L-leucyl-2-methylalanyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutaminy-L-D-isovalyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-((1S)-1-(hydroxymethyl)-2-phenylethyl)- (9CI) (CA INDEX NAME)	

Absolute stereochemistry.



RN 280774-66-5 CAPLUS
CN L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-D-isovalylglycyl-L-leucyl-2-methylalanyl-2-methylalanyl-(4R)-



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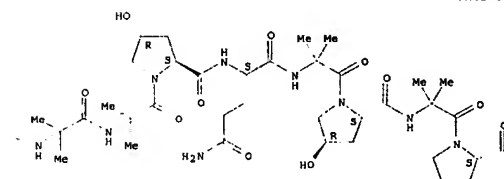
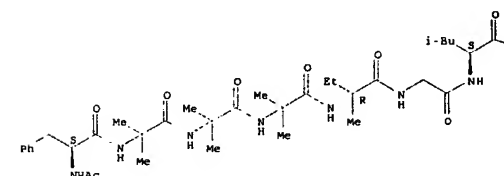
RN      280774-64-3  CAPLUS
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        (4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-
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INDEX NAME)

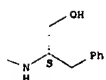
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Absolute stereochemistry.

4-hydroxy-L-prolyl-L-glutaminyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





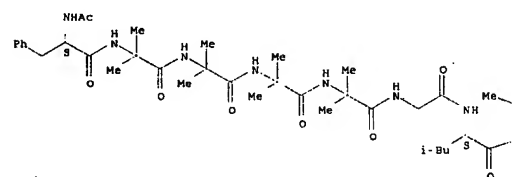
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RN      280774-70-1  CAPLUS
CN      L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-
        methylalanyl-2-methylalanylglycyl-L-leucyl-L-alanyl-2-methylalanyl-(4R)-4-
        hydroxy-L-prolyl-L-glutaminy-L-D-isovalyl-(4R)-4-hydroxy-L-prolyl-2-
        methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX
        NAME)

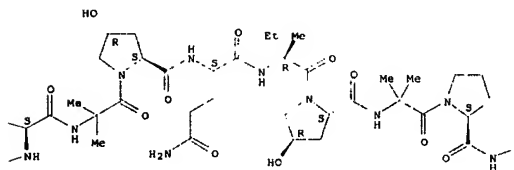
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Absolute stereochemistry.

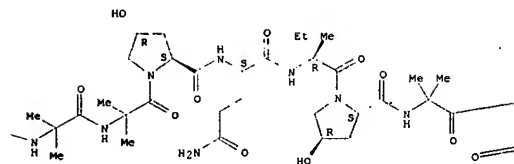
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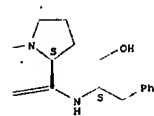
PAGE 1 - B



PAGE 1 - B



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RN      280774-72-3  CAPLUS
CN      L-Prolinamide, N-acetyl-L-valyl-2-methylalanyl-2-methylalanyl-2-
        methylalanyl-L-valylglycyl-L-leucyl-2-methylalanyl-2-methylalanyl- (4R)-4-
        hydroxy-L-prolyl-L-glutaminy-L-D-isovalyl- (4R)-4-hydroxy-L-prolyl-2-
        methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX
        NAME)

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Absolute stereochemistry.

PAGE 1 - C



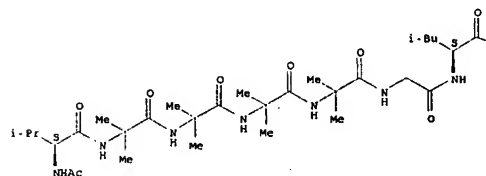
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RN      280774-71-2  CAPLUS
CN      L-Prolinamide, N-acetyl-L-valyl-2-methylalanyl-2-
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        (4R)-4-hydroxy-L-prolyl-L-glutaminy-L-D-isovalyl-(4R)-4-hydroxy-L-prolyl-2-
        methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX
        NAME)

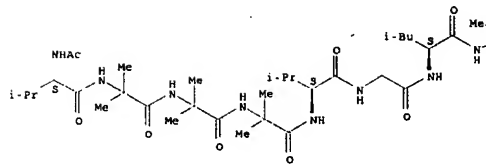
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Absolute stereochemistry.

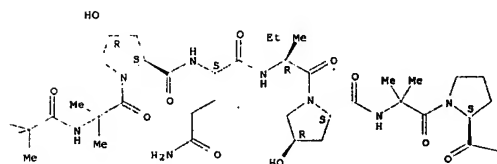
PAGE 1-A



PAGE 1 - A



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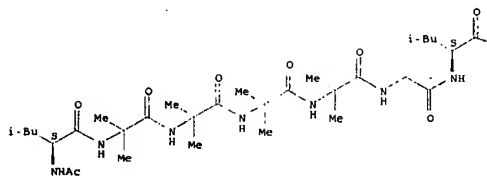


RN 280774-73-4 CAPLUS
 CN L-Prolinamide, N-acetyl-L-leucyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanylglycyl-L-leucyl-2-methylalanyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-D-isovalyl-(4R)-4-hydroxy-L-prolyl-2-

Absolute stereochemistry.

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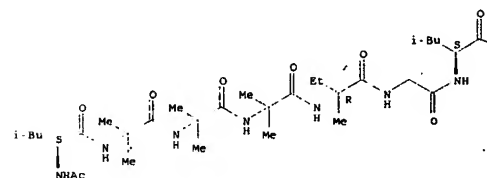
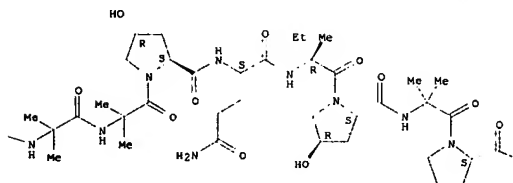
RN 280774-74-5 CAPLUS

CN L-Prolineamide, N-acetyl-L-leucyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-D-isovalylglycyl-L-leucyl-2-methylalanyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-D-isovalyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

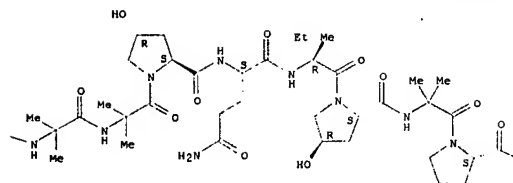
Absolute stereochemistry.

PAGE 1-A

PAGE 1-B



PAGE 1-B



PAGE 1-C

Electron-rich aromatic residues stabilized cis amide bond formation, while electron-poor aroms. relatively favored trans amide bond formation. A Hammett correlation between aromatic electronics and cis-trans isomerisation was observed. These results indicate that the interaction between aromatic residues and proline, which is observed to stabilize cis amide bonds and is also a general stabilizing interaction ubiquitous in proteins and protein-protein complexes, is not stabilized exclusively by a classical hydrophobic effect. To a large extent, the aromatic-prolyl interaction is driven and controllable by an electronic effect between the aromatic ring π -electrons and the proline ring, consistent with a C-H- π interaction as the key stabilizing force. The aromatic-prolyl interaction is electronically tunable by 0.9 kcal/mol and is enthalpic in nature. In addition, by combining aromatic ring electronics and stereoelectronic effects using 4-fluoroprolines, we demonstrate broad tuning (2.0 kcal/mol) of cis-trans isomerism in tetrapeptides. We demonstrate a simple tetrapeptide, TWflpn, that exhibits 60% cis amide bond and adopts a type VIa β -turn conformation.

IT 880877-55-4

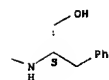
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(Electronic control of amide cis-trans isomerism via aromatic-prolyl interaction in peptides)

RN 880877-55-4 CAPLUS

CN L-Asparagine, L-threonyl-4-nitro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

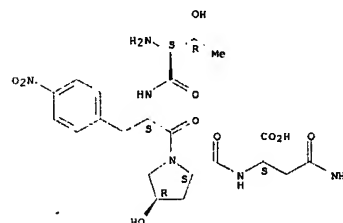
Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 39 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:94611 CAPLUS
 DOCUMENT NUMBER: 144:326551
 TITLE: Electronic Control of Amide cis-trans Isomerism via the Aromatic-Prolyl Interaction
 AUTHOR(S): Thomas, Krista M.; Naduthambi, Devan; Zondio, Neal J.
 CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Delaware, Newark, DE, 19716, USA
 SOURCE: Journal of the American Chemical Society (2006), 128(7), 2216-2217
 CODEN: JACSAT; ISSN: 0002-7863
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 144:326551

AB The cis-trans isomerization of prolyl amide bonds results in large structural and functional changes in proteins and is a rate-determining step in protein folding. We describe a novel electronic strategy to control cis-trans isomerization, based on the demonstration that interactions between aromatic residues and proline are tunable by aromatic electronics. A series of peptides of sequence TXRW, X = Trp, pyridylalanine, pentafluorophenylalanine, or 4-2-phenylalanine derivs. (2 = electron-donating, electron-withdrawing, or electron-neutral substituents), was synthesized and Xtrans/cis analyzed by NMR.

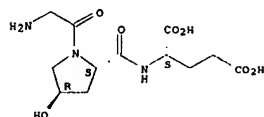


REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 40 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:87934 CAPLUS
 DOCUMENT NUMBER: 144:312324
 TITLE: New Gly-Pro-Glu (GPE) analogs: Expedite solid-phase synthesis and biological activity
 AUTHOR(S): Alonso De Diego, Sergio A.; Gutierrez-Rodriguez, Maria; Perez de Vega, M. Jesus; Casabona, Diego; Cativiela, Carlos; Gonzalez-Muniz, Rosario; Herranz, Rosario; Cenarruzabeitia, Edurne; Frechilla, Diana; Del Rio, Joaquin; Luisa Jimeno, M.; Teresa Garcia-Lopez, M.
 CORPORATE SOURCE: Instituto de Quimica Medica Juan de la Cierva, Madrid, Spain
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(5), 1392-1396

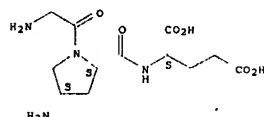
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:312324
AB A suitable solid-phase approach, based on Fmoc/tBu methodology, and on the use of 2-chlorotriethyl resin, allowed a rapid and efficient preparation of new GPE analogs. Most of the synthesized tripeptides displayed glutamate receptor binding affinity comparable to that of GPE, but only a few derivs. showed significant neuroprotective activity.
IT 32302-79-7P 879485-45-7P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(solid phase peptide synthesis; glutamate receptor binding and neuroprotective structure-activity relationship of GPE analogs)
RN 32302-79-7 CAPLUS
CN L-Glutamic acid, glycyl-(4R)-4-hydroxy-L-prolyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 879485-45-7 CAPLUS
CN L-Glutamic acid, glycyl-(4S)-4-amino-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



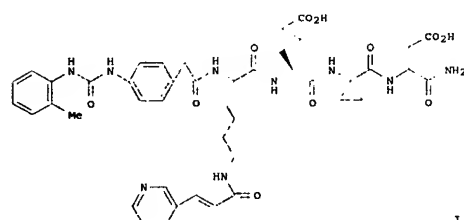
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L6 ANSWER 41 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:82591 CAPLUS
DOCUMENT NUMBER: 144:120889
TITLE: Comparison of systemic exposure to nemifide following two methods of subcutaneous administration to healthy volunteers
AUTHOR(S): Nicolau, G.; Feighner, J. P.; Stout, R.; Hlavka, J.; Gutierrez, M.; Ciric, S.; Freed, J.
CORPORATE SOURCE: Innapharma Inc. Park Ridge, NJ, USA
SOURCE: Biopharmaceutics & Drug Disposition (2005), 26(9), 379-385
CODEN: BDDIDA; ISSN: 0142-2782
PUBLISHER: John Wiley & sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

L6 ANSWER 42 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:79486 CAPLUS
DOCUMENT NUMBER: 144:150651
TITLE: Peptide library-based $\alpha\beta$ integrin ligands for imaging and therapy
INVENTOR(S): Lam, Kit S.; Liu, Ruiwu; Peng, Li
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: U.S. Pat. Appl. Publ., 92 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006019900	A1	20060126	US 2005-140548	20050526
WO 2005122379	A2	20051222	WO 2005-US18730	20050526
WO 2005122379	A3	20070208		

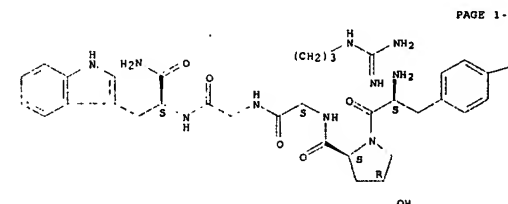
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R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, HM, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MY, NA, NO, NI, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
KZ, MD, RU, TJ, TM
US 2004-57586P P 20040527
OTHER SOURCE(S): CASREACT 144:150651; MARPAT 144:150651
G1



AB The invention provides $\alpha\beta$ integrin ligands o-RIC64HNCONH-p-C6H4CH2CO-X (R1 is H, alkyl, alkoxy, haloalkyl or halo; R2 is H, alkyl or cycloalkyl group; X is a peptide having n independently selected amino acids, at least one of which is an unnatural amino acid or a D-amino acid; n is 3-20) that display high binding affinity, specificity, and stability. Methods are provided for administering the ligands for treating cancer, inflammatory and autoimmune diseases and for imaging a tumor, organ, or tissue in a subject. Examples describe the

AB The purpose of this study was to evaluate the safety and pharmacokinetics of nemifide, a synthetic antidepressant pentapeptide, following its s.c. administration by standard needle injection or by a needle-free (Bioject) injection and to compare these two routes of administration for systemic exposure. This small-scale, randomized, single-dose, parallel design, open-label pilot study consisted of three treatment groups of four subjects each dosed as follows: group 1: 40 mg of nemifide administered by standard needle/syringe and groups 2 and 3: 40 and 80 mg nemifide, resp., administered by using a needle-free (Bioject) injection delivery system. Plasma concns. of nemifide were determined by LC/MS/MS in blood samples collected at 10 min and 0.5, 1, 2, 4, 6 and 24 h after dosing. PK parameters, including observed Cmax, Tmax and AUC0-24, were calculated and statistical anal. of the data was conducted. Safety assessments (dosing site evaluations) were done at 0.5, 1, 5 and 24 h after dosing. Vital signs and clin. laboratory tests were taken on day 1 prior to dosing and at 24 h postdose. Adverse experiences in all subjects were observed only as drug-related local reactions at the injection sites. All were considered mild in severity and transient (resolved by 24 h after dosing). Tmax was observed at 10 min after dose and was the same in all subjects. In the three dosing groups, 1 (40 mg), 2 (40 mg) and 3 (80 mg), observed Cmax values were 226, 245 and 440 ng/mL, resp., and AUC0-24 values were 108, 106 and 205 ng · h/mL, resp. Ratios of AUC0-24 and observed Cmax for nemifide in plasma between groups 1 and 2 were within the 80%-125% range, indicating that the two modes of drug administration resulted in similar systemic exposure to nemifide. Pharmacokinetic parameters (AUC0-24 and Cmax) indicate dose-proportionality between the doses of 40 and 80 mg.
IT 173240-15-8, Nemifide
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (comparison of systemic exposure to nemifide following two methods of s.c. administration to healthy volunteers)
RN 173240-15-8 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



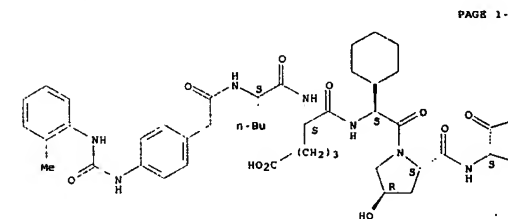
PAGE 1-A

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REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

synthesis of combinatorial peptidomimetics libraries and of ligand 1 and its conjugates with biotin and DOTA. An in vitro binding assay shows specific targeting of ligand 1 to the $\alpha\beta$ integrin receptor.
IT 874148-59-1P
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide library-based $\alpha\beta$ integrin ligands for imaging and therapy)
RN 874148-59-1 CAPLUS
CN L-Norvalinamide, N-[[4-[[[2-methylphenyl]amino]carbonyl]amino]phenyl]acetyl]-L-norleucyl-5-carboxy-L-norvalyl-(2S)-2-cyclohexylglycyl-(4R)-4-hydroxy-L-prolyl-5-carboxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



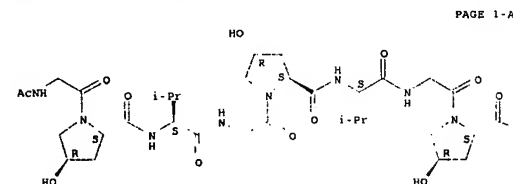
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PAGE 1-B

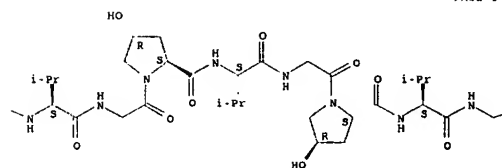
L6 ANSWER 43 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:43678 CAPLUS
DOCUMENT NUMBER: 144:269276
TITLE: Triple helical structure and stabilization of collagen-like molecules with α -(R)-hydroxyproline in the Xaa position
AUTHOR(S): Kadner, Randall J.; Klein, Teri E.
CORPORATE SOURCE: Department of Genetics, School of Medicine, Stanford University, Stanford, CA, 94305, USA
SOURCE: Biophysical Journal (2006), 90(2), 578-588
CODEN: BIOJAU; ISSN: 0006-3495
PUBLISHER: Biophysical Society

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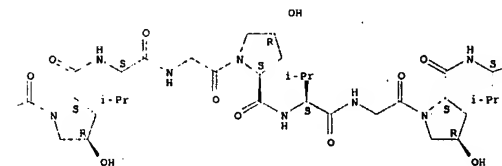
Absolute stereochemistry.



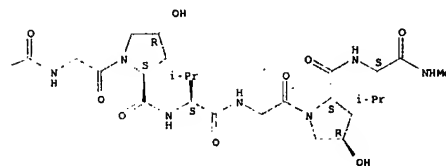
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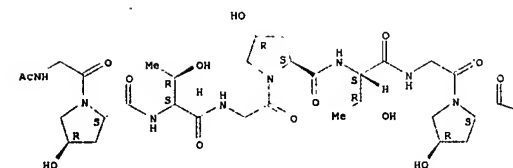
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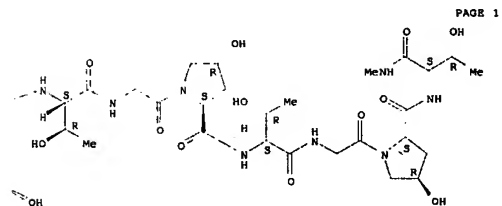
PAGE 1-D

RN	879197-38-7 CAPLUS
CN	L-Threoninamide, N-acetylglucyl-(4R)-4-hydroxy-L-prolyl-L-threonylglycyl-
	(4R)-4-hydroxy-L-prolyl-L-threonylglycyl-(4R)-4-hydroxy-L-prolyl-L-
	-threonylglycyl-(4R)-4-hydroxy-L-prolyl-L-threonylglycyl-(4R)-4-hydroxy-L-
	-prolyl-L-threonylglycyl-(4R)-4-hydroxy-L-prolyl-L-threonylglycyl-(4R)-4-
	-hydroxy-L-prolyl-L-threonylglycyl-(4R)-4-hydroxy-L-prolyl-L-threonylglycyl-
	(9CI) (CA INDEX NAME)

Absolute stereochemistry.



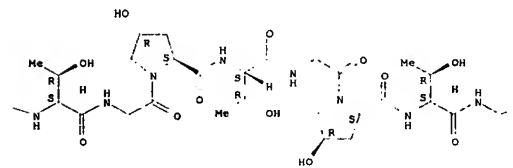
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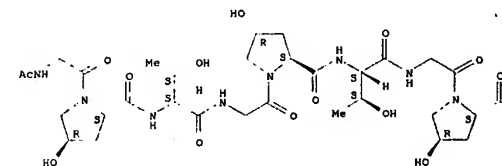
PAGE 1-D

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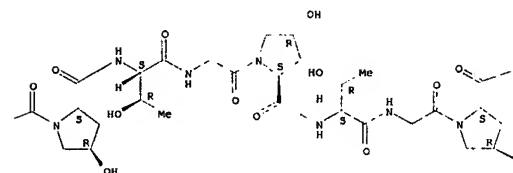
Absolute stereochemistry



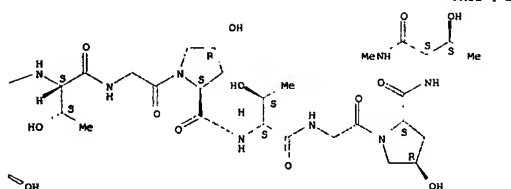
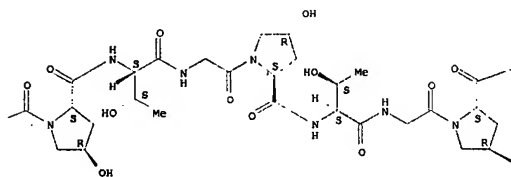
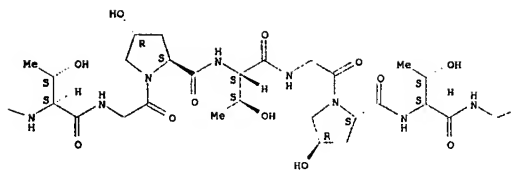
PAGE 1-B



PAGE 1-A



PAGE 1-C



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 44 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:16955 CAPLUS
 DOCUMENT NUMBER: 144:129717
 TITLE: Surface modification using surface-initiated atom

transfer radical polymerization compositions
 Messersmith, Phillip B.; Pan, Xiaowu; Lin, Lijun
 USA
 U.S. Pat. Appl. Publ., 73 pp., Cont.-in-part of U.S.
 Ser. No. 69,298.
 CODEN: USXXCO
 Patent
 English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

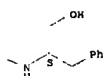
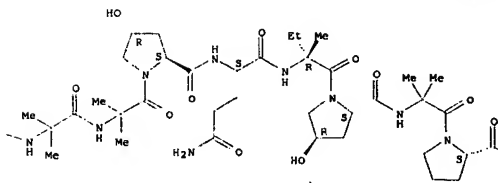
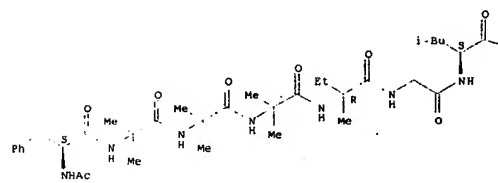
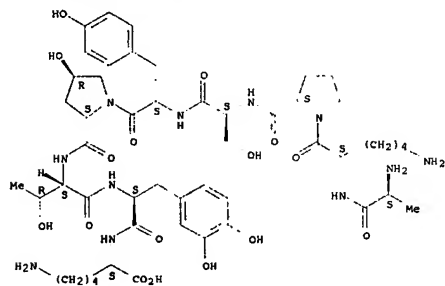
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006009550	A1	20060112	US 2005-179218	20050711
US 2003087338	A1	20030508	US 2002-199960	20020719
US 2005288398	A1	20051229	US 2005-68298	20050228
WO 2006091226	A2	20060931	WO 2005-US24642	20050711
WO 2006091226	A3	20061026		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MO, MP, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RM:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, OH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1769007	A2	20070404	EP 2005-057520	20050711
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
US 2006241281	A1	20061026	US 2005-280107	20051116
PRIORITY APPLN. INFO.:			US 2001-106750P	P 20010720
			US 2002-371919P	P 20020419
			US 2002-199960	A2 20020719
			US 2004-548314P	P 20040227
			US 2004-549259P	P 20040302
			US 2004-586742P	P 20040709
			US 2005-68298	A2 20050228
			US 2004-628359P	P 20041116
			US 2005-179218	A2 20050711
			WO 2005-US24642	W 20050711

AB The present invention are compns. which function e.g., as an adhesive, in a substantially aqueous environment where the preferred compns. generally comprise an adhesive moiety and a polymer moiety. The polymer moiety having a desired surface active effect for other desired characteristics. A surface-initiated atom transfer radical polymerization (ATRP) method for surface modification is disclosed which comprises: (a) providing a surface to be modified; (b) applying a polymerization initiator to the surface, the polymerization initiator being substantially immobile after application, the initiator comprising a catecholic-terminated alkyl halide, e.g., 2-bromo-N-[2-(3,4-dihydroxyphenyl)ethyl]propionamide; (c) reacting a monomer with the polymerization initiator to produce a surface-bound polymer by the monomer comprising alkylene oxide. Surfaces to be modified include metals, medical device, cardiovascular stent, etc. Methods of use, including atom surface-initiated transfer, radical polymerization are also included.

IT 130014-43-6DP, reaction products with activated polyoxyalkylenes
 RL: IMP (Industrial manufacture); PRP (Properties); TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (surface modification using surface-initiated atom transfer radical

polymerization compns. and applications in antifouling coating and metal nanoparticle stabilization suspension)
 RN 130014-43-6 CAPLUS
 CN L-lysine, L-alanyl-L-lysyl-L-prolyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-3-hydroxy-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 135995-68-5 CAPLUS

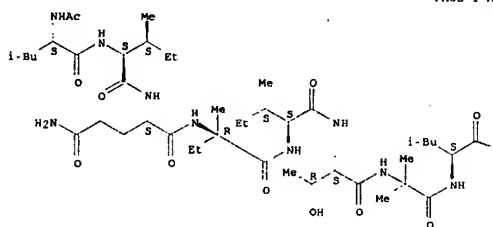
L6 ANSWER 45 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:23824 CAPLUS
 DOCUMENT NUMBER: 144:450897
 TITLE: Kernel energy method illustrated with peptides.
 [Erratum to document cited in CA144:254352]
 AUTHOR(S): Huang, Lulu; Massa, Lou; Karle, Jerome
 CORPORATE SOURCE: Laboratory for the Structure of Matter, Naval Research Laboratory, Washington, DC, 20375-5341, USA
 SOURCE: International Journal of Quantum Chemistry (2005), Volume Date 2006, 106(3), 772
 CODEN: IJOCB2; ISSN: 0020-7608
 PUBLISHER: John Wiley & Sons, Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A grant number from the National Institutes of Health was incorrectly written as RR-0307. The correct grant number should be RR-03037. The final sentence in the Acknowledgments section of this article should thus read: "L.M. thanks NIH for grants (NIHMS MBR SCORES S06060654, and RR-03037 from the National Center for Research Resources) and NSF for CREST grant support."
 IT 64347-37-1, Antiamerin I 135995-68-5
 RL: PRP (Properties)
 (kernel energy method for determining total energy of peptides (Erratum))
 RN 64347-37-1 CAPLUS
 CN Antiamerin I (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

CN L-Prolinamide, N-acetyl-L-leucyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

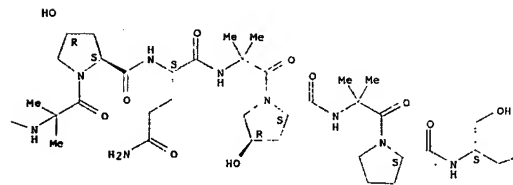
PAGE 1-C

Absolute stereochemistry.

PAGE 1-A

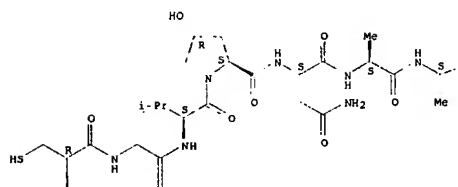


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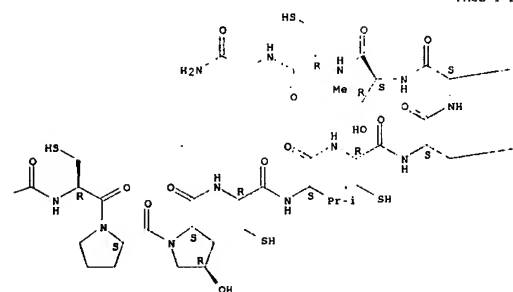


Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



PH

L6 ANSWER 46 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2006:11958 CAPLUS
DOCUMENT NUMBER: 144:268858
TITLE: Definition and Characterization of the Short
"A-Conotoxins: A Single Residue Determines
Dissociation Kinetics from the Fetal Muscle Nicotinic
Acetylcholine Receptor
AUTHOR(S): Teichert, Russell W.; Lopez-Vera, Estuardo; Gulyas,
Jozsef; Watkins, Maren; Rivier, Jean; Olivera,
Baldomero M.
CORPORATE SOURCE: Departments of Biology and Pathology, Salt Lake City,
Salt Lake City, UT, 84112, USA
SOURCE: Biochemistry (2006), 45(4), 1304-1312
CODEN: BICHAH; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We report the definition and characterization of a conotoxin subfamily,
designated the short "A-conotoxins ("AAS) and demonstrate that
all of these share the unique property of selectively antagonizing the
fetal subtype of the mammalian neuromuscular nicotinic acetylcholine
receptor (nAChR). We have characterized newly identified
"AAS-conotoxins from *Conus pergrandis* and have conducted a more
detailed characterization of "A-conotoxins previously reported from
addnl. *Conus* species. Among the results, the characterization of the
short "A-conotoxins revealed diverse kinetics of a block of the
fetal muscle nAChR, particularly in dissociation rates. The
structure-function relationships of native "AAS-conotoxins and some
analogs revealed a single amino acid locus (alternatively either His or
Pro in native peptides) that is a critical determinant of the dissociation
kinetics. The unprecedented binding selectivity for the fetal muscle
nAChR, coupled with the kinetic diversity, should make
"AAS-conotoxins useful ligands for a diverse set of studies. The
rapidly reversible peptides may be most suitable for electrophysiol.
studies, while the relatively irreversible peptides should be most useful
for binding and localization studies.
IT 162381-42-2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(short "A-conotoxin single residue detts. dissociation kinetics from
fetal muscle nicotinic acetylcholine receptor)
RN 162381-42-2 CAPLUS
CN Glycinamide, L-cysteinyl-L-cysteinylglycyl-L-valyl-(4R)-4-hydroxy-L-prolyl-
L-asparaginyl-L-alanyl-L-alanyl-L-cysteinyl-L-prolyl-(4R)-4-hydroxy-L-
prolyl-L-cysteinyl-L-valyl-L-cysteinyl-L-asparaginyl-L-lysyl-L-threonyl-L-
cysteinyl- (9CI) (CA INDEX NAME)

PAGE 1-C

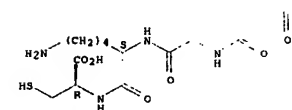
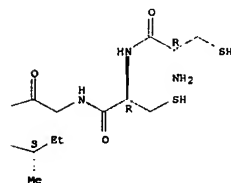
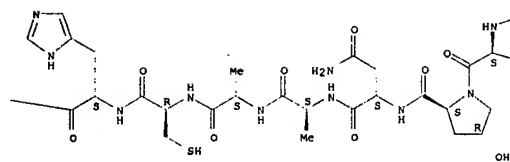
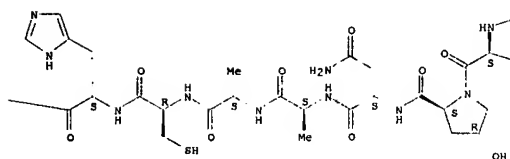
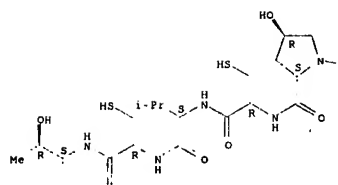
(CH₂)₄
NH₂



PAGE 2-A

IT 878062-59-0P, "A-Conotoxin Pe IVA 878063-57-1P,
"A-Conotoxin Pe IVB
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);
BIOL (Biological study); PREP (Preparation)
(short "A-conotoxin single residue detts. dissociation kinetics from
fetal muscle nicotinic acetylcholine receptor)
RN 878062-59-0 CAPLUS
CN L-Cysteine, L-cysteinyl-L-cysteinylglycyl-L-valyl-(4R)-4-hydroxy-L-prolyl-
L-asparaginyl-L-alanyl-L-alanyl-L-cysteinyl-L-histidyl-(4R)-4-hydroxy-L-
prolyl-L-cysteinyl-L-valyl-L-cysteinyl-L-threonylglycyl-L-lysyl- (9CI)
(CA INDEX NAME)

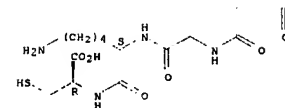
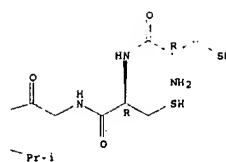
Absolute stereochemistry.



REFERENCE COUNT:

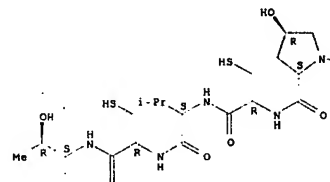
18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 47 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2005:1349167 CAPLUS
 DOCUMENT NUMBER: 144:89073
 TITLE: Polymeric adhesive compositions containing dihydroxyphenyl moieties and their uses in prevention of protein or cellular adhesion and biofouling
 INVENTOR(S): Messersmith, Phillip B.; Dalsin, Jeffrey; Lin, Lijun;



RN 878063-57-1 CAPLUS
 CN L-Cysteine, L-cysteinyll-L-cysteinyllglycyl-L-isoleucyl-(4R)-4-hydroxy-L-prolyl-L-asparaginyll-L-alanyl-L-alanyl-L-cysteinyll-L-histidyl-(4R)-4-hydroxy-L-prolyl-L-cysteinyll-L-valyl-L-cysteinyll-L-threonyllglycyl-L-lysyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

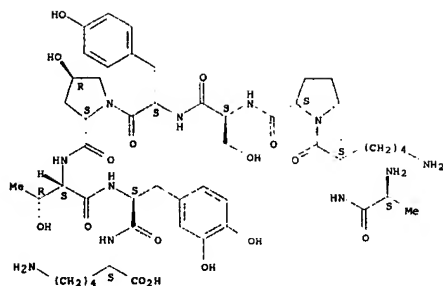


PATENT ASSIGNEE(S): Lee, Bruce P.; Huang, Kul
 SOURCE: USA
 U.S. Pat. Appl. Publ., 70 pp., Cont.-in-part of U.S. Ser. No. 199,960.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005288398	A1	20051229	US 2005-68298	20050328
US 2003087338	A1	20030508	US 2002-199960	20020719
US 2006009550	A1	20060112	US 2005-179218	20050711
US 2006241281	A1	20061026	US 2005-280107	20051116
PRIORITY APPLN. INFO.:			US 2001-306750P	P 20010720
			US 2002-373919P	P 20020419
			US 2002-199960	A2 20020719
			US 2004-548314P	P 20040227
			US 2004-549259P	P 20040302
			US 2004-586742P	P 20040709
			US 2004-628359P	P 20041116
			US 2005-68298	A2 20050228
			US 2005-179218	A2 20050711

OTHER SOURCE(S): MARPAT 144:89073
 AB The compns. generally comprise an adhesive moiety and a polymer moiety where the polymer moiety having a desired surface active effect (or other desired characteristics). In one aspect, the adhesive moiety of title compns. contains dihydroxyphenyl deriva. (e.g., DOPA) and the polymer moiety of title compns. contains polyalkylene oxides. In a preferred practice the compns. contain dihydroxyphenyl deriva. having a pendent chain comprising ethylenic or vinylic unsatn. such as alkyl acrylate. The compns. can be used as coatings to prevent protein and cellular adhesion to devices for medical and research applications.
 IT 130014-43-6DP, reaction products with activated polyalkylene oxide
 RL: IWP (Industrial manufacture); PRP (Properties); TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USSS (Uses)
 (manufacture of polymeric adhesive compns. containing dihydroxyphenyl moieties for use in prevention of protein or cellular adhesion and biofouling)
 RN 130014-43-6 CAPLUS
 CN L-lysine, L-alanyl-L-lysyl-L-prolyl-L-eryl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-3-hydroxy-L-tyrosyl- (9CI) (CA INDEX NAME)

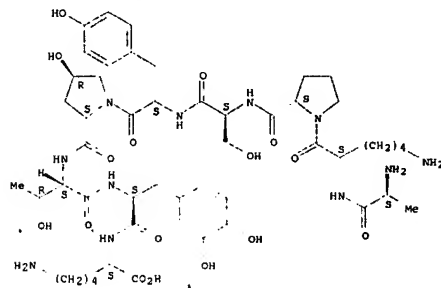
Absolute stereochemistry.



L6 ANSWER 48 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2005:1314282 CAPLUS
 DOCUMENT NUMBER: 144:52737
 TITLE: Adhesive polymeric compositions for use in substantially aqueous environment
 INVENTOR(S): Messersmith, Philip B.; Dalsin, Jeffrey; Lin, Lijun; Lee, Bruce P.; Huang, Kui
 PATENT ASSIGNER(S): Northwestern University, USA
 SOURCE: PCT Int. Appl., 130 pp.
 CODEN: PIXXDJ
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

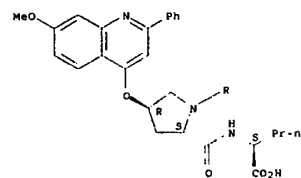
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WO 2005118831	A2	20051215	WO 2005-US6418	20050228
WO 2005118831	A3	20070628		
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RM: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA				
AU 2005250314	A1	20051215	AU 2005-250314	20050228
CA 2557330	A1	20051215	CA 2005-2557330	20050228
EP 1735456	A2	20061227	EP 2005-804747	20050228
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
JP 2007527871	T	20071004	JP 2007-500804	20050228
MX 2006PA09785	A	20061116	MX 2006-PA9785	20060828
PRIORITY APPLN. INFO.: US 2004-548314P P 20040227 US 2004-549259P P 20040302				

OTHER SOURCE(S): MARPAT 144:52737
 AB Adhesive polymeric compns. comprise dihydroxyphenyl moieties or derivs. (DHPD), e.g., methylenebis(dihydroxyphenyl) and DOPA, and polymer moieties having a desired surface active effect, e.g., polyoxyalkylenes for controlling the adhesive bonding. To form a polymeric composition, a DHPD moiety is coupled to a polymer moiety. These adhesives and polymeric compns. have many uses, including prevention of protein and/or cell adhesion to a surface in various medical, industrial and consumer applications. The DHPD adhesives can also be used as substitutes for sutures for a wound and as aids in healing bone fractures or cartilage-to-bone damage.
 IT 130014-43-6DP, reaction products with activated polyoxyalkylenes
 RL: IMP (Industrial manufacture); PRP (Properties); TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (manufacture of adhesive polymeric compns. for use in substantially aqueous environment)
 RN 130014-43-6 CAPLUS
 CN L-Lysine, L-alanyl-L-lysyl-L-prolyl-L-seryl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-3-hydroxy-L-tyrosyl- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

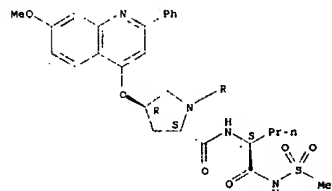


L6 ANSWER 49 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2005:1301857 CAPLUS
 DOCUMENT NUMBER: 144:192482
 TITLE: Exploration of acyl sulfonamides as carboxylic acid replacements in protease inhibitors of the hepatitis C virus full-length NS3
 AUTHOR(S): Roenn, Robert; Sabnis, Yogesh A.; Gossans, Thomas; Akerblom, Eva; Danielson, U. Helena; Hallberg, Anders; Johansson, Anja
 CORPORATE SOURCE: Department of Medicinal Chemistry, BMC, Uppsala University, Uppsala, SE-751 23, Swed.
 SOURCE: Bioorganic & Medicinal Chemistry (2006), 14(12), 544-559
 CODEN: BMECEP; ISSN: 0968-0896
 PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

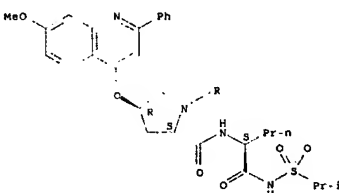
OTHER SOURCE(S): CASREACT 144:192482
 AB The hepatitis C virus (HCV) NS3 protease has emerged as a promising anti-HCV drug target. We present an investigation of NS3 inhibitors comprising the acyl sulfonamide functionality. A series of tetra- and tripeptide based acyl sulfonamide inhibitors, e.g., HO2CCH2CH2CO-CHg-Ile-Cha-Nva-NHSO2Pr-i (Chg = cyclohexylglycine residue, Cha = cyclohexylalanine residue), and their structure-activity relationships from both enzymic and cell-based in vitro assays are presented. In summary, the acidity of the acyl sulfonamide functionality, the character of the P1 side chain, and the acyl sulfonamide substituent were found to be important for the inhibitory potencies.
 IT 875105-56-9P 875105-57-0P 875105-58-1P
 875105-59-2P 875105-60-5P 875105-61-6P
 875105-62-7P 875105-63-8P 875105-64-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of peptide-based acyl sulfonamides as carboxylic acid replacements in protease inhibitors of hepatitis C virus full-length NS3)
 RN 875105-56-9 CAPLUS
 CN L-Norvaline, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



RN 875105-57-0 CAPLUS
 CN L-Norvalinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



RN 875105-58-1 CAPLUS
 CN L-Norvalinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-N-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



RN 875105-59-2 CAPLUS

PAGE 1-A

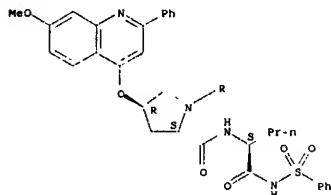
PAGE 2-A

PAGE 1-A

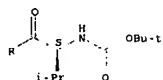
PAGE 2-A

CN L-Norvalinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



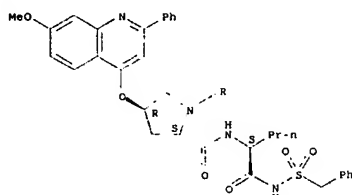
PAGE 1-A



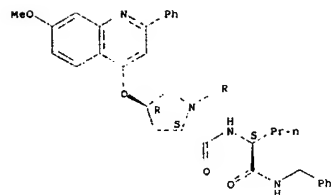
PAGE 2-A

RN 875105-60-5 CAPLUS
CN L-Norvalinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-N-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)

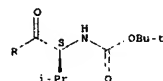
Absolute stereochemistry.



PAGE 1-A



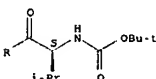
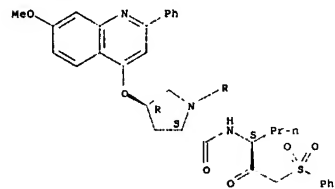
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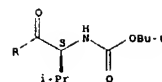
PAGE 2-A

RN 875105-63-8 CAPLUS
CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-N-[(1S)-1-[(phenylsulfonyl)acetyl]butyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



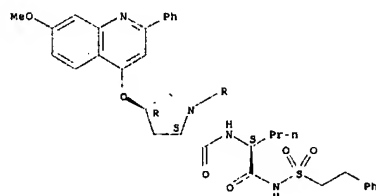
RN 875105-64-9 CAPLUS
CN L-Norvalinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-N-methyl-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



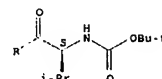
PAGE 2-A

RN 875105-61-6 CAPLUS
CN L-Norvalinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-N-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

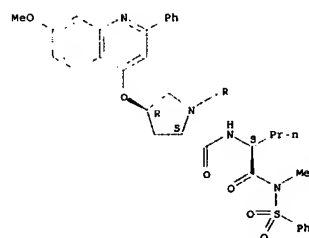


PAGE 2-A

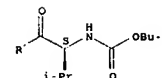
RN 875105-62-7 CAPLUS
CN L-Norvalinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.



PAGE 1-A

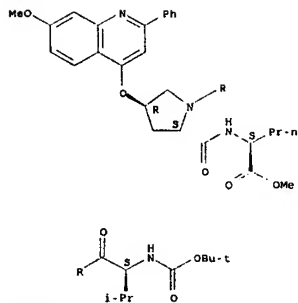


PAGE 2-A

IT 875105-55-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptide-based acyl sulfonamides as carboxylic acid replacements in protease inhibitors of hepatitis C virus full-length NS3)

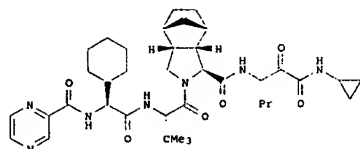
RN 875105-55-8 CAPLUS
CN L-Norvaline, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 50 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2005:1244257 CAPLUS
 DOCUMENT NUMBER: 145:83638
 TITLE: Inhibitors of hepatitis C virus NS3-4A protease:
 P2 proline variants
 AUTHOR(S): Farmer, Luc J.; Britt, Shawn D.; Cottrell, Kevin M.;
 Court, John J.; Courtney, Lawrence F.; Deining, David D.;
 Gates, Cynthia A.; Harbeson, Scott L.; Lin, Kai; Lin, Chao;
 Luong, Yu-Ping; Maxwell, John P.; Pitlik, Janos; Rao, B. Govinda;
 Schairer, Wayne C.; Thomson, John A.; Tung, Roger D.; Van Drie, John H.;
 Wei, Yunyi; Perni, Robert B.
 CORPORATE SOURCE: Vertex Pharmaceuticals Inc., Cambridge, MA, 02139, USA
 SOURCE: Letters in Drug Design & Discovery (2005), 2(7), 497-502
 CODEN: LDDDAW; ISSN: 1570-1808
 PUBLISHER: Bentham Science Publishers Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 145:83638
 GI

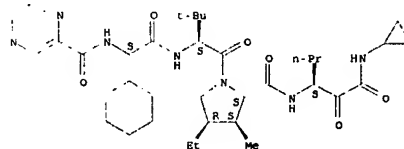


PATENT ASSIGNEE(S): Ohio University, USA
 SOURCE: PCT Int. Appl., 134 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

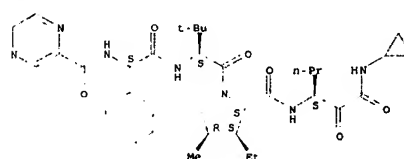
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005110015	A2	20051124	WO 2005-0511252	20050419
WO 2005110015	A3	20061221		
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BM, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2573918	A1	20051124	CA 2005-2573918	20050419
EP 1751177	A2	20070214	EP 2005-779913	20050419
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
PRIORITY APPLN. INFO.:		US 2004-563349P P 20040419 US 2005-653236P P 20050215 WO 2005-0511252 W 20050419		

OTHER SOURCE(S): MARPAT 144:1300
 AB Tyr-X-Tyr (X=any amino acid) motifs that define sites for peroxidase-catalyzed crosslinking of extensins are identified by the use of synthetic genes encoding variants of the protein. A series of genes for variants of extensins were tested for their ability to produce a good substrate for the peroxidase and suitable genes were expressed in a tobacco cell line hosts. The proteins were glycosylated in the host cell and showed expected patterns of glycosylation. Amino acid acid anal. of hydrolyzates of the crosslinked extensins identified diisodityrosine as the possible crosslinking moiety.
 IT 110144-02-0
 RL: PGP (properties)
 (unclaimed sequence; synthetic gene systems for the identification of sequence requirements for crosslinking of extensins)
 RN 110144-02-0 CAPLUS
 CN L-Lysine, N2-[N-[N-[trans-4-hydroxy-1-[N-[trans-4-hydroxy-1-[trans-4-hydroxy-1-[trans-4-hydroxy-1-[trans-4-hydroxy-1-L-ethyl-L-prolyl]-L-prolyl]-L-prolyl]-L-prolyl]-L-threonyl]-L-prolyl]-L-valyl]-L-cystoyl]- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

AB A series of novel bicyclic proline P2 scaffold based tetrapeptide inhibitors were designed and prepared. Given their relatively small size, these compds. exhibited exceptional binding affinities and good cellular potencies for HCV protease. One of the best analogs, tricyclic based P2 scaffold 1, had an affinity for HCV with a Ki of 37 nM and cell activity IC50 of 200 nM.
 IT 777087-39-5P 777087-42-OP
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of P2 proline variant peptides as inhibitors of hepatitis C virus NS3 4A protease)
 RN 777087-39-5 CAPLUS
 CN L-Prolineamide, (2S)-2-cyclohexyl-N-(pyrazinylcarbonyl)glycyl-3-methyl-L-valyl-N-[(1S)-1-[(cyclopropylamino)oxoacetyl]butyl]-4-ethyl-3-methyl-, (3S,4R)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

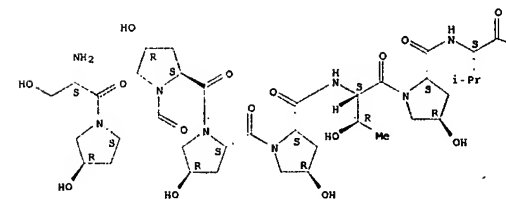


RN 777087-42-0 CAPLUS
 CN L-Prolineamide, (2S)-2-cyclohexyl-N-(pyrazinylcarbonyl)glycyl-3-methyl-L-valyl-N-[(1S)-1-[(cyclopropylamino)oxoacetyl]butyl]-3-ethyl-4-methyl-, (3S,4R)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 51 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2005:1242336 CAPLUS
 DOCUMENT NUMBER: 144:1300
 TITLE: Synthetic gene systems for the identification of sequence requirements for crosslinking of extensins
 INVENTOR(S): Kieliszewski, Marcia J.; Held, Michael; Tan, Li



PAGE 1-A



PAGE 1-B

L6 ANSWER 52 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2005:1204006 CAPLUS
 DOCUMENT NUMBER: 144:183994
 TITLE: OAG mimetic libraries: Sulphated peptide as heparin-like glycosaminoglycan mimics in their interaction with FGF-1
 AUTHOR(S): Vazquez-Campos, Socorro; St. Hilaire, Phaedria M.; Damgaard, Dorthe; Meldal, Morten
 CORPORATE SOURCE: Carlsberg Laboratory, Department of Chemistry, SPOCC Centre, Valby, DK-2500, Den.
 SOURCE: QSAR & Combinatorial Science (2005), 24(8), 923-942
 CODEN: QCSBAU; ISSN: 1611-020X
 PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 144:183994
 AB Heparin and heparan sulfate (HS) are heterogeneous, linear, polysulfated polysaccharides that are important in the regulation of a wide variety of biol. processes including blood coagulation, in cell differentiation, adhesion, invasion, migration and development, and in tumor-related cellular events such as growth regulation and metastasis. In general, heparin/HS interacts with proteins mainly through ionic interactions between its neg. charged groups and pos. charged groups on the proteins. From a mechanistic or therapeutic standpoint, it is attractive to design less complex charged mols., other than oligosaccharides, as mimics of heparin. To improve the accessibility of heparin mimics, it was assumed,

provided that the correct charge topog. could be achieved, that sulfated peptides might also act as mimics. Therefore, sulfated peptide combinatorial libraries were generated on solid support to identify novel polyanionic structures that mimic the role of heparin/HS in its binding to fibroblast growth factors (PGFs). Libraries were synthesized by direct sulfation of the peptide on solid phase or by using O-sulfonated building blocks during peptide synthesis. Quant. solid-phase O-sulfonation of hydroxy amino acid residues in a peptide chain was effected by sulfur trioxide pyridine (SO₃-Pyr) complex in anhydrous pyridine at 65 for 4 h. O-sulfonated building blocks were successfully synthesized in solution and, after stabilization of the sulfate group by complexation with tetra-Bu ammonium ions, were employed in the synthesis of sulfated peptide libraries, similar to those generated by direct O-sulfonation on solid supports. The libraries were incubated with fluorescent-labeled PGF-1 and anal. and sequence determination of active compds. was carried out using

Edman degradation. Selected sulfated peptides from the screening were resynthesized and their affinity for PGF-1 (acidic PGF) was studied in solution competition assays using surface plasmon resonance. These studies.

IT 875126-35-SP 875126-36-6P 875126-39-9P

875126-40-2P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);

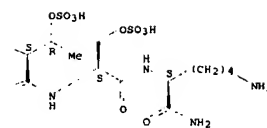
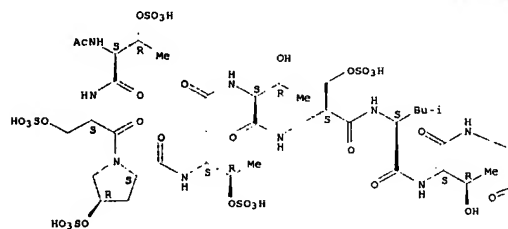
BIOL (Biological study); PREP (Preparation)

(GAG mimetic libraries in relation to sulfated peptide as heparin-like glycosaminoglycan mimics in their interaction with fibroblast growth factor (PGF-1))

RN 875126-35-6 CAPLUS

CN L-Lysinamide, N-acetyl-O-sulfo-L-threonyl-O-sulfo-L-seryl-(4R)-4-(sulfoxy)-L-prolyl-O-sulfo-L-threonyl-O-sulfo-L-seryl-L-leucyl-L-threonyl-O-sulfo-L-threonyl-O-sulfo-L-seryl- (9CI) (CA INDEX NAME)

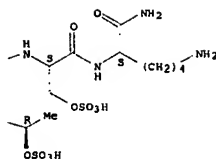
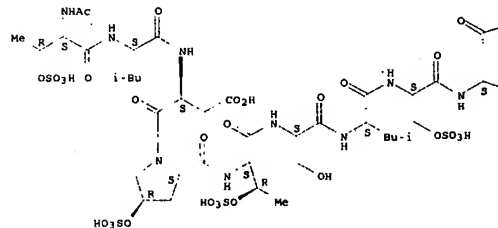
Absolute stereochemistry.



RN 875126-36-6 CAPLUS

CN L-Lysinamide, N-acetyl-O-sulfo-L-threonyl-L-leucyl-L-α-aspartyl-(4R)-4-(sulfoxy)-L-prolyl-O-sulfo-L-threonyl-L-seryl-L-leucyl-O-sulfo-L-seryl-O-sulfo-L-threonyl-O-sulfo-L-seryl- (9CI) (CA INDEX NAME)

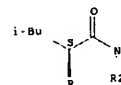
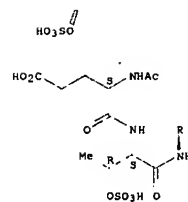
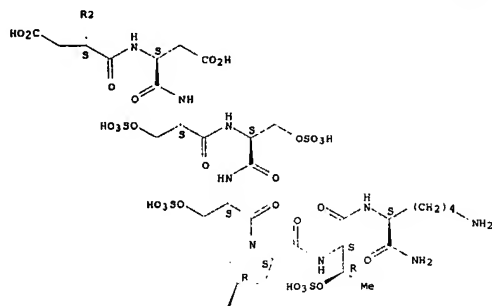
Absolute stereochemistry.



RN 875126-39-9 CAPLUS

CN L-Lysinamide, N-acetyl-L-α-glutamyl-O-sulfo-L-threonyl-L-leucyl-L-α-aspartyl-L-α-aspartyl-O-sulfo-L-seryl-O-sulfo-L-seryl-O-sulfo-L-seryl-(4R)-4-(sulfoxy)-L-prolyl-O-sulfo-L-threonyl- (9CI) (CA INDEX NAME)

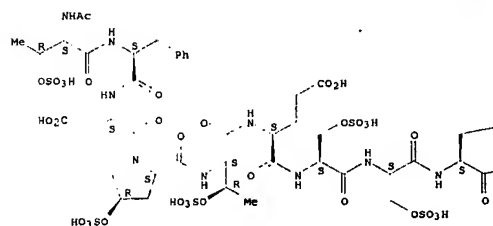
Absolute stereochemistry.

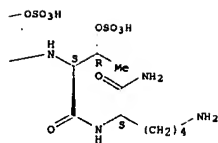


RN 875126-40-2 CAPLUS

CN L-Lysinamide, N-acetyl-O-sulfo-L-threonyl-L-phenylalanyl-L-α-aspartyl-(4R)-4-(sulfoxy)-L-prolyl-O-sulfo-L-threonyl-L-α-glutamyl-O-sulfo-L-seryl-O-sulfo-L-seryl-O-sulfo-L-seryl-O-sulfo-L-threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 53 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:1171443 CAPLUS
 DOCUMENT NUMBER: 143:432676
 TITLE: New pharmaceutical compositions for the treatment of sexual disorders
 INVENTOR(S): Mendla, Klaus; Pyke, Robert; Eisenreich, Wolfram; Friedl, Thomas
 PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharmaceuticals, Inc.; Boehringer Ingelheim Pharma GmbH & Co. KG
 SOURCE: PCT Int. Appl. 71 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
MO 2005102342	A1	20051103	WO 2005-EP4081	20050418
M:	AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HK, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005235422	A1	20051103	AU 2005-235422	20050418
CA 2563743	A1	20051103	CA 2005-2563743	20050418
EP 1740181	A1	20070110	EP 2005-736586	20050418
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			
CN 1946404	A	20070411	CN 2005-80012692	20050418



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 54 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:1050865 CAPLUS
 DOCUMENT NUMBER: 143:47172
 TITLE: Preparation of imidazoles as inhibitors of glutamyl cyclase.
 INVENTOR(S): Schilling, Stephan; Buchholz, Mirko; Niestroj, Andre Johannes; Heiser, Ulrich; Demuth, Hans-Ulrich
 PATENT ASSIGNEE(S): Probiolab AG, Germany
 SOURCE: U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Ser. No. 838,993.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005215673	A1	20050929	US 2005-51760	20050204
US 2004224875	A1	20041111	US 2004-838993	20040505
PRIORITY APPL. INFO.:			US 2004-84233P	P 20040205
			US 2004-834993	A2 20040505
			US 2004-634364P	P 20041208
			US 2003-468014P	P 20030505

OTHER SOURCE(S): CASREACT 143:347172; MARPAT 143:347172



AB Title compds. [I; A = (Ph-, cycloalkyl-interrupted) alkylene, alkenylene, alkynylene; B = NHC(X)NH2, C(X)NH2, C(X)SD, etc.; D = alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocyclyl, etc.; X = O, S, imino, (substituted) CH2], with specific exceptions, were prepared Thus, 3,4-methylenedioxyphenyl isothiocyanate and 3-(1H-imidazol-1-yl)propylamine were refluxed together for 2 h in EtOH to give 51.3% 1-(3-(1H-imidazol-1-yl)propyl)-3-(3,4-dimethoxyphenyl)thiourea. The latter showed an IC50 = 0.22 µM for inhibition of glutamyl cyclase. Peptide inhibitors of dipeptidyl peptidase IV were also prepared

IT 482449-28-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (dipeptidyl peptidase IV inhibitor; preparation of imidazoles as inhibitors of glutamyl cyclase)

CN 482449-28-0 CAPLUS
 RN L-Isoleucine, L-isoleucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

US 2005245539 A1 20051103 US 2005-110449 20050420
 IN 2006DN06048 A 20070427 IN 2006-DN06048 20061017
 MX 2006PA12059 A 20070125 MX 2006-PA12059 20061018
 KR 2007014184 A 20070131 KR 2006-724443 20061121
 PRIORITY APPL. INFO.: US 2004-564662P P 20040422
 US 2004-631800P P 20041130
 WO 2005-EP4081 W 20050418

OTHER SOURCE(S): MARPAT 143:432676

AB The invention relates to new pharmaceutical compns. for the treatment of sexual disorders and methods for the preparation thereof. In a preferred embodiment, the instant invention is directed to pharmaceutical combinations comprising flibanserin as one active ingredient in combination with at least one addnl. active ingredient for the treatment of sexual disorders and methods for the preparation thereof.

IT 204992-09-6, Netamifide
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (new pharmaceutical compns. for treatment of sexual disorders)

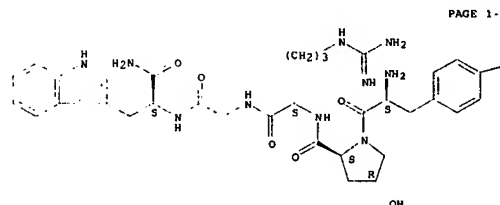
RN 204992-09-6 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 173240-15-8

CMF C33 H43 F N10 O6

Absolute stereochemistry. Rotation (-).



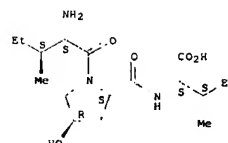
PAGE 1-A

PAGE 1-B

CM 2

CRN 76-05-1

CMF C2 H F3 O2

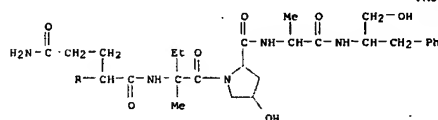


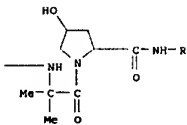
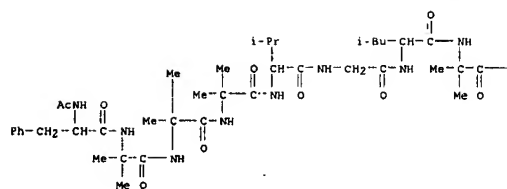
L6 ANSWER 55 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:1019486 CAPLUS
 DOCUMENT NUMBER: 144:412864
 TITLE: Application of triazine 'superactive esters' in the repetitive synthesis of oligopeptides. Part 2. Synthesis of N-terminal hexapeptide of emerimicin III
 AUTHOR(S): Kaminski, Zbigniew J.; Saleh, Bashar; Kolesinska, Beata; Redlinski, Adam; Rudzinski, Juliusz
 CORPORATE SOURCE: Institute of Organic Chemistry, Technical University of Lodz, Lodz, 90-924, Pol.
 SOURCE: Acta Polonicae Pharmaceutica (2005), 62(2), 117-120
 CODEN: APPIAX; ISSN: 0001-6837
 PUBLISHER: Polish Pharmaceutical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 144:412864
 AB N-terminal fragment of emerimicin III has been synthesized by the repetitive method in solution, involving triazine 'superactive esters'. The synthetic protocol, equivalent to the classic REMA procedure, has been applied in the step by step approach, and in the fragment coupling affording all the peptide bonds. By monitoring the progress of the synthesis by FAB-MS, 1H-NMR and HPLC, the structure and high purity of the final products have been confirmed.

IT 52931-42-7DP, Emerimicin III, N-terminal hexapeptide fragment
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of N-terminal hexapeptide fragment of emerimicin III by repetitive method in solution involving triazine 'superactive esters')

RN 52931-42-7 CAPLUS
 CN Emerimicin III (9CI) (CA INDEX NAME)

PAGE 1-A





REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 56 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:1012142 CAPLUS
 DOCUMENT NUMBER: 143:440735
 TITLE: Investigation of the Binding Determinants of Phosphopeptides Targeted to the Src Homology 2 Domain of the Signal Transducer and Activator of Transcription 3. Development of a High-Affinity Peptide Inhibitor
 AUTHOR(S): Coleman, David R.; Ren, Zhiyong; Mandal, Pijus K.; Cameron, Arlin G.; Dyer, Garrett A.; Muranjan, Seema; Campbell, Martin; Chen, Xiaomin; McMurray, John S.
 CORPORATE SOURCE: Department of Neuro-Oncology, Department of Biochemistry and Molecular Biology, Department of Molecular Pathology and The Graduate School of Biomedical Sciences, The University of Texas M. D. Anderson Cancer Center, Houston, TX, 77030, USA
 SOURCE: Journal of Medicinal Chemistry (2005), 48(21), 6681-6690
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 143:440735
 AB As part of their research on the design of Src homol. 2 (SH2) directed peptidomimetic inhibitors of Stat3, the authors, here, describe structure-activity relationship studies that provide information on the nature of peptide-protein interactions of a high-affinity phosphopeptide. Ac-Tyr(PO3H2)-Leu-Pro-Gln-Thr-Val-NH2 (peptide 1), inhibitor of Stat3 dimerization and DNA binding. There is a hydrophobic surface on the SH2

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OH, OI, PH, PL, PT, RD, RU, SC, SD, SE, SG, SH, SI, SJ, SV, TJ, TM, TN, TR, TT, TZ, UA, UB, UC, UD, UE, UF, UG, UH, UI, UJ, UK, UL, UM, UN, UO, UP, UQ, UR, US, UT, UV, UW, UX, UY, UZ, VA, VB, VC, VD, VE, VF, VG, VH, VI, VJ, VK, VL, VM, VN, VO, VP, VQ, VR, VS, VT, VU, VV, VW, VX, VY, VZ, WA, WB, WC, WD, WE, WF, WG, WH, WI, WJ, WK, WL, WM, WN, WO, WP, WQ, WR, WS, WT, WU, WV, WW, WX, WY, WZ, XA, XB, XC, XD, XE, XF, XG, XH, XI, XJ, XK, XL, XM, XN, XO, XP, XQ, XR, XS, XT, XU, XV, XW, XX, XY, XZ, YA, YB, YC, YD, YE, YF, YG, YH, YI, YJ, YK, YL, YM, YN, YO, YP, YQ, YR, YS, YT, YU, YV, YW, YX, YY, YZ, ZA, ZB, ZC, ZD, ZE, ZF, ZG, ZH, ZI, ZJ, ZK, ZL, ZM, ZN, ZO, ZP, ZQ, ZR, ZS, ZT, ZU, ZV, ZW, ZX, ZY, ZZ
 AU 200521985 A1 20050915 AU 2005-219859 20050224
 CA 2557322 A1 20050915 CA 2005-2557322 20050224
 US 2005267043 A1 20051201 US 2005-65572 20050224
 US 7205330 B2 20070417
 EP 1730165 A1 20061213 EP 2005-724112 20050224
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU
 CN 1950393 A 20070418 CN 2005-80013016 20050224
 MX 2006PA09814 A 20061030 MX 2006-PA9814 20060828
 US 2007142301 A1 20070621 US 2006-645181 20061221
 US 2004-548251P P 20040227
 US 2005-65572 A3 20050224
 WO 2005-US6502 W 20050224
 PRIORITY APPLN. INFO.:
 OTHER SOURCE(S): MARPAT 143:306546
 OI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention discloses compds. 1 (I) is H, OR8, NR9R10 or CNR9R10, where R8, R9 and R10 are independently H, alkyl, aryl, cycloalkyl, etc.; A, M are independently R, OR, NR, NR', SR, SO2R or halo; or A and M form a ring; B is CH or CR; L is CH, CR, CH2CR or CRCH2; R, R', R2, R3 are independently H, alkyl, cycloalkyl, aryl, heteroaryl, etc. or NRN' is heterocyclyl; Y is R4CR5R6-G-, where G is NH or O, R4 is alkyl, acyl, carbalkoxy, sulfamoyl, etc.; R5, R6 are independently H, alkyl, cycloalkyl, aryl, heteroaryl, etc.; including stereoisomers, pharmaceutically-acceptable salts or esters, etc., which have hepatitis C virus (HCV) protease inhibitory activity and includes methods for their synthesis and use in the treatment of disorders associated with the HCV protease. Synthetic examples and tables showing products of the invention along with Ki values are given. Thus, peptide 11, prepared by a multistep procedure involving peptide coupling in solution, showed Ki = 5 nM for inhibition of HCV protease.

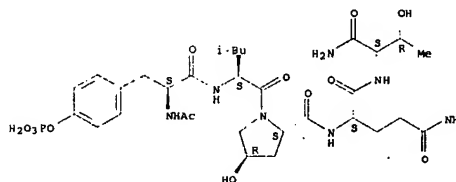
IT 864806-93-9P 864807-54-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 RN 864806-93-9 CAPLUS
 CN L-Prolinamide, (2S)-2-cyclohexyl-N-[[[(1S)-1-[(1,1-dimethylethoxy)carbonyl]-2,2-dimethylpropyl]amino]carbonyl]glycyl-N-(3-amino-1-(cyclopropylmethyl)-2,3-dioxopropyl)-4,4-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

domain that can accommodate lipophilic groups on the N-terminus. Of the amino acids tested, leucine provided the highest affinity at pV1 and its main chain NH is involved with a hydrogen bond with Stat3, presumably Ser636. Cis-3,4-Methanoproline is optimal as a backbone constraint at pV2. The side chain amide protons of Gln are required for high-affinity interactions. The C-terminal dipeptide, Thr-Val, can be replaced with groups ranging in size from Me to benzyl. The authors synthesized a phosphopeptide incorporating groups that provided increases in affinity at each position. Thus, Ph(CH2)2CO-Tyr(PO3H2)-Leu-cis-3,4-methanopro-Gln-NMCH2Ph was the highest affinity peptide, exhibiting an IC50 of 125 nM vs. 290 nM for peptide 1 in a fluorescence polarization assay.

IT 868523-48-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and structure-activity relationships of phosphopeptides as inhibitors of Src homol. 2 domain of Stat3)
 RN 868523-48-2 CAPLUS
 CN L-Threoninamide, N-acetyl-O-phosphono-L-tyrosyl-L-leucyl-(4R)-4-hydroxy-L-propyl-L-glutaminy- (9CI) (CA INDEX NAME)

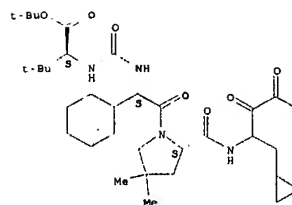
Absolute stereochemistry.



REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

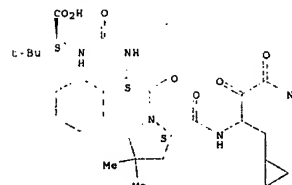
L6 ANSWER 57 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:1004768 CAPLUS
 DOCUMENT NUMBER: 143:306546
 TITLE: Preparation of peptides as inhibitors of hepatitis C virus NS3 protease
 INVENTOR(S): Eogen, Stephane L.; Pan, Weidong; Ruan, Sumei; Chen, Kevin X.; Arasappan, Ashok; Venkatesh, Srikanth; Nair, Latha G.; Sannigrahi, Mousumi; Bennett, Frank; Saksena, Anil K.; Njoroge, P. George; Girijavallabhan, Viyyoor M.
 PATENT ASSIGNEE(S): Schering Corporation, USA
 SOURCE: PCT Int. Appl., 570 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005085275	A1	20050915	WO 2005-US6502	20050224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				



RN 864807-54-5 CAPLUS
 CN L-Prolinamide, (2S)-N-[[[(1S)-1-carboxy-2,2-dimethylpropyl]amino]carbonyl]-2-cyclohexylglycyl-N-[[3-amino-1-(cyclopropylmethyl)-2,3-dioxopropyl]-4,4-dimethyl- (9CI) (CA INDEX NAME)

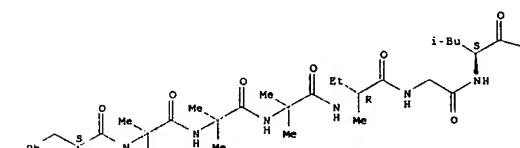
Absolute stereochemistry.

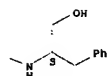
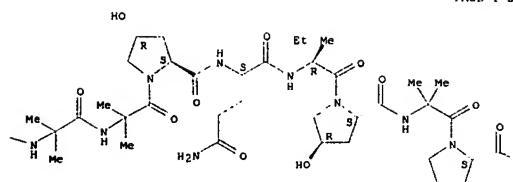


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

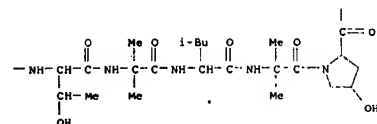
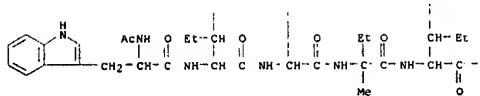
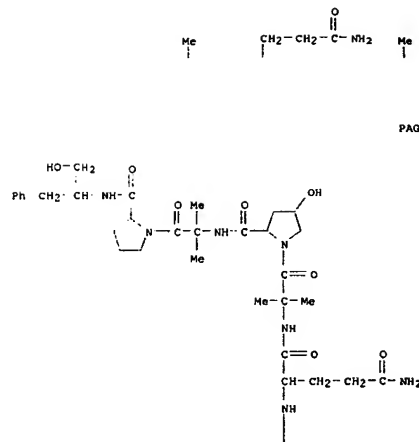
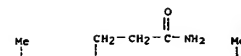
L6 ANSWER 58 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:1004550 CAPLUS
 DOCUMENT NUMBER: 143:311967
 TITLE: Compositions for treating psychiatric disorders with COX-2 inhibitors alone and in combination with antidepressant agents
 INVENTOR(S): Stephenson, Diane; Taylor, Duncan P.
 PATENT ASSIGNEE(S): Pharmacia Corporation, USA
 SOURCE: PCT Int. Appl., 200 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005084654	A2	20050915	WO 2005-US6818	20050302
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				



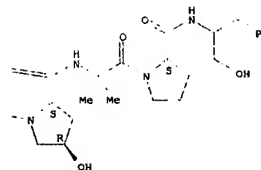
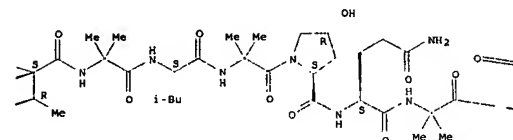
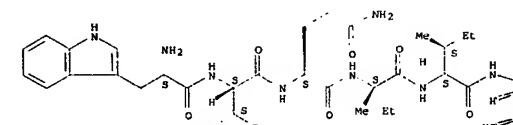


RN 79395-85-0 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)



RN 168784-47-2 CAPLUS
CN L-Prolinamide, L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THIS RE FORMAT

L6 ANSWER 61 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STM
ACCESSION NUMBER: 2005:696517 CAPLUS
DOCUMENT NUMBER: 143:186770
TITLE: Glutamyl cyclase inhibitors optionally combined with other agents for the treatment of neuronal disorders
INVENTOR(S): Schulz, Ingo; Schilling, Stephan; Niestroj, Andre Johannes; Heiser, Ulrich; Demuth, Hans-Ulrich; Rossner, Steffen
PATENT ASSIGNEE(S): Probiobio AG, Germany
SOURCE: U.S. Pat. Appl. Publ., 70 pp., Cont.-in-part of U.S. Ser. No. 976,677.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005171112	A1	20050804	US 2004-2169	20041202
US 2005137142	A1	20050623	US 2004-976677	20041029
US 2006100253	A1	20060611	US 2005-290735	20051130
WO 2006058720	A2	20060608	WO 2005-EP12765	20051130
WO 2006058720	A3	20060727		
W: AE, AO, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BM, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, A2, BY, KG, KZ, MD, RU, TJ, TM				
EP 1824846	A2	20070829	EP 2005-826439	20051130
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRIORITY APPLN. INFO.:				
			US 2003-516717P	P 20031103
			US 2004-976677	A2 20041029
			US 2004-2169	A2 20041202
			US 2005-684137P	P 20050524
			WO 2005-EP12765	W 20051130

OTHER SOURCE(S): MARPAT 143:186770

AB The invention provides a method for the treatment of neuronal disorders in a mammal, e.g. a human, which comprises administering an effective, nontoxic and pharmaceutically acceptable amount of at least one glutamyl cyclase inhibitor, optionally in combination with at least one agent selected from the group consisting of: dipeptidyl peptidase IV/DP IV-like enzymes, NPY-receptor ligands, NPY agonists, NPY antagonists, acetylcholinesterase inhibitors, protein isoprenyltransferase enhancers, inhibitors of β -secretases, inhibitors of γ -secretases and inhibitors of neutral endopeptidase, to a mammal in need thereof.

IT 482349-28-0

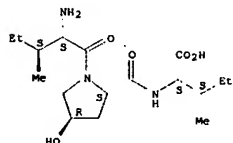
RL: PRP (Properties)

(glutamyl cyclase inhibitors optionally combined with other agents for treatment of neuronal disorders)

RN 482349-28-0 CAPLUS

CN L-Isoleucine, L-isoleucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 62 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:654963 CAPLUS

DOCUMENT NUMBER: 143:320446

TITLE:

A Novel Conotoxin from *Conus delessertii* with

Posttranslationally Modified Lysine Residues

AUTHOR(S):

Aguilar, Manuel B.; Lopez-Vera, Estuardo; Ortiz, Ernesto; Becerril, Baltazar; Possani, Lourival D.; Olivera, Baldomero M.; Heimer de la Cotera, Edgar P. Laboratory of Marine Neuropharmacology, Institute of Neurobiology, Universidad Nacional Autonoma de Mexico, Juriquilla Oco., 76230, Mex.

CORPORATE SOURCE:

SOURCE:

Biochemistry (2005), 44(33), 11130-11136

CODEN: BICHAW; ISSN: 0006-2960

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

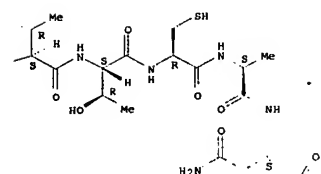
LANGUAGE:

English

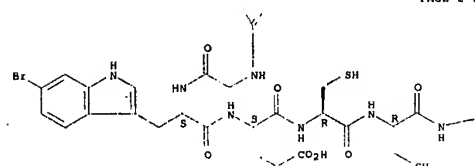
AB A major peptide, del3a from the crude venom of *Conus delessertii* collected in the Yucatan Channel, Mexico, was purified. The peptide had a high content of posttranslationally modified amino acids, including 6-bromotryptophan and a nonstandard amino acid that proved to be 5-hydroxylysine. This is the first report of 5-hydroxylysine residues in conotoxins. The sequence anal., together with cDNA cloning and a mass determination (monoisotopic mass of 3486.76 Da), established that the mature toxin

has the sequence DCOTSCOTTGANGWECCKGVNKKACSGGTH*, where O is 4-hydroxyproline, W 6-bromotryptophan, and X 5-hydroxylysine, the asterisk represents the amidated C-terminus, and the calculated monoisotopic mass is 3487.09 Da. The eight Cys residues are arranged in a pattern (C-C-C-CC-C-C) not described previously in conotoxins. This arrangement, for which we propose the designation of framework #13 or XIII, differs from the ones (C-C-CC-CC-C-C and C-C-C-CC-C-C) present in other conotoxins which also contain eight Cys residues. This peptide thus

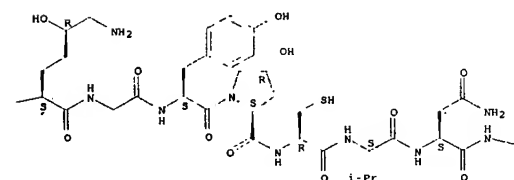
PAGE 1-B



PAGE 2-B



PAGE 2-C



defines a novel class of conotoxins, with a new posttranslational modification not previously found in other *Conus* peptide families.

IT 865315-84-0P, Conotoxin de 13a (reduced)

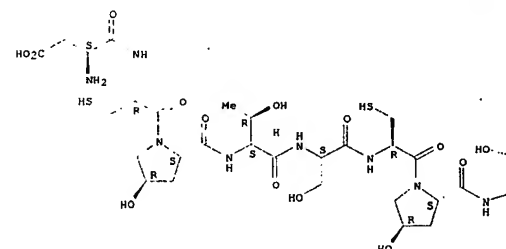
RL: BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation) (amino acid sequence; novel conotoxin from *Conus delessertii* with posttranslationally modified lysine residues)

RN 865315-84-0 CAPLUS

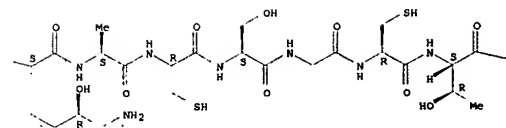
CN L-Histidinamide, L- α -aspartyl-L-cysteinyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L- α -eryl-L-cysteinyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-L-cysteinyl-L-alanyl-L-asparaginyglycyl-6-bromo-L-tryptophyl-L- α -glutamyl-L-cysteinyl-L-cysteinyl-(5R)-5-hydroxy-L-lysylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-cysteinyl-L-valyl-L-asparaginyglycyl-(5R)-5-hydroxy-L-lysyl-L-alanyl-L-cysteinyl-L-erylglycyl-L-cysteinyl-L-threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

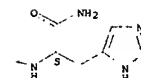
PAGE 1-A



PAGE 2-D



PAGE 2-E



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 63 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:548412 CAPLUS

DOCUMENT NUMBER: 143:210482

TITLE:

Fungal biosynthesis of non-ribosomal peptide antibiotics and α , α -dialkylated amino acid constituents

AUTHOR(S):

Raap, Jan; Erkelens, Kees; Ogrel, Andrey; Skladnev, Dmitri A.; Brueckner, Hans

CORPORATE SOURCE:

Leiden Institute of Chemistry, Gorlaeus Laboratories, Leiden University, Leiden, Neth.

SOURCE:

Journal of Peptide Science (2005), 11(6), 331-338

PUBLISHER:

CODEN: JPBIET; ISSN: 1075-2617

DOCUMENT TYPE:

John Wiley & Sons Ltd.

LANGUAGE:

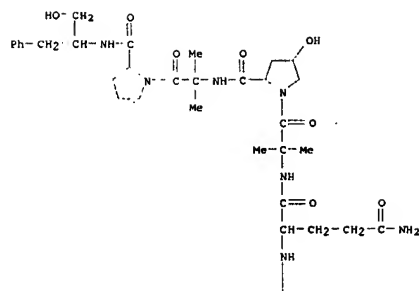
Journal

AB Zervamicins (Zrv) IIA and IIB are membrane modifying peptide antibiotics of fungal origin, characterized by a sequence of 15 amino acid residues. The primary structure of Zrv-IIA contains five α -aminoisobutyric acid residues at positions 4, 7, 9, 12 and 14 of the linear peptide. The sequence of Zrv-IIB is similar, but contains a D-isovaline at position 4.

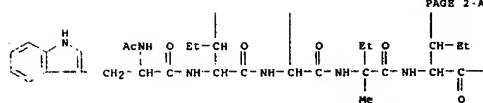
When the free amino acid Aib was added to the peptone-glucose culture medium, the fungus *Emericellopsis salmosynnemata* produced Zrv-IIA as the major secondary metabolite, whereas addition of DL-Iva to the culture led to a high production of Zrv-IIB. This observation is rationalized by a lack of selectivity of the non-ribosomal peptide synthetase with respect to the thiolester activated amino acid substrates during step 12 of peptide synthesis. Anal. of the configuration of the Iva residue of Zrv-IIB showed a high enantiomeric purity of the D enantiomer, indicating a high stereoselectivity of the peptide synthetase for this substrate. When the culture was supplemented with [15N]DL-Iva, the nitrogen isotope was not only found at the D-Iva residue, but surprisingly also at the Aib residues as well as at the proteinogenic residues of Zrv. The partial catabolism of exogenous [15N]DL-Iva is explained by the assumption of a decarboxylation-dependent transamination reaction, catalyzed by 2,2-dimethylglycine decarboxylase. The same enzyme might also be involved in the reversed carboxylation reactions of acetone and 2-butanone, during the anabolic biosynthesis of Aib and Iva, resp., Zrv might possibly act as a thermodyn. sink to shift these equilibrium reactions towards the reversed side.

IT 79395-85-OP 79395-86-IP
 RL: BMP (Bioindustrial manufacture); BSU (Biological study, unclassified); BIOL (Biological study); PREP (Preparation)
 (fungal biosynthesis of non-ribosomal peptide antibiotics and α , ω -dialkylated amino acid constituents)
 RN 79395-85-0 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutaminy-L-D-isovaleryl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutaminy-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

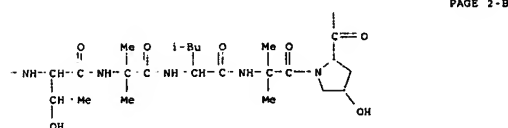
PAGE 1-A



PAGE 1-B



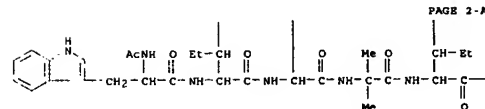
PAGE 2-A



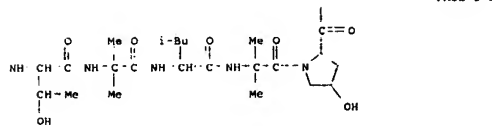
PAGE 2-B

RN 79395-86-1 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutaminy-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutaminy-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9C1) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



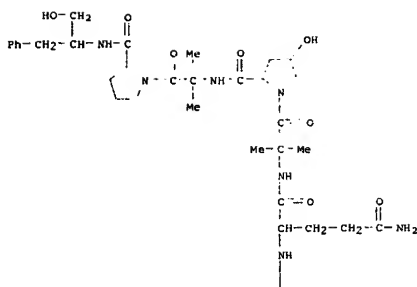
PAGE 2-B

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 64 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2005:516281 CAPLUS
 DOCUMENT NUMBER: 143:38421
 TITLE: Use of D4 and 5-HT_{2A} antagonists, inverse agonists or partial agonists
 INVENTOR(S): Buntinx, Erik
 PATENT ASSIGNEE(S): B&B Beheer N. V., Belg.
 SOURCE: Eur. Pat. Appl., 145 pp.
 CODEN: EPAXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1541197	A1	20050615	EP 2004-25035	20041021
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
EP 1547650	A1	20050629	EP 2003-447279	20031202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
EP 1576985	A1	20050921	EP 2004-447066	20040318
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
JP 2005194263	A	20050721	JP 2004-349085	20041104
US 2005203130	A1	20050915	US 2004-984683	20041109
CA 2487529	A1	20050602	CA 2004-2487529	20041115
CA 2547639	A1	20050616	CA 2004-2547639	20041202
WO 2005053796	A1	20050616	WO 2004-BE172	20041202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HT, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, TJ, TM, TN, TR, TT, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AN				

PAGE 1-B



AZ, BY, KO, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG

EP 1708790 A1 20061011 EP 2004-801138 20041202

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU

JP 2007513095 T 20070524 JP 2006-541759 20041202

US 2007078162 A1 20070405 US 2006-580962 20060531

PRIORITY APPLN. INFO.: EP 2003-447279 A 20031202

EP 2004-447001 A 20040105

EP 2004-447066 A 20040318

CA 2003-2451798 A 20031202

US 2003-725965 A2 20031202

US 2004-752423 A2 20040106

CA 2004-2461248 A 20040318

US 2004-803793 A2 20040318

EP 2004-25015 A 20041021

JP 2004-349085 A 20041104

US 2004-984683 A 20041109

CA 2004-2487529 A 20041115

WO 2004-BE172 W 20041202

AB The present invention relates to the use of compds. and compns. of compds. having D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic activity for the treatment of the underlying dysregulation of the emotional functionality of mental disorders (i.e. affect instability-hypersensitivity-hyperesthesia-dissociative phenomena-etc.). The invention also relates to methods comprising administering to a patient diagnosed as having a neuropsychiatric disorder, a pharmaceutical composition containing (i) compds. having D4 antagonistic, partial agonistic or inverse agonistic activity and (ii) compds. having 5-HT2A antagonistic, partial agonistic or inverse agonistic, and (iii) any known medicinal compound and compns. of said compds. The combined D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic effects may reside within the same chemical or biol. compound or in two different chemical and/or biol. compds.

IT 204992-09-6, INN00835

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL. (Biological study); USES (Uses)

(use of D4 and 5-HT2A antagonists or inverse agonists or partial agonists in treatment of emotional dysregulation in mental disorders combined with other agents)

RN 204992-09-6 CAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 173240-15-8

CMF C33 H43 F N10 O6

Absolute stereochemistry. Rotation (-).

Absolute stereochemistry. Rotation (-).

TJ, TM, TN, TR, TT, TZ, UA, UO, US, UZ, VC, VN, YU, ZA, ZM, ZW

RN: BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KY, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG

CA 2546290 A1 20050609 CA 2004-2546290 20041119

US 2005164921 A1 20050728 US 2004-993394 20041119

US 7253160 B2 20070807

EP 1689770 A1 20060816 EP 2004-811791 20041119

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU

CN 1982216 A 20061012 CN 2004-80039947 20041119

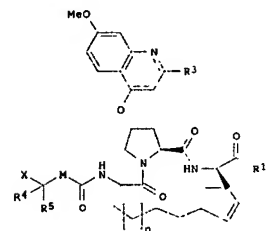
MX 2006PA5683 A 20061214 MX 2004-PA5683 20060519

PRIORITY APPLN. INFO.: US 2003-523715P P 20031120

WO 2004-US91911 W 20041119

OTHER SOURCE(S): MARPAT 143:44074

GI



AB The invention discloses novel depeptidized compds., e.g., I [M = O, NH or CH2; n = 0-4; R1 = OR6, NR6R7 or NHO2R6, where R6, R7 are H, alkyl, heteroalkyl, cycloalkyl, aryl, heterocyclyl, hydroxyl, amino, etc.; R4, R5 = H, alkyl, aryl or cycloalkyl or combine to form a ring; X = a sulfonyl substituted group, succinimido, thiazolyl S,S-dioxide deriva., etc.; R3 = aryl, heterocyclyl or heteroaryl] or a pharmaceutically-acceptable salt, solvate or ester, which have HCV protease inhibitory activity as well as pharmaceutical compns. for the treatment of disorders associated with the HCV protease. Thus, I [R4R5CX-M = (S)-MeSO2NMeCH2CH(CMe3)NH, n = 1, R1 = OH, R3 = 2-(isopropylamino)-4-thiazolyl] was prepared by coupling reaction of intermediate isocyanate (S)-MeSO2NMeCH2CH(CMe3)NCO with amino-substituted precursor.

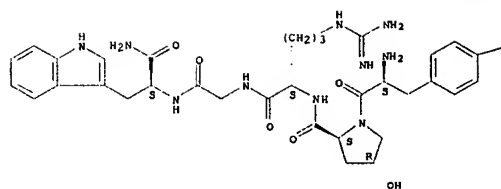
IT 853653-74-4P 853653-85-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL. (Biological study); PREP (Preparation); USES (Uses)

(preparation of prolyl depeptidized inhibitors of hepatitis C virus NS3 protease)

RN 853653-74-4 CAPLUS

CN Butanoic acid, N-[[[1(10)-1-[[3,4-dihydro-1-oxo-2(1H)-isoquinolinyl]methyl]-2,2-dimethylpropyl]amino]carbonyl]-2-methyl-L-valyl-(4R)-4-[[7-methoxy-2-[[2-[[1-methylethylamino]-4-thiazolyl]-4-quinolinyl]oxy]-L-prolyl]-2-amino-



PAGE 1-B

CM 2

CRN 76-05-1

CMF C2 H F3 O2



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 65 OF 551 CAPLUS COPYRIGHT 2007 ACS on STM

ACCESSION NUMBER: 2005:490377 CAPLUS

DOCUMENT NUMBER: 143:44074

TITLE: *Preparation of depeptidized inhibitors of hepatitis C virus NS3 protease

INVENTOR(S): Venkatraman, Srikanth; Girijavallabhan, Viyyoor M.

PATENT ASSIGNEE(S): Schering Corporation, USA; Njoroge, F. George

SOURCE: PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

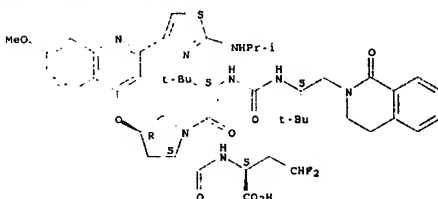
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051980	A1	20050609	WO 2004-US91911	20041119
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HD, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV,			

4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

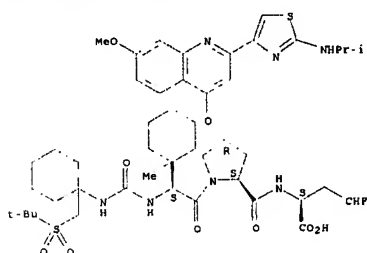
Absolute stereochemistry.



RN 853653-85-7 CAPLUS

CN Butanoic acid, (2S)-N-[[[1-[[[1,1-dimethylethyl]sulfonyl]methyl]cyclohexyl]amino]carbonyl]-2-[[1-methylcyclohexyl]glycyl]-4R)-4-[[7-methoxy-2-[[2-[[1-methylethylamino]-4-thiazolyl]-4-quinolinyl]oxy]-L-prolyl]-2-amino-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 66 OF 551 CAPLUS COPYRIGHT 2007 ACS on STM

ACCESSION NUMBER: 2005:478864 CAPLUS

DOCUMENT NUMBER: 144:254352

TITLE: Kernel energy method illustrated with peptides

AUTHOR(S): Huang, Lulu; Massa, Lou; Karle, Jerome

CORPORATE SOURCE: Laboratory for the Structure of Matter, Naval Research Laboratory, Washington, DC, 20375-5341, USA

SOURCE: International Journal of Quantum Chemistry (2005), 103(6), 808-817

CODEN: IJQCB2; ISSN: 0020-7608

PUBLISHER: John Wiley & Sons, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We describe a kernel energy method (KEM) for applying quantum crystallog. to large molcs., with an emphasis on the calcn. of the mol. energy of peptides. The computational difficulty of representing the system increases only modestly with the number of atoms. The calcons. are carried out on modern parallel supercomputers. By adopting the approximation that a full biol. mol. can be represented by smaller "kernels" of atoms, the calcons. are greatly simplified. Moreover, collections of kernels are, from a computational point of view, well suited for parallel computation. The result is a modest increase in computational time as the number of atoms increases, while retaining the ab initio character of the calcons. We describe a test of our method, and establish its accuracy using 15 different peptides of biol. interest.

IT 64347-37-1, Antiamebin I 135995-68-5

RL: PRP (Properties)

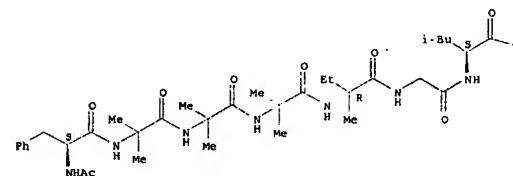
(kernel energy method for determining total energy of peptides)

RN 64347-37-1 CAPLUS

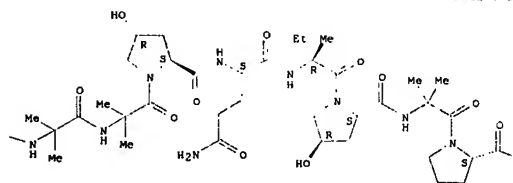
CN Antiamebin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.

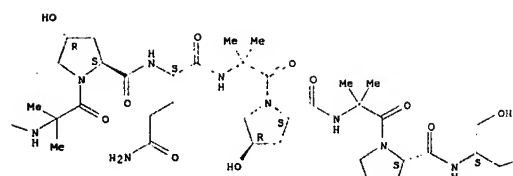
PAGE 1-A



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PAGE 1-B



PAGE 1-C

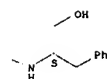
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REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 67 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:471952 CAPLUS
DOCUMENT NUMBER: 143:20035
TITLE: Combinations useful for the treatment of neuronal disorders
INVENTOR(S): Schulz, Ingo; Schilling, Stephan; Niestroj, Andre
PATENT ASSIGNEE(S): Johannes, Demuth, Hans-Ulrich; Rosaner, Steffen
SOURCE: Probiobio A.G., Germany
PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049027	A2	20050602	WO 2004-EP12301	20041029
WO 2005049027	A3	20060112		

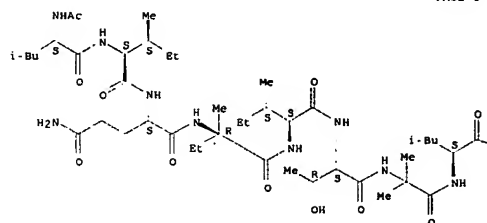
M: AR, AQ, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,



RN 135995-68-5 CAPLUS
CN L-Prolineamide, N-acetyl-L-leucyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-1(18)-1-(hydroxymethyl)-2-phenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

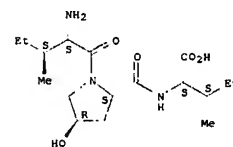


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RW: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NS, SW, TD, TG
AU 2004290499 A1 20050602 AU 2004-290499 20041029
CA 2544573 A1 20050602 CA 2004-2544573 20041029
EP 1680120 A2 20060719 EP 2004-791058 20041029
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
JP 2007509898 T 20070419 JP 2006-537220 20041029
IN 2006KN01290 A 20070427 IN 2006-KN1290 20060516
PRIORITY APPLN. INFO.: US 2003-516717P P 20031103
WO 2004-EP12301 M 20041029

OTHER SOURCE(S): MARPAT 143:20035
AB The present invention provides a method for the treatment of neuronal disorders, in a mammal such as a human, which method comprises administering an effective, non-toxic and pharmaceutically acceptable amount of at least one glutaminyl cyclase (OC)-inhibitor, optionally in combination with at least one agent, selected from the group consisting of prolyl endopeptidase inhibitor (PEP)-inhibitors, inhibitors of dipeptidyl peptidase IV (DP IV)/DP IV-like enzymes, NPY-receptor ligands, NPY agonists, NPY antagonists, acetylcholinesterase (ACE)-inhibitors, protein isopropyl carboxymethyl transferase (PIMT) enhancers, inhibitors of β -secretases, inhibitors of γ -secretases and inhibitors of neutral endopeptidase, to a mammal in need thereof.

IT 482349-28-0
NL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dipeptidyl peptidase IV inhibitor; treatment of neuronal disorders using glutaminyl cyclase inhibitors in combination with other agents)
RN 482349-28-0 CAPLUS
CN L-isoleucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 68 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:422154 CAPLUS
DOCUMENT NUMBER: 143:133674
TITLE: Proline Editing: A Divergent Strategy for the Synthesis of Conformationally Diverse Peptides
AUTHOR(S): Thomas, Krista M.; Naduthambi, Devan; Trifirya, Gasirat; Zondlo, Neal J.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Delaware, Newark, DE, 19716, USA
SOURCE: Organic Letters (2005), 7(12), 2397-2400
CODEN: ORLEP7; ISSN: 1523-7060

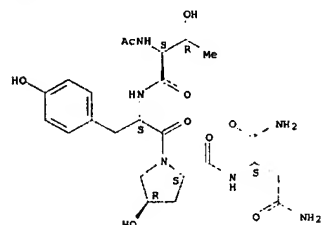
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:133674

AB Strong conformational biases in peptides and proteins can be achieved with 4-substituted proline residues (cis-, trans-, or disubstituted fluoroproline or hydroxyproline). The practical, divergent synthesis of peptides containing these residues, via postsynthetic modification of a peptide containing an internal trans-hydroxyproline residue, is described. Significant differences in the conformations of the peptides MeCO-Thr-Tyr-Xaa-Aun-NH₂ (Xaa = trans-4-fluoro-L-proline, cis-4-fluoro-L-proline, 4,4-difluoro-L-proline, cis-4-hydroxy-L-proline, 4-oxo-L-proline, trans-4-hydroxy-L-proline) were observed, including Ktrans/cis values, which varied from 1.5 (Xaa = cis-4-fluoro-L-proline) to 7.0 (Xaa = trans-4-fluoro-L-proline).

IT 858604-59-8P 858604-67-8P 858604-71-4P
RL: PKP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and conformations of peptides containing substituted proline residues)

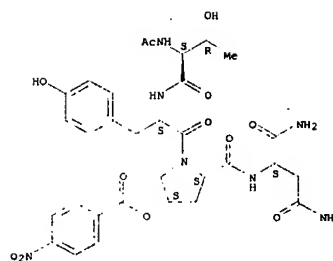
RN 858604-59-8 CAPLUS
CN L-Aspartamide, N-acetyl-L-threonyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



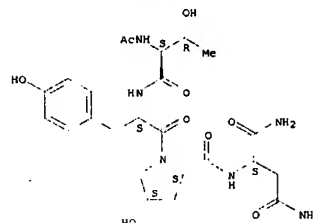
RN 858604-67-8 CAPLUS
CN L-Aspartamide, N-acetyl-L-threonyl-L-tyrosyl-(4S)-4-[(4-nitrobenzoyl)oxy]-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 858604-71-4 CAPLUS
CN L-Aspartamide, N-acetyl-L-threonyl-L-tyrosyl-(4S)-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



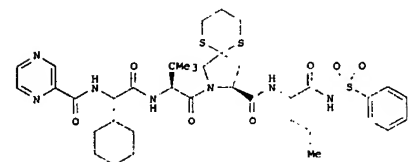
REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 69 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2005:371262 CAPLUS
DOCUMENT NUMBER: 142:411659
TITLE: Preparation of peptides as inhibitors of serine proteases, particularly HCV NS3-NS4A protease
INVENTOR(S): Cottrell, Kevin M.; Perni, Robert B.; Pitlik, Janos
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 166 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2005037860 A2 20050428 WO 2004-0533238 20041008
WO 2005037860 A1 20051110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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AU 2004282148 A1 20050428 AU 2004-282148 20041008
CA 2541634 A1 20050428 CA 2004-2541634 20041008
EP 1692157 A2 20060823 EP 2004-794554 20041008
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CN 1906208 A 20070131 CN 2004-80034568 20041008
US 2005137140 A1 20050623 US 2004-964214 20041012
US 7208600 B2 20070424
MX 2006PA04006 A 20060628 MX 2006-PA4006 20060410
IN 2006KN0908 A 20070420 IN 2006-KN908 20060412
NO 200602101 A 20060705 NO 2006-2101 20060510
US 2007161789 A1 20070712 US 2007-716248 20070309
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WO 2004-0533238 W 20041008
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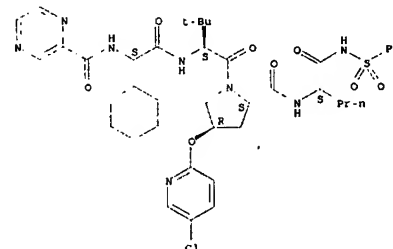
PRIORITY APPLN. INFO.:
OTHER SOURCE(S): CASREACT 142:411659; MARPAT 142:411659
OI



AB The invention relates to compds. T-R-V-NRACR1R1'CONR2CR13R13'CONR12CR11R11'CONR4CR5R5'W [W is CO, SO1-2 or CR'2 (R' is H, alkyl, cycloalkyl, aryl, etc. or CR'2 is a ring); R is CO, SO1-2, imino, O or a bond; T is (un)substituted aryl, cycloalkyl, heterocyclyl, heteroaryl, sulfamoylalkyl, NR172 (R17 is H, alkyl, cycloalkyl, aryl, etc.), etc.; W is CONHSO1-2R17 or CONHSO1-2NR172; R5, R5' are independently H or (hetero)alkyl optionally substituted by halogen, sulfhydryl or hydroxy; R1, R1', R2, R4, R8, R11, R12, R13, R13' are independently H, (un)substituted (hetero)alk(en)yl, aryl, heterocyclyl, heteroaryl, etc. or adjacent groups may form a ring] or their pharmaceutically-acceptable salts that inhibit serine protease activity, particularly the activity of hepatitis C virus (HCV) NS3-NS4A protease. The invention further relates to processes for preparing these compds. and to pharmaceutical compns. containing them. Thus, peptide I was prepared via peptide coupling reaction in solution and showed Ki > 5 µM for inhibition of HCV NS3-NS4A protease.

IT 850497-37-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Preparation of peptides as inhibitors of serine proteases, particularly HCV NS3-NS4A protease)
RN 850497-37-9 CAPLUS
CN L-Norvalinamide, (2S)-2-cyclohexyl-N-(pyrazinylcarbonyl)glycyl-3-methyl-L-valyl-(4R)-4-[(5-chloro-2-pyridinyl)oxy]-L-prolyl-N-(phenylsulfonfyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 70 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2005:374170 CAPLUS
DOCUMENT NUMBER: 142:374112
TITLE: Preparation of cyclic peptides as novel melanocortin receptor agonists
INVENTOR(S): Conde-Frieboes, Kilian Waldemar; Senfuss, Ulrich; Madsen, Kjeld; Johansen, Nils Langeland; Christensen, Leif; Hansen, Thomas Kruse; Wulff, Birgitte Schjellerup
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2005030797 A2 20050407 WO 2004-DK657 20040929
WO 2005030797 A3 20050909

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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SN, TD, TO

AU 2004275928	A1	20050407	AU 2004-275928	20040929
CA 2539596	A1	20050407	CA 2004-2539596	20040929
EP 1670815	A2	20060621	EP 2004-762877	20040929

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

CN 1860128	A	20061108	CN 2004-80028482	20040929
BR 2004014890	A	20061212	BR 2004-14890	20040929
MX 2006PA03474	A	20060605	MX 2006-PA3474	20060328
IN 2006DN01697	A	20070413	IN 2006-DN1697	20060328
US 2007027091	A1	20070201	US 2006-278014	20060330

PRIORITY APPLN. INFO.: DK 2003-1417 A 20030930
WO 2004-DK657 W 20040929

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HO

OTHER SOURCE(S):

MARPAT 142:374112

AB Small cyclic peptides having 7-12 amino acid residues of formula
X1-X2-X3-X4-X5-X6-X7-R1 [X1 is Nle or X-Nle, where X is an amino acid or a
di-, tri-, tetra- or pentapeptide; the N-terminal amino group of X1 may be
acylated with RCO, where R is alkyl or alkenyl optionally substituted with
one or more hydroxy or amino groups; X2 is Glu, Asp, Cys, homoCys, Lys,
Orn, Dab [(S)-2,4-diaminobutyric acid] or Dap [(S)-2,3-diaminopropionic
acid]; X3 is Cit (citrulline), Dab, Dap, cyclohexylglycine,
cyclohexylalanine, Val, Ile, tert-butylglycine, Leu, Tyr, Glu, Ala, Nle,
Met, Met(O), Met(O2), Gln, Gln(alkyl), Gln(aryl), Asn, Asn(alkyl),
Asn(aryl), Ser, Thr, Cys, Pro, Hyp, Tic (3-carboxy-1,2,3,4-
tetrahydroisoquinoline), 2-, 3- or 4-PyAla (pyridylalanyl), [2- or
3-thienyl]alanine, (4-thiazolyl)Ala, (2- or 3-furyl)alanine or Phe, where
the Ph moiety of Phe is optionally substituted by halogen, hydroxy,
alkoxy, nitro, benzoyl, Me, trifluoromethyl, amino or cyano; X4 is D-Phe
or substituted derivative; X5 is Arg; X6 is Trp, 2-Nal (naphthylalanine),
(3-benzob[thienyl]alanine or (S)-2,3,4,9-tetrahydro-1H-β-carboline-3-
carboxylic acid; X7 is Glu, Asp, Cys, homoCys, Lys, Orn, Dab or Dap; there
is a disulfide or amide bond between X2 and X7; R1 is NH2, alkylamino, OH
or alkoxy; the alkyl group may be substituted by amino or hydroxy groups],
or their pharmaceutically-acceptable salts or prodrugs, are MC4 receptor
agonists and thus useful in the treatment of obesity and related diseases.
Thus, Ac-Nle-cyclo[Glu-3-PyAla-D-Phe-Arg-Trp-Lys]-NH2 was prepared by the
solid-phase method.

IT 849205-27-2P 849205-28-3P 849205-29-4P
849205-30-7P 849205-31-8P 849205-32-9P
849205-33-0P 849205-34-1P

RL: PAC (Pharmacological activity); SPM (Synthetic preparation); TWU
[Therapeutic use]; BIOL (Biological study); PREP (Preparation); USES
(Uses)

(Preparation of cyclic peptides as novel melanocortin receptor agonists)

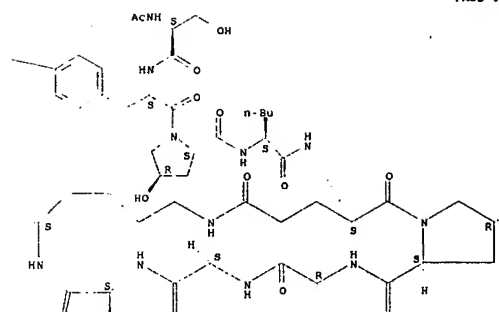
RN 849205-27-2 CAPLUS

CN L-Lysinamide, N-acetyl-L-seryl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-
norleucyl-L-α-glutamyl-(4R)-4-hydroxy-L-prolyl-D-phenylalanyl-L-
arginyl-L-tryptophyl-, (5-10)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B



PAGE 1-C

PAGE 1-A

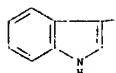
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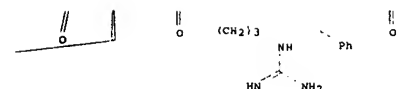


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PAGE 1-B



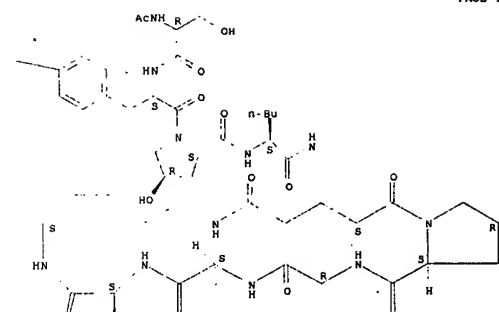
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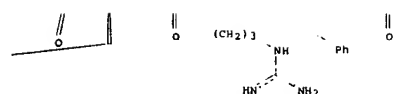
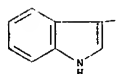
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CN L-Lysinamide, N-acetyl-L-seryl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-
norleucyl-L-α-glutamyl-(4R)-4-hydroxy-L-prolyl-D-phenylalanyl-L-
arginyl-L-tryptophyl-, (5-10)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

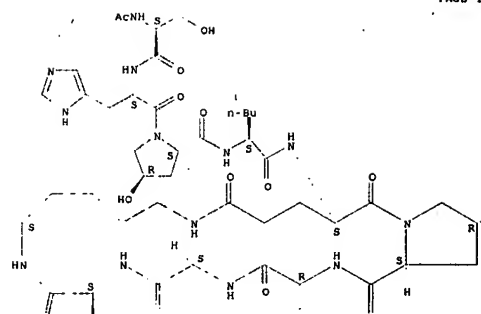


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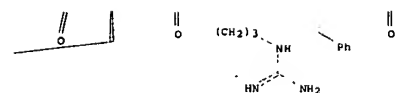
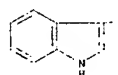


RN 849205-29-4 CAPLUS
 CN L-Lysinamide, N-acetyl-L-seryl-L-histidyl-(4R)-4-hydroxy-L-prolyl-L-norleucyl-L-α-glutamyl-(4R)-4-hydroxy-L-prolyl-D-phenylalanyl-L-arginyl-L-tryptophyl-, (5-10)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

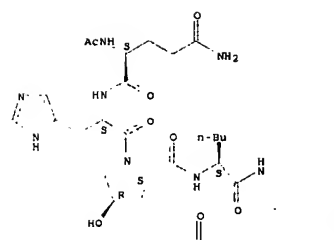


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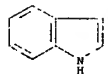


RN 849205-30-7 CAPLUS
 CN L-Lysinamide, N2-acetyl-L-glutamyl-L-histidyl-(4R)-4-hydroxy-L-prolyl-L-norleucyl-L-α-glutamyl-(4R)-4-hydroxy-L-prolyl-D-phenylalanyl-L-arginyl-L-tryptophyl-, (5-10)-lactam (9CI) (CA INDEX NAME)

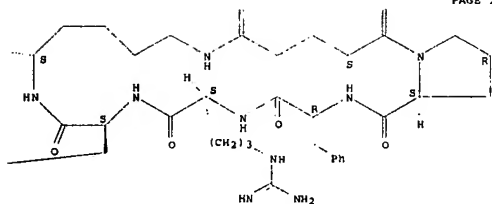
Absolute stereochemistry.



PAGE 2-A



PAGE 2-B

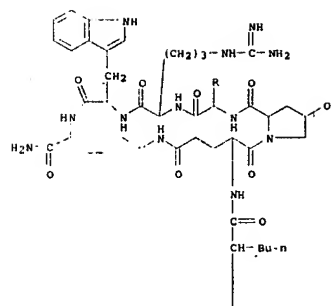


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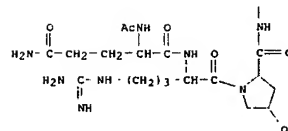
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RN 849205-31-8 CAPLUS
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PAGE 1-A



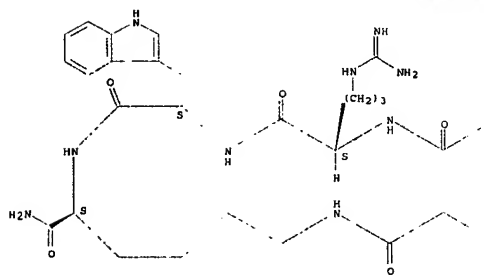
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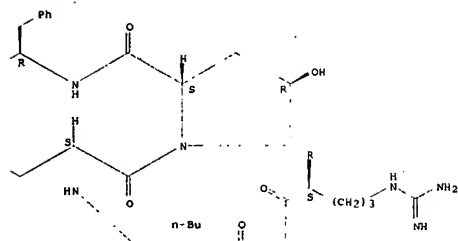
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Absolute stereochemistry.

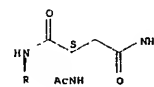
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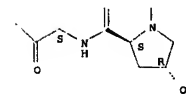
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PAGE 2-A



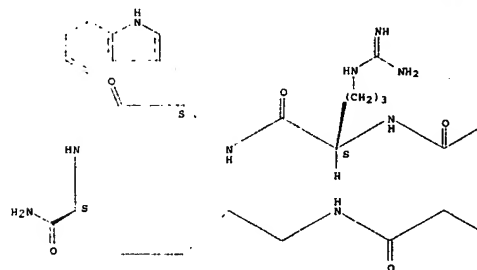
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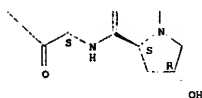
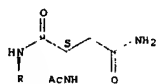
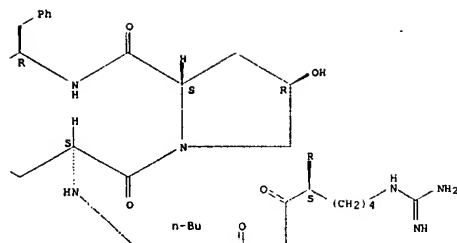


RN 849205-33-0 CAPLUS
 CN L-Lysinamide, N2-acetyl-L-asparagyl-N6-(aminoiminomethyl)-L-lysyl-(4R)-4-hydroxy-L-prolyl-L-norleucyl-L-α-glutamyl-(4R)-4-hydroxy-L-prolyl-D-phenylalanyl-L-arginyl-L-tryptophyl-, (5-10)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



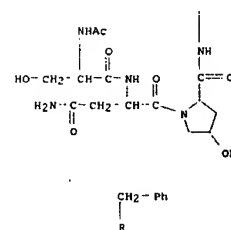
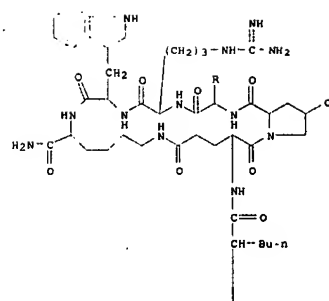
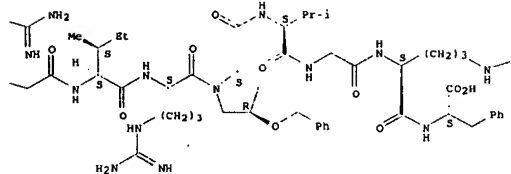
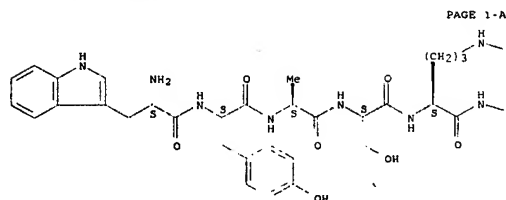


RN 849205-34-1 CAPLUS
CN L-Lysinamide, N-acetyl-D-seryl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl-L-norleucyl-L-D-glutamyl-(4R)-4-hydroxy-L-prolyl-D-phenylalanyl-L-arginyl-L-tryptophyl-, (5-10)-lactam (9CI) (CA INDEX NAME)

AB An investigation of a series of single replacement analogs of PrRP-(19-31)-peptide has shown that good functional activity was retained when Phe11 was replaced with His(Bzl), Phe(4Cl), Nle, Trp, Cys(Bzl) or Glu(OBzl); when Val28 or Ile25 was replaced with Phe; when Gly24 was replaced with D-Ala, L-Ala, Pro or Sar; when Ser22 was replaced with Gly and when Ala21 was replaced with Thr or MeAla. The results confirm that the functionally important residues are located within the carboxyl terminal segment, -Ile-Arg-Pro-Val-Gly-Arg-Phe-NH2.

IT 848484-22-0 848484-23-1
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(structure-activity studies on prolactin-releasing peptide (PrRP) and analogs of PrRP-(19-31)-peptide)
RN 848484-22-0 CAPLUS
CN L-Phenylalanine, L-tryptophyl-L-tyrosyl-L-alanyl-L-seryl-L-arginylglycyl-L-isoleucyl-L-arginyl-(4R)-4-(phenylmethoxy)-L-prolyl-L-valylglycyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

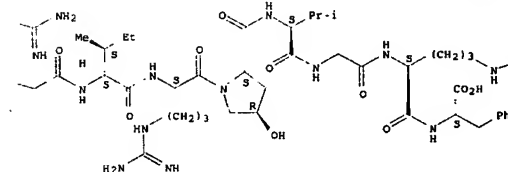
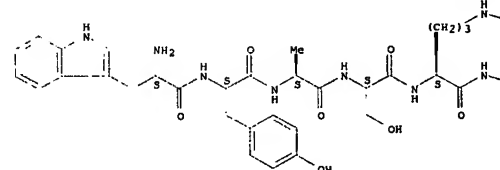


LE ANSWER 71 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:250226 CAPLUS
DOCUMENT NUMBER: 142:129986
TITLE: Structure-activity studies on prolactin-releasing peptide (PrRP) and Analogues of PrRP-(19-31)-peptide
AUTHOR(S): Boyle, Robert G.; Downham, Robert; Ganguly, Tanmoy; Humphries, John; Smith, Jeff; Travers, Stuart
CORPORATE SOURCE: Millennium Pharmaceuticals Limited, Cambridge, CB1 6ST, UK
SOURCE: Journal of Peptide Science (2005), 11(3), 161-165
CODEN: JPSTET; ISSN: 1075-1075
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English



RN 848484-23-1 CAPLUS
CN L-Phenylalanine, L-tryptophyl-L-tyrosyl-L-alanyl-L-seryl-L-arginylglycyl-L-isoleucyl-L-arginyl-(4R)-4-hydroxy-L-prolyl-L-valylglycyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 72 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:23894 CAPLUS
DOCUMENT NUMBER: 142:280426
TITLE: Preparation of peptidyl heterocyclic ketone derivatives
INVENTOR(S): Breslav, Michael; Harris, Bruce D.; Kenney, Birdella; Roessler, Armin; Villani, Frank; Weigl, Ulrich; Zhang-Plaaker, Fan; Zhong, Hua
PATENT ASSIGNEE(S): Janesen Pharmaceutica, N. V., Belg.; Maier, Thomas
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023804	A1	20050317	WO 2004-UG25143	20040802
W: AR, AO, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2004270648	A1	20050317	AU 2004-270648	20040802
EP 1660493	A1	20060531	EP 2004-780047	20040802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007501250	T	20070125	JP 2006-522693	20040802
IN 2006KN00292	A	20070803	IN 2006-KN292	20060208
PRIORITY APPLN. INFO.:			US 2003-492646P	P 20030805
			US 2004-566374P	P 20040429
			WO 2004-US25143	W 20040802

OTHER SOURCE(S): CASREACT 142:280426; MARPAT 142:280426
AB The invention relates to novel processes for the preparation of peptidyl heterocyclic ketones H2NC(=NH)NH(CH2)nCR1(RR-ALCO-E IA is (un)substituted cycloalkylcarbonyl, norbornene carbonyl, norbornene carbonyl, adamantane carbonyl, arylcarbonyl, heteroarylcarbonyl, pyridylcarbonyl, an amino acid, etc.; R, R1 are independently H or alkyl; n is 2-5; E is (un)substituted heterocyclyl of defined structure) or their pharmaceutically-acceptable salts which are potent and selective inhibitors of tryptase and are useful for the treatment and prevention of inflammatory diseases associated with the respiratory tract, e.g., asthma and allergic rhinitis. Thus, (2S,4R)-1-acetyl-N-[(1S)-1-(2-benzothiazolylcarbonyl)-4-[(imino(aminomethyl)amino)butyl]-4-hydroxypyrrolidine-2-carboxamide (IIa) was prepared by treating [(1S)-1-(benzyloxycarbonylaminoiminomethyl)-2-oxopiperidin-3-yl]carbamate tert-Bu ester (synthesized from L-arginine) with benzothiazole in the presence of tert-butylmagnesium bromide in THF, N-acetyl-L-proline in the presence of morpholine in MeCN, and concentrated HBr. The crystal structures of IIa nitrate

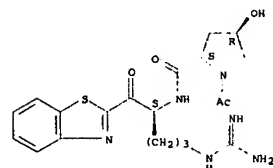


RN 847169-51-1 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]-4-hydroxy-, (2S,4R)-, sulfate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 287182-50-7
CMP C20 H26 N6 O4 S

Absolute stereochemistry.



CM 2

CRN 7664-93-9
CMP H2 O4 S



IT 287182-51-8P 608145-22-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Preparation of peptidyl heterocyclic ketone deriva.)
RN 287182-51-8 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]-4-hydroxy-, (2S,4R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

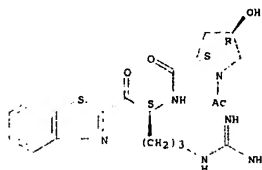
CM 1

CRN 287182-50-7
CMP C20 H26 N6 O4 S

Absolute stereochemistry.

and sulfate salts were determined
IT 287182-50-7P
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(crystal structure; preparation of peptidyl heterocyclic ketone deriva.)
RN 287182-50-7 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]-4-hydroxy-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

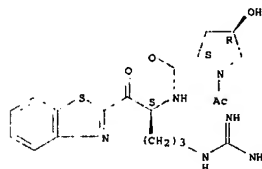


IT 607393-14-6P 847169-51-1P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystal structure; preparation of peptidyl heterocyclic ketone deriva.)
RN 607393-14-6 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]-4-hydroxy-, (2S,4R)-, mononitrate (salt) (9CI) (CA INDEX NAME)

CM 1

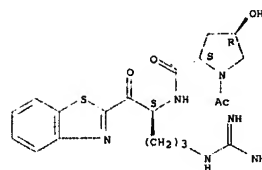
CRN 287182-50-7
CMP C20 H26 N6 O4 S

Absolute stereochemistry.



CM 2

CRN 7697-37-2
CMP H N O3



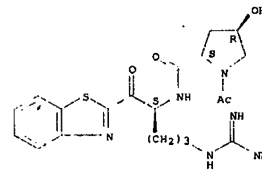
CM 2

CRN 76-05-1
CMP C2 H F3 O2



RN 608145-22-8 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]-4-hydroxy-, monohydrochloride, (2S,4R)- (9CI) (CA INDEX NAME)

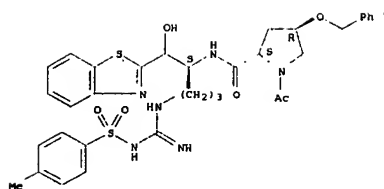
Absolute stereochemistry.



● HCl

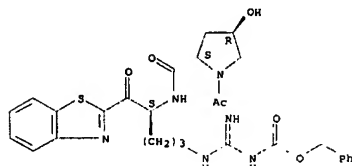
IT 287182-89-2P 847169-43-1P 847169-49-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Preparation of peptidyl heterocyclic ketone deriva.)
RN 287182-89-2 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-1-(2-benzothiazolylhydroxymethyl)-4-[(imino[(4-methylphenyl)sulfonyl]amino)methyl]amino)butyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



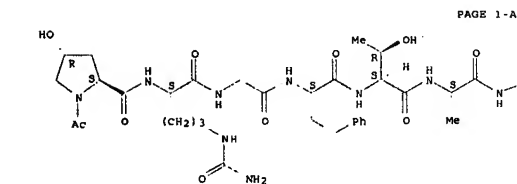
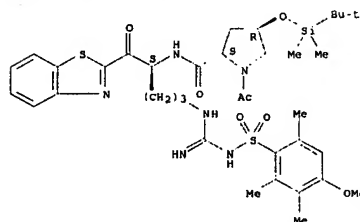
RN 847169-43-1 CAPLUS
CN Carbamic acid, {[(4S)-4-[[[(2S,4R)-1-acetyl-4-hydroxy-2-pyrrolidinyl]carbonyl]amino]-5-(2-benzothiazolyl)-5-oxopentyl]aminomethyl}-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

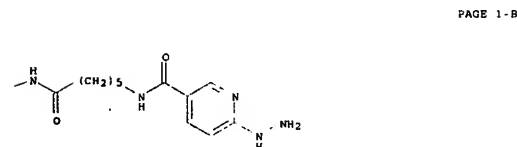


RN 847169-49-7 CAPLUS
CN 2-Pyrrrolidinecarboxamide, 1-acetyl-N-[(1S)-1-(2-benzothiazolyl)carbonyl]-4-[[[imino[[4-methoxy-2,3,6-trimethylphenyl]sulfonyl]amino]methyl]amino]butyl 1-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A



PAGE 1-B

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 74 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:208486 CAPLUS
DOCUMENT NUMBER: 142:446978
TITLE: Easy-to-Execute Carbonylations: Microwave Synthesis of Acyl Sulfonamides Using Mo(CO)₆ as a Solid Carbon Monoxide Source
AUTHOR(S): Wu, Xiongyu; Roenn, Robert; Gossas, Thomas; Larched, Mats
CORPORATE SOURCE: Organic Pharmaceutical Chemistry, Department of Medicinal Chemistry, Uppsala University, Uppsala, SE-751 23, Swed.
JOURNAL OF Organic Chemistry (2005), 70(8), 3094-3098
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:446978
AB The development of a robust palladium-catalyzed amidocarbonylation protocol for the preparation of aromatic acyl sulfonamides utilizing high-d. microwave heating is described. This synthetic approach employs Mo(CO)₆ as a convenient CO-releasing reagent and allows for the direct preparation of acyl sulfonamides from both aryl iodides and aryl bromides. The reactions can be performed under air, employing only 15 min of microwave irradiation, to produce acyl sulfonamide derivatives in good to excellent yields. To illustrate the usefulness of this method, we reported the synthesis of a novel hepatitis C virus NS3 protease inhibitor.

IT 851231-95-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of hepatitis C virus NS3 protease inhibitor by microwave-mediated, palladium-catalyzed carbonylation using [(bromophenyl)sulfonyl]butanamide and sulfonamide as starting materials and molybdenum hexacarbonyl as carbon monoxide source)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 73 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:238851 CAPLUS
DOCUMENT NUMBER: 142:312022
TITLE: Compounds containing matrix metalloproteinase substrates and diagnostic and therapeutic use
INVENTOR(S): Harris, Thomas D.; Yalamanchili, Padmaja
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 229 pp.
CODEN: PIXAD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023314	A1	20050317	WO 2004-US28660	20040902
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, MD, MR, NE, SN, TD, TG				
US 2005106100	A1	20050519	US 2004-931627	20040901
AU 2004270200	A1	20050317	AU 2004-270200	20040902
CA 2537771	A1	20050317	CA 2004-2537771	20040902
EP 1691845	A1	20060823	EP 2004-781037	20040902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1874792	A	20061206	CN 2004-80012651	20040902
JP 200504242	T	20070301	JP 2006-525453	20040902
MX 2006PA02312	A	20061009	MX 2006-PA2312	20060228
PRIORITY APPL. INFO.:			US 2003-499960P	P 20030903
			US 2003-499960P	P 20030903
			WO 2004-US28660	W 20040902

AB Comps. for use in a diagnostic agent for detecting, imaging, and/or monitoring a pathol. disorder associated with matrix metalloproteinase activity at a site of interest in a patient are disclosed. Comps. and kits containing the comps. are also disclosed. In addition, methods of detecting, imaging, and/or monitoring the presence of matrix metalloproteinase or a pathol. disorder associated with matrix metalloproteinase activity in a patient are disclosed.

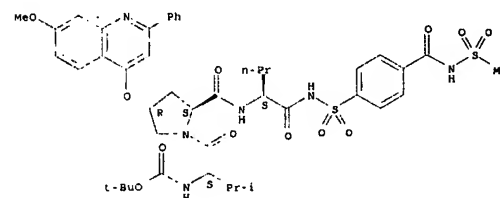
IT 848082-32-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(comps. containing matrix metalloproteinase substrates and diagnostic and therapeutic use)

RN 848082-32-6 CAPLUS
CN L-Alanine, (4R)-1-acetyl-4-hydroxy-L-prolyl-N5-(aminocarbonyl)-L-ornithylglycyl-[(4S)-α-aminobenzenebutanoyl-L-threonyl]-, 2-[6-[[[6-hydrazino-3-pyridinyl]carbonyl]amino]-1-oxohexyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 851231-95-3 CAPLUS
CN L-Norvalinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-N-[(4-[[[methylsulfonyl]amino]carbonyl]phenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 75 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:140534 CAPLUS
DOCUMENT NUMBER: 142:225689
TITLE: Target-specific activatable polymeric imaging agents
INVENTOR(S): Ugur, Egidijus; Edvard; Anaratunga, Mohan Mark
PATENT ASSIGNEE(S): General Electric Company, USA
SOURCE: U.S. Pat. Appl. Publ., 25 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005018647	A1	20050217	US 2003-618888	20030812
WO 2005018640	A1	20050303	WO 2004-US25963	20040811
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, MD, MR, NE, SN, TD, TG				

PRIORITY APPL. INFO.:

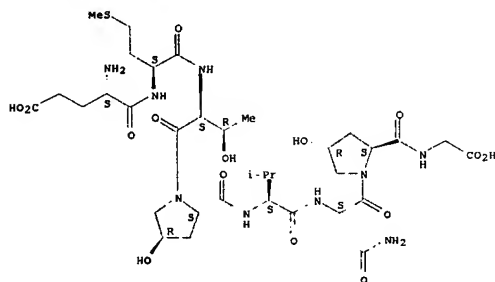
AB A target-specific image-enhancing agent for medical imaging comprises an extended poly(amino acid), wherein at least 90 percent of the amino acid residues are conjugated to signal-generating moieties attached to signal-controlling moieties via bonds that are cleavable by a physiol. substance produced by the target. The image-enhancing agent becomes activated when the bonds are cleaved by the physiol. substance. The image-enhancing agent is used in detecting and/or diagnosing a disease that is characterized by an overprod. of the substance.

IT 391827-71-9D, conjugates with coordination complexes

489448-16-0D, conjugates with coordination complexes
 RL: DGN (Diagnostic use); BIOL (Biological study); USES (Uses)
 (target-specific activatable polymeric imaging agents)
 RN 393827-71-9 CAPLUS
 CN Glycine, L- α -glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

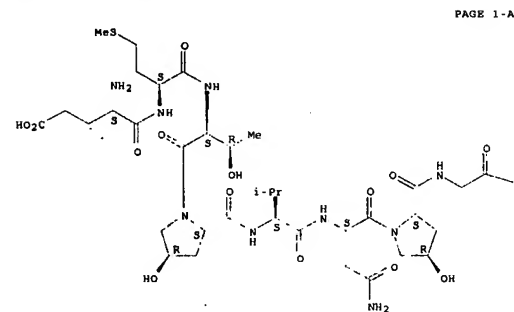
PAGE 1-B

Absolute stereochemistry.



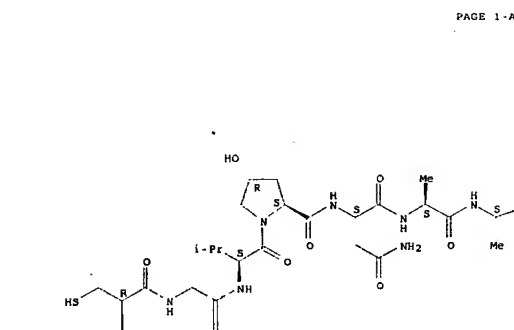
RN 489448-16-0 CAPLUS
 CN L-Glutamine, L- α -glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

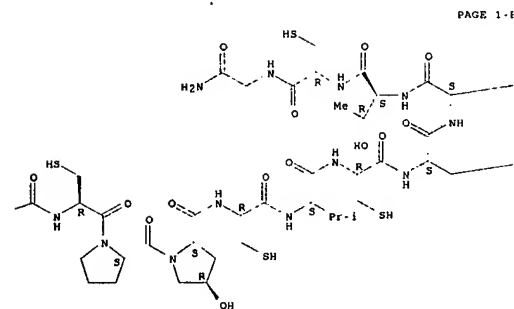


PAGE 1-A

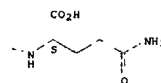
Absolute stereochemistry.



PAGE 1-A



PAGE 1-B

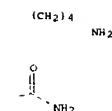


L6 ANSWER 76 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:117773 CAPLUS
 DOCUMENT NUMBER: 143:381106
 TITLE: A uniquely selective inhibitor of the mammalian fetal neuromuscular nicotinic acetylcholine receptor
 AUTHOR(S): Teichert, Russell M.; Rivier, Jean; Torres, Josep; Dykert, John; Miller, Charleen; Olivera, Baldomero M.
 CORPORATE SOURCE: Department of Biology, University of Utah, Salt Lake City, UT, 84112, USA
 SOURCE: Journal of Neuroscience (2005), 25(3), 732-736
 CODEN: JNRSDS; ISSN: 0270-6474
 PUBLISHER: Society for Neuroscience
 DOCUMENT TYPE: Journal
 LANGUAGE: English

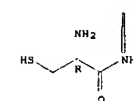
AB We have purified and characterized a novel conotoxin from the venom of *Conus obscurus*, which has the unique property of selectively and potently inhibiting the fetal form of the mammalian neuromuscular nicotinic acetylcholine receptor (nAChR) ($\alpha 1 \beta 1 \gamma \delta$ -subunits). Although this conotoxin, αA -conotoxin OIVB (αA -OIVB), is a high-affinity antagonist (IC₅₀ of 56 nM) of the fetal muscle nAChR, it has >1800-fold lower affinity for the adult muscle nAChR ($\alpha 1 \beta 1 \alpha 2 \delta$ -subunits) and virtually no inhibitory activity at a high concentration on various neuronal nAChRs (IC₅₀ > 100 μ M in all cases). The peptide (amino acid sequence, CCGVGNACPCVCNKTCC), with three disulfide bonds, has been chemically synthesized in a biol. active form. Although the neuromuscular nAChRs are perhaps the most extensively characterized of the receptors/ion channels of the nervous system, the precise physiol. roles of the fetal form of the muscle nAChR are essentially unknown. αA -OIVB is a potentially important tool for delineating the functional roles of $\alpha 1 \beta 1 \gamma \delta$ receptors in normal development, as well as in various adult tissues and in pathol. states. In addition to its potential as a research tool, αA -OIVB may have some direct biomedical applications.

IT 162381-42-2P
 RL: SSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
 (amino acid sequence; uniquely selective inhibitor of mammalian fetal neuromuscular nicotinic acetylcholine receptor)
 RN 162381-42-2 CAPLUS
 CN Glycinamide, L-cysteinyl-L-cysteinylglycyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-asparaginyl-L-alanyl-L-alanyl-L-cysteinyl-L-prolyl-(4R)-4-hydroxy-L-prolyl-L-cysteinyl-L-valyl-L-cysteinyl-L-asparaginyl-L-lysyl-L-threonyl-L-cysteinyl- (9CI) (CA INDEX NAME)

PAGE 1-C



PAGE 2-A



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 77 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:1087963 CAPLUS
 DOCUMENT NUMBER: 143:56595
 TITLE: Isolation of cereal arabinogalactan-peptides and structural comparison of their carbohydrate and peptide moieties
 AUTHOR(S): Van den Bulck, Katje; Swennen, Katrien; Loosveld, Anne-Marie A.; Courtin, Christophe M.; Brijs, Kristof; Proost, Paul; Van Damme, Jozef; Van Campenhout, Steven; Mort, Andrew; Delcour, Jan A.
 CORPORATE SOURCE: Laboratory of Food Chemistry, Katholieke Universiteit Leuven, Louvain, B-3001, Belg
 SOURCE: Journal of Cereal Science (2005), 41(1), 59-67
 CODEN: JCSODA; ISSN: 0733-5210
 PUBLISHER: Elsevier Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

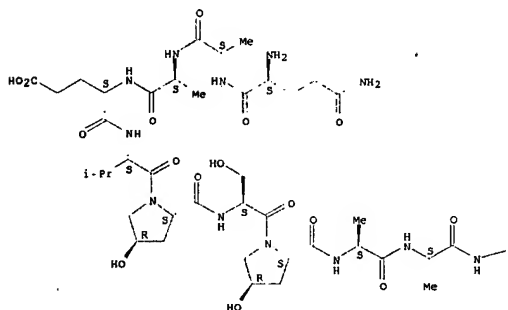
AB Arabinogalactan (AG)-peptides were isolated and purified from wheat and durum wheat and for the first time from spelt, triticale, rye and barley using three dedicated isolation procedures. The AG-peptide mols. have mol. wts. of approx. 23,500 with the exception of triticale (27,500) and rye (33,000). The fine structure of the carbohydrate parts revealed close resemblances among the purified cereal AG-peptide samples. They consist of a (1 \rightarrow 6)- β -D-galactopyranosyl backbone substituted in the C(1O3)-position with a single α -L-arabinofuranosyl or a single β -D-galactopyranosyl residue. The latter can also be substituted in its C(1O3)-position with a single α -L-arabinofuranosyl residue. The AG-peptide peptide cores typically exist of 15 amino acids including three highly conserved hydroxyprolines (Hyp), each linked to a carbohydrate chain. The peptide amino acid sequence of spelt and durum wheat AG-peptides showed high similarity with the wheat AG-peptide peptide sequence while triticale, rye and barley AG-peptide peptide cores displayed less similarity. Homol. with the N-terminal part of cereal grain softness protein (GSP) precursors indicates that the cereal

AG-peptide peptides are a processing product of GSP synthesis. An overall structural model is proposed.

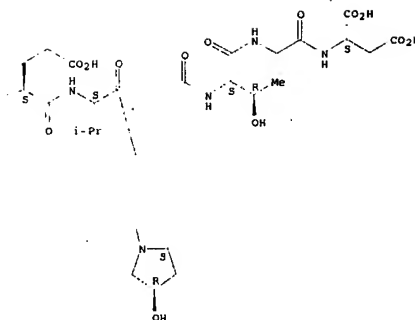
IT 853885-25-3
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (amino acid sequence, barley AG-peptide; isolation of cereal arabinogalactan-peptides and structural comparison of their carbohydrate and peptide moieties)
 RN 853885-25-3 CAPLUS
 CN L-Aspartic acid, L-glutamyl-L-alanyl-L-alanyl-L-α-glutamyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-alanyl-L-alanyl-L-α-glutamyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonylglycyl- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



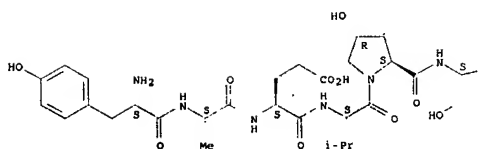
PAGE 2-B

IT 853885-22-0
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (amino acid sequence, durum wheat AG-peptide; isolation of cereal arabinogalactan-peptides and structural comparison of their carbohydrate and peptide moieties)
 RN 853885-22-0 CAPLUS
 CN L-Aspartic acid, L-tyrosyl-L-alanyl-L-α-glutamyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-alanyl-L-histidyl-L-glutamyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-alanyl- (9CI)
 (CA INDEX NAME)

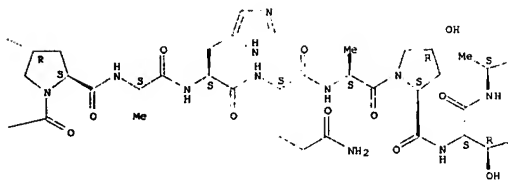
Absolute stereochemistry.

PAGE 1-A

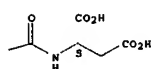
HO



PAGE 1-B



PAGE 1-C



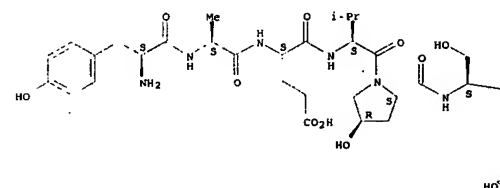
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IT 853885-23-1
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (amino acid sequence, triticale AG-peptide; isolation of cereal arabinogalactan-peptides and structural comparison of their carbohydrate and peptide moieties)
 RN 853885-23-1 CAPLUS
 CN L-Aspartic acid, L-tyrosyl-L-alanyl-L-α-glutamyl-L-valyl-(4R)-4-

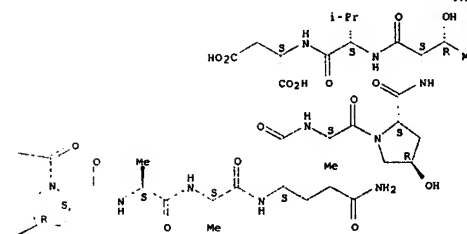
hydroxy-L-prolyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-alanyl-L-alanyl-L-glutamyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

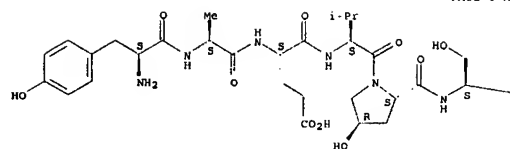


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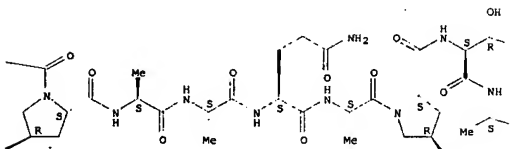


IT 853885-21-9
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (amino acid sequence, wheat AG-peptide; isolation of cereal arabinogalactan-peptides and structural comparison of their carbohydrate and peptide moieties)
 RN 853885-21-9 CAPLUS
 CN L-Aspartic acid, L-tyrosyl-L-alanyl-L-α-glutamyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-alanyl-L-alanyl-L-glutamyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-alanyl- (9CI)
 (CA INDEX NAME)

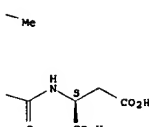
Absolute stereochemistry.



PAGE 1-A



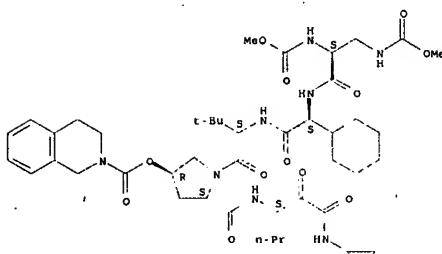
PAGE 1-B



PAGE 1-C

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 78 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:1065532 CAPLUS
 DOCUMENT NUMBER: 142:169080
 TITLE: Combination of a hepatitis C virus NS3-NS4A protease



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 79 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:1054283 CAPLUS
 DOCUMENT NUMBER: 142:23521
 TITLE: Methods for synthesizing conformationally constrained

INVENTOR(S): Pluschke, Gerd; Robinson, John; Kienzl, Ursula;
 Zurbriggen, Rinaldo
 PATENT ASSIGNEE(S): Pevion Biotech Ltd., Switz.; Swiss Tropical Institute;
 Universitaet Zuerich

SOURCE: Eur. Pat. Appl., 20 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

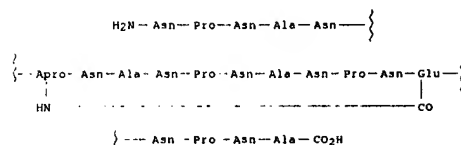
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1484336	A1	20041208	EP 2003-12520	20030602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004242787	A1	20041209	AU 2004-242787	20040602
CA 2527795	A1	20041209	CA 2004-2527795	20040602
WO 2004106366	A1	20041209	WO 2004-EP5952	20040602
WO 2004106366	A8	20050203		
N: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HD, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RN: BM, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1629002	A1	20060301	EP 2004-739532	20040602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

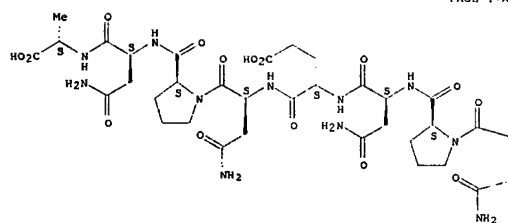
inhibitor and alpha interferon synergistically inhibits viral RNA replication and facilitates viral RNA clearance in replicon cells
 Lin, Kai; Kwong, Ann D.; Lin, Chao
 Vertex Pharmaceuticals Incorporated, Cambridge, MA, USA
 SOURCE: Antimicrobial Agents and Chemotherapy (2004), 48(12), 4784-4792
 CODEN: AMACQ; ISSN: 0066-4804
 PUBLISHER: American Society for Microbiology
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The present standard of care for hepatitis C virus (HCV) infection is pegylated alpha interferon (IFN- α) in combination with ribavirin. However, specific antivirals such as HCV NS3-NS4A protease inhibitors are now in clin. development, and these agents can potentially be used in combination with the present treatments. Therefore, it is important to investigate the potential benefits or adverse effects of these new combinations by using available in vitro HCV culture systems first. In the present study we demonstrate that the combination of a specific HCV NS3-NS4A protease inhibitor and IFN- α synergistically inhibits HCV RNA replication in replicon cells, with little or no increase in cytotoxicity. Furthermore, the benefit of the combination was sustained over time, such that a greater than 3-log reduction in HCV RNA levels was achieved following 9 days of treatment. The viral RNA appeared to be cleared from the replicon cells after 14 days of treatment, and no viral RNA rebound was observed upon withdrawal of the inhibitors. In each case, the antiviral effects obtained with higher concns. of either the protease inhibitor alone or IFN- α alone can be achieved by a combination of both agents at lower concns., which may potentially reduce the risk of possible adverse effects associated with high doses of either agent.
 IT 832090-66-1
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (combination of a hepatitis C virus NS3-NS4A protease inhibitor and α -interferon synergistically inhibits viral RNA replication and facilitates viral RNA clearance in replicon cells)
 RN 832090-66-1 CAPLUS
 CN L-Prolineamide, N-(methoxycarbonyl)-3-[(methoxycarbonyl)amino]-L-alanyl-(2S)-2-cyclohexylglycyl-3-methyl-L-valyl-N-[(1S)-1-[2-(cyclopropylamino)-2-oxoacetyl]butyl]-4-[(1S,4-dihydro-2(1H)-isoquinolinyl)carbonyloxy]-, (4R)- (CA INDEX NAME)
 Absolute stereochemistry.

IE, SI, FI, RO, CY, TR, BG, CZ, SE, HU, PL, SK
 US 2006055952 A1 20061116 US 2006-55952 20060330
 PRIORITY APPLN. INFO.: EP 2003-12520 A 20030602
 WO 2004-EP5952 W 20040602
 GI

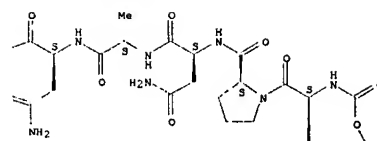
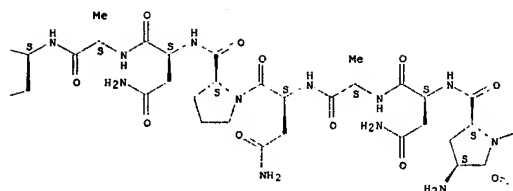


AB The invention relates to methods for synthesizing peptides and cyclic peptidomimetics which are conformationally constrained due an internal cross-link formed between the side chain of an amino acid residue or analog and a (2S,4S)-4-functionalized proline residue. The peptidomimetics are used as antigens, alone or in combination with suitable immunopotentiating delivery systems, e.g., immunopotentiating reconstituted influenza viroosomes, to elicit an immune response in a mammal. Thus, peptidomimetic I (UK39; Apro is (2S,4S)-4-aminoproline) was prepared by the solid-phase method using a Rink Amide MBHA resin. Through amide coupling an internal cross-link is formed which stabilizes a structure mimicking the native conformation of CS-protein tandem repeat epitopes. The cross-reactivity of UK39 to antibodies raised against the CS protein of P. falciparum is demonstrated.
 IT 798557-96-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PRBP (Preparation); RACT (Reactant or reagent)
 (synthesis of conformationally-constrained peptides and peptidomimetics for use as synthetic vaccines)
 RN 798557-96-7 CAPLUS
 CN L-Alanine, N2-[19H-(fluoren-9-ylmethoxy)carbonyl]-L-asparaginyl-L-prolyl-L-asparaginyl-L-alanyl-L-asparaginyl-(4S)-4-amino-L-prolyl-L-asparaginyl-L-alanyl-L-asparaginyl-L-prolyl-L-asparaginyl-L-glutaminyl-L-alanyl-L-asparaginyl-L-prolyl-L-asparaginyl-L- (CA INDEX NAME)

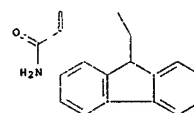
Absolute stereochemistry.



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REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

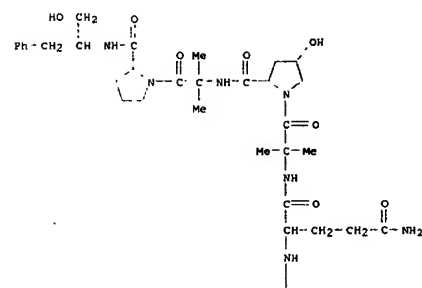
L6 ANSWER 80 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2004:976490 CAPLUS
 DOCUMENT NUMBER: 142:51047
 TITLE: High stability of the hinge region in the membrane-active peptide helix of zervamicin: paramagnetic relaxation enhancement studies
 AUTHOR(S): Shenkarev, Zakhar O.; Paramonov, Alexander S.; Balashova, Tamara A.; Yakimenko, Zoya A.; Baru, Michael B.; Mustafeva, Leila G.; Raap, Jan; Ovchinnikova, Tatyana V.; Arseniev, Alexander S.
 CORPORATE SOURCE: Shemyakin-Ovchinnikov Institute of Bioorganic Chemistry, Russian Academy of Sciences, Moscow, 117997, Russia
 SOURCE: Biochemical and Biophysical Research Communications (2004), 325(3), 1099-1105
 CODEN: BBRCAS; ISSN: 0006-291X
 PUBLISHER: Elsevier
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Zervamicin IIB (I) is a 16-amino-acid peptaibol that forms voltage-dependent ion channels with multilevel conductance states in

planar lipid bilayers and vesicular systems. Here, the stability of the hinge region and intermol. interactions were investigated in the N- and C-terminally spin-labeled peptide analogs. Intermol. and intramol. paramagnetic enhancement indicated that I behaves as a rigid helical rod in MeOH solution. There were no high amplitude hinge-bending motions, and I was monomeric up to a concentration of 1.5 mM. The stability of the hinge region illustrates the helix-stabilizing propensity of the Pro residue in membrane-mimic environments and implies absence of significant conformational rearrangement due to voltage peptaibol activation.

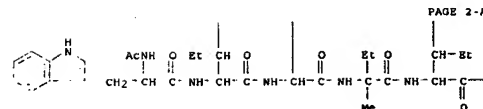
IT 79395-85-0
 RL: BBU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (paramagnetic relaxation enhancement studies show high stability of hinge region in membrane-active peptide helix of zervamicin IIB)

RN 79395-85-0 CAPLUS
 CN L-Prolineamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

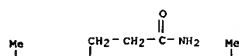
PAGE 1-A



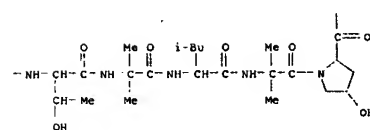
PAGE 1-B



PAGE 2-A



PAGE 2-B

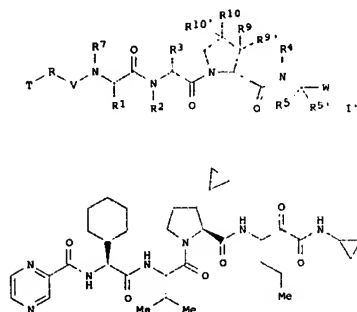


REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 81 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2004:902372 CAPLUS
 DOCUMENT NUMBER: 141:359404
 TITLE: Preparation of peptides as inhibitors of serine proteases, particularly HCV NS3-NS4A protease
 INVENTOR(S): Farmer, Luc J.; Perni, Robert P.; Bhisetti, Govinda Rao; Wilson, Keith P.
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA

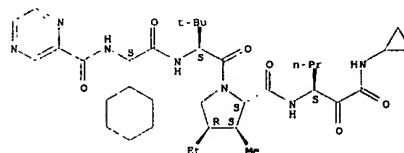
SOURCE: PCT Int. Appl., 116 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092162	A1	20041028	WO 2004-US11012	20040409
M: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RM: BM, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004018986	A1	20040129	US 2003-412600	20030411
US 7273885	B2	20070925		
AU 2004230946	A1	20041028	AU 2004-230946	20040409
CA 2521678	A1	20041028	CA 2004-2521678	20040409
US 2005090450	A1	20050428	US 2004-821793	20040409
EP 1636208	A1	20060322	EP 2004-759362	20040409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1795188	A	20060628	CN 2004-80014047	20040409
JP 2006526011	T	20061116	JP 2006-509873	20040409
PRIORITY APPL. INFO.:			US 2003-412600	A 20030411
			US 2003-513765P	P 20031023
			US 2002-371846P	P 20020411
			WO 2004-US11012	W 20040409
OTHER SOURCE(S):			MARPAT 141:350404	
GI				

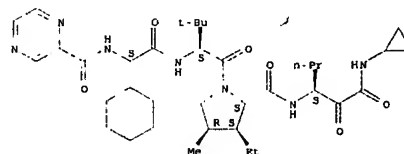


ACCESSION NUMBER: 2004:884401 CAPLUS
DOCUMENT NUMBER: 142:51614
TITLE: Post-translational Modifications of Arabidopsis thaliana Endoplasmic reticulum and glycosylphosphatidylinositol anchor signal cleavage sites and hydroxylation of proline
AUTHOR(S): Schultz, Carolyn J.; Ferguson, Kris L.; Lahnstein, Jelle; Baccic, Antony
CORPORATE SOURCE: School of Agriculture and Wine, Waite Agricultural Research Institute, The University of Adelaide, Glen Osmond, 5064, Australia
SOURCE: Journal of Biological Chemistry (2004), 279(44), 45503-45511
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We have developed a method for separating the deglycosylated protein/peptide backbones of the small arabinogalactan (AG)-peptides from the larger classical arabinogalactan-proteins (AGPs). AGPs are an important class of plant proteoglycans implicated in plant growth and development. Separation of AG-peptides enabled us to identify eight of 12 AG-peptides from Arabidopsis thaliana predicted from genomic sequences. Of the remaining four, two have low abundance based on expressed sequence tag databases and the other two are only present in pollen (At3g20865) or flowers (At3g57690) and therefore would not be detected in our anal. Characterization of AG-peptides was performed using matrix-assisted laser desorption/ionization-time of flight mass spectrometry and tandem mass spectrometry protein sequencing. These data provide (i) exptl. evidence that AG-peptides are processed in vivo for the addition of a glycosylphosphatidylinositol (GPI) anchor, (ii) cleavage site information for both the endoplasmic reticulum secretion signal and the GPI-anchor signal for eight of the 12 AG-peptides, and (iii) exptl. evidence that the Gly-Pro motif is hydroxylated in vivo. Furthermore, we show that ALAGP16 is GPI-anchored despite its unusually long hydrophobic C-terminal GPI-signal sequence. Prior to this work, the GPI-anchor cleavage site for only two plant proteins, NAAGP1 from Nicotiana glauca and PCAGP1 from Pyrus communis, had been determined exptl. Characterization of the post-translational modifications of AG-peptides contributes toward obtaining the complete primary structure of this class of biol. important plant proteoglycans and provides a greater understanding of post-translational modifications of plant proteins.
IT 809267-47-8
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(AGP)2, post-translational modifications of arabinogalactan-peptides of Arabidopsis thaliana and endoplasmic reticulum and glycosylphosphatidylinositol-anchor signal cleavage sites and hydroxylation of proline)
RN 809267-47-8 CAPLUS
CN L-Serine, L-glutamyl-L-threonyl-L- α -glutamyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-arylyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-, 11-(2-aminoethyl) ester (9CI) (CA INDEX NAME)
Absolute stereochemistry.

AB The invention relates to compds. I [the R groups are H (except R1, R3) or various groups, i.e., R5, R5' are alkyl, halo-, mercapto- or hydroxyalkyl, (un)substituted Ph or benzyl or R5/R5' may form a ring; R2, R4, R7 are (un)substituted alkyl, cycloalkyl or arylalkyl; R1, R3 are (un)substituted alkyl, cycloalkyl, cycloalkylalkyl, etc.; R9, R9', R10, R10' are -X-Y-Z, where X is a bond, alkylene, O, S or imino, Y is a bond, CH2, CO, COCO, SO, SO2 or sulfinylimino, Z is H, alkyl, aryl, etc.; V is CO, SO or SO2, R is CO, SO, SO2, imino, O or a bond; T is alkyl, aryl, etc.; W is an acyl or boryl group] or their pharmaceutically-acceptable salts that inhibit serine protease activity, particularly the activity of hepatitis C virus (HCV) NS3-NS4A protease. Thus, peptide II was prepared by peptide coupling reactions in solution and showed Ki in the range 0.5-1 μ M for inhibition of HCV.
IT 777087-39-5P 777087-42-OP
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as inhibitors of serine proteases, particularly HCV NS3-NS4A protease)
RN 777087-39-5 CAPLUS
CN L-Prolinamide, (2S)-2-cyclohexyl-N-[(pyrazinylcarbonyl)glycyl]-3-methyl-L-valyl-N-[(1S)-1-[(cyclopropylamino)oxoacetyl]butyl]-3-ethyl-4-methyl-, (1S,4R)-(9CI) (CA INDEX NAME)
Absolute stereochemistry.

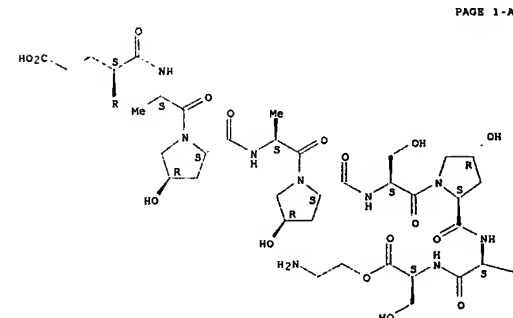


RN 777087-42-0 CAPLUS
CN L-Prolinamide, (2S)-2-cyclohexyl-N-[(pyrazinylcarbonyl)glycyl]-3-methyl-L-valyl-N-[(1S)-1-[(cyclopropylamino)oxoacetyl]butyl]-3-ethyl-4-methyl-, (1S,4R)-(9CI) (CA INDEX NAME)
Absolute stereochemistry.



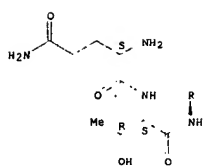
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L6 ANSWER 82 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN



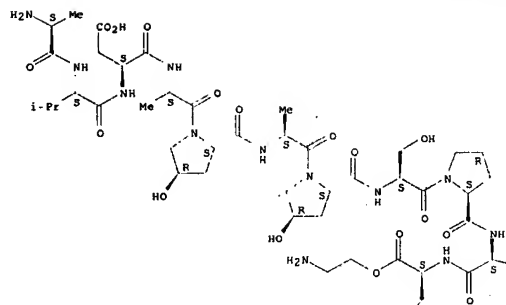
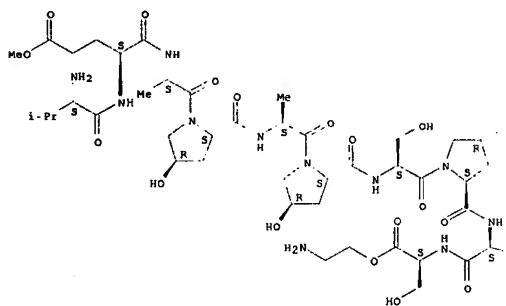
PAGE 1-A

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IT 809267-50-3
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (AQP13; post-translational modifications of arabinogalactan-peptides of Arabidopsis thaliana and endoplasmic reticulum and glycosylphosphatidylinositol-anchor signal cleavage sites and hydroxylation of proline)
 RN 809267-50-3 CAPLUS
 CN L-Serine, L-valyl-L-n-glutamyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-threonyl-, 10-(2-aminoethyl) 2-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OH



IT 809267-56-9
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL

OH

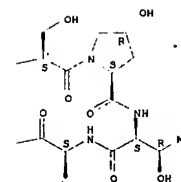
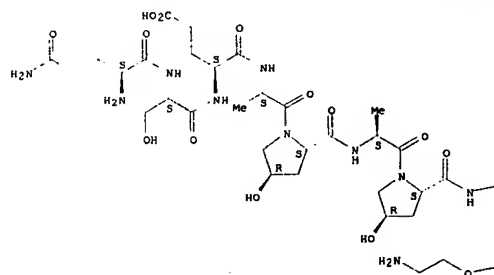


IT 809267-53-6
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (AQP14; post-translational modifications of arabinogalactan-peptides of Arabidopsis thaliana and endoplasmic reticulum and glycosylphosphatidylinositol-anchor signal cleavage sites and hydroxylation of proline)
 RN 809267-53-6 CAPLUS
 CN L-Serine, L-alanyl-L-valyl-L-n-aspartyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-threonyl-, 11-(2-aminoethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

(Biological study)
 (AQP15.1; post-translational modifications of arabinogalactan-peptides of Arabidopsis thaliana and endoplasmic reticulum and glycosylphosphatidylinositol-anchor signal cleavage sites and hydroxylation of proline)
 RN 809267-56-9 CAPLUS
 CN L-Serine, L-glutamyl-L-seryl-L-n-glutamyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-threonyl-, 11-(2-aminoethyl) ester (9CI) (CA INDEX NAME)

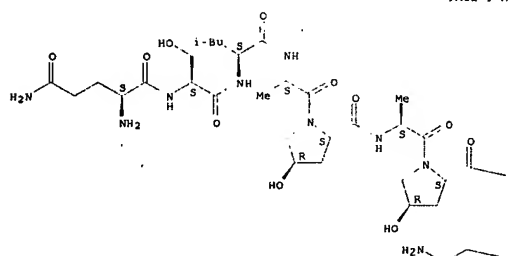
Absolute stereochemistry.



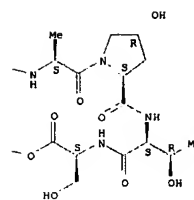
HO

IT 809267-59-2
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (AOP16; post-translational modifications of arabinogalactan-peptides of Arabidopsis thaliana and endoplasmic reticulum and glycosylphosphatidylinositol-anchor signal cleavage sites and hydroxylation of proline)
 RN 809267-59-2 CAPLUS
 CN L-Serine, L-glutamyl-L-seryl-L-leucyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-, 2-aminoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

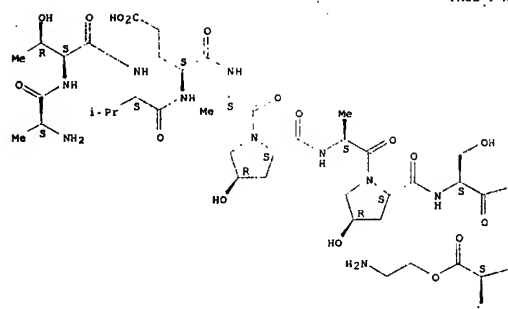


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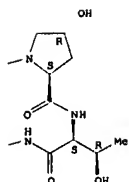


IT 809267-62-7
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (AOP21; post-translational modifications of arabinogalactan-peptides of Arabidopsis thaliana and endoplasmic reticulum and glycosylphosphatidylinositol-anchor signal cleavage sites and hydroxylation of proline)
 RN 809267-62-7 CAPLUS
 CN L-Serine, L-alanyl-L-threonyl-L-valyl-L-glutamyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-threonyl-, 12-(2-aminoethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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PAGE 1-B

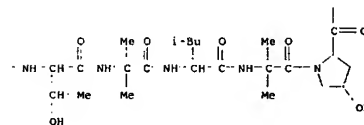
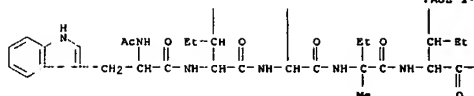
L6 ANSWER 83 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2004:859396 CAPLUS
 DOCUMENT NUMBER: 143:40408
 TITLE: Solid state isotope exchange of hydrogen for spillover tritium in proteins
 AUTHOR(S): Zolotarev, Yu. A.; Dadayan, A. K.; Dorokhova, E. M.; Vtyurin, N. N.; Kozik, V. S.; Borisov, Yu. A.; Myasodov, N. F.
 CORPORATE SOURCE: Institute of Molecular Genetics, Russian Academy of Sciences, Moscow, Russia
 SOURCE: Synthesis and Applications of Isotopically Labeled Compounds, Proceedings of the International Symposium, Stn. Boston, MA, United States, June 1-5, 2003 (2004), Meeting Date 2003, 125-128. Editor(s): Dean, Dennis C.; Filer, Crist N.; McCarthy, Keith E. John Wiley & Sons Ltd.: Chichester, UK.
 CODEN: 69PZAZ; ISBN: 0-470-86365-X
 DOCUMENT TYPE: Conference
 LANGUAGE: English
 AB The effect of polypeptides' and proteins' three dimensional structure on their ability to isotope exchange under the action of spillover hydrogen (SH) in the solid state catalytic isotope exchange reaction (SCIE) was theor. and exptl. studied. The SCIE reaction in the β -galactosidase protein from *Thermotoga thermophilus* (83 kDa) was studied. [3H]Protein of β -galactosidase, containing about 50 tritium atoms, with its enzymic activity completely retained was produced with the use of SCIE at 120°. The influence of β -galactosidase structure on its peptide fragments ability to the substitution of 1H for 3H was studied. The most accessible peptide fragment taking no part in α -helix and β -strand formations (KEMQKE215-220) had the largest relative reactivity, and the one located in the contact area between the subunits (YLKDSSE417-422) showed the smallest relative reactivity. The relative reactivities of these peptides is over 150 times different. Hydrogen exchange in the α -helix fragment Trp1-Leu8 of zervamicin IIB was analyzed. It was shown with the help of ab initio quantum-chemical calcs. that the high substitution degree of CH for tritium in Glns might be associated with the participation of the Gln3 side chain carbonyl in transition state stabilization in SCIE reaction. By ab initio calcs., α -helix formation in polypeptides was shown to cause a significant decrease of reactivity in SCIE. Data collected during a study devoted to the SCIE reaction of the β -galactosidase protein indicate that the SCIE reaction might be employed both for the production of high tritium labeled proteins and for acquiring information about their three-dimensional structure.
 IT 79395-85-0DP, tritium-labeled
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (solid state catalytic isotope exchange of hydrogen for spillover tritium in proteins with regard to effect of protein three dimensional structure)
 RN 79395-85-0 CAPLUS
 CN L-Prolineamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

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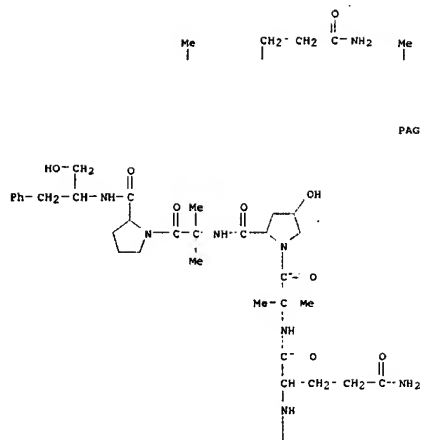
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63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



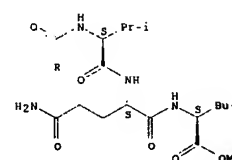
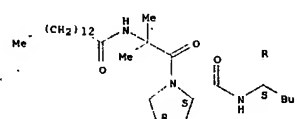
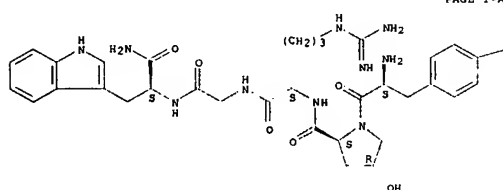
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 84 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:807230 CAPLUS
 DOCUMENT NUMBER: 141:343331
 TITLE: Antidepressant-like effects of a novel pentapeptide, nemifide, in an animal model of depression
 AUTHOR(S): Overstreet, David H.; Hlavka, Joseph; Feighner, John P.; Nicolau, Gabriela; Freed, Jeffrey S.
 CORPORATE SOURCE: Department of Psychiatry, Bowles Center for Alcohol Studies, University of North Carolina at Chapel Hill, Chapel Hill, NC, 27599-7178, USA
 SOURCE: Psychopharmacology (Berlin, Germany) (2004), 175(3), 303-309
 CODEN: PSCHDL; ISSN: 0033-3158
 PUBLISHER: Springer GmbH
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Nemifide is a novel peptide analog of melanocyte-inhibiting factor (MIF) that was reported to relieve depressive symptoms in a very short period. The Plinders Sensitive Line (PSL) rat, a genetic animal model of depression with innate exaggerated immobility in the forced swim test, was used to obtain more detailed information about the antidepressant-like effects of nemifide. The PSL rats were treated chronically with various doses of nemifide or reference antidepressants desipramine and fluoxetine for 5 or 14 days and the forced swim test was conducted 22-24 h after the last treatment. Nemifide significantly increased swimming in the PSL rats at both low (0.025-0.3 mg/kg) and high (3.0-15.0 mg/kg) doses but not at intermediate (0.4-2.4 mg/kg) doses. Nemifide (0.3 mg/kg) and desipramine (5.0 mg/kg) significantly increased swimming in the PSL rats after just 5 days of treatment, but fluoxetine (5.0 mg/kg) did not. Nemifide (0.3 mg/kg) and fluoxetine (5.0 mg/kg) had long-lasting effects, but desipramine (5.0 mg/kg) did not. These findings support the value of developing nemifide and its analogs as potential antidepressants.
 IT 173240-15-8; Nemifide
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (antidepressant-like effects of nemifide)



RN 173240-15-8 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

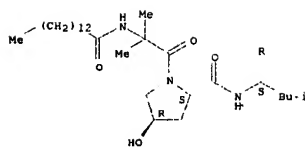
L6 ANSWER 85 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:710487 CAPLUS
 DOCUMENT NUMBER: 141:325166
 TITLE: Synthesis and structure-activity relationships of the halovirs, antiviral natural products from a marine-derived fungus
 AUTHOR(S): Rowley, David C.; Kelly, Sara; Jensen, Paul; Fenical, William
 CORPORATE SOURCE: Center for Marine Biotechnology and Biomedicine, Scripps Institution of Oceanography, University of California, La Jolla, CA, 92093-0204, USA
 SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(18), 4929-4936
 CODEN: BMCEBP; ISSN: 0968-0896
 PUBLISHER: Elsevier Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 141:325166
 AB The halovirs are linear, lipophilic peptides produced by a marine-derived fungus of the genus Scytalidium. The authors recently reported that these mols. possess potent in vitro activity against the herpes simplex viruses 1 and 2. Here the authors present structure-activity relationships defining key structural elements for optimal viral inhibition. Results demonstrate that an N-acetyl chain of at least 14 carbons and an Aib-Pro dipeptide are critical for maintaining the antiviral activity.
 IT 772990-41-7P
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (synthesis and structure-activity relationships of halovirs, antiviral)

natural products from marine-derived fungus)
 RN 772990-41-7 CAPLUS
 CN L-Leucine, 2-methyl-N-(1-oxotetradecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-L-glutamyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

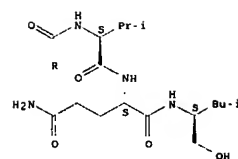
IT 277302-27-9P, Halovir A 772990-42-6P
 772990-43-9P 772990-44-0P 772990-45-1P
 772990-46-2P 772990-47-3P 772990-48-4P
 772990-49-5P 772990-50-8P 772990-51-9P
 772990-52-0P 772990-54-2P
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (synthesis and structure-activity relationships of halovirs, antiviral natural products from marine-derived fungus)
 RN 277302-27-9 CAPLUS
 CN L-Glutamamide, 2-methyl-N-(1-oxotetradecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-N1-[(1S)-1-(hydroxymethyl)-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



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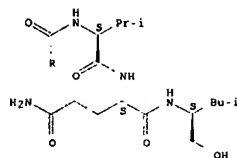
PAGE 2-A



RN 772990-43-9 CAPLUS
CN L-Leucine, 2-methyl-N-((1-oxohexyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-L-glutaminy)-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

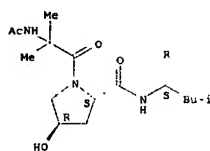
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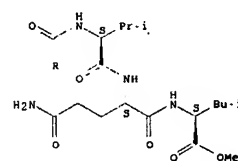
RN 772990-42-8 CAPLUS
CN L-Glutamide, N-acetyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-N-[(1S)-1-(hydroxymethyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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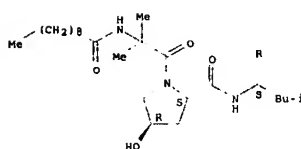
PAGE 2-A



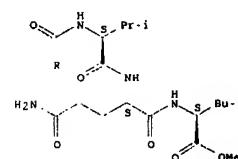
RN 772990-44-0 CAPLUS
CN L-Leucine, 2-methyl-N-((1-oxodecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-L-glutaminy)-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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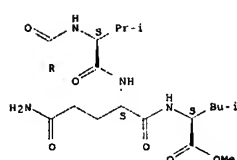
PAGE 2-A



RN 772990-46-2 CAPLUS
CN L-Leucine, 2-methyl-N-((1-oxooctadecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-L-glutaminy)-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

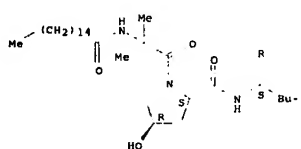
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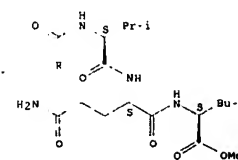
RN 772990-45-1 CAPLUS
CN L-Leucine, 2-methyl-N-((1-oxohexadecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-L-glutaminy)-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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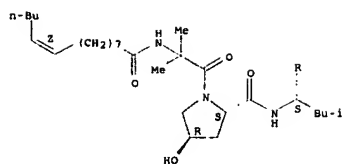


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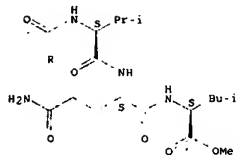


RN 772990-47-3 CAPLUS
CN L-Leucine, 2-methyl-N-[(1S)-1-oxo-9-tetradecenyl]alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-L-glutaminy)-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



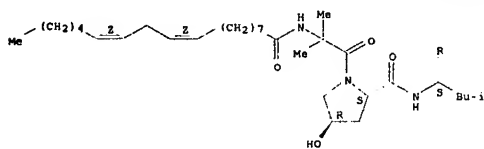
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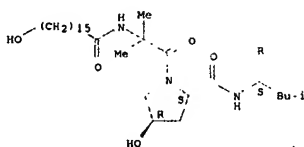
RN 772990-48-4 CAPLUS
CN L-Leucine, 2-methyl-N-[(9Z,12Z)-1-oxo-9,12-octadecadienyl]alaninyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-L-glutaminyloxy methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

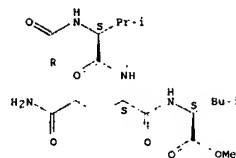


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Absolute stereochemistry.



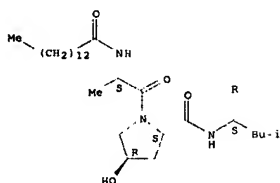
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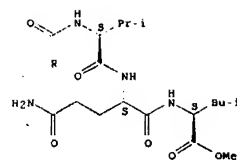
PAGE 2-A

RN 772990-51-9 CAPLUS
CN L-Leucine, N-[(1-oxotetradecyl)-L-alanyl]-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-L-glutaminyloxy methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



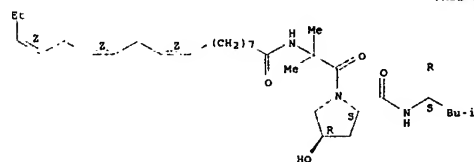
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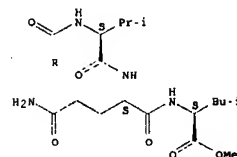
PAGE 2-A

RN 772990-49-5 CAPLUS
CN L-Leucine, 2-methyl-N-[(9Z,12Z,15Z)-1-oxo-9,12,15-octadecatrienyl]alaninyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-L-glutaminyloxy methyl ester, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



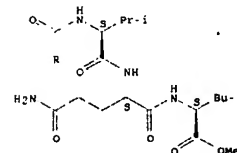
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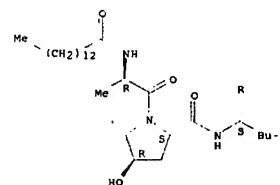
PAGE 2-A

RN 772990-50-8 CAPLUS
CN L-Leucine, N-[(16-hydroxy-1-oxohexadecyl)-2-methylalaninyl]-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-L-glutaminyloxy methyl ester (9CI) (CA INDEX NAME)

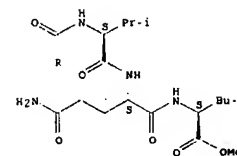
Absolute stereochemistry.



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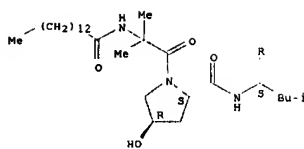
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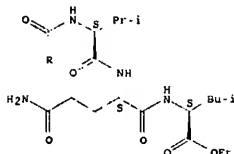
PAGE 2-A

RN 772990-54-2 CAPLUS
CN L-Leucine, 2-methyl-N-[(1-oxotetradecyl)alaninyl]-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-L-glutaminyloxy ethyl ester (9CI) (CA INDEX NAME)

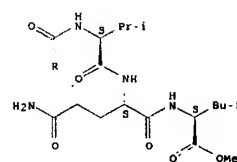
Absolute stereochemistry.



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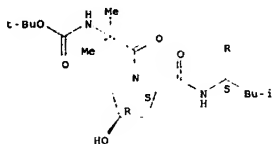
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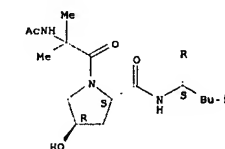
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IT 484690-51-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (synthesis and structure-activity relationships of halovirs, antiviral
 natural products from marine-derived fungus)
 RN 484690-51-9 CAPLUS
 CN L-Leucine, N-[(1,1-dimethylethoxy)carbonyl]-2-methylalanyl-(4R)-4-hydroxy-
 L-prolyl-L-leucyl-L-valyl-L-glutamyl-, methyl ester (9CI) (CA INDEX
 NAME)

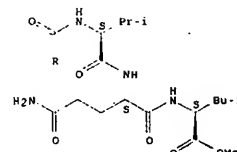
Absolute stereochemistry.



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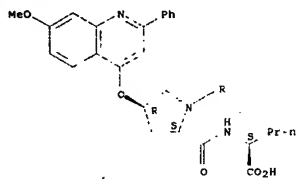
L6 ANSWER 86 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:654859 CAPLUS
 DOCUMENT NUMBER: 141:332465

TITLE: Potent Inhibitors of the Hepatitis C Virus NS3
 Protease: Design and Synthesis of Macrocyclic
 Substrate-Based β -Strand Mimics
 AUTHOR(S): Goudreau, Nathalie; Brochu, Christian; Cameron, Dale
 R.; Duceppe, Jean-Simon; Faucher, Anne-Marie; Ferland,
 Jean-Marie; Grand-Maitre, Chantal; Poirier, Martin;
 CORPORA SOURCE: Simoneau, Bruno; Tsantrizos, Youla S.
 Departments of Chemistry, Research and Development,
 Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S
 2G5, Can.
 SOURCE: Journal of Organic Chemistry (2004), 69(19), 6185-6201
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 141:332465

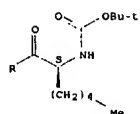
AB The virally encoded NS3 protease is essential to the life cycle of the
 hepatitis C virus (HCV), an important human pathogen causing chronic
 hepatitis, cirrhosis of the liver, and hepatocellular carcinoma. The
 design and synthesis of 15-membered ring β -strand mimics which are
 capable of inhibiting the interactions between the HCV NS3 protease enzyme
 and its polypeptide substrate will be described. The binding interactions
 between a macrocyclic ligand and the enzyme were explored by NMR and mol.
 dynamics, and a model of the ligand/enzyme complex was developed.

IT 770742-86-4P
 RL: PAC (Pharmacological Activity); SPN (Synthetic preparation); BIOL
 (Biological study); PREP (Preparation)
 (preparation and biol. activity of macrocyclic substrate-based β -strand
 mimics as potent inhibitors of HCV NS3 protease)
 RN 770742-86-4 CAPLUS
 CN L-Norvaline, (2S)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-8-nonenoyl-(4R)-
 4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



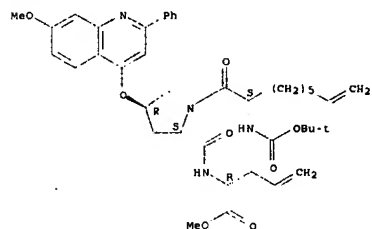
PAGE 1-A



PAGE 2-A

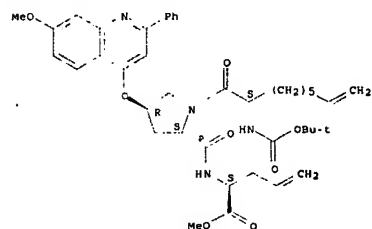
IT 770742-64-8P 770742-65-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and biol. activity of macrocyclic substrate-based β -strand
 mimics as potent inhibitors of HCV NS3 protease)
 RN 770742-64-8 CAPLUS
 CN D-Norvaline, (2S)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-8-nonenoyl-(4R)-
 4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-4,5-didehydro-, methyl
 ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 770742-65-9 CAPLUS
 CN L-Norvaline, (2S)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-8-nonenoyl-(4R)-
 4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-4,5-didehydro-, methyl
 ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 100 THERE ARE 100 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
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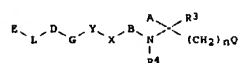
L6 ANSWER 87 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:610055 CAPLUS
 DOCUMENT NUMBER: 141:157473
 TITLE: Preparation of amino acid derivatives as antibacterial

INVENTOR(S): agents
Anderson, Neils H.; Bowman, Jason; Erwin, Alice;
Harwood, Eric; Kline, Toni; Mdluli, Khisimuzi; Ng,
Simon; Plister, Keith B.; Shawar, Ribhi; Wagman,
Allan; Yabumavara, Asha
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 324 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

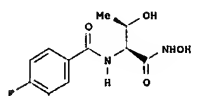
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062601	A2	20040729	WO 2004-US433	20040108
WO 2004062601	A3	20050421		
N:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ			
AU 2004204760	A1	20040729	AU 2004-204760	20040108
CA 2512582	A1	20040729	CA 2004-2512582	20040108
US 2004229955	A1	20041118	US 2004-754928	20040108
EP 1618087	A2	20060125	EP 2004-700887	20040108
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1777577	A	20060524	CN 2004-8005935	20040108
JP 2006519772	T	20060831	JP 2006-500858	20040108
MX 2005PA07394	A	20050912	MX 2005-PA7394	20050707
IN 2005KN01343	A	20060915	IN 2005-KN1343	20050712
US 2006154988	A1	20060713	US 2005-187708	20050722
US 2007244197	A1	20071018	US 2006-417346	20060503
PRIORITY APPLN. INFO.:			US 2003-438523P	P 20030108
			US 2003-466974P	P 20030430
			US 2003-520211P	P 20031113
			US 2004-754928	A1 20040108
			WO 2004-US433	W 20040108

OTHER SOURCE(S): MARPAT 141:157473

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II

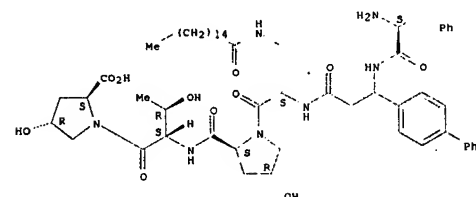
AB Title compds. I [E = absent or H, (unsubstituted-alkyl, -alkenyl, -aryl, etc.; L = absent or CONH, NHCO, (unsubstituted alkyl, etc.; D = absent or (unsubstituted-cycloalkyl, -aryl, -heterocyclyl or -heteroaryl; G =

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062553	A2	20040729	WO 2004-DK23	20040116
WO 2004062553	A3	20050127		
N:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ			
US 2004142379	A1	20040722	US 2003-346737	20030116
AU 2004204276	A1	20040729	AU 2004-204276	20040116
CA 2551593	A1	20040729	CA 2004-2551593	20040116
EP 1588173	A2	20051026	EP 2004-702645	20040116
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
US 2006257875	A1	20061116	US 2005-541501	20050707
IN 2005CN01903	A	20070914	IN 2005-CN1903	20050811
PRIORITY APPLN. INFO.:			US 2003-346737	A 20030116
			DK 2003-749	A 20030519
			WO 2004-DK23	W 20040116

AB The invention provides putative "druggable" protein targets and actively binding ligands identified in an efficient and reproducible process by determining the affinity of protein mixts. to libraries of ligand compds. of defined size and composition. The libraries are used to isolate and identify previously unknown corresponding protein-ligand binding pairs from a mixture of proteins and a library of compds., and are particularly useful to identify differentially selective protein-ligand binding pairs. For example, representing a single physiol. state or several varied but related states, such as disease vs. normal conditions. The invention also provides processes for identifying such protein-ligand binding pairs.

IT 724785-48-2P 730958-21-1P 730958-24-4P
RL: BSU (Biological study, unclassified); CPN (Combinatorial preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(affinity fishing for ligands and protein receptors by an efficient process involving protein mixts. and ligand libraries)
RN 724785-48-2 CAPLUS
CN L-Proline, L-phenylalanyl-3-[(1,1'-biphenyl)-4-yl]-β-alanyl-3-[(1-oxohexadecyl)amino]-L-alanyl-4-hydroxy-L-prolyl-L-threonyl-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OH

RN 730958-21-1 CAPLUS
CN 2-Azetidinecarboxylic acid, L-phenylalanyl-(4S)-4-[[bis[[[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]-L-prolyl-L-α-aspartyl-3-[(1,1'-biphenyl)-4-yl]-β-alanyl-L-histidyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

absent or alkene, alkyne, CO, etc.; Y = (un)substituted-cycloalkyl, -aryl, -heterocyclyl or -heteroaryl; X = CO, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, methylene, or when B is absent X and A together form heterocyclic ring; B = absent or substituted aminoalkylcarbonyl; R3 = H or (un)substituted alkyl, or R3 and A together form a cycloalkyl or heterocyclic ring; R4 = H or (un)substituted alkyl, or R4 and A together form a heterocyclic ring; n = 0-2; A = H, acetylene, alkyl, etc.; O = absent or substituted amide, SH, SO2NH2, CO2H, etc.] are disclosed; As well as stereoisomers, pharmaceutically acceptable salts, esters, and prodrugs thereof; pharmaceutical compns. comprising such compds.; methods of treating bacterial infections by the administration of such compds.; and processes for the preparation of the compds. Thus, e.g., 11 was prepared

via

amidation of 3-bromo-4-fluorobenzoic acid with L-threonine Me ester hydrochloride followed by substitution with hydroxylamine hydrochloride. This invention pertains generally to treating infections caused by gram-neg. bacteria. More specifically, the invention described pertains to treating gram-neg. infections by inhibiting activity of UDP-3-O-(R-3-hydroxydecanoyl)-N-acetylglucosamine deacetylase (LpxC). Many of 1 displayed an IC50 value of less than 10 μM with respect to inhibition of LpxC.

IT 728865-86-9P

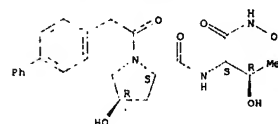
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of amino acid derivative as antibacterial agents)

RN 728865-86-9 CAPLUS

CN L-Threoninamide, (4R)-1-[[[1,1'-biphenyl]-4-ylacetyl]-4-hydroxy-L-prolyl-L-hydroxy-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 88 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2004:610028 CAPLUS

DOCUMENT NUMBER: 141:15094

TITLE: Affinity fishing for ligands and protein receptors by an efficient process involving protein mixtures and ligand libraries

INVENTOR(S): St. Hilaire, Phaedria Marie; Yin, Haifeng; Surve, Sheryl; Wenckens, Martin

PATENT ASSIGNEE(S): Carlsberg A/S, Den.

SOURCE: PCT Int. Appl., 172 pp.

CODEN: PIXXD2

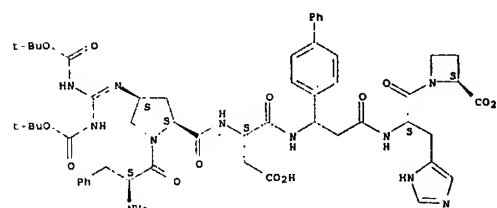
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

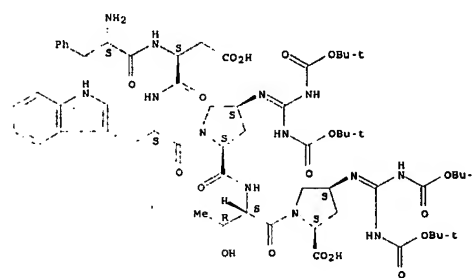
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062553	A2	20040729	WO 2004-DK23	20040116
WO 2004062553	A3	20050127		
N:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ			



RN 730958-24-4 CAPLUS

CN L-Proline, L-phenylalanyl-L-α-aspartyl-L-tryptophyl-(4S)-4-[[bis[[[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]-L-prolyl-L-threonyl-4-[[bis[[[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]-L-threonyl-4-]]-β-alanyl-L-histidyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 89 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2004:589119 CAPLUS

DOCUMENT NUMBER: 141:140767

TITLE: Affinity fishing for ligands and protein receptors

INVENTOR(S): St. Hilaire, Phaedria Marie; Yin, Haifeng; Surve, Sheryl

PATENT ASSIGNEE(S): Carlsberg Research Laboratory, Den.

SOURCE: U.S. Pat. Appl., Publ., 55 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 2004142379 A1 20040722 US 2003-346737 20030116

AU 2004204276 A1 20040729 AU 2004-204276 20040116

CA 2551593 A1 20040729 CA 2004-2551593 20040116

WO 2004062553 A2 20040729 WO 2004-DK23 20040116

WO 2004062553 A3 20050127

N: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HT, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ

EP 1588173 A2 20051026 EP 2004-702645 20040116

R: AT, BE, BG, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, PT, RO, SK, CY, AL, TR, BG, CZ, EE, HU, SK

US 2006257875 A1 20061116 US 2005-541501 20050707

IN 2005CN01903 A 20070914 IN 2005-CN1903 20050811

PRIORITY APPLN. INFO.: US 2003-346737 A 20030116

DK 2003-749 A 20030519

WO 2004-DK23 W 20040116

AB The invention provides a process for identifying specific members of a previously unknown protein-ligand binding pair which comprises the steps of (a) synthesizing a ligand library onto resin beads to form an immobilized ligand library, (b) incubating the immobilized ligand library with one or more protein mixts., (c) detecting an immobilized ligand-protein binding pair from the incubation mixture, and (d) identifying the ligand and the protein of the ligand-binding pair. The identified ligand and protein are specific members of a previously unknown ligand-protein binding pair, which, e.g., represent a single physiol. state or several varied but related states, such as disease vs. normal conditions. Thus, a peptide library which contains a photolabile linker and a spacer was used in solid-phase screening of labeled myocyte proteins.

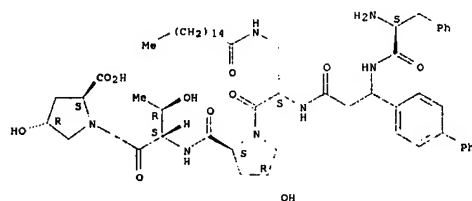
IT 724785-48-2P

RL: ANT (Analyte); DGN (Diagnostic use); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN 724785-48-2 CAPLUS

CN L-Proline, L-phenylalanyl-3-[(1,1'-biphenyl)-4-yl]-β-alanyl-3-[(1-oxohexadecyl)amino]-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 90 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2004:587639 CAPLUS

DOCUMENT NUMBER: 141:270989

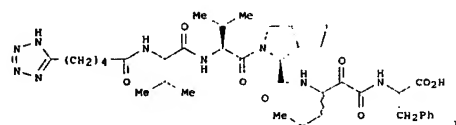
PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:277876

OI



AB The authors describe the design, syntheses, and biol. evaluation of new series of P4 tetrazole and adipic acid, ester, amide capped tetrapeptidyl α-ketoamides as inhibitors of hepatitis C virus (HCV) NS3 protease. For example, peptidyl ketoamide I was not only a highly potent inhibitor (K_i = 22 nM) of HCV NS3 protease, but also showed high selectivity towards human neutrophil elastase¹ (1185 times).

IT 402956-80-0 757246-85-8

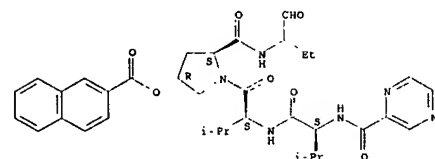
RL: PAC (Pharmacological activity); BIOL (Biological study)

(preparation and biol. activity of P4 cap-modified tetrapeptidyl ketoamides as HCV NS3-protease inhibitors with selectivity towards neutrophil elastase)

RN 642090-80-0 CAPLUS

CN L-Prolineamide, N-[pyrazinylcarbonyl]-L-valyl-L-valyl-N-(1-formylpropyl)-4-[(2-naphthalenylcarbonyloxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 757246-85-8 CAPLUS

CN L-Prolineamide, N-[5-carboxy-1-oxopentyl]-L-valyl-L-valyl-N-(1-formylpropyl)-4-[(2-naphthalenylcarbonyloxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

TITLE: Small-Molecule Dimerization Inhibitors of Wild-Type And Mutant HIV Protease: A Focused Library Approach

AUTHOR(S): Shultz, Michael D.; Ham, Young-Wan; Lee, Song-Gil; Davis, David A.; Brown, Cara; Chmielewski, Jean

CORPORATE SOURCE: Department of Chemistry, Purdue University, West Lafayette, IN, 47907, USA

SOURCE: Journal of the American Chemical Society (2004), 126(32), 9886-9887

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The authors demonstrate that a focused library based on truncated, crosslinked interfacial peptides of HIV-1 protease produces effective dimerization inhibitors of the enzyme. By combining individual changes of the library into a single compound, the authors obtained a significantly more potent agent and found that an additive increase in inhibitor efficacy was obtained. The good activity of library members against an active-site drug-resistant protease mutant bodes well for dimerization inhibition as a complementary method to targeting the active site.

IT 757224-45-6

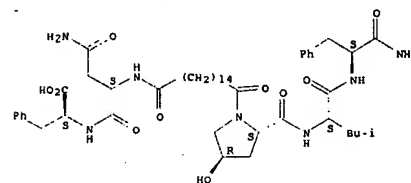
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(small-mol. dimerization inhibitors of wild-type and mutant HIV protease)

RN 757224-45-6 CAPLUS

CN L-Phenylalaninamide, (4R)-1-(15-carboxy-1-oxopentadecyl)-4-hydroxy-L-prolyl-L-leucyl-, (1-1')-amide with L-asparaginyl-L-phenylalanine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 91 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2004:581058 CAPLUS

DOCUMENT NUMBER: 141:277876

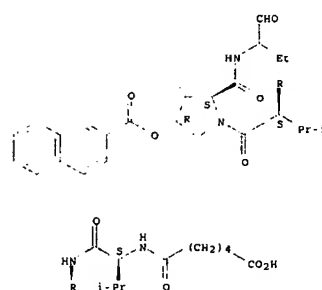
TITLE: P4 cap modified tetrapeptidyl α-ketoamides as potent HCV NS3 protease inhibitors

AUTHOR(S): Sun, David X.; Liu, Lifei; Heinz, Beverly; Kolykhalov, Alexander; Lamar, Jason; Johnson, Robert B.; Wang, Q. May; Yip, Yvonne; Chen, Shu-Hui

CORPORATE SOURCE: Lilly Research Laboratory, A Division of Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(16), 4333-4338

CODEN: BMCLEB; ISSN: 0960-894X



IT 402956-85-8P 402956-86-9P 402956-87-8P

402956-89-2P 402957-78-2P 757246-86-9P

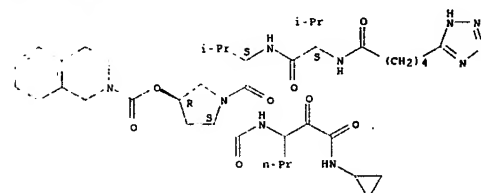
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and biol. activity of P4 cap-modified tetrapeptidyl ketoamides as HCV NS3-protease inhibitors with selectivity towards neutrophil elastase)

RN 402956-85-8 CAPLUS

CN L-Prolineamide, N-[1-oxo-5-(1H-tetrazol-5-yl)pentyl]-L-valyl-L-valyl-N-[(1-cyclopropylamino)oxoacetyl]butyl-4-[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyloxy]-, (4R)- (9CI) (CA INDEX NAME)

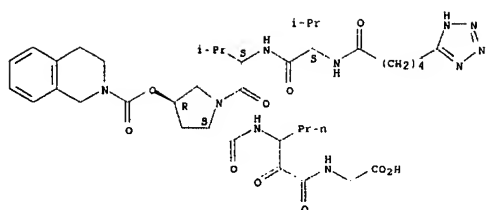
Absolute stereochemistry.



RN 402956-86-9 CAPLUS

CN Glycine, N-[1-oxo-5-(1H-tetrazol-5-yl)pentyl]-L-valyl-L-valyl-(4R)-4-[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyloxy]-L-prolyl-3-amino-2-oxohexanoyl-, (9CI) (CA INDEX NAME)

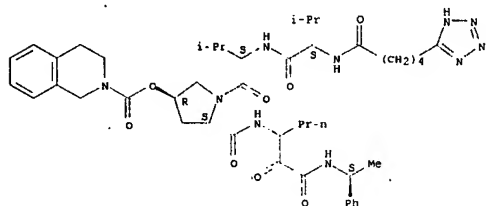
Absolute stereochemistry.



RN 402956-87-0 CAPLUS

CN L-Prolineamide, N-[1-oxo-5-((1H-tetrazol-5-yl)pentyl)-L-valyl-L-valyl-4-[[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyloxy]-N-[1-oxo[[[(1S)-1-phenylethylamino]acetyl]butyl]-, (4R)- (9CI) (CA INDEX NAME)

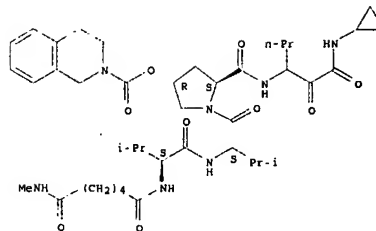
Absolute stereochemistry.



RN 402956-89-2 CAPLUS

CN L-Prolineamide, N-[6-(methylamino)-1,6-dioxohexyl]-L-valyl-L-valyl-N-[1-[(cyclopropylamino)oxoacetyl]butyl]-4-[[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyloxy]-, (4R)- (9CI) (CA INDEX NAME)

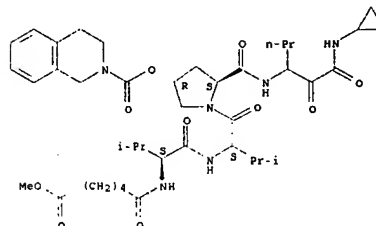
Absolute stereochemistry.



RN 402957-78-2 CAPLUS

CN L-Prolineamide, N-(6-methoxy-1,6-dioxohexyl)-L-valyl-L-valyl-N-[1-[(cyclopropylamino)oxoacetyl]butyl]-4-[[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyloxy]-, (4R)- (9CI) (CA INDEX NAME)

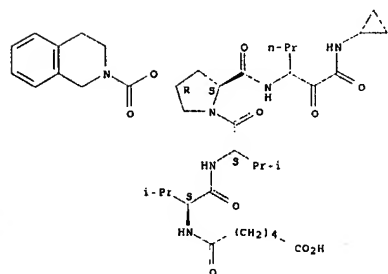
Absolute stereochemistry.



RN 757246-86-9 CAPLUS

CN L-Prolineamide, N-(5-carboxy-1-oxopentyl)-L-valyl-L-valyl-N-[1-[(cyclopropylamino)oxoacetyl]butyl]-4-[[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyloxy]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



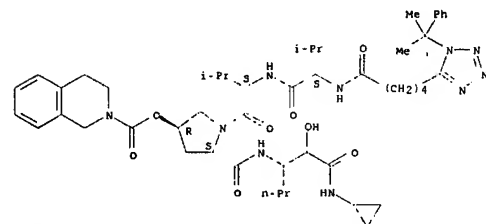
IT 402956-60-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or Reagent)
(preparation and biol. activity of P4 cap-modified tetrapeptidyl ketoamides as HCV NS3-protease inhibitors with selectivity towards neutrophil elastase)

RN 402956-60-5 CAPLUS

CN L-Prolineamide, N-[5-[(1-methyl-1-phenylethyl)-1H-tetrazol-5-yl]-1-oxopentyl]-L-valyl-L-valyl-N-[1-[(cyclopropylamino)-1-hydroxy-2-oxoethyl]butyl]-4-[[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyloxy]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CORPORATE SOURCE: Ovchinnikova, T. V.; Arseniev, A. S.
Shemyakin-Ovchinnikov Institute of Bioorganic
Chemistry, Russian Academy of Sciences, Moscow, Russia
SOURCE: Biophysical Journal (2004), 86(6), 3687-3699
CODEN: BIQJAU; ISSN: 0006-3495
PUBLISHER: Biophysical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Zervamicin IIB (Zrv-IIB) is a channel-forming peptaibol antibiotic of fungal origin. The measured transhydrogen bond $^3J_{\text{HN}^i\text{C}^i}$ couplings in methanol solution having average value of -0.41 Hz indicate that the stability of the Zrv-IIB helix in this milieu is comparable to the stability of helices in globular proteins. The N-terminus of the peptide forms an α -helix, whereas β -helical hydrogen bonds stabilize the C-terminus. However, two weak transhydrogen bond peaks are observed in a long-range HNCQ spectrum for HN Aib12. Energy calcs. using the Empirical Conformation Energy Program for Peptides (ECEPP)/2 force field and the implicit solvent model show that the middle of the peptide helix accommodates a bifurcated hydrogen bond that is simultaneously formed between HN Aib12 and CO Leu8 and CO Aib9. Several lowered $^3J_{\text{HN}^i\text{C}^i}$ on a polar face of the helix correlate with the conformational exchange process observed earlier and imply dynamic distortions of a hydrogen bond pattern with the predominant population of a properly folded helical structure. The refined structure of Zrv-IIB on the basis of the observed hydrogen bond pattern has a small ($\approx 20^\circ$) angle of helix bending that is virtually identical to the angle of bending in dodecylphosphocholine (DPC) micelles, indicating the stability of a hinge region in different environments. NMR parameters (^1HN chemical shifts and transpeptide bond $^1J_{\text{NC}^i}$ couplings) sensitive to hydrogen bonding along with the solvent accessible surface area of carbonyl oxygens indicate a large polar patch on the convex side of the helix formed by three exposed backbone carbonyls of Aib7, Aib9, and Hyp10 and polar side chains of Hyp10, Glu11, and Hyp13. The unique structural features, high helix stability and the enhanced polar patch, set apart Zrv-IIB from other peptaibols (for example, alamethicin) and possibly underlie its biol. and physiol. properties.

IT 79395-85-0, Zervamicin IIB

RL: PREP (Properties)

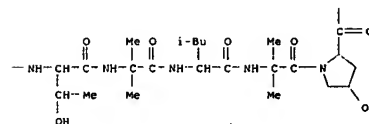
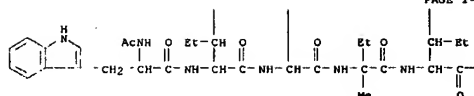
(NMR studies of conformation and hydrogen bond structure of peptaibol zervamicin IIB)

RN 79395-85-0 CAPLUS

CN L-Prolineamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

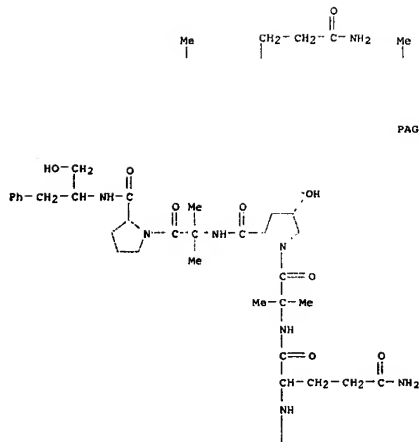
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 92 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:535647 CAPLUS
DOCUMENT NUMBER: 141:220570
TITLE: Peptaibol zervamicin IIB structure and dynamics
refinement from transhydrogen bond J couplings
AUTHOR(S): Shenkarev, Z. O.; Balashova, T. A.; Yakimenko, Z. A.;

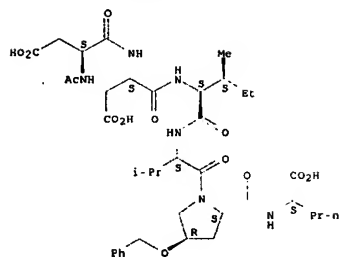


REFERENCE COUNT: 74 THERE ARE 74 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 93 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:198168 CAPLUS
 DOCUMENT NUMBER: 141:184589
 TITLE: Novel Azapeptide Inhibitors of Hepatitis C Virus Serine Protease
 AUTHOR(S): Bailey, Murray D.; Halmos, Ted; Goudreau, Nathalie; Lescop, Ewen; Llinas-Brunet, Montse
 CORPORATE SOURCE: Research and Development, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.
 SOURCE: Journal of Medicinal Chemistry (2004), 47(15), 3788-3799
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 141:184589
 AB Azapeptides are known inhibitors of several serine and cysteine proteases. In seeking different classes of inhibitors for the HCV serine protease, a series of novel azapeptide-based inhibitors were investigated which incorporated noncleavable P1/P1' azamino acyl residues. Extensive SAR studies around the P1/P1' azamino acyl fragment resulted in the identification of potent and selective inhibitors. Using NMR studies, the authors have shown that this series of inhibitors bind in a noncovalent competitive fashion to the NS3 protease active site. The bound conformation of one of these new azapeptide-based inhibitors was determined using the transfer NMR technique. Incorporation of these new azamino acyl functionalities in the P1 position provided a handle to probe for new interactions in the S' region of the enzyme.
 IT 220425-44-5P 220425-46-7P 737001-69-3P
 737001-70-6P
 RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (novel azapeptide inhibitors of hepatitis C virus serine protease)
 RN 220425-44-5 CAPLUS
 CN L-Norvaline, N-acetyl-L- α -aspartyl-L- α -aspartyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

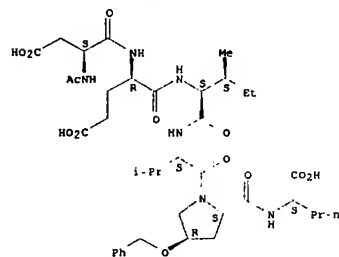


Absolute stereochemistry.



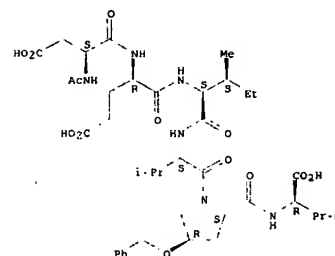
RN 220425-46-7 CAPLUS
 CN L-Norvaline, N-acetyl-L- α -aspartyl-D- α -glutamyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



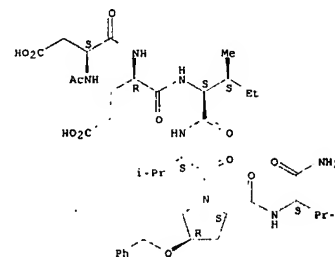
RN 737001-69-3 CAPLUS
 CN D-Norvaline, N-acetyl-L- α -aspartyl-D- α -glutamyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 737001-70-6 CAPLUS
 CN L-Norvalinamide, N-acetyl-L- α -aspartyl-D- α -glutamyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 94 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:475311 CAPLUS
 DOCUMENT NUMBER: 141:220304
 TITLE: Production of leucinostatin and nematocidal activity of Australian isolates of Paecilomyces lilacinus (Thom) Samson
 AUTHOR(S): Park, J.-O.; Hargreaves, J. R.; McConville, E. J.; Stirling, G. R.; Ghisalberti, E. L.; Sivasithamparan, K.
 CORPORATE SOURCE: Soil Science and Plant Nutrition, The University of Western Australia, Crawley, Western Australia, Australia
 SOURCE: Letters in Applied Microbiology (2004), 38(4), 271-276

AB The relationship between leucinostatin production by *Paecilomyces lilacinus* isolates and their biol. activities was evaluated. The nematocidal, parasitic and enzymic activity of Australian *P. lilacinus* isolates were investigated. Nematocidal activities of culture filtrates were measured by mortality and inhibition of reproduction of *Caenorhabditis elegans*, whereas egg-parasitic activity was measured by colonization on *Meloidogyne javanica*. Enzymic activities (protease and chitinase) were assayed on solid media. The results suggest that leucinostatins in *P. lilacinus* are indicators of nematocidal activity, whereas chitinase activity might be related to parasitism. Thus, nematocidal activity of culture filtrates of *Paecilomyces lilacinus* strains related to their ability to produce leucinostatins. This is the first study describing the leucinostatins as nematocides.

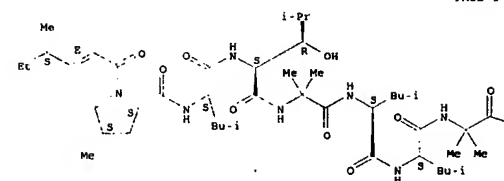
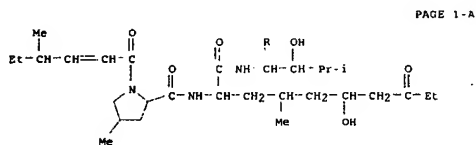
IT 76663-52-0, Leucinostatin B 100349-85-7, Leucinostatin F 108426-90-0, Leucinostatin D 109539-58-4, Leucinostatin H
 RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
 (production of leucinostatins and nematocidal activity of Australian isolates of *Paecilomyces lilacinus* (Thom) Samson)
 RN 76663-52-0 CAPLUS
 CN Leucinostatin B (9CI) (CA INDEX NAME)

—CH₂—NHMe

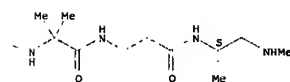
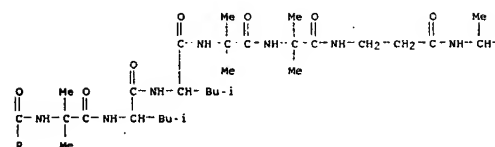
RN 100349-85-7 CAPLUS
 CN Leucinostatin F (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

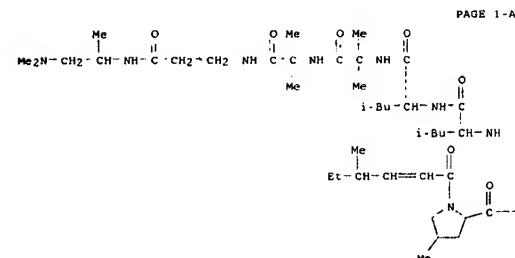
PAGE 1-A



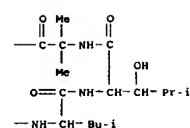
PAGE 1-B



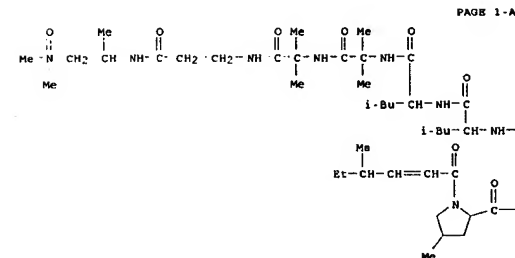
RN 108426-90-0 CAPLUS
 CN Leucinostatin D (9CI) (CA INDEX NAME)



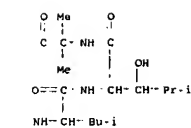
PAGE 1-B



RN 109539-58-4 CAPLUS
 CN Leucinostatin H (9CI) (CA INDEX NAME)



PAGE 1-B



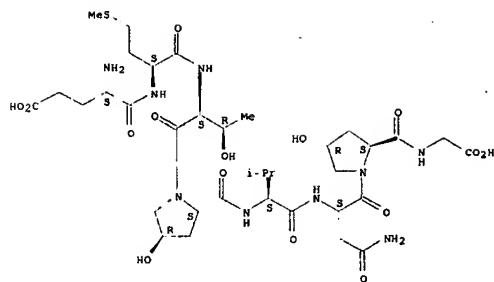
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 95 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2004:469025 CAPLUS
 DOCUMENT NUMBER: 141:150572
 TITLE: Synthetic peptide derived from α -fetoprotein inhibits growth of human breast cancer: Investigation of the pharmacophore and synthesis optimization
 AUTHOR(S): DeFreest, L. A.; Mesfin, F. B.; Joseph, L.; McLeod, D. J.; Stalmer, A.; Reddy, S.; Balulad, S. S.; Jacobson, H. L.; Andersen, T. T.; Bennett, J. A.
 CORPORATE SOURCE: Center for Immunology and Microbial Disease, Albany Medical College, Albany, NY, USA
 SOURCE: Journal of Peptide Research (2004), 63(5), 409-419
 CODEN: JPERFA; ISSN: 1397-002X
 PUBLISHER: Blackwell Publishing Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A synthetic peptide that inhibits the growth of estrogen receptor pos. (ER+) human breast cancers, growing as xenografts in mice, has been reported. The cyclic 9-mer peptide, cyclo[EMTVNNGD], is derived from

α -fetoprotein (AFP), a safe, naturally occurring human protein produced during pregnancy, which itself has antiestrogenic and antibreast cancer activity. To determine the pharmacophore of the peptide, a series of analogs was prepared using solid-phase peptide synthesis. Analogs were screened in a 1-day bioassay, which assessed their ability to inhibit the estrogen-stimulated growth of uterus in immature mice. Deletion of glutamic acid, Glu1, abolished activity of the peptide, but glutamine (Gln) or asparagine (Asn) could be substituted for Glu1 without loss of activity. Methionine (Met2) was replaced with lysine (Lys) or tyrosine (Tyr) with retention of activity. Substitution of Lys for Met2 in the cyclic mol. resulted in a compound with activity comparable with the Met2-containing cyclic mol., but with a greater than twofold increase in purity and corresponding increase in yield. This Lys analog demonstrated antibreast cancer activity equivalent to that of the original Met-containing peptide. Therefore, Met2 is not essential for biol. activity and substitution of Lys is synthetically advantageous. Threonine (Thr3) is a nonessential site, and can be substituted with serine (Ser), valine (Val), or alanine (Ala) without significant loss of activity. Hydroxyproline (Hyp), substituted in place of the naturally occurring prolines (Pro4, Pro7), allowed retention of activity and increased stability of the peptide during storage. Replacement of the first Pro (Pro4) with Ser maintains the activity of the peptide, but substitution of Ser for the second Pro (Pro7) abolishes the activity of the peptide. This suggests that the imino acid at residue 7 is important for conformation of the peptide, and the backbone atoms are part of the pharmacophore, but Pro4 is not essential. Valine (Val5) can be substituted only with branched-chain amino acids (isoleucine, leucine or Thr); replacement by D-valine or Ala resulted in loss of biol. activity. Thus, for this site, the bulky branched side chain is essential. Asparagine (Asn6) is essential for activity. Substitution with Gln or aspartic acid (Asp), resulted in reduction of biol. activity. Removal of glycine (Gly8) resulted in a loss of activity but nonconservative substitutions can be made at this site without a loss of activity indicating that it is not part of the pharmacophore. Cyclization of the peptide is facilitated by addition of Gln9, but this residue does not occur in AFP nor is it necessary for activity. Gln9 can be replaced with Asn, resulting in a mol. with similar activity. These data indicate that the pharmacophore of the peptide includes side chains of Val5 and Asn6 and backbone atoms contributed by Thr3, Val5, Asn6, Hyp7 and Gly8. Met2 and Gln9 can be modified or replaced. Glu1 can be replaced with charged amino acids, and is not likely to be part of the binding site of the peptide. The results of this study provide information that will be helpful in the rational modification of cyclo(BMTGVNDGQ) to yield peptide analogs and peptidomimetics with advantages in synthesis, pharmacol. properties, and biol. activity.

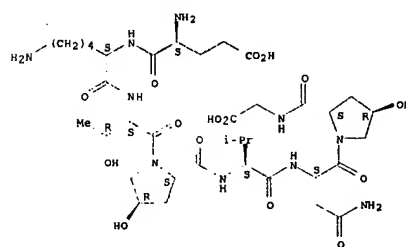
IT 393827-71-9 730937-53-8 730937-56-1
730937-59-4 730937-62-9 730937-64-1
730937-69-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacophore and synthesis optimization of peptide, derived from α -fetoprotein, that inhibits growth of human breast cancer)
RN 393827-71-9 CAPLUS
CN Glycine, L-n-glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 730937-53-8 CAPLUS
CN Glycine, L-n-glutamyl-L-lysyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

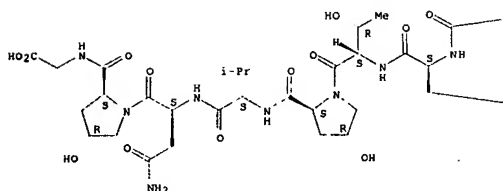
Absolute stereochemistry.



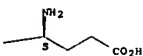
RN 730937-56-1 CAPLUS
CN Glycine, L-n-glutamyl-L-tyrosyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

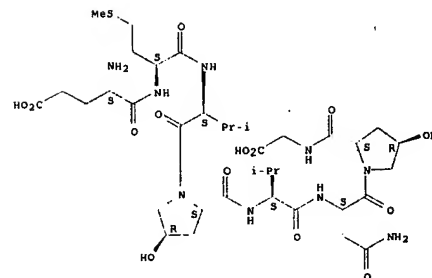


PAGE 1-B



RN 730937-59-4 CAPLUS
CN Glycine, L-n-glutamyl-L-methionyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

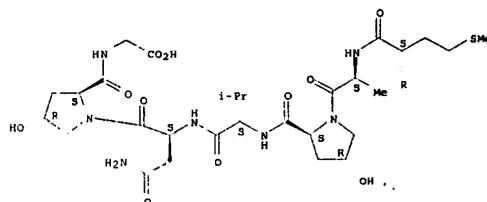
Absolute stereochemistry.



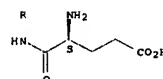
RN 730937-62-9 CAPLUS
CN Glycine, L-n-glutamyl-L-methionyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

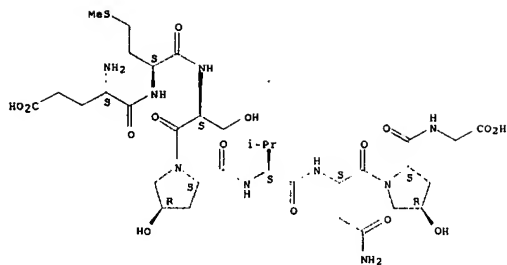


PAGE 2-A



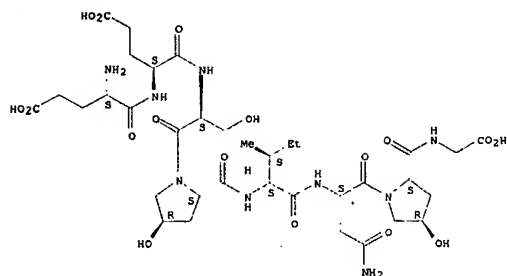
RN 730937-64-1 CAPLUS
CN Glycine, L-n-glutamyl-L-methionyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



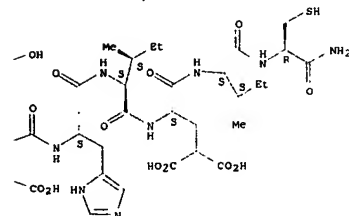
RN 730937-69-6 CAPLUS
CN Glycine, L-n-glutamyl-L-n-glutamyl-L-eryl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L6 ANSWER 96 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:437807 CAPLUS
DOCUMENT NUMBER: 141:201543
TITLE: Determining sequences and post-translational modifications of novel conotoxins in *Conus victoriae* using cDNA sequencing and mass spectrometry
AUTHOR(S): Jakubowski, Jennifer A.; Keays, David A.; Kelley, Wayne P.; Sandall, David W.; Bingham, Jon-Paul; Livett, Bruce O.; Gayler, Ken R.; Sweedler, Jonathan V.
CORPORATE SOURCE: Department of Chemistry and the Beckman Institute.



PAGE 1-B

PAGE 2-A

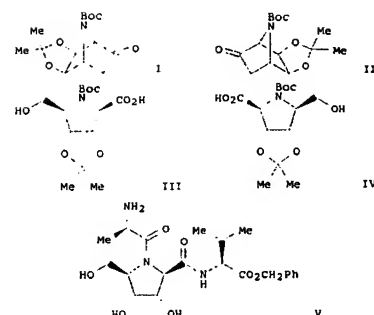
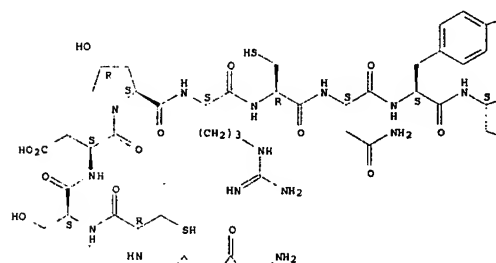
REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L6 ANSWER 97 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:435765 CAPLUS
DOCUMENT NUMBER: 141:140758
TITLE: Synthesis of D- and L-2,3-trans-3,4-cis-4,5-trans-3,4-dihydroxy-5-hydroxymethylproline and Tripeptides Containing Them
AUTHOR(S): Moreno-Vargas, Antonio J.; Robins, Inmaculada; Petricci, Elena; Vogel, Pierre
CORPORATE SOURCE: Laboratoire de Glycochimie et de Synthèse Asymétrique, Swiss Federal Institute of Technology (EPFL), Lausanne-Dorigny, CH-1015, Switz.
SOURCE: Journal of Organic Chemistry (2004), 69(13), 4487-4491
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:140758
OI

University of Illinois, Urbana-Champaign, IL, 61801, USA
SOURCE: Journal of Mass Spectrometry (2004), 39(5), 548-557
CODEN: JMSPFJ; ISSN: 1076-5174
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A combination of cDNA cloning and detailed mass spectrometric analyses was employed to identify novel conotoxins from *Conus victoriae*. Eleven conotoxin sequences were determined using mol. methods: one belonging to the A superfamily (Vc1.1), six belonging to the O superfamily (Vc6.1-Vc6.6) and four members of the T superfamily (Vc5.1-Vc5.4). In order to verify the sequences and identify the post-translational modifications (excluding the disulfide connectivity) of three *Conus victoriae* conotoxins, vc1a, vc5a and vc6a, deduced from sequences Vc1.1, Vc5.1, and Vc6.1, resp., liquid chromatog./electrospray ionization ion trap mass spectrometry, matrix-assisted laser desorption/ionization time-of-flight mass spectrometry and nanospray ionization ion trap mass spectrometry with collisionally induced dissociation were performed on reduced and alkylated venom fractions. We report that vc1a, the native form of α -conotoxin Vc1.1 (an unmodified 16 amino acid residue peptide that has notable pain-relieving capabilities), includes a hydroxyproline and a γ -carboxyglutamate residue. Conotoxin vc5a is a 10-residue peptide with two disulfide bonds and a hydroxyproline and vc6a is a 25 amino acid peptide with three disulfide bonds.
IT 743457-34-3P, Conotoxin Vc 1a
RL: BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation) (cDNA sequences and post-translational modifications of *Conus victoriae* conotoxins from venom)
RN 743457-34-3 CAPLUS
CN L-Cysteinamide, glycylglycyl-L-cysteinyl-L-eryl-L- α -aspartyl-(4R)-4-hydroxy-L-prolyl-L-arginyl-L-cysteinyl-L-asparaginyl-L-tyrosyl-L- α -aspartyl-L-histidyl-L-isoleucyl-4-carboxy-L- α -glutamyl-L-isoleucyl- (9CI) (CA INDEX NAME)

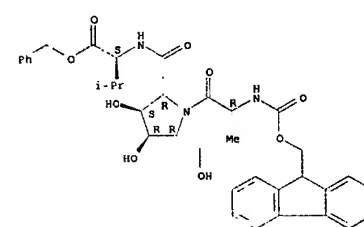
Absolute stereochemistry.

PAGE 1-A



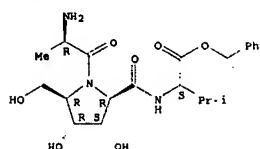
AB Enantiomerically pure (-)- and (+)-7-(tert-butoxycarbonyl)-5,6-exo-isopropylidenedioxy-7-azabicyclo[2.2.1]heptan-2-ones, I and II, resp., were prepared I and II were converted into D- and L-2,3-trans-3,4-cis-4,5-trans-N-(tert-butoxycarbonyl)-5-hydroxymethyl-3,4-isopropylidenedioxyprolines, III and IV, resp. Applying the Boc and Fmoc strategies of peptide synthesis, these comds. were used to construct two tripeptides. For example, III was incorporated into peptide synthesis to give tripeptide V.
IT 726192-27-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. preparation of (dihydroxy)hydroxymethylproline and its incorporation into tripeptides)
RN 726192-27-4 CAPLUS
CN L-Valine, N-[(9H-fluoren-9-ylmethoxycarbonyl)-D-alanyl-(3S,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-D-prolyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 726192-20-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. preparation of (dihydroxy)hydroxymethylproline and its incorporation
into tripeptides)
RN 726192-20-5 CAPLUS
CN L-Valine, D-alanyl-(3S,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-D-prolyl-,
phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

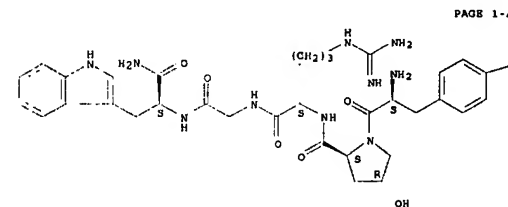
L6 ANSWER 98 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2004:405487 CAPLUS
DOCUMENT NUMBER: 141:16941
TITLE: Promising new directions in antidepressant development
AUTHOR(S): Garlapati, V.; Boyer, M. F.; Feighner, J. P.
CORPORATE SOURCE: Department of Psychiatry and Behavioral Sciences,
University of Kansas School of Medicine, Wichita, KS,
67214, USA
SOURCE: Handbook of Experimental Pharmacology (2004),
157 (Antidepressants), 565-582
CODEN: NEPHD2; ISSN: 0171-2004
PUBLISHER: Springer-Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. This chapter extends the discussion of novel mechanisms of action that may mediate antidepressant efficacy presented in the previous chapter and reviews findings concerning investigational antidepressants that are currently either in phase II or III clin. testing and for which data are available in the public domain. Six neuro-peptides that are currently of interest in antidepressant drug development are discussed: corticotropin releasing hormone (CRH), substance P (also known as neurokinin 1 (NK1)), neuropeptide Y (NPY), galanin, vasopressin (VPN), and oxytocin. These six were chosen because there are animal and/or human data that support a potential role for each of them in the pathophysiol. of clin. depression. The role of each of the six neuro-peptides in the pathophysiol. of depression is reviewed. Results in the public domain concerning investigational antidepressants affecting one of these mechanisms of action are available only for the first two neuro-peptides: CRH and substance P. Data are described for R121919, a CRH-1 receptor antagonist, and for the substance P antagonist, MK-869. Note that there are other investigational antidepressants in phase I or early phase II testing for which efficacy data are either not available or not yet in the public domain which are not discussed in this chapter. The naturally occurring neuro-peptides described in the first part of the chapter have multiple functions throughout the body and thus drugs acting on these peptides could produce multiple unwanted effects. For that reason, it might be desirable to design novel peptides that have therapeutic potential with minimal side effects. Findings concerning one

such novel peptide are presented: INN 00835 (nemifitide) is a synthetic pentapeptide that has shown promise in preclin. and clin. trials as a future antidepressant.

IT 173240-15-8, Nemifitide
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); US25 (Uses)
(six neuro-peptides in antidepressant drug development)
RN 173240-15-8 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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PAGE 1-B

REFERENCE COUNT: 101 THERE ARE 101 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 99 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2004:306906 CAPLUS
DOCUMENT NUMBER: 141:16941
TITLE: Disposition of caspofungin, a novel antifungal agent, in mice, rats, rabbits, and monkeys
AUTHOR(S): Sandhu, Punam; Xu, Kin; Bondiskey, Peter J.; Balani, Suresh K.; Morris, Michael L.; Tang, Yui S.; Miller, Alisha R.; Pearson, Paul G.
CORPORATE SOURCE: Department of Drug Metabolism, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2004), 48(4), 1272-1280
CODEN: AMACCO; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

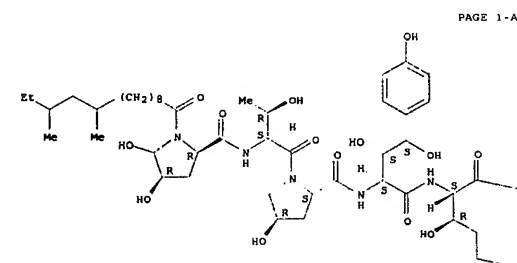
AB The metabolism, excretion, and pharmacokinetics of caspofungin (Cancidas; Merck & Co., Inc.) were investigated after administration of a single i.v. dose to mice, rats, rabbits, and monkeys. Caspofungin had a low plasma clearance (0.29 to 1.05 mL/min/kg) and a long terminal elimination half-life (11.7 h to 59.7 h) in all preclin. species. The elimination kinetics of caspofungin were multiphasic and displayed an initial distribution phase followed by a dominant β -elimination phase. The

PAGE 1-B

presence of low levels of prolonged radioactivity in plasma was observed and was partially attributable to the chemical degradation product M0. Excretion studies with (3H) caspofungin indicated that the hepatic and renal routes play an important role in the elimination of caspofungin, as a large percentage of the radiolabeled dose was recovered in urine and feces. Excretion of radioactivity in all species studied was slow, and low levels of radioactivity were detected in daily urine and fecal samples throughout a prolonged collection period. Although urinary profiles indicated the presence of several metabolites (M0, M1, M2, M3, M4, M5, and M6), the majority of the total radioactivity was associated with the polar metabolites M1 (4(S)-hydroxy-4-(4-hydroxyphenyl)-L-threonine) and M2 [N-acetyl-4(S)-hydroxy-4-(4-hydroxyphenyl)-L-threonine]. Caspofungin was thus primarily eliminated by metabolic transformation; however, the rate of metabolism was slow. These results suggest that distribution plays a prominent role in determining the plasma pharmacokinetics and disposition of caspofungin, as very little excretion or biotransformation occurred during the early days after dose administration, a period during which concns. in plasma fell substantially. The disposition of caspofungin in preclin. species was similar to that reported previously in humans.

IT 314080-31-4
RL: SBU (Biological study, unclassified); BIOL (Biological study)
(disposition of caspofungin, a novel antifungal agent, in mice, rats, rabbits, and monkeys)
RN 314080-31-4 CAPLUS
CN L-Prolineamide, (4R)-1-(10,12-dimethyl-1-oxotetradecyl)-4,5-dihydroxy-D-prolyl-L-threonine, (4R)-4-hydroxy-L-prolyl-(4S)-4-hydroxy-4-(4-hydroxyphenyl)-L-threonine, (3R)-3-hydroxy-L-ornithine-3-hydroxy-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

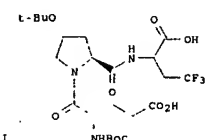
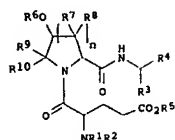


PAGE 1-A

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 100 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2004:305164 CAPLUS
DOCUMENT NUMBER: 140:321723
TITLE: Preparation of pyrrolidine derivatives useful in treatment of hepatitis C virus infection
INVENTOR(S): Halton, Philippe; Sayada, Chalom; Peryn, Jean-Marck; Perbost, Regis; Garzino, Frederic; Camplio, Michel; Courcambeck, Jerome; Pepe, Gerard
PATENT ASSIGNEE(S): 3 D Gene Pharma, Fr.
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXNDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1408031	A1	20040414	EP 2002-292487	20021009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
WO 200403425	A1	20040423	WO 2003-184884	20031009
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NI, NO, NZ, OM, PG, PH, PL, PT, RU, SC, SD, SE, SG, SI, SL, SN, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003274537	A1	20040504	AU 2003-274537	20031009
EP 1551800	A1	20050713	EP 2003-758511	20031009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006142204	A1	20060629	US 2005-534905	20051024
PRIORITY APPLN. INFO.:			EP 2002-292487	A 20021009

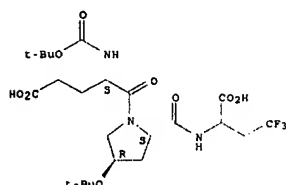


AB The invention relates to novel hepatitis C virus (HCV) protease inhibitors or other flavivirus protease inhibitors, their synthesis, and use in pharmaceutical compns. for treating hepatitis C and related disorders related to activity against NS3 serine protease. Compds. I in is 1 or 2; R1 is H, R2 is an amine protecting group; R3 is alkyl or an amino acid side chain; R4 is an acid, ester, alkanoyl, or amide group; R5 is H, alkyl, or a carboxy protecting group; R6, R9, R10 are H or alkyl; R7, R8 are H, alkyl or cycloalkyl or their optical and geometrical isomers, salts, etc. are claimed. Thus, peptide II (Boc = tert-butoxycarbonyl) was prepared via coupling reactions in solution and its inhibitory activity shown graphically as the ratio HCV RNA/GAPDH RNA.

IT 677757-47-0P 677757-52-7P 677757-56-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

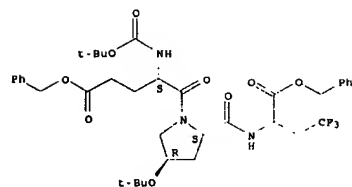
RN 677757-47-0 CAPLUS
CN Butanoic acid, N-[(1,1-dimethylethoxy)carbonyl]-L-α-glutamyl-(4R)-4-[(1,1-dimethylethoxy)-L-prolyl-2-amino-4,4,4-trifluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



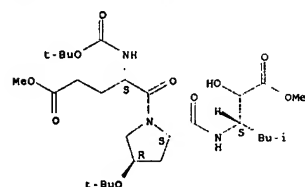
RN 677757-52-7 CAPLUS
CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-α-glutamyl-N-[(1S)-1-(carboxymethyl)-3-methylbutyl]-4-[(1,1-dimethylethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



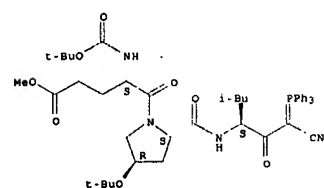
RN 677757-51-6 CAPLUS
CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-α-glutamyl-4-[(1,1-dimethylethoxy)-N-[(1S)-1-(1-hydroxy-2-methoxy-2-oxoethyl)-3-methylbutyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

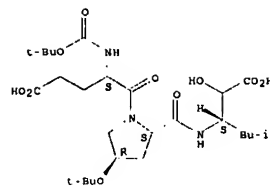


RN 677757-54-9 CAPLUS
CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-α-glutamyl-N-[(1S)-1-(cyano(triphenylphosphoranylidene)acetyl)-3-methylbutyl]-4-[(1,1-dimethylethoxy)-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

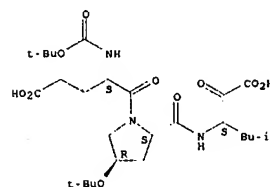


RN 677757-55-0 CAPLUS
CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-α-glutamyl-4-[(1,1-



RN 677757-56-1 CAPLUS
CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-α-glutamyl-N-[(1S)-1-(carboxycarbonyl)-3-methylbutyl]-4-[(1,1-dimethylethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



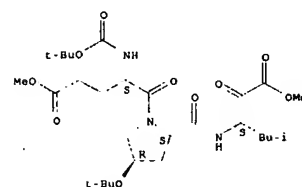
IT 677757-46-9P 677757-51-6P 677757-54-9P
677757-55-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolidine derivs. for treatment of hepatitis C virus infection)

RN 677757-46-9 CAPLUS
CN Butanoic acid, N-[(1,1-dimethylethoxy)carbonyl]-L-α-glutamyl-(4R)-4-[(1,1-dimethylethoxy)-L-prolyl-2-amino-4,4,4-trifluoro-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

dimethylethoxy)-N-[(1S)-1-(methoxyoxoacetyl)-3-methylbutyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RS FORMAT

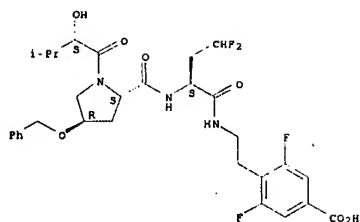
L6 ANSWER 101 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2004:303280 CAPLUS
DOCUMENT NUMBER: 141:64380
TITLE: Capped dipeptide phenethylamide inhibitors of the HCV NS3 protease
AUTHOR(S): Nizi, Emanuela; Koch, Uwe; Ontario, Jesus M.; Marchetti, Antonella; Marjes, Frank; Melancon, Savina; Matassa, Victor G.; Gardelli, Cristina
CORPORATE SOURCE: Department of Chemistry, IRBM, MRL Rome, Rome, 00040, Italy
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2151-2154
CODEN: BMCLBB, ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:64380

AB The N-terminal amino acid of phenethylamide tripeptide inhibitors of the hepatitis C virus NS3 protease can be replaced with an α-hydroxy acid to obtain more drug like inhibitors with low micromolar activity. The preferred S-configuration of the capping residue can be explained by mol. modeling studies.

IT 467441-55-0P 467441-56-1P 467441-57-2P
467441-67-4P 467441-68-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure-activity relationship studies of capped dipeptide phenethylamide derivs. as inhibitors of hepatitis C virus (HCV) NS3 protease)

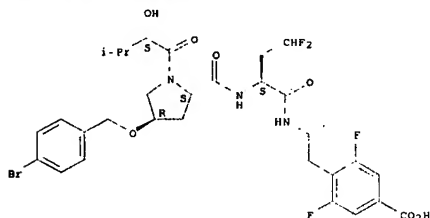
RN 467441-55-0 CAPLUS
CN Benzoic acid, 4-[(2-[(1S)-4,4-difluoro-2-[(1S,4R)-1-[(1S)-2-hydroxy-3-methyl-1-oxobutyl]-4-(phenylmethoxy)-2-pyrrolidinyl)carbonylamino]-1-oxobutylamino)ethyl]-3,5-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



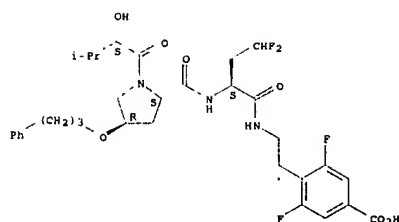
RN 467441-56-1 CAPLUS
CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-4-[(4-bromophenyl)methoxy]-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



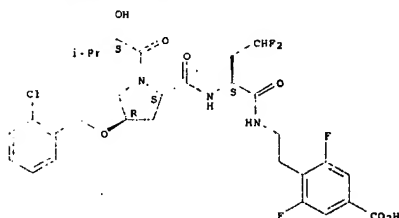
RN 467441-57-2 CAPLUS
CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-4-[(4-bromophenyl)methoxy]-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



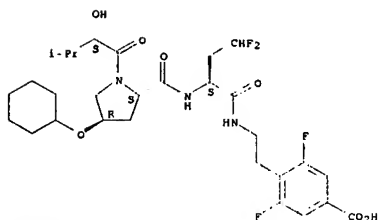
RN 467441-67-4 CAPLUS
CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-4-[(2-chlorophenyl)methoxy]-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 467441-68-5 CAPLUS
CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-4-[(cyclohexyloxy)-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

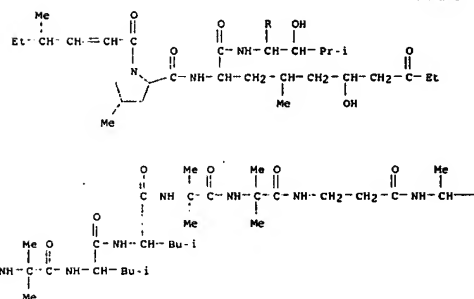
L6 ANSWER 102 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2004:295754 CAPLUS
DOCUMENT NUMBER: 141:230538
TITLE: Leucinoctatin-A loaded nanospheres: characterization and in vivo toxicity and efficacy evaluation
AUTHOR(S): Ricci, Maurizio; Blasi, Paolo; Giovagnoli, Stefano; Perilli, Luana; Vescovi, Claudia; Rossi, Carlo
CORPORATE SOURCE: Dept. of Chimica e Tecnologia del Farmaco, Università degli Studi di Perugia, Perugia, 06123, Italy
SOURCE: International Journal of Pharmaceutics (2004), 275(1-2), 61-72
CODEN: IJPHDE; ISSN: 0378-5173
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Leucinoctatin A (Leu-A) is a nonapeptide exerting a remarkable activity especially against *Candida albicans* and *Cryptococcus neoformans*; nevertheless, its employment is limited due its toxicity. Therefore, we recently developed liposomal formulations, as suitable delivery systems, in order to increase its therapeutic index. However, liposomes present disadvantages related to their long-term instability. For this reason poly(lactic-co-glycolic) nanospheres (NS) were chosen as alternative colloidal carriers for Leu-A delivery. NS were formulated by spontaneous emulsification solvent diffusion method. This study investigates the effects of different parameters on drug encapsulation efficiency and particle size as well. The best preparation obtained was also characterized for its in vitro release, in vivo acute toxicity (LD50), and effectiveness against *C. albicans* in mice. In vitro release was performed over 100 h and resulted sufficiently sustained with more than 93% of the peptide released. Acute toxicity showed that the LD50 was increased more than 18-fold and the study on systemic candidiasis models revealed high effectiveness of the NS in reducing either the growth of fungal colonies in infected mice liver or in the mortality index. In conclusion, we can propose that Leu-A loaded NS could represent a new promising therapeutic system against *Candida* infection.

IT 76600-38-9, Leucinoctatin-A
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Leucinoctatin-A loaded nanospheres characterization and toxicity and efficacy evaluation)

RN 76600-38-9 CAPLUS
CN Leucinoctatin A (9CI) (CA INDEX NAME)



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PAGE 1-B

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 103 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2004:270989 CAPLUS
DOCUMENT NUMBER: 141:405
TITLE: Inhibitors of hepatitis C virus NS3-4A protease. Part 3: P2 proline variants
AUTHOR(S): Perni, Robert B.; Farmer, Luc J.; Cottrell, Kevin M.; Court, John J.; Courtney, Lawrence F.; Delinger, David D.; Gates, Cynthia A.; Harbeson, Scott L.; Kim, Joseph L.; Lin, Chao; Lin, Kai; Luong, Yu-Ping; Maxwell, John P.; Murcko, Mark A.; Pitlik, Janos; Rao, B. Govinda; Schaefer, Wayne C.; Tung, Roger D.; Van Drie, John H.; Wilson, Keith; Thomson, John A.
CORPORATE SOURCE: Vertex Pharmaceuticals Inc., Cambridge, MA, 02139, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),

14(8), 1939-1942
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:405

AB We recently described the identification of an optimized α -ketoamide warhead for our series of HCV NS3-4A inhibitors. We report herein a series of HCV protease inhibitors incorporating 3-alkyl-substituted prolines in P2. These compounds show exceptional enzymic and cellular potency given their relatively small size. The marked enhancement of activity of these 3-substituted proline derivatives relative to previously reported 4-hydroxyproline derivatives constitutes additional evidence for the importance of the S2 binding pocket as the defining pharmacophore for inhibition of the NS3-4A enzyme.

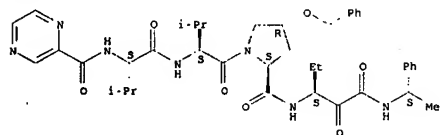
IT 681453-95-2
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

(preparation and structure-activity relationship studies of substituted prolines as inhibitors of hepatitis C virus NS3 4A protease)

RN 681453-95-2 CAPLUS

CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-ethyl-2,3-dioxo-3-[(1S)-1-phenylethyl]amino]propyl-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 696645-01-9
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation and structure-activity relationship studies of substituted prolines as inhibitors of hepatitis C virus NS3 4A protease)

RN 696645-01-9 CAPLUS

CN L-Phenylalanine, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-(4R)-4-[(1S,4-dihydro-2(1H)-isoquinolinyl)carbonyloxy]-L-prolyl-(3S)-3-amino-2-oxohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

corresponding norvaline derivative I (n = 0, R = Et, R1 = 1-naphthylmethyl), prompted a systematic study of substituent effects on the three-membered ring. For example, I (n = 1, R = Me, Et, n-Pr, i-Pr, CH2Ph, CH2CH2Ph for R1 = 1-naphthylmethyl; R = H, CH2CH2 for R1 = CH2Ph, 7-methoxy-4-quinolinyl, 2-phenyl-4-quinolinyl) were prepared and their inhibitory activity were measured. In addition, the authors report that the incorporation of a vinyl group, such as I (n = 1, R = CH=CH2, R1 = 1-naphthylmethyl) with syn configuration for the substituted cyclopropane ring, produced inhibitors of the protease with much improved in vitro potency. The vinyl-ACCA is the first reported carboxylic acid containing a P1 residue that produced NS3 protease inhibitors that are significantly more active than inhibitors containing a cysteine at the same position.

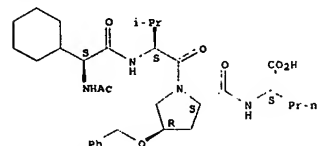
IT 693785-96-5 693785-97-6
RL: PAC (Pharmacological activity); BIOL (Biological study)

(preparation of peptides as inhibitors of hepatitis C virus NS3 protease and evaluation of structure-activity relationship at peptide C-terminus)

RN 693785-96-5 CAPLUS

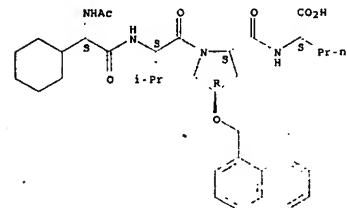
CN L-Norvaline, (2S)-N-acetyl-2-cyclohexylglycyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



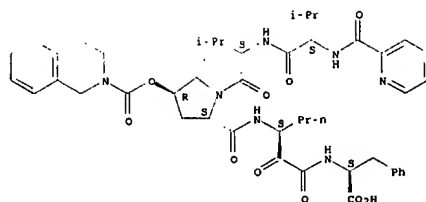
RN 693785-97-6 CAPLUS
CN L-Norvaline, (2S)-N-acetyl-2-cyclohexylglycyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 105 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:213956 CAPLUS
DOCUMENT NUMBER: 141:23332



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 104 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:264113 CAPLUS

DOCUMENT NUMBER: 141:7424

TITLE: Peptide-Based Inhibitors of the Hepatitis C Virus NS3 Protease: Structure-Activity Relationship at the C-Terminal Position

AUTHOR(S): Rancourt, Jean; Cameron, Dale R.; Gorys, Vide; Lamarre, Daniel; Polier, Martin; Thibeault, Diane; Linaas-Brunet, Montse

CORPORATE SOURCE: Research and Development, Boehringer Ingelheim (Canada) Ltd., Laval, H7S 2G5, Can.

SOURCE: Journal of Medicinal Chemistry (2004), 47(10), 2511-2522

CODEN: JMCMAH; ISSN: 0022-2623

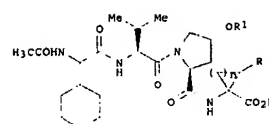
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:7424

GI



AB The structure-activity relationship at the C-terminal position of peptide-based inhibitors of the hepatitis C virus NS3 protease is presented. The observation that the N-terminal cleavage product (DDIVPC-OH) of a substrate derived from the NS5A/5B cleavage site was a competitive inhibitor of the NS3 protease was previously described. The chemical unstable cysteine residue found at the P1 position of these peptide-based inhibitors could be replaced with a norvaline residue, at the expense of a substantial drop in the enzymic activity. The fact that an aminocyclopropane carboxylic acid (ACCA) residue at the P1 position of a tetrapeptide, I (n = 1, R = H, R1 = 1-naphthylmethyl), led to a significant gain in the inhibitory enzymic activity, as compared to the

TITLE: Mannich reaction: an approach for the synthesis of water soluble mulundocandin analogues

AUTHOR(S): Lal, Banai; Gund, Vithal Genbhau; Bhise, Nandu Baban; Gangopadhyay, Ashok Kumar

CORPORATE SOURCE: Quest Institute of Lifesciences, Nicholas Piramal India Limited, Mumbai, 4000 80, India

SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(7), 1751-1768

CODEN: BMCCEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:23332

AB Semisynthetic modifications at the hydroxy tyrosine (HTyr) unit of mulundocandin were carried out to improve its aqueous solubility

Mulundocandin is a lipopeptide isolated from *Aspergillus sydowii*. A single step introduction of substituted aminomethyl groups at the ortho position(s) of phenolic hydroxyl of HTyr unit of mulundocandin has been achieved in 7-8% yield. The in vitro screening of Mannich products against *Candida albicans* and *Aspergillus fumigatus*, retained the in vivo activity of parent by oral and i.p. route. One compound showed significant improvement in activity over mulundocandin and activity compares well with that of fluconazole.

IT 693827-53-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

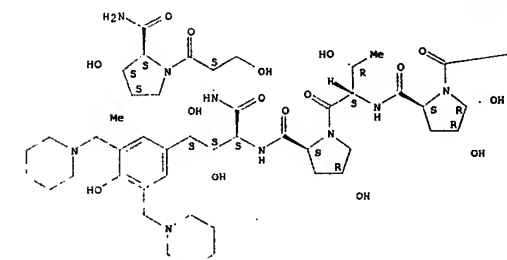
(Biological study); PREP (Preparation)

(preparation of water-soluble mulundocandin analogs using Mannich reaction and study of their antifungal activity)

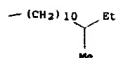
RN 693827-53-1 CAPLUS

CN L-Prolineamide, (4R,5R)-4,5-dihydroxy-1-(12-methyl-1-oxotetradecyl)-L-prolyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-(4S)-4-hydroxy-4-(4-hydroxy-3,5-bis(1-piperidinylmethyl)phenyl)-L-threonyl-L-seryl-3-hydroxy-4-methyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

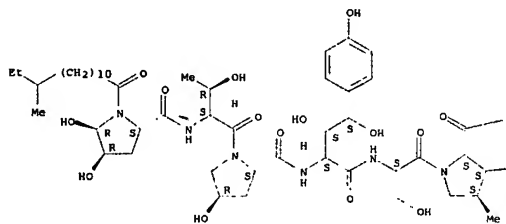


PAGE 1-A



IT 693827-50-8P 693827-51-9P 693827-52-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of water-soluble mulundocandin analogs using Mannich reaction
 and study of their antifungal activity)
 RN 693827-50-8 CAPLUS
 CN L-Prolinamide, (4R,5R)-4,5-dihydroxy-1-(12-methyl-1-oxotetradecyl)-L-
 prolyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-(4S)-4-hydroxy-4-(4-
 hydroxyphenyl)-L-threonyl-L-seryl-3-hydroxy-4-methyl-, (3S,4S)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



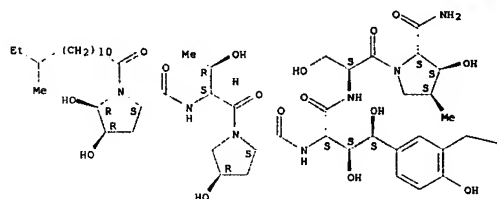
NH₂

OH

RN 693827-51-9 CAPLUS
 CN L-Prolinamide, (4R,5R)-4,5-dihydroxy-1-(12-methyl-1-oxotetradecyl)-L-

prolyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-(4S)-4-hydroxy-4-(4-hydroxy-3-(1-
 pyrrolidinylmethyl)phenyl)-L-threonyl-L-seryl-3-hydroxy-4-methyl-,
 (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 693827-52-0 CAPLUS
 CN L-Prolinamide, (4R,5R)-4,5-dihydroxy-1-(12-methyl-1-oxotetradecyl)-L-
 prolyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-(4S)-4-hydroxy-4-(4-hydroxy-3-(1-
 piperidinylmethyl)phenyl)-L-threonyl-L-seryl-3-hydroxy-4-methyl-, (3S,4S)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

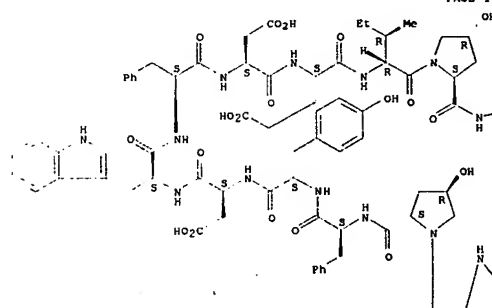
US 6875741 B2 20050405
 US 2007004634 A1 20070104
 PRIORITY APPLN. INFO.:
 US 2006-410222 B2 19980902
 US 1998-146127 A2 20000329
 US 2000-538038 A2 20010924
 US 2001-962756 A1 20020924
 US 2002-253493 A1 20020924

OTHER SOURCE(S): MARPAT 140,175,157
 AB Peptide sequences capable of binding to insulin and/or insulin-like growth
 factor receptors with either agonist or antagonist activity and identified
 from various peptide libraries are disclosed. The invention also
 identifies at least two different binding sites which are present on
 insulin and insulin-like growth factor receptors, and which selectively
 bind the peptides of this invention. As agonists, certain of the peptides
 of this invention may be useful for development as therapeutics to
 supplement or replace endogenous peptide hormones. The antagonists may
 also be developed as therapeutics for e.g. treatment of diabetes. Dimers
 and fusion proteins are also disclosed as insulin and IGF-1 receptor
 modulators.

IT 506430-52-0D, aldehyde and N-N linked dimers
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL
 (Biological study)
 (amino acid sequence; peptides from various peptide libraries and their
 dimers and fusion proteins as modulators of insulin and IGF-1 receptors
 and therapeutic use)

RN 506430-52-0 CAPLUS
 CN D-Glutamine, L-D-glutaminyl-L-tryptophyl-L-arginyl-L-α-aspartyl-
 (4R)-4-hydroxy-L-prolyl-L-phenylalanyl-L-tyrosyl-L-α-aspartyl-L-
 tryptophyl-L-phenylalanyl-L-α-aspartyl-L-α-glutamyl-D-
 isoleucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 106 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STM
 ACCESSION NUMBER: 2004.100792 CAPLUS
 DOCUMENT NUMBER: 140.175157
 TITLE: Insulin and IGF-1 receptor peptide agonists and
 antagonists, and therapeutic use
 INVENTOR(S): Pillutia, Renuka; Dedova, Olga; Blume, Arthur J.;
 Goldstein, Neil I.; Briessette, Renee; Wang, Pinger;
 Liu, Hao; Hsiao, Ku-chuan; Lennick, Michael; Fletcher,
 Paul
 PATENT ASSIGNEE(S): Antyra Inc., USA; Novo Nordisk A/S
 SOURCE: U.S. Pat. Appl. Publ., 242 pp., Cont.-in-part of U.S.
 Ser. No. 962,756.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004023887	A1	20040205	US 2002-253493	20020924
US 7173005	B2	20070206		
US 2003195147	A1	20031016	US 2001-962756	20010924

SOURCE: U.S. Pat. Appl. Publ., 359 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004001801	A1	20040101	US 2002-156214	20020523
PRIORITY APPL. INFO.:			US 2002-156214	20020523

OTHER SOURCE(S): MARPAT 140:99617

AB Conjugates of peptides with drugs that are substrates of a tissue-specific proteinases that can be used to treat diseases associated with abnormal levels of the enzyme. The enzyme may be transmembrane serine proteinase, a urokinase, or an endothelinase. The conjugates are to be substrates for proteinases that may be cell- or tissue-specific. The drug moiety of the conjugate may be cytotoxic. The drug may be bound to the peptide by a labile linker that will eliminate itself after the preliminary hydrolysis.

IT 642485-62-9D, drug conjugates 642485-63-0D, drug conjugates

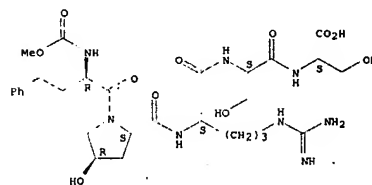
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(amino acid sequence, as prodrug, peptide conjugates with drugs as prodrugs for activation by tissue or cell-specific proteinases)

RN 642485-62-9 CAPLUS

CN L-Serine, (4R)-N-(methoxycarbonyl)aminobenzenebutanoyl-(4R)-4-hydroxy-L-prolyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

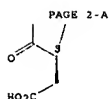
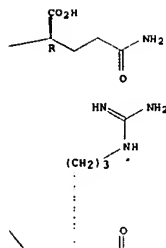
Absolute stereochemistry.



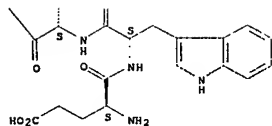
RN 642485-63-0 CAPLUS

CN L-Serine, N-acetyl-3-cyclohexyl-D-alanyl-(4R)-4-hydroxy-L-prolyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

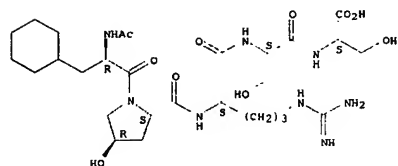


PAGE 2-B



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 107 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:3450 CAPLUS
 DOCUMENT NUMBER: 140:99617
 TITLE: Peptide conjugates with drugs as prodrugs for activation by tissue or cell-specific proteinases
 INVENTOR(S): Madison, Edwin L.; Semple, Joseph Edward; Vlasuk, George P.; Kemp, Scott Jeffrey; Komandla, Mallareddy; Siev, Daniel Vanna
 PATENT ASSIGNEE(S): Corvas International, Inc., USA



L6 ANSWER 108 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2003:1007834 CAPLUS
 DOCUMENT NUMBER: 140:71034
 TITLE: Insulin and IGF-1 receptor peptide agonists and antagonists, and therapeutic use
 INVENTOR(S): Pillutla, Renuka; Brissette, Renee; Blume, Arthur J.; Schaffer, Laugel; Brandt, Jacob; Goldstein, Neil I.; Spetzler, Jane; Ostergaard, Soren; Hansen, Per Hertz
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 203 pp., Cont.-in-part of U.S. Pat. Appl. 2003 195,147.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003236190	A1	20031225	US 2002-253471	20020924
US 2003195147	A1	20031016	US 2001-962756	20010924
US 6875743	B2	20050405		

PRIORITY APPL. INFO.:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 1998-146127	B2	19980902		
US 2000-538038	A2	20000329		
US 2001-962756	A2	20010924		

AB Peptide sequences capable of binding to insulin and/or insulin-like growth factor receptors with either agonist or antagonist activity and identified from various peptide libraries are disclosed. The invention also identifies at least two different binding sites which are present on insulin and insulin-like growth factor receptors, and which selectively bind the peptides of this invention. As agonists, certain of the peptides of this invention may be useful for development as therapeutics to supplement or replace endogenous peptide hormones. The antagonists may also be developed as therapeutics for e.g. treatment of diabetes. Dimers and fusion proteins are also disclosed as insulin and IGF-1 receptor modulators.

IT 506430-52-0

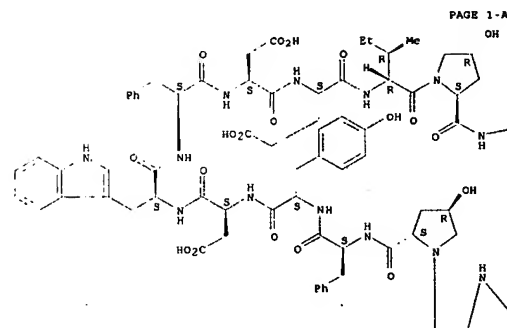
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(amino acid sequence, peptides from various peptide libraries and their dimers and fusion proteins as modulators of insulin and IGF-1 receptors and therapeutic use)

RN 506430-52-0 CAPLUS

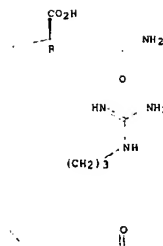
CN D-Glutamine, L-D-glutamyl-L-tryptophyl-L-arginyl-L-D-aspartyl-(4R)-4-hydroxy-L-prolyl-L-phenylalanyl-L-tyrosyl-L-D-aspartyl-L-tryptophyl-L-phenylalanyl-L-D-aspartyl-L-D-glutamyl-D-isoleucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

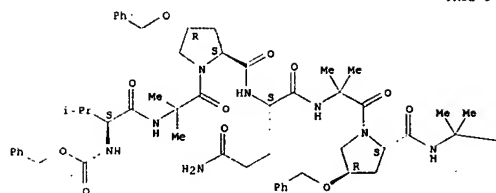
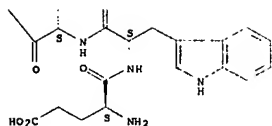
Absolute stereochemistry.



PAGE 1-A

PAGE 1-B





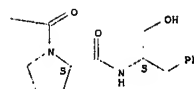
L6 ANSWER 109 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2003:955522 CAPLUS
 DOCUMENT NUMBER: 140:181789
 TITLE: Synthesis of the protected 6-16 segment of zervamicin II-2, an application of the azirine/oxazolone method
 AUTHOR(S): Pradeille, Nicolas; Heimgartner, Heinz
 CORPORATE SOURCE: Organisch-chemisches Institut der Universitaet
 Zuerich, Zurich, CH-8057, Switz.
 SOURCE: Journal of Peptide Science (2003), 9(11-12), 827-837
 CODEN: JPSIEI; ISSN: 1075-2617
 PUBLISHER: John Wiley & Sons Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 140:181789

AB The protected 11 amino acid segment (6-16) of the peptaibol zervamicin II-2 was synthesized by using the "azirine/oxazolone method" for the introduction of all Aib residues. Whereas a 2,2-dimethyl-2H-azirin-3-amine was used as the building block (for Aib(7)), Me 2,2-dimethyl-2H-azirin-3-proline and -3-(3-hydroxyproline) proved to be ideally suited as dipeptide synthons for the introduction of Aib-Pro and Aib-Hyp. resp. The coupling of 2-protected amino acids (Z = benzyloxycarbonyl) or peptide acids with the 2H-azirin-3-amines were performed in 75% to quant. yield.

IT 658042-84-3P 658042-85-4P
 RL: RCT (Reactant); SPW (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

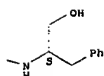
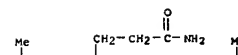
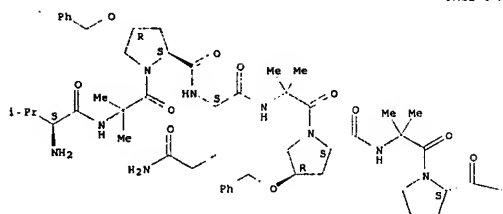
(synthesis of protected segment of zervamicin II-2 containing aminoisobutyric acid residues by azirine/oxazolone method)
 RN 658042-84-3 CAPLUS
 CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-L-valyl-2-methylalanyl-(4R)-4-(phenylmethoxy)-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-(phenylmethoxy)-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

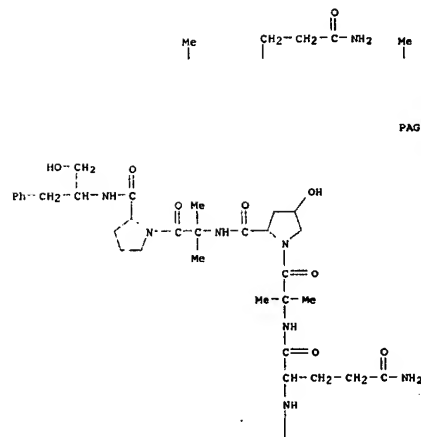


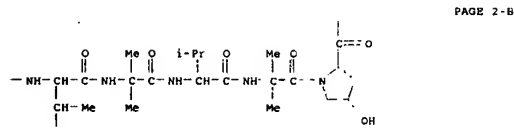
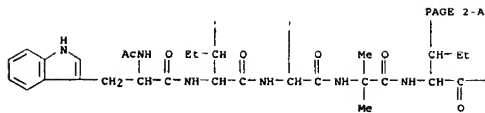
RN 658042-85-4 CAPLUS
 CN L-Prolinamide, L-valyl-2-methylalanyl-(4R)-4-(phenylmethoxy)-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-(phenylmethoxy)-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



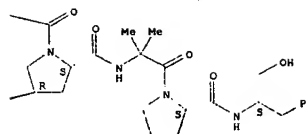
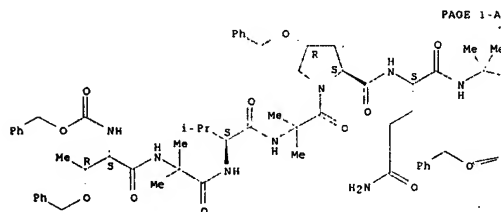
IT 79395-88-3DP, Zervamicin II-2, segment 658042-66-1P
 RL: SPW (Synthetic preparation); PREP (Preparation)
 (synthesis of protected segment of zervamicin II-2 containing aminoisobutyric acid residues by azirine/oxazolone method)
 RN 79395-88-3 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-valyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)





RN 658042-66-1 CAPLUS
CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-O-(phenylmethyl)-L-threonyl-2-methylalanyl-L-valyl-2-methylalanyl-(4R)-4-(phenylmethoxy)-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-(phenylmethoxy)-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

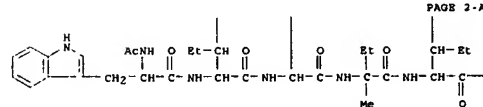


REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

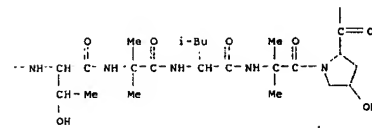
L6 ANSWER 110 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:955521 CAPLUS
DOCUMENT NUMBER: 140:388135
TITLE: Biosynthetic uniform 13C, 15N-labelling of zervamicin IIB. Complete 13C and 15N NMR assignment
AUTHOR(S): Ovchinnikova, Tatyana V.; Shenkarev, Zakhar O.; Yakimenko, Zoya A.; Svishcheva, Natalia V.; Tagaev, Andrey A.; Skladnev, Dmitry A.; Arseniev, Alexander S.
CORPORATE SOURCE: Shemyakin & Ovchinnikov Institute of Bioorganic Chemistry, Russian Academy of Sciences, Moscow, Russia
SOURCE: Journal of Peptide Science (2003), 9(11-12), 817-826
CODEN: JPSIEI; ISSN: 1075-2617
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Zervamicin IIB is a member of the α -aminoisobutyric acid containing peptaibol antibiotics. A new procedure for the biosynthetic preparation of the uniformly 13C- and 15N-enriched peptaibol is described. This compound was isolated from the biomass of the fungus-producer *Emericellopsis salmonynemata* strain 336 IMI 58330 obtained upon cultivation in the totally 13C, 15N-labeled complete medium. To prepare such a medium the autolyzed biomass and the exopolysaccharides of the obligate methylotrophic bacterium *Methylobacillus flagellatus* RT were used. This microorganism was grown in totally 13C, 15N-labeled minimal medium containing 13C-methanol and 15N-ammonium chloride as the only carbon and nitrogen sources. Preliminary NMR spectroscopic anal. indicated a high extent of isotope incorporation (>90%) and led to the complete 13C- and 15N-NMR assignment, including the stereospecific assignment of 15b residues Me groups. The observed pattern of the structurally important secondary chemical shifts of 1Hu, 13C=O and 13Cu agrees well with the previously determined structure of zervamicin IIB in methanol solution
IT 79395-85-0P, Zervamicin IIB 688035-38-3P
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation)
(Biosynthetic uniform 13C, 15N-labeling of zervamicin IIB with complete 13C and 15N NMR assignment)
RN 79395-85-0 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

PAGE 1-A

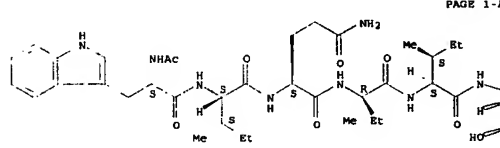
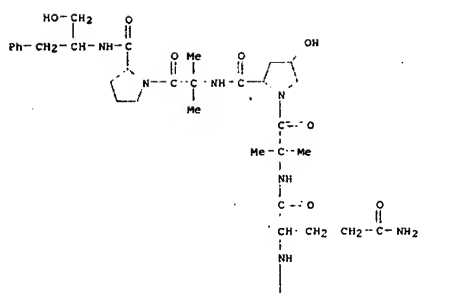


PAGE 2-B

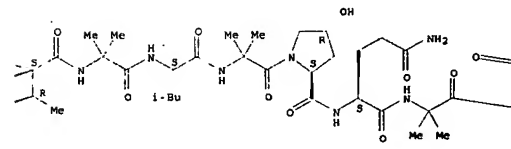


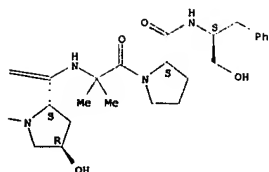
RN 688035-38-3 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]-, labeled with carbon-13 and nitrogen-15 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B





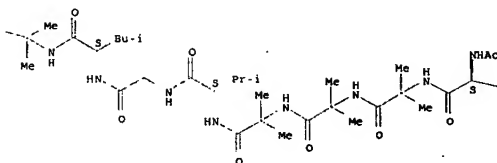
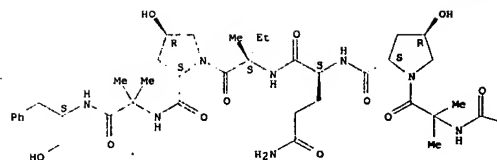
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L6 ANSWER 111 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2003:955515 CAPLUS
 DOCUMENT NUMBER: 140:283089
 TITLE: Differences in membrane pore formation by peptaibols
 AUTHOR(S): Origoriev, Pavel A.; Schlegel, Brigitte; Kronen, Matthias; Berg, Albrecht; Haertl, Albert; Graefe, Udo
 CORPORATE SOURCE: Institute of Cell Biophysics, Russian Academy of Sciences, Pushchino, 14229, Russia
 SOURCE: Journal of Peptide Science (2003), 9(11-12), 763-768
 CODEN: JPSIEI; ISSN: 1075-2617
 PUBLISHER: John Wiley & Sons Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The efficiencies of membrane pore formation by 14 naturally occurring peptaibols and two structurally modified ampullosporins were compared using an artificial bilayer membrane model. Major differences were found in the dependence on peptide sequences and the constituting amino acids. Alamethicin F-30, chrysospermins C/D, paracelsin and texenomycin A displayed higher activity by several orders of magnitude in comparison with smaller peptaibols containing <17 amino acids such as ampullosporins, trichofumins, bergofungins and cephaibols. Biol. activities such as the induction of pigment formation by the fungus *Phoma destructiva* and long acting hypothermia and depression of locomotor activity in mice were correlated with moderate membrane permeabilization. No or weak membrane activities corresponded with biol. inactivity. Highly membrane-active structures such as alamethicin F-30, chrysospermin C, texenomycin A and paracelsin A displayed antibiotic effects against the fungus and toxicity in mice.

IT 181478-82-0, Bergofungin A 245670-50-2, Bergofungin B
 280774-61-0, Cephaibol E 304911-39-5, Cephaibol B
 304911-40-8, Cephaibol C
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (differences in membrane pore formation by peptaibols)
 RN 181478-82-0 CAPLUS
 CN Bergofungin A (9CI) (CA INDEX NAME)

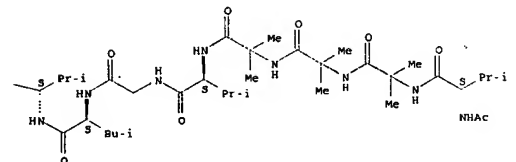
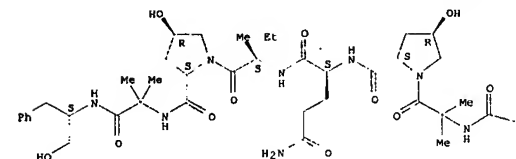
Absolute stereochemistry. Rotation (+).



Pr-i

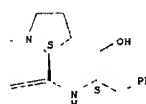
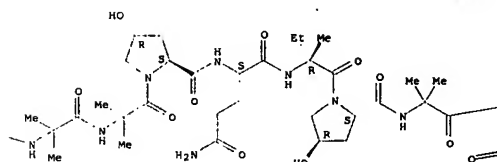
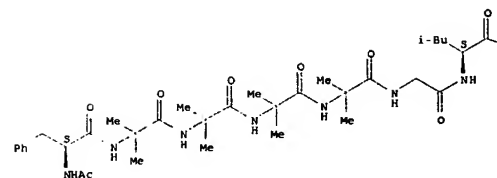
RN 245670-50-2 CAPLUS
 CN Bergofungin B (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 280774-61-0 CAPLUS
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Absolute stereochemistry.

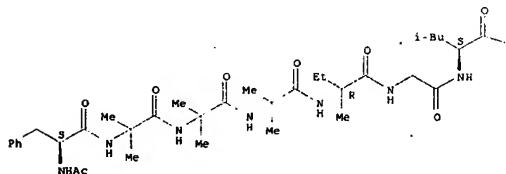


RN 304911-39-5 CAPLUS
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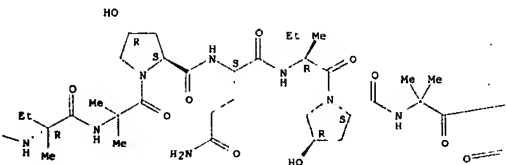
hydroxy-L-prolyl-L-glutamyl-D-isovalyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

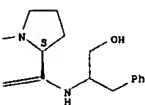
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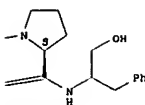
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REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

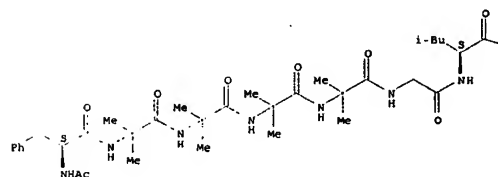
L6 ANSWER 112 OF 551
 ACCESSION NUMBER: 2003:955513 CAPLUS
 DOCUMENT NUMBER: 140:159390
 TITLE: Crystal structures of cephaibols
 AUTHOR(S): Bunkocsi, Gabor; Schiell, Matthias; Vertesy, Laszlo; Sheldrick, George M.
 CORPORATE SOURCE: Lehrstuhl fuer Strukturchemie, Georg-August-Universitaet, Goettingen, D-37077, Germany
 SOURCE: Journal of Peptide Science (2003), 9(11-12), 745-752
 CODEN: JPSTER; ISSN: 1075-2617
 PUBLISHER: John Wiley & Sons Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The crystal structures of the peptaibol antibiotics cephaibol A, cephaibol B and cephaibol C have been determined at .apprx.0.9 Å resolution. All three adopt a helical conformation with a sharp bend (of about 55°) at the central hydroxyproline. All isovalues were found to possess the D configuration, superposition of all four models (there are two independent mols. in the cephaibol B structure) shows that the N-terminal helix is rigid and the C-terminus is flexible. There are differences in the hydrogen bonding patterns for the three structures that crystallize in different space groups despite relatively similar unit cell dimensions, but only in the case of cephaibol C does the packing emulate the formation of a membrane channel believed to be important for their biol. function.
 IT 304911-38-4, Cephaibol A 304911-39-5, Cephaibol B 304911-40-8, Cephaibol C
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (crystal structures of cephaibols A, B, and C)
 RN 304911-38-4 CAPLUS
 CN L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanylglycyl-L-leucyl-D-isovalyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-D-isovalyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

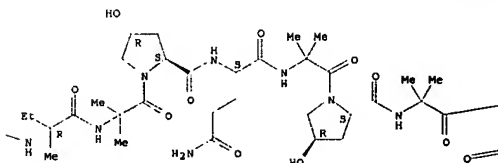
RN 304911-40-8 CAPLUS
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Absolute stereochemistry.

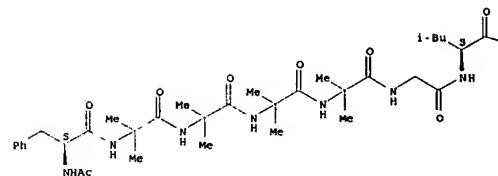
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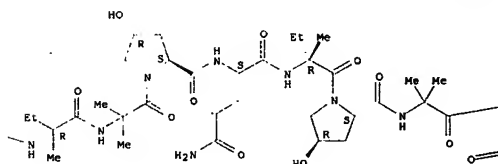
PAGE 1-B



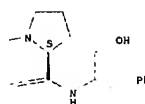
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PAGE 1-B



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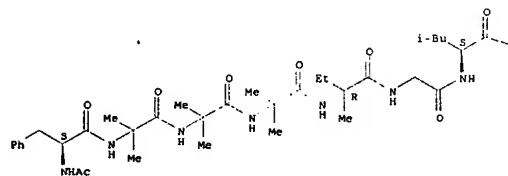


RN 304911-39-5 CAPLUS
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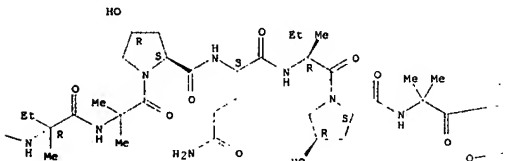
hydroxy-L-prolyl-L-glutamyl-D-isovalyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1-hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

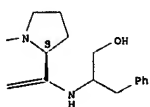
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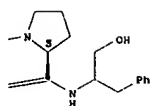
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PAGE 1-C



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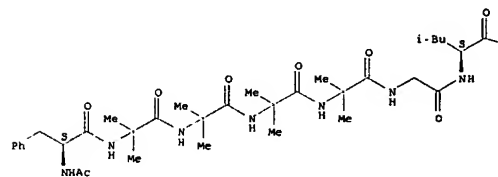
L6 ANSWER 113 OF 551
ACCESSION NUMBER: 2003:950867 CAPLUS
DOCUMENT NUMBER: 140:13086
TITLE: Cephalols used as neurological and immunosuppressive agents
INVENTOR(S): Schiell, Matthias; Vertesy, Laszlo; Wink, Joachim; Schlegel, Brigitte; Maertli, Albert; Graefe, Udo
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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WO 2003099317	A1	20031204	WO 2003-EP4592	20030502
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RM:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10222792	A1	20031204	DE 2002-10222792	20020523
CA 2487246	A1	20031204	CA 2003-2487246	20030502
AU 2003229766	A1	20031212	AU 2003-229766	20030502
EP 1509241	A1	20050302	EP 2003-722587	20030502
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EP 1679081	A3	20060802		
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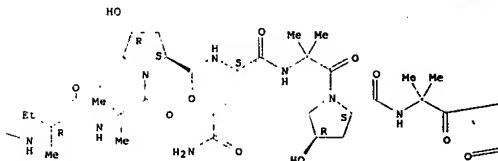
RN 304911-40-8 CAPLUS
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Absolute stereochemistry.

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PAGE 1-B



MX 2004PA11130 A 20050815 MX 2004-PA11130 20041110
PRIORITY APPLN. INFO.: DE 2003-10222792 A 20020523
US 2002-395011P P 20020711
EP 2003-722587 A3 20030502
WO 2003-EP4592 W 20030502

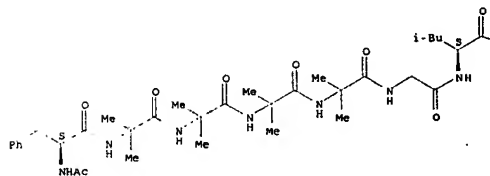
OTHER SOURCE(S): MARPAT 140:13086
AB The invention discloses the use of compds. AcPhe-Aib-Aib-Aib-x-w-Leu-y-Aib-Hyp-Gln-z-Hyp-Aib-Pro-R [R = Phe-ol, Phe-al; w, x, y, z have the following meaning: (a) w = Gly, Aib; x = Aib; y, z = Ival; (b) w = Gly; x, y, z = Ival; (c) w = Gly; x, z = Aib; y = Ival; (d) w = Gly; x, y, z = Aib; (e) w = Gly; x, y = Aib; z = Ival or AcPhe-Iva-Gln-Aib-Ile-Thr-Aib-Leu-Aib-x-Gln-Aib-Hyp-Aib-Pro-Phe-Ser (x = Hyp, Pro), and physiol. acceptable salts thereof, for producing a medicament having a neurol. and/or immunosuppressive effect.

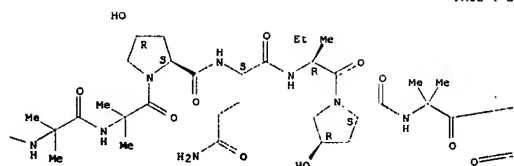
IT 280774-61-0 280774-64-1 304911-38-4
304911-39-5 304911-40-8 304911-41-9
344926-93-8
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cephalols used as neurol. and immunosuppressive agents)

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CN L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanylglycyl-L-leucyl-2-methylalanyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-D-isovalyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

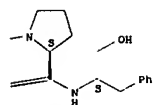
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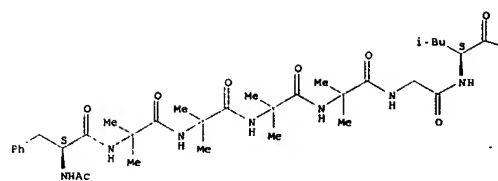
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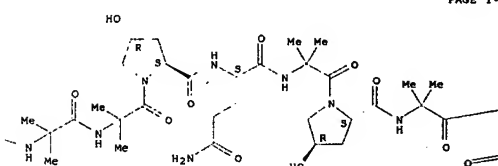


RN 280774-64-3 CAPLUS
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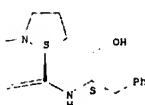
Absolute stereochemistry.



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RN 304911-38-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanylglycyl-L-leucyl-D-isovalyl-2-methylalanyl-(4R)-

PAGE 1-C

4-hydroxy-L-prolyl-L-glutamyl-D-isovalyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

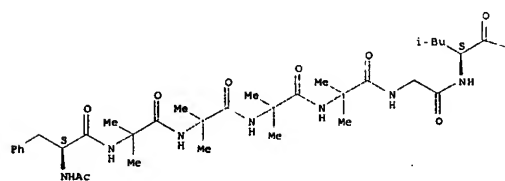
Absolute stereochemistry.

PAGE 1-A

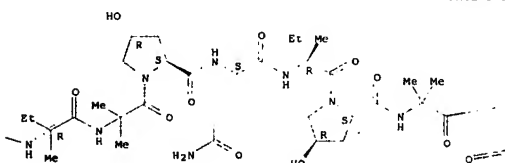
RN 304911-39-5 CAPLUS
CN L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-D-isovalylglycyl-L-leucyl-D-isovalyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-D-isovalyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

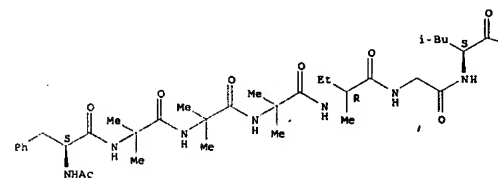
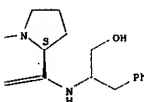
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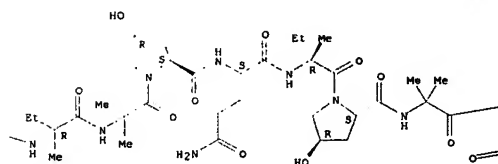
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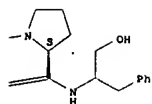


PAGE 1-C



PAGE 1-B

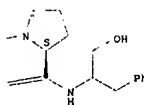
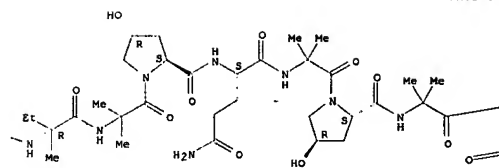
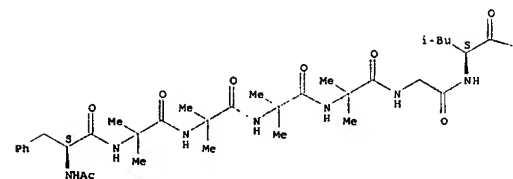




RN 304911-40-8 CAPLUS

CN L-Prolineamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanylglycyl-L-leucyl-D-isovalyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutaminy-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

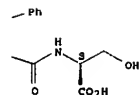
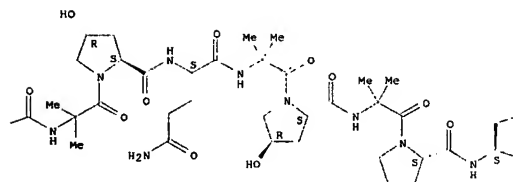
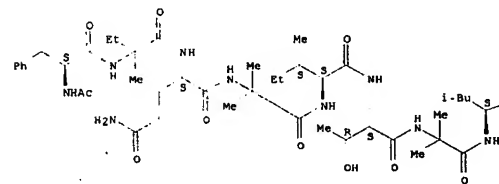
Absolute stereochemistry.



RN 304911-41-9 CAPLUS

CN L-Serine, N-acetyl-L-phenylalanylisovalyl-L-glutaminy-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutaminy-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-L-prolyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

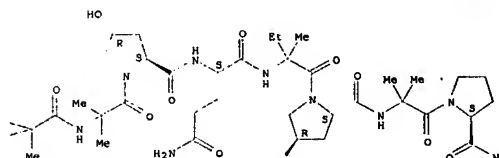
Absolute stereochemistry.
Currently available stereo shown.



RN 344926-93-8 CAPLUS

CN L-Prolineamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-L-alanyl-L-leucylisovalyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutaminyisovalyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 114 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:88165 CAPLUS
DOCUMENT NUMBER: 140:55226
TITLE: The effect of three-dimensional structure on the solid

state isotope exchange of hydrogen in polypeptides with spillover hydrogen

AUTHOR(S): Zolotarev, Yu. A.; Dadayan, A. K.; Borisov, Yu. A.; Dorokhova, E. M.; Kozik, V. S.; Vtyurin, N. N.; Bocharov, E. V.; Ziganshin, R. N.; Lunina, N. A.; Kostrov, S. V.; Ouchinnikova, T. V.; Myasodov, N. P.

CORPORATE SOURCE: Institute of Molecular Genetics, Russian Academy of Science, Moscow, 123182, Russia

SOURCE: Bioorganic Chemistry (2003), 31(6), 453-463

PUBLISHER: Elsevier Science

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The effect of the three-dimensional structure of polypeptides and proteins on their ability to undergo isotopic exchange under the action of spillover hydrogen (SH) in the high temperature solid state catalytic isotope exchange reaction (HSCIE) was theor. and exptl. studied. The HSCIE reaction in the β -galactosidase protein from *Thermotoga aerobacter* ethanolicus (8 kDa) was studied. The influence of the β -galactosidase structure on isotopic exchange as peptide fragments with spillover tritium was studied. The most accessible peptide fragment, which does not contribute to α -helix and β -strand formations (KEMQKE215-220), had the largest relative reactivity. The one located in the contact area between the subunits (VLKDE417-422) showed the smallest relative reactivity. The relative reactivities of these peptides differ more than 150 times. Data collected during a study devoted to the HSCIE reaction of the β -galactosidase protein indicate that the HSCIE reaction might be employed for acquiring information about their three-dimensional structure and protein-protein interactions. The results of ab initio calcns. have shown that α -helix formation in polypeptides decreases the reactivity in HSCIE. Hydrogen exchange in the α -helical fragment Trp1-Leu5 of zervamicin IIB was also analyzed using theor. methods. It was shown by ab initio quantum-chemical calcns. that the high degree of substitution of C α H for tritium in Gln3 might be associated with the participation of electron donor O and N atoms in transition state stabilization in the HSCIE reaction.

IT 79395-85-0, Zervamicin IIB

RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)

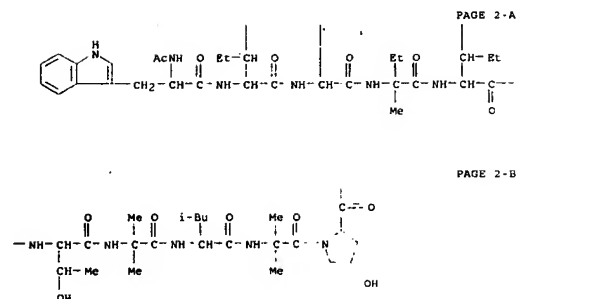
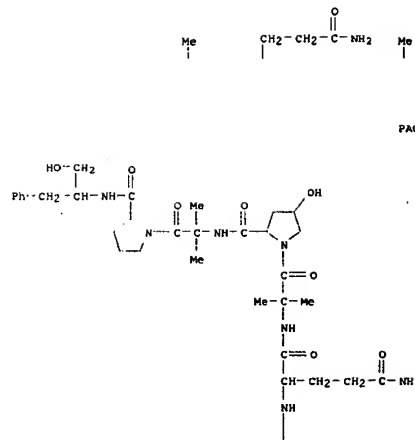
(three-dimensional structure of zervamicin IIB influences solid state isotope exchange with spillover tritium)

RN 79395-85-0 CAPLUS

CN L-Prolineamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 1-B



PAGE 2-A

PAGE 2-B

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 115 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2003:877320 CAPLUS

DOCUMENT NUMBER: 140:122101

TITLE: The relationship between physicochemical properties, in vitro activity and pharmacokinetic profiles of analogues of diamine-containing efflux pump inhibitors

AUTHOR(S): Watkins, William J.; Landaverry, Yakira; Leger, Roger; Litman, Renee; Renau, Thomas E.; Williams, Nicole; Yen, Rose; Zhang, Jason Z.; Chamberland, Suzanne; Hansen, Deidre; Griffith, David; Tembe, Vrushali; Huie, Keith; Dudley, Michael N.

CORPORATE SOURCE: Essential Therapeutics, Inc., Mountain View, CA, 94043, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(23), 4241-4244

CODEN: BMCLER, ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:122101

AB Following the optimization of diamine-containing efflux pump inhibitors with respect to in vitro potentiation activity, in vivo stability and acute toxicity, we addressed the question of how to control the pharmacokinetic properties of the series. Upon i.v. administration in the rat, tissue levels of MC-04,124 (the lead compound) were high and prolonged compared to those in the serum. The lipophilicity and basicity of analogs of this compound were systematically varied, and effects on potency and pharmacokinetics explored. The ratio of drug levels in tissue vs. serum was not significantly reduced in any of the active analogs examined

IT 649561-23-9P 649561-24-OP 649561-27-3P

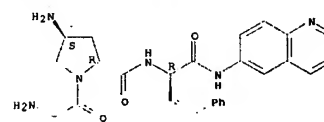
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(relationship between physicochem. properties, in vitro activity and pharmacokinetic profiles of analogs of diamine-containing efflux pump inhibitors synthesized to potentiate antipseudomonas agent activity)

RN 649561-23-9 CAPLUS

CN Benzenebutanamide, glycy-(4S)-4-amino-D-prolyl- α -amino-N-6-quinolinyl-, (4R)-(9CI) (CA INDEX NAME)

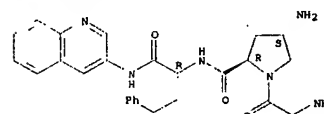
Absolute stereochemistry.



RN 649561-24-0 CAPLUS

CN Benzenebutanamide, glycy-(4S)-4-amino-D-prolyl- α -amino-N-3-quinolinyl-, (4R)-(9CI) (CA INDEX NAME)

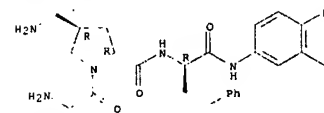
Absolute stereochemistry.



RN 649561-27-3 CAPLUS

CN Benzenebutanamide, glycy-(4R)-4-(aminomethyl)-D-prolyl- α -amino-N-6-quinolinyl-, (4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 116 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2003:855766 CAPLUS

DOCUMENT NUMBER: 139:145913

TITLE: Identification of tumor necrosis factor α (TNF- α) modulator compounds, and use for treatment of TNF-mediated diseases

INVENTOR(S): Miller, Karen; Diu-Hercend, Anita; Hercend, Thierry; Lang, Paul; Weber, Peter; Golec, Julian; Mortimore, Michael

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 260 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088917	A2	20031030	WO 2003-US12262	20030417
WO 2003088917	A3	20040304		
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RM: GH, GM, KE, LS, MM, MY, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003225088	A1	20031103	AU 2003-225088	20030417
US 2004048797	A1	20040311	US 2003-419327	20030417
EP 1499898	A2	20050126	EP 2003-721795	20030417
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PRIORITY APPLN. INFO.: WO 2003-US12262 P 20020419 W 20030417				

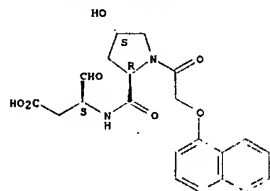
AB The invention discloses methods for identifying compounds useful for regulating TNF- α levels and/or activity. The invention also discloses methods for decreasing TNF- α levels and/or activity. Compds. and compns. of the invention are useful for treating TNF-mediated diseases. The invention further discloses kits comprising the compds. and compns. herein and a tool for measuring TNF- α activity and/or levels. Preparation of selected compds., e.g.

(1S/R, (2S)) 5-fluoro-4-oxo-3-[[1-(phenothiazine-10-carbonyl)piperidine-2-carbonyl]aminopentanoic acid, is described.

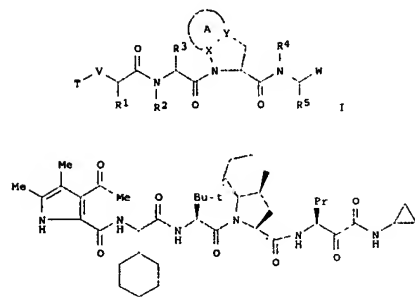
IT 618459-25-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(TNF- α modulator compound identification methods, and use for treatment of TNF-mediated diseases)

RN 618459-25-9 CAPLUS
CN Butanoic acid, 3-[[[(2R,4S)-4-hydroxy-1-[[1-naphthalenyl]oxy]acetyl]-2-pyrrolidinyl]carbonyl]amino]-4-oxo-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 117 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2003:837079 CAPLUS



AB The invention relates to compds. I [A together with X and Y is a 3- to 6-membered aromatic or non-aromatic ring having up to 3 heteroatoms; R1, R3 are aliphatic, (un)substituted (cyclo)alkenyl, (hetero)aryl, etc.; R2, R4 are H, (un)substituted aliphatic, cycloalkyl or aryl aliphatic; R5 is (un)substituted aliphatic; M is COCOR6, COCOR6, or COCOR62, where R6 is H, aliphatic, (hetero)aryl, etc.; V is CONR8, SO2NR8, where R8 is H or aliphatic; T is (hetero)aryl, aliphatic, sulfonylaminoalkyl, etc.] that inhibit serine protease activity, particularly the activity of hepatitis C virus NS3-NS4A protease. Thus, peptide II was prepared via coupling reactions in solution and showed Ki and IC50 values < 0.5 μ M.

IT 615584-04-SP 615584-05-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

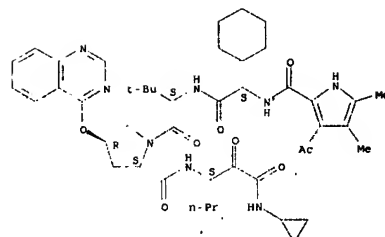
(preparation of peptides as inhibitors of serine proteases, particularly HCV NS3-NS4A protease)
RN 615584-04-8 CAPLUS
CN L-Prolineamide, 3-acetyl-2,3,4,5-tetrahydro-4,5-dimethylprolyl-(2S)-2-cyclohexylglycyl-3-methyl-L-valyl-N-[(1S)-1-[(cyclopropylamino)oxoacetyl]butyl]-4-(4-quinazolinyl)oxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

DOCUMENT NUMBER: 139:338195
TITLE: Preparation of peptides as inhibitors of serine proteases, particularly HCV NS3-NS4A protease
INVENTOR(S): Pitlik, Janos; Cottrell, Kevin M.; Farmer, Luc J.; Perni, Robert B.; Courtney, Lawrence F.; Van Drie, John H.; Murcko, Mark A.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

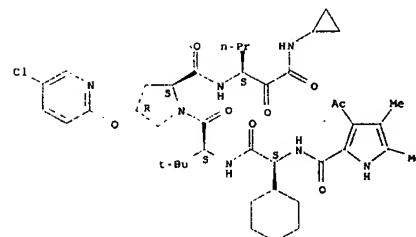
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087092	A2	20031023	WO 2003-US11459	20030411
WO 2003087092	A3	20040910		
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RM: GH, GM, KE, LS, MM, MY, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2481369	A1	20031023	CA 2003-2481369	20030411
AU 2003223602	A1	20031027	AU 2003-223602	20030411
EP 1497282	A2	20050119	EP 2003-719741	20030411
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CN 1649864	A	20050803	CN 2003-809665	20030411
JP 2005535574	T	20051124	JP 2003-584048	20030411
IN 2004KN1504	A	20060915	IN 2004-KN1504	20041008
MX 2004PA09938	A	20041213	MX 2004-PA09938	20041011
ZA 200408243	A	20060726	ZA 2004-8243	20041012
NO 200404889	A	20050110	NO 2004-4889	20041110
PRIORITY APPLN. INFO.: US 2002-371846P P 20020411 W 20030411				

OTHER SOURCE(S): MARPAT 139:338195
GI



RN 615584-05-9 CAPLUS
CN L-Prolineamide, 3-acetyl-2,3,4,5-tetrahydro-4,5-dimethylprolyl-(2S)-2-cyclohexylglycyl-3-methyl-L-valyl-4-[(5-chloro-2-pyridinyl)oxy]-N-[(1S)-1-[(cyclopropylamino)oxoacetyl]butyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 118 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2003:818130 CAPLUS
DOCUMENT NUMBER: 139:317461
TITLE: Insulin and IGF-1 receptor peptide agonists and antagonists, and therapeutic use
INVENTOR(S): Pillutia, Renka; Brissette, Renee; Blume, Arthur J.; Schaffer, Laue; Brandt, Jakob; Goldstein, Neil I.; Spetzler, Jane; Ostergaard, Soren; Hansen, Per Hertz
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 191 pp., Cont.-in-part of U.S. Ser. No. 538,038.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 2003195147 A1 20031016 US 2001-962756 20010924

US 6875741 B2 20050405

CA 2459999 A1 20030403 CA 2002-2459999 20020924

WO 2003027246 A2 20030403 WO 2002-US30412 20020924

WO 2003027246 A3 20030731

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AU 2002341834 A1 20030407 AU 2002-341834 20020924

CA 2460055 A1 20030828 CA 2002-2460055 20020924

WO 2003070747 A2 20030828 WO 2002-US30312 20020924

WO 2003070747 A3 20041111

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AU 2002366384 A1 20030909 AU 2002-366384 20020924

US 200236190 A1 20031225 US 2002-253471 20020924

US 2004023887 A1 20040205 US 2002-253493 20020924

US 7173005 B2 20070206

EP 1433433 A2 20040630 EP 2002-775987 20020924

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EP 1496935 A2 20050119 EP 2002-806867 20020924

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JP 2005505579 T 20050224 JP 2001-530816 20020924

JP 2005517741 T 20050616 JP 2001-569654 20020924

US 2007004634 A1 20070104 US 2006-410222 20060424

US 1998-146127 B2 19980902

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US 2001-962756 A 20010924

US 2002-253493 A1 20020924

WO 2002-US30312 W 20020924

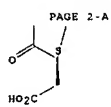
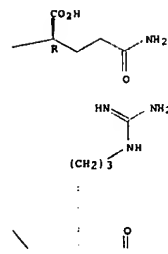
WO 2002-US30412 W 20020924

PRIORITY APPLN. INFO.:

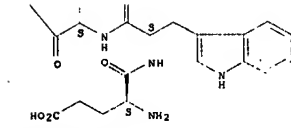
AB Peptide sequences capable of binding to insulin and/or insulin-like growth factor receptors with either agonist or antagonist activity and identified from various peptide libraries are disclosed. The invention also identifies at least two different binding sites which are present on insulin and insulin-like growth factor receptors, and which selectively bind the peptides of this invention. As agonists, certain of the peptides of this invention may be useful for development as therapeutics to supplement or replace endogenous peptide hormones. The antagonists may also be developed as therapeutics for e.g. treatment of diabetes. Dimers and fusion proteins are also disclosed as insulin and IGF-1 receptor modulators.

IT 506430-52-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)



PAGE 2-B



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 119 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2003:737359 CAPLUS

DOCUMENT NUMBER: 139:240366

TITLE: Dipeptidyl peptidase IV inhibitors and their uses for lowering blood pressure levels

INVENTOR(S): Pospisilik, Andrew J.; Demuth, Hans-Ulrich; Glund, Konrad; Hoffmann, Matthias; McIntosh, Christopher H. S.; Pederson, Ray A.

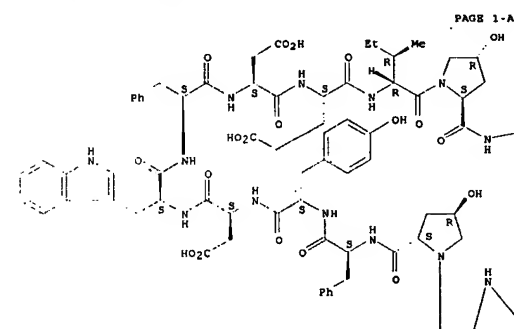
PATENT ASSIGNEE(S): Can.

(amino acid sequence; peptides from various peptide libraries and their dimers and fusion proteins as modulators of insulin and IGF-1 receptors and therapeutic use)

RN 506430-52-0 CAPLUS

CN D-Glutamine, L-4-glutamyl-L-tryptophyl-L-arginyl-L-α-aspartyl-L-tyrosyl-L-phenylalanyl-L-tyrosyl-L-α-aspartyl-L-tryptophyl-L-phenylalanyl-L-α-aspartyl-L-α-glutamyl-D-isoleucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



SOURCE: U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U. S. Ser. No. 932,546.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 2003176357 A1 20030918 US 2002-200919 20020723

US 6303661 B1 20011016 US 1998-155833 19981006

US 2002006899 A1 20020117 US 2001-932546 20010817

US 2005107308 A1 20050519 US 2004-970526 20041021

US 2007207946 A1 20070906 US 2007-800576 20070503

PRIORITY APPLN. INFO.:

US 1998-155833 A2 19981006

US 2001-932546 A2 20010817

DE 1996-19616486 A 19960425

WO 1997-DE620 W 19970424

US 2002-200919 A1 20020723

US 2004-970526 A1 20041021

OTHER SOURCE(S): MARPAT 139:240366

AB The invention provides new uses of DPPIV-inhibitors of the invention, and their corresponding pharmaceutically acceptable acid addition salt forms, for lowering blood pressure levels. Comps. of the invention include peptides and peptide-like comps. (preparation described).

IT 482349-28-0P

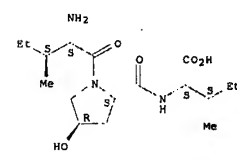
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(dipeptidyl peptidase IV inhibitors, preparation, and use for lowering blood pressure)

RN 482349-28-0 CAPLUS

CN L-isoleucine, L-isoleucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 120 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2003:737357 CAPLUS

DOCUMENT NUMBER: 139:253385

TITLE: Therapeutic uses of tri-, tetra-, penta-peptides, and polypeptides in treating neurological and psychiatric disorders

INVENTOR(S): Abajian, Henry B.; Hlavka, Joseph J.; Feighner, John P.

PATENT ASSIGNEE(S): Innapharma, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 80 pp., Cont.-in-part of U. S. Ser. No. 625,103, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003176354	A1	20030918	US 2002-122246	20020411
US 6767897	B2	20040727		
US 5589460	A	19961231	US 1994-238089	19940504
US 5767083	A	19980616	US 1995-432651	19950502
US 6093797	A	20000725	US 1997-962962	19971104
IN 191479	A1	20031206	IN 2001-CA198	20010404
WO 2003087137	A2	20031023	WO 2003-US11403	20030410
WO 2003087137	A3	20031231		
M: AE, AQ, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, OM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
AU 2003230907	A1	20031027	AU 2003-230907	20030410
EP 1545580	A2	20050629	EP 2003-724013	20030410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.: US 1994-238089 A2 19940504				
US 1995-432651 A2 19950502				
US 1997-962962 A2 19971104				
US 2000-629103 B2 20000725				
IN 1995-CA786 A3 19960501				
US 2002-122246 A 20020411				
WO 2003-US11403 W 20030410				

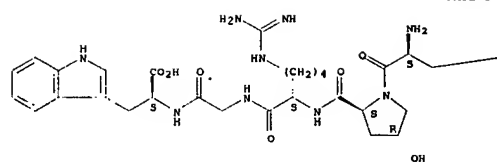
OTHER SOURCE(S): MARPAT 139:255385
AB Novel uses of certain peptides to treat patients suffering from neurol. or psychiatric disorders are disclosed. The peptides include the tripeptide hormone MIF and compds. made by modifications of MIF, such as modification of amino terminus residues, carboxyl terminus residues and internal residues, including addition and substitution of amino acid residues and modification of the peptide bonds and functional side groups of resp. amino acid residues. The tri-, tetra-, penta-peptides and polypeptides may be utilized alone or in combination with other agents, to treat patients suffering from physiol., psychosomatic, neurol. or psychiatric disorders.

IT 224187-65-9 224187-66-0 224187-67-1
224187-68-2 224187-69-7 224187-90-0
224187-91-1 224187-96-6 224187-97-7
224187-98-8 224187-99-9 224188-00-5
224188-01-6 224188-62-9 600701-55-1
600701-56-2

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic uses of tri-, tetra-, penta-peptides, and polypeptides in treating neurol. and psychiatric disorders)

RN 224187-65-9 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-N6-(aminomethyl)-L-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



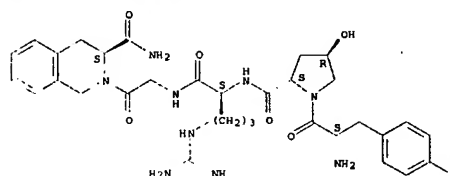
PAGE 1-A



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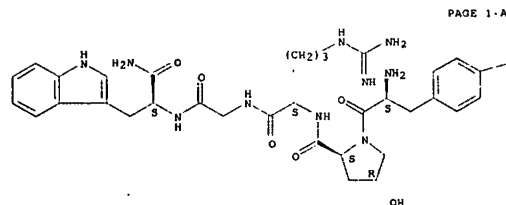
RN 224187-66-0 CAPLUS
CN 3-Isoquinolinecarboxamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-1,2,3,4-tetrahydro-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 224187-67-1 CAPLUS
CN L-Tryptophanamide, 4-cyano-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



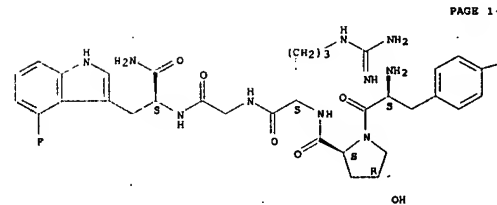
PAGE 1-A

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-CN

RN 224187-68-2 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

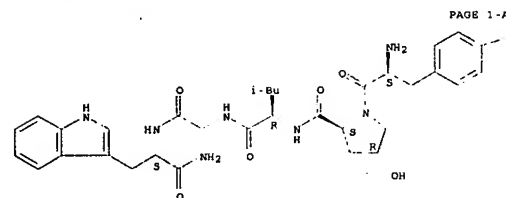


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RN 224187-90-0 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-7-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

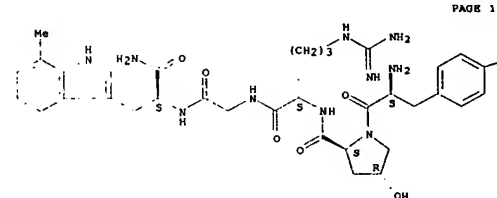


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RN 224187-89-7 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



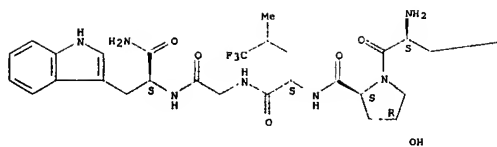
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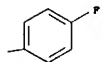
RN 224187-91-1 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-5,5,5-trifluoro-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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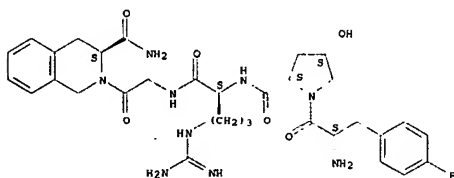


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RN 224187-96-6 CAPLUS
CN 3-Isoquinolinecarboxamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl-1,2,3,4-tetrahydro-, (3S)-(9CI) (CA INDEX NAME)

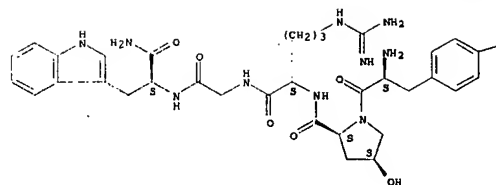
Absolute stereochemistry.



RN 224187-97-7 CAPLUS
CN L-Tryptophanamide, 4-cyano-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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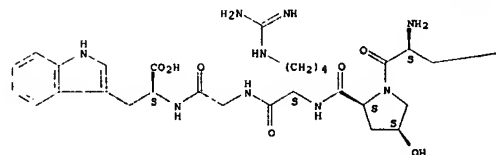
PAGE 1-B

CN

RN 224187-98-8 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-N6-(aminoiminomethyl)-L-lysylglycyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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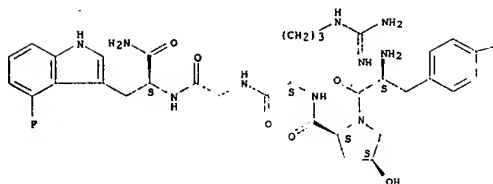
PAGE 1-B



RN 224187-99-9 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl-4-fluoro-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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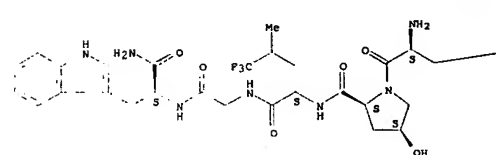
PAGE 1-B

F

RN 224188-00-5 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl-7-methyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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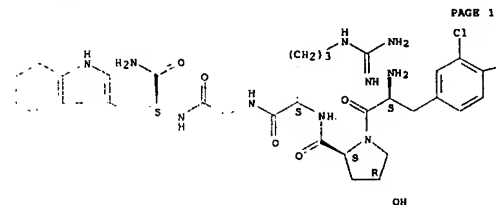
PAGE 1-B



RN 286862-69-9 CAPLUS
CN L-Tryptophanamide, 3,4-dichloro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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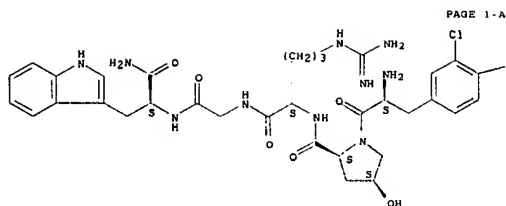
Cl

RN 224188-01-6 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-5,5,5-trifluoro-L-leucylglycyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 600701-55-1 CAPLUS
CN L-Tryptophanamide, 3,4-dichloro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



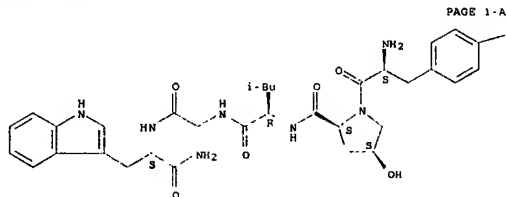
PAGE 1-A

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—C1

RN 600701-56-2 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-D-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 121 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2003:715907 CAPLUS
DOCUMENT NUMBER: 140:264229
TITLE: Clinical effectiveness of nemifitide, a novel pentapeptide antidepressant, in depressed outpatients: comparison of follow-up re-treatment with initial treatment

DOCUMENT NUMBER: 139:240334
TITLE: Alpha-fetoprotein peptides for reducing estrogen-stimulated growth of cells and for treating or preventing cancer
INVENTOR(S): Andersen, Thomas T.; Bennett, James A.; Jacobson, Herbert L.; Mesfin, Faisal B.
PATENT ASSIGNEE(S): CLF Medical Technology Acceleration Program, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 33 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003170752	A1	20030911	US 2001-872623	20010602
US 6818741	B2	20041116		
US 2005271587	A1	20051208	US 2004-990877	20041116
US 7132400	B2	20061107		

PRIORITY APPLN. INFO.: US 2000-208614P P 20000601
US 2001-872623 A3 20010602

AB The subject invention addresses the need for methods of treatment and prevention of breast cancer, and other cancers, by providing a peptide of eight to twenty amino acids in length which comprises a hydrophilic analog of an alpha-fetoprotein peptide having SEQ ID NO: 6: EMTPVNPG. The peptides may be linear, but are preferably cyclic. The peptides may be provided as dimers or other multimers. A composition comprising the peptide, an antibody that specifically binds to the peptide, a method of reducing estrogen-stimulated growth of cells using the peptide, as well as a method of treating or preventing cancer, such as breast cancer, are also provided. The treatment or prevention method can include the use of tamoxifen therapy in combination with the peptide therapy. Cyclo(BMTQVNPQ) significantly inhibited the estrogen-dependent growth of MCF-7 human breast cancer xenografts.

IT 393827-71-9P 489448-16-0P 489448-18-2P

532941-25-6P

RL: BBU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(alpha-fetoprotein peptides for reducing estrogen-stimulated cell growth and for treating or preventing cancer)

RN 393827-71-9 CAPLUS

CN Glycine, L-alpha-glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AUTHOR(S): Feighner, John P.; Sverdlov, Lev; Nicolau, Gabriela; Abajian, Henry B.; Hlavka, Joseph; Freed, Jeffrey S.; Tonelli, George

CORPORATE SOURCE: Innapharma, Inc., Park Ridge, NJ, USA
SOURCE: International Journal of Neuropsychopharmacology (2003), 6(3), 207-213

CODEN: IONUPB, ISSN: 1461-1457

PUBLISHER: Cambridge University Press

DOCUMENT TYPE: Journal

LANGUAGE: English

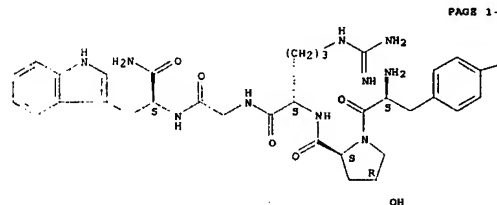
AB Data from two Phase 2 clin. studies with nemifitide, a novel pentapeptide antidepressant, were evaluated. The initial double-blind, placebo-controlled study was performed on outpatients with DSM-IV criteria for major depressive disorder. An open-label extension study enrolled subjects either completing or having been discontinued due to lack of efficacy during the follow-up period of the initial study. In the extension study, both the investigator and the subjects were blinded to the previous treatment in the initial study. No clin. significant side-effects were observed in either study. Twenty-seven subjects have been entered and evaluated in the extension study. Eighteen of these 27 subjects (66.7%) responded to re-treatment in the extension study. Mean duration of effect between re-treatments was 3.3 mo. The results of the extension study support investigating a range of doses of nemifitide from 18 to 72 mg/d in future clin. trials. Further studies are planned to determine the most effective nemifitide clin. treatment regimen.

IT 173240-15-8, Nemifitide
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pentapeptide antidepressant nemifitide in depression)

RN 173240-15-8 CAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



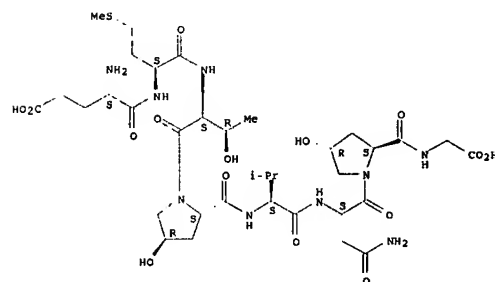
PAGE 1-A

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PAGE 1-B

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 122 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2003:717640 CAPLUS

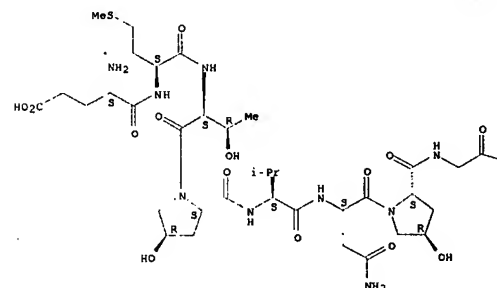


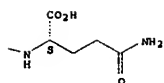
RN 489448-16-0 CAPLUS

CN L-Glutamine, L-alpha-glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

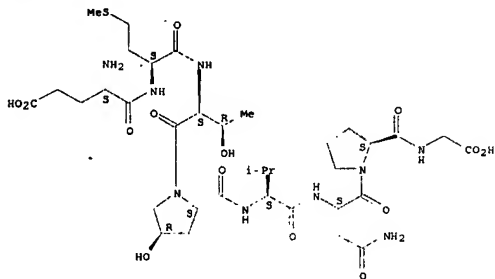
PAGE 1-A





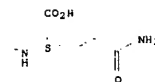
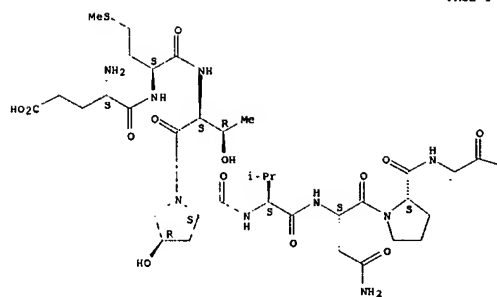
RN 489448-18-2 CAPLUS
CN Glycine, L-α-glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 532941-25-6 CAPLUS
CN L-Glutamine, L-α-glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-L-prolyl-L-glutyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

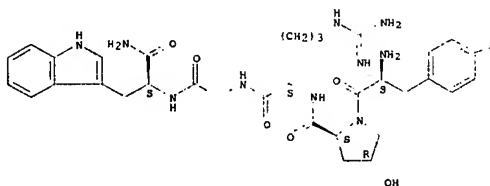
L6 ANSWER 123 OF 561 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:700822 CAPLUS
DOCUMENT NUMBER: 139:270133
TITLE: Memifide (innapharma)
AUTHOR(S): Dingemans, Jasper
CORPORATE SOURCE: Department of Clinical Pharmacology, Actelion Pharmaceuticals Ltd, Allschwil, 4123, Switz.
SOURCE: Current Opinion in Investigational Drugs (Thomson Current Drugs) (2003), 4(7), 859-862
CODEN: COIDAE; ISSN: 1472-4472
PUBLISHER: Thomson Current Drugs
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Memifide is a peptide under development by Innapharma for the potential treatment of depression. By June 2001, the first phase II trial

of nemifide in moderate to severely depressed individuals had been completed and in Feb. 2003, Innapharma anticipated that phase III trials would commence later that year.

IT 173240-15-8P, Nemifide
RL: ADV (Adverse effect, including toxicity); DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nemifide for treatment of patients with depression)

RN 173240-15-8 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (CA INDEX NAME)

Absolute stereochemistry.. Rotation (-).



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 124 OF 561 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:686368 CAPLUS
DOCUMENT NUMBER: 140:107832
TITLE: Halovirs A-E, new antiviral agents from a marine-Derived fungus of the genus Scytalidium
AUTHOR(S): Rowley, David C.; Kelly, Sara; Kauffman, Christopher A.; Jensen, Paul R.; Penick, William
CORPORATE SOURCE: Scripps Institution of Oceanography, Center for Marine Biotechnology and Biomedicine, University of California-San Diego, La Jolla, CA, 92093-0204, USA
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(19), 4263-4274
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

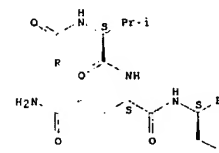
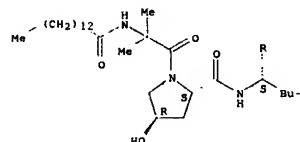
AB Marine micro-organisms represent an under explored resource for the discovery of novel antiviral agents. Here, we describe a series of peptides designated halovirs A-E (1-5) that are produced during the saline fermentation of a marine-derived fungus of the genus Scytalidium. These lipophilic, linear peptides are potent in vitro inhibitors of the herpes simplex viruses 1 and 2. Evidence is presented that the halovirs directly

inactivate herpes viruses, a mechanism of action that could be applicable in the prevention of HSV transmission. The total structures of these new compds. were established by a combination of spectral and chemical techniques. Salient structural features of the halovir hexapeptides include a nitrogen terminus acylated by myristic (C14) or lauric (C12) acid, an unusual Aib-Hyp dipeptide segment, and a carboxyl terminus reduced to a primary alc. A qual. anal. of the secondary structures of these mols. using variable temperature NMR expts. and NOE analyses is also reported.

IT 277302-27-9P, Halovir A 277302-28-0P, Halovir B 486393-83-3P
RL: BSU (Biological study, unclassified); NPO (Natural product occurrence); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)
(halovirs A-E, new antiviral agents from a fungus of the genus Scytalidium)

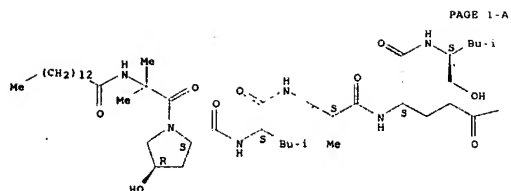
RN 277302-27-9 CAPLUS
CN L-Glutamide, 2-methyl-N-(1-oxotetradecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-N1-[(1S)-1-(hydroxymethyl)-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 277302-28-0 CAPLUS
CN L-Glutamide, 2-methyl-N-(1-oxotetradecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-N1-[(1S)-1-(hydroxymethyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

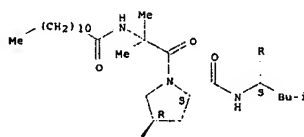


PAGE 1-B

—NH₂

RN 486193-83-3 CAPLUS
CN L-Glutamide, 2-methyl-N-(1-oxododecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-NH-[1(S)-1-(hydroxymethyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B

identifies at least two different binding sites which are present on insulin and insulin-like growth factor receptors, and which selectively bind the peptides of this invention. As agonists, certain of the peptides of this invention may be useful for development as therapeutics to supplement or replace endogenous peptide hormones. The antagonists may also be developed as therapeutics for e.g. treatment of diabetes.

IT 506430-52-0P

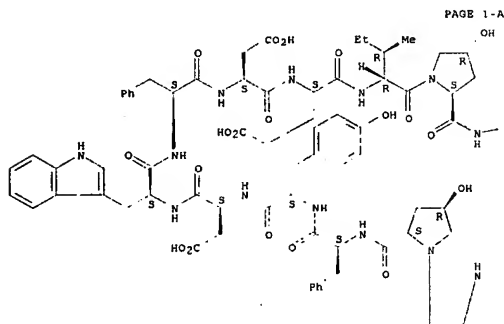
RL: BPN (Biosynthetic preparation); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(peptides from various peptide libraries, their dimers and fusion proteins as modulators of insulin and IGF-1 receptors)

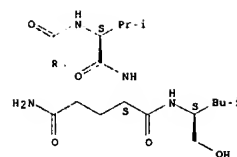
RN 506430-52-0 CAPLUS

CN D-Glutamine, L-α-glutamyl-L-tryptophyl-L-arginyl-L-α-aspartyl-(4R)-4-hydroxy-L-prolyl-L-phenylalanyl-L-tyrosyl-L-α-aspartyl-L-tryptophyl-L-phenylalanyl-L-α-aspartyl-L-α-glutamyl-D-isoleucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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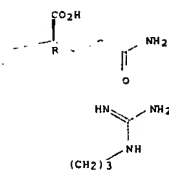
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RS FORMAT

L6 ANSWER 125 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:678826 CAPLUS
DOCUMENT NUMBER: 139:224449
TITLE: Insulin and IGF-1 receptor peptide agonists and antagonists, and therapeutic use
INVENTOR(S): Pillutia, Renuka; Brissette, Renee; Blume, Arthur J.; Schaffer, Lauge; Brandt, Jakob; Goldstein, Neil I.; Spetzler, Jane; Ostergaard, Soren
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; DGI Biotechnologies
SOURCE: PCT Int. Appl., 328 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

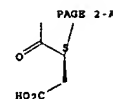
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070747	A2	20030828	WO 2002-US30312	20020924
WO 2003070747	A3	20041111		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MY, NZ, OM, OS, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MM, MW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, TD, TG				
US 2003195147	A1	20031016	US 2001-962756	20010924
US 6875741	B2	20050405		
CA 2460055	A1	20030828	CA 2002-2460055	20020924
AU 2002366384	A1	20030909	AU 2002-366384	20020924
EP 1496935	A2	20050119	EP 2002-806867	20020924
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005517741	T	20050616	JP 2003-569654	20020924
PRIORITY APPLN. INFO.:				
US 2001-962756 A2 20010924				
US 1998-146127 B2 19980902				
US 2000-538038 A2 20000329				
WO 2002-US30312 W 20020924				

OTHER SOURCE(S): MARPAT 139:224449*
AB Peptide sequences capable of binding to insulin and/or insulin-like growth factor receptors with either agonist or antagonist activity and identified from various peptide libraries are disclosed. The invention also

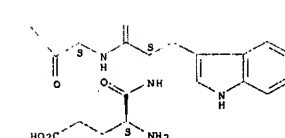
PAGE 1-B



PAGE 2-A

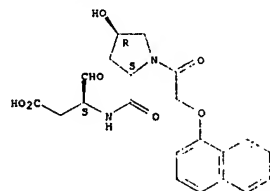


PAGE 2-B



L6 ANSWER 126 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:656594 CAPLUS
DOCUMENT NUMBER: 139:191460
TITLE: Phospholipids as caspase inhibitor prodrugs
INVENTOR(S): Mortimore, Michael; Golec, Julian M. C.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

Absolute stereochemistry.

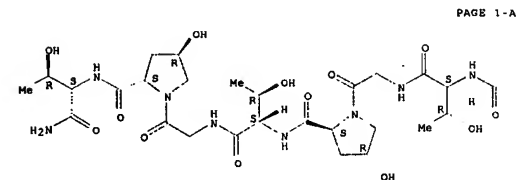


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

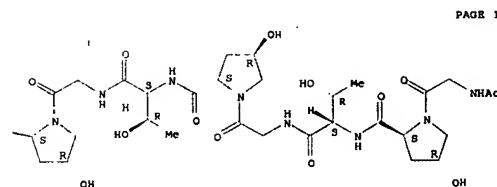
L6 ANSWER 127 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2003:647548 CAPLUS
 DOCUMENT NUMBER: 139:303498
 TITLE: Hydroxylation-induced stabilization of the collagen triple helix: Further characterization of peptides

[illegible]

Absolute stereochemistry.



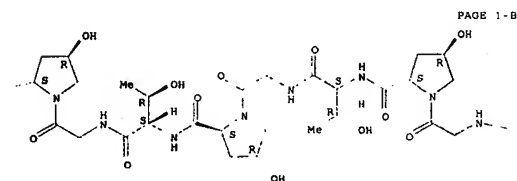
PAGE 1-A



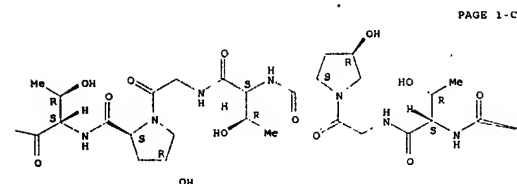
PAGE 1-D

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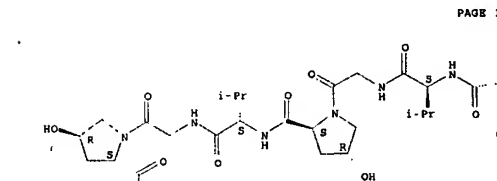
Absolute stereochemistry.



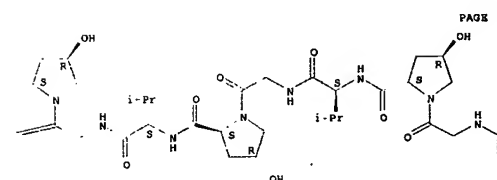
PAGE 1 - B



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The chemical structure shows a complex polythiazine derivative. It consists of a central chain of thiazine rings (6-membered rings with one sulfur and one nitrogen atom) connected by amide and thioether linkages. The structure includes several substituents: a hydroxyl group (OH) on a thiazolidine ring, a methyl group (Me) on a thiazine ring, and a thiazolidine ring with a hydroxyl group (OH) and a methyl group (Me). The structure is labeled with 'R' and 'R₁' to indicate variable substituents.

PAGE 1-D

The image displays several chemical structures of 1,3,4-oxadiazole derivatives. From left to right: 1. A 2-alkoxy-1,3,4-oxadiazole derivative with an 'R' group at position 5 and a methoxy group at position 2. 2. A 2-alkyl-1,3,4-oxadiazole derivative with an 'R' group at position 5 and an isopropyl group at position 2. 3. A 2-alkyl-5-substituted-1,3,4-oxadiazole derivative with an 'R' group at position 5 and an isopropyl group at position 2. 4. A 2-alkyl-5-substituted-1,3,4-oxadiazole derivative with an 'R' group at position 5 and an isopropyl group at position 2, and a carboxylic acid group at position 4. 5. A 2-alkyl-5-substituted-1,3,4-oxadiazole derivative with an 'R' group at position 5 and an isopropyl group at position 2, and a carboxylic acid group at position 4, with an additional 'NHAc' group at position 6.

The image displays three chemical structures. On the left is the structure of penicillin, showing a fused bicyclic core with a phenyl group and a carboxylic acid group. In the center is the structure of insulin, a long-chain polypeptide with two chains connected by disulfide bonds. On the right is the structure of vitamin B12 (cobalamin), a complex molecule featuring a central cobalt atom coordinated by a corrin ring and various side chains, including a dimethylbenzoyl group and a ribityl chain.

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 128 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2003:597005 CAPLUS
DOCUMENT NUMBER: 139:285643
TITLE: Potent, Small-Molecule Inhibitors of Human Mast Cell
Trypsase. Antiasthmatic Action of a Dipeptide-Based

CM 2

CRN 7697-37-2

CMP H N O3

$$\begin{array}{c} \text{O} \\ || \\ \text{O}=\text{N}-\text{OH} \end{array}$$

IT	287182-50-7P, RNJ 56423 607392-99-4P 607393-01-1P 607393-06-6P 607393-14-6P 608145-22-8P RLR, PAC (Pharmacological activity), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation); USRS (Uses) (preparation, antiasthmatic action and structure-activity relationship of benzothiazolo- xetone analogs as potent, small-mol. inhibitors of human mast cell tryptase)
RN	287182-50-7 CAPLUS
CN	2-Pyrrolidinedecarboxamide, 1-acetyl-N-[(1S)-4-[(aminomethyl)amino]-1- (2S)-benzothiazolylcarbonyl]butyl]-4-hydroxy-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CM 1

CRN 607393-12-4

CMP C20 H26 N6 O4 S

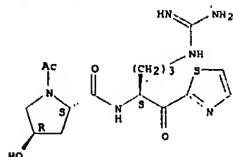
Absolute stereochemistry

RN 607392-99-4 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-thiazolylcarbonyl)butyl]-4-hydroxy-, (2S,4R)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 607392-98-3
CMP C16 H24 N6 O4 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMP C2 H F3 O2

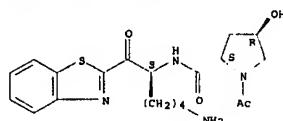


RN 607393-01-1 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-5-amino-1-(2-benzothiazolylcarbonyl)pentyl]-4-hydroxy-, (2S,4R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

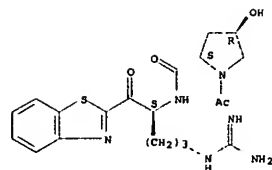
CRN 607393-00-0
CMP C20 H26 N4 O4 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMP C2 H F3 O2



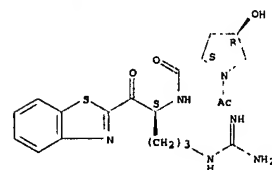
CM 2

CRN 7697-37-2
CMP H N O3



RN 608145-22-8 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]-4-hydroxy-, monohydrochloride, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 287182-89-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, antisthmatic action and structure-activity relationship of benzothiazole ketone analogs as potent, small-mol. inhibitors of human mast cell tryptase)

RN 287182-89-2 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-1-(2-benzothiazolylhydroxymethyl)-4-[[imino[[4-methylphenyl)sulfonyl]amino]methyl]amino]butyl]-4-(phenylmethoxy)-, (2S,4R)- (9CI) (CA INDEX NAME)

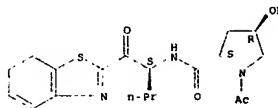


RN 607393-06-6 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-1-(2-benzothiazolylhydroxymethyl)-4-[[imino[[4-methylphenyl)sulfonyl]amino]methyl]amino]butyl]-4-(phenylmethoxy)-, (2S,4R)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 607393-05-5
CMP C19 H23 N3 O4 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMP C2 H F3 O2



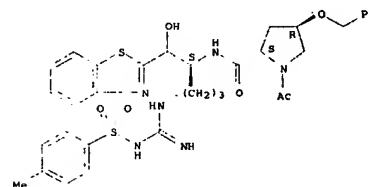
RN 607393-14-6 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]-4-hydroxy-, (2S,4R)-, mononitrate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 287182-50-7
CMP C20 H26 N6 O4 S

Absolute stereochemistry.

Absolute stereochemistry.



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 129 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2003:551605 CAPLUS
DOCUMENT NUMBER: 139:122741
TITLE: Peptide activators of VEGF
INVENTOR(S): McGrath, Kevin
PATENT ASSIGNEE(S): Kimberly-Clark Worldwide, Inc., USA; Kimberly Clark Co.
SOURCE: PCT Int. Appl., 37 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

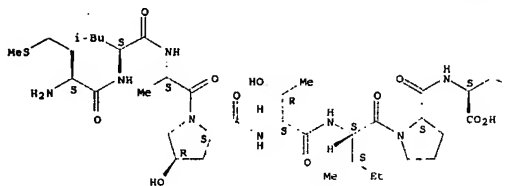
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057820	A2	20030717	WO 2002-US31699	20021004
WO 2003057820	A3	20031204		
W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
R: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004214777	A1	20041028	US 2001-32361	20011221
US 7053046	B2	20060530		
AU 2002340099	A1	20030724	AU 2002-340099	20021004
PRIORITY APPL. INFO.:				
US 2001-32361 A 20011221				
WO 2002-US31699 M 20021004				

OTHER SOURCE(S): MARPAT 139:122741
AB The invention provides peptide inhibitors that inhibit ubiquitination of hypoxia-inducible factor 1 alpha (HIF 1a) and thereby activate transcription of erythropoietin (EPO), vascular endothelial growth factor (VEGF), and certain glycolytic enzymes. The invention further provides formulations containing the present peptides and methods of using the present peptides for therapeutic purposes. Such therapeutic purposes include stimulating angiogenesis in injured tissues such as chronic wounds, heart tissues injured by ischemia or heart attack, and neural tissues injured by stroke.
IT 560085-65-6

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
 (peptide activators of VEGF for stimulation of angiogenesis)
 RN 56085-55-6 CAPLUS
 CN L-Methionine, L-methionyl-L-leucyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-isoleucyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



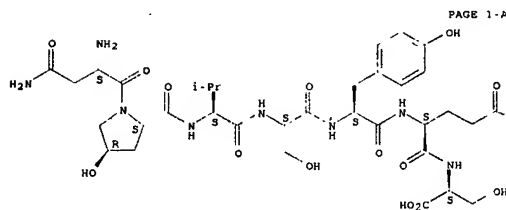
PAGE 1-B

Me

L6 ANSWER 130 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2003:550994 CAPLUS
 DOCUMENT NUMBER: 139:122709
 TITLE: Conjugates useful in the treatment of prostate cancer
 INVENTOR(S): Defeo-Jones, Deborah; Jones, Raymond E.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 70 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003133927	A1	20030717	US 2002-268552	20021010
PRIORITY APPLN. INFO.:			US 2001-328351P	P 20011010

OTHER SOURCE(S): MARPAT 139:122709
 AB Chemical conjugates which comprise an oligopeptide covalently bonded, either directly or through a chemical linker, to a peptide or small mol. that binds to an anti-apoptotic Bcl-2 family protein, inhibits the expression of the Bcl-2 family protein, or inhibits the function of the Bcl-2 family protein. Such a peptide or small mol. that binds to an anti-apoptotic Bcl-2 family protein, inhibits the expression of the Bcl-2 family protein, or inhibits the function of the Bcl-2 family protein may be conveniently referred to as a therapeutic agent. The oligopeptides are chosen from



PAGE 1-B

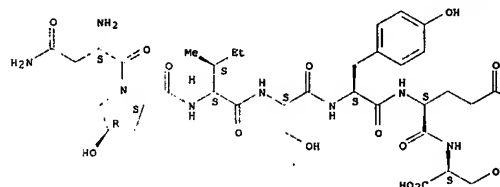
NH2

L6 ANSWER 131 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2003:535070 CAPLUS
 DOCUMENT NUMBER: 139:292471
 TITLE: Novel, potent phenethylamide inhibitors of the hepatitis C virus (HCV) NS3 protease: probing the role of P2 aryloxyprolines with hybrid structures
 AUTHOR(S): Orvieto, Federica; Koch, Uwe; Matassa, Victor G.; Maragli, Ester
 CORPORATE SOURCE: Medicinal Chemistry Department, IRBM-MRL Rome, Rome, 00040, Italy
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(16), 2745-2748
 CODEN: BMCLER; ISSN: 0960-894X
 PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 139:292471
 OI

oligomers that are selectively recognized by the free prostate specific antigen (PSA) and are capable of being proteolytically cleaved by the enzymic activity of the free prostate specific antigen.
 IT 561305-14-4D, conjugates 561305-15-5D, conjugates
 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (peptide conjugates useful in the treatment of prostate cancer)
 RN 561305-14-4 CAPLUS
 CN L-Serine, L-asparaginyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl-L-seryl-L-tyrosyl-L-glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

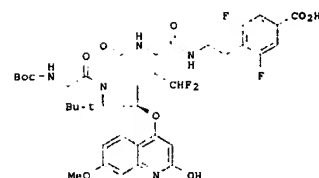
PAGE 1-A



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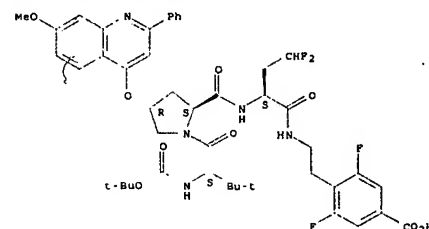
RN 561305-15-5 CAPLUS
 CN L-Serine, L-asparaginyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-seryl-L-tyrosyl-L-glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Synthesis of hybrid HCV NS3 protease/NS4A inhibitors having the 4,4-difluoraminobutyric acid (difluoroAmu) phenethylamides as P1-P1' and quinolyloxyprolines as P2 fragments led to I (Boc - tert-butoxycarbonyl) (IC50 54 nM). Mol. modeling suggests that this potent tripeptide inhibitor utilizes interactions in the S1', S1, S2, S3 and S4 sites of the protease.
 IT 467441-42-5P
 RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
 (binding conformation of prepared phenethylamide peptidomimetic during interactions with HCV NS3 protease/NS4A complex)
 RN 467441-42-5 CAPLUS
 CN Butanamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

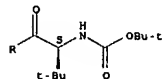
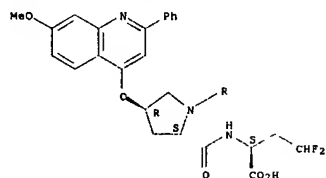
Absolute stereochemistry.



IT 607403-42-9P 607403-43-0P 607403-44-1P
 607403-45-2P 607403-46-3P 607403-54-3P
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and structure-protease-inhibiting activity relationship of phenethylamide peptidomimetics)
 RN 607403-42-9 CAPLUS
 CN Butanoic acid, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-2-amino-4,4-difluoro-,

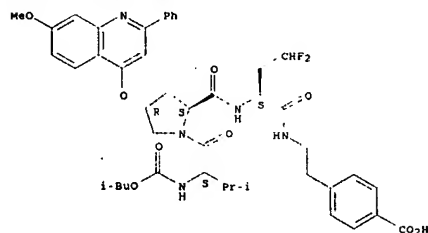
(2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



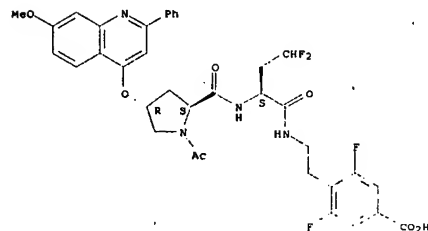
RN 607403-43-0 CAPLUS
CN Butanamide, N-[[[2-methylpropoxy]carbonyl]-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyloxy)-L-prolyl-2-amino-N-[2-(4-carboxyphenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



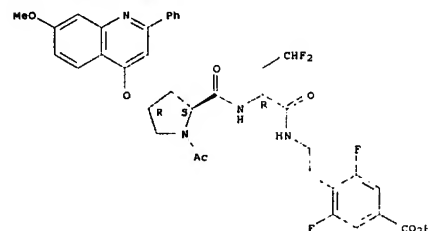
RN 607403-44-1 CAPLUS
CN Benzoic acid, 4-[2-[[[(2S)-4,4-difluoro-2-[[[(2S,4R)-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-4-[(7-methoxy-2-phenyl-4-quinolinyloxy)-2-pyrrolidinyl]carbonylamino]-1-oxobutyl]amino]ethyl]-3,5-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 607403-54-3 CAPLUS
CN Benzoic acid, 4-[2-[[[(2R)-2-[[[(2S,4R)-1-acetyl-4-[(7-methoxy-2-phenyl-4-quinolinyloxy)-2-pyrrolidinyl]carbonylamino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro-, (CA INDEX NAME)

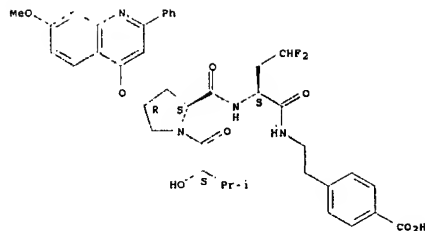
Absolute stereochemistry.



IT 607403-52-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and structure-protease-inhibiting activity relationship of phenethylamide peptidomimetics)

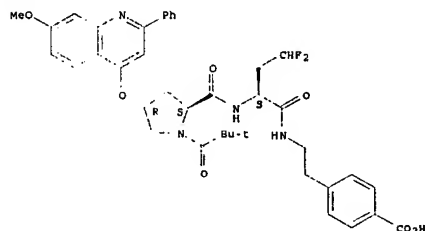
RN 607403-52-1 CAPLUS
CN Butanoic acid, 2-[[[(2S,4R)-1-acetyl-4-[(7-methoxy-2-phenyl-4-quinolinyloxy)-2-pyrrolidinyl]carbonylamino]-4,4-difluoro-, methyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



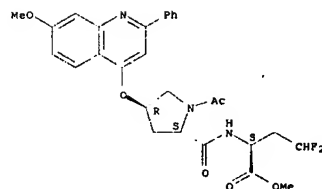
RN 607403-45-2 CAPLUS
CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-1-(2,2-dimethyl-1-oxopropyl)-4-[(7-methoxy-2-phenyl-4-quinolinyloxy)-2-pyrrolidinyl]carbonylamino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro-, (CA INDEX NAME)

Absolute stereochemistry.



RN 607403-46-3 CAPLUS
CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-1-acetyl-4-[(7-methoxy-2-phenyl-4-quinolinyloxy)-2-pyrrolidinyl]carbonylamino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro-, (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 132 OF 551 CAPLUS COPYRIGHT 2007 ACS ON 8TH
ACCESSION NUMBER: 2003:417766 CAPLUS
DOCUMENT NUMBER: 139:2934
TITLE: Alpha-fetoprotein peptides and uses for imaging
INVENTOR(S): Andersen, Thomas T.; Bennett, James A.; Jacobson, Herbert I.; Meffin, Pascal D.
PATENT ASSIGNEE(S): CLP Medical Technology Acceleration Program, Inc., USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003044041	A2	20030530	WO 2002-US17291	20021120
WO 2003044041	A3	20040212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002163944	A1	20030610	AU 2002-363944	20021120
US 2006199769	A1	20060907	US 2002-300530	20021120
US 7122522	B2	20061017		
US 7220402	B1	20070522	US 2002-300531	20021120
PRIORITY APPLN. INFO.:				
			US 2001-331841P	P 20011120
			US 2001-340926P	P 20011207
			US 2002-397012P	P 20020719
			US 2002-397373P	P 20020719
			US 2002-409109P	P 20020909
			WO 2002-US17291	W 20021120

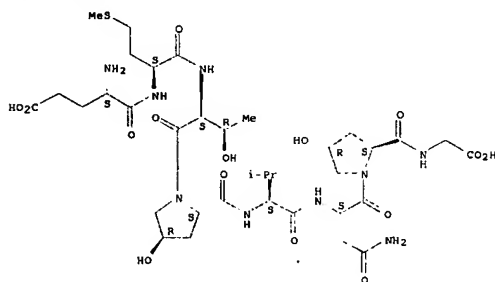
OTHER SOURCE(S): MARPAT 139:2934
AB The invention provides diagnostic procedures wherein the presence or absence of a cell-proliferating disorder, e.g., a breast cancer, may be determined. The imaging agents of the invention include alpha-fetoprotein hydrophilic analogs which have been determined to target cancers, e.g., breast cancer, and are also anti-cell proliferating in nature. These modulators contain amino acid structures which are arranged as a hydrophilic analog

of an alpha-fetoprotein. The modulator may be a peptide, a peptidomimetic or may be in the form of a pharmaceutically acceptable scaffold, such as a polycyclic hydrocarbon to which is attached the necessary amino acid structures. The imaging agents of the invention further comprise an imaging moiety that allows for the imaging of the area targeted by the imaging agent.

IT 393827-71-9P 532941-24-5P 532941-25-6P
 RL: DOM (Diagnostic use); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USSES (Uses)
 (alpha-fetoprotein peptides in treating, preventing and diagnosing breast cancer)

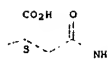
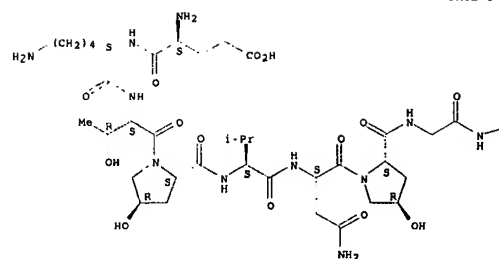
RN 393827-71-9 CAPLUS
 CN Glycine, L-alpha-glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



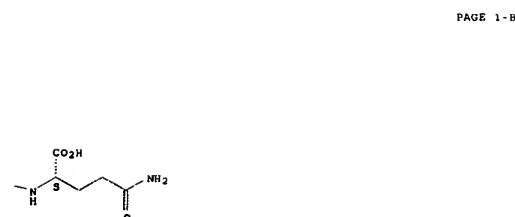
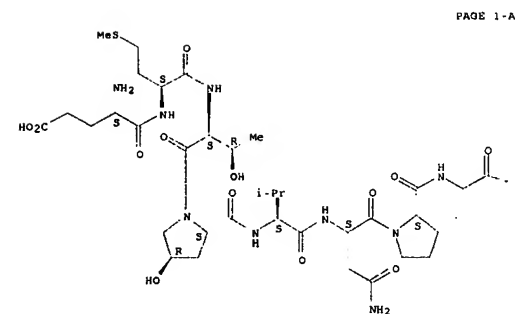
RN 532941-24-5 CAPLUS
 CN L-Asparagine, L-n-glutamyl-L-lysyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



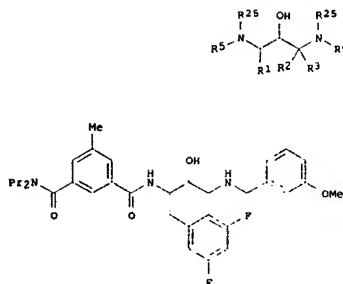
RN 532941-25-6 CAPLUS
 CN L-Glutamine, L-n-glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-L-prolylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 133 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2003-176819 CAPLUS
 DOCUMENT NUMBER: 138-385173
 TITLE: Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease
 INVENTOR(S): Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
 SOURCE: PCT Int. Appl., 1243 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040096	A2	20030515	WO 2002-US36072	20021108
WO 2003040096	A3	20040506		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KS, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RM: OH, OM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KO, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO				
CA 2466284	A1	20030515	CA 2002-2466284	20021108
WO 2003040096	A2	20030515	WO 2002-KA16072	20021108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KS, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RM: OH, OM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO				
AU 2002359376	A1	20030519	AU 2002-359376	20021108
US 2004171801	A1	20040902	US 2002-291318	20021108
US 7176242	B2	20070213		
EP 1453789	A2	20040908	EP 2002-793909	20021108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014035	A	20050426	BR 2002-14035	20021108
JP 2005520791	T	20050714	JP 2003-542142	20021108
CN 1759095	A	20060412	CN 2002-826786	20021108
NZ 533107	A	20070427	NZ 2002-533107	20021108
MX 2004PA04428	A	20040910	MX 2004-PA04428	20040507
ZA 2004003578	A	20051010	ZA 2004-3578	20040511
IN 2004KN0627	A	20060224	IN 2004-KN627	20040514
NO 2004002359	A	20040806	NO 2004-2359	20040607
US 2007213316	A1	20070913	US 2006-636903	20061211
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S): MARPAT 138:385173				
GI				
W 20021108				



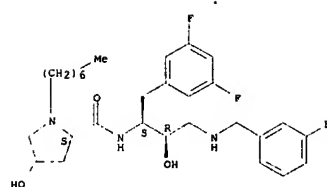
II

AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO2, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO2, (un)substituted CH2; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of β -secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared. E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-[(3,5-difluorophenyl)propionic acid, was given. The compds. I showed IC50 of < 20 μ M in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 1 of 1-2 series.

IT 527732-31-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

RN 527732-31-6 CAPLUS
 CN 2-Pyrrolidinonecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl-1-heptyl-4-hydroxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 134 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2003:338309 CAPLUS
 DOCUMENT NUMBER: 139:143358
 TITLE: Macrocyclic inhibitors of the NS3 protease as potential therapeutic agents of hepatitis C virus infection

AUTHOR(S): Tsantrizos, Youla S.; Bolger, Gordon; Bonneau, Pierre; Cameron, Dale R.; Goudreau, Nathalie; Kukolj, George; LaPlante, Steven R.; Llinas-Brunet, Montse; Nar, Herbert; Lamarre, Daniel

CORPORATE SOURCE: Departments of Chemistry and Biological Sciences Research and Development, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.

SOURCE: Angewandte Chemie, International Edition (2003), 42(12), 1356-1360
 CODEN: ACIEP5; ISSN: 1433-7851
 PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB A novel class of selective inhibitors of the hepatitis C virus NS3 protease, an enzyme which is essential for viral replication in vivo, was developed. The inhibitors are based on the structure-activity relationship between a substrate-based peptidomimetic ligand and the HCV NS3 serine protease. The designed HCV inhibitor and its saturated analogs are the first inhibitors of the NS3 protease which inhibit HCV RNA replication in the cell-based replicon assay. In addition, they are orally absorbed and stable to metabolic breakdown. Thus, these compds. show many of the desirable properties of a druglike archetype and could lead to a clin. useful antiviral agent for the treatment of hepatitis C viral infections in humans.

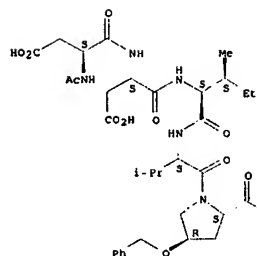
IT 220425-44-5
 RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
 (macrocyclic inhibitors of the NS3 protease as potential therapeutic agents of hepatitis C virus infection)

RN 220425-44-5 CAPLUS
 CN L-Norvaline, N-acetyl-L- α -aspartyl-L- α -aspartyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

PAGE 1-A



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 135 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2003:298532 CAPLUS
 DOCUMENT NUMBER: 140:213337
 TITLE: New development in the tritium labeling of peptides and proteins using solid catalytic isotopic exchange with spillover-tritium

AUTHOR(S): Zolotarev, Yu. A.; Dadayan, A. K.; Bocharov, E. V.; Borisov, Yu. A.; Vaskovsky, B. V.; Dorokhova, E. M.; Myasodov, N. F.

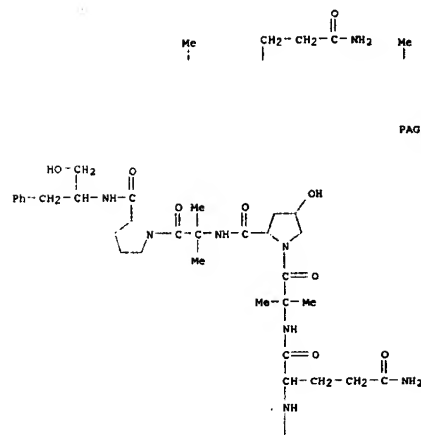
CORPORATE SOURCE: Institute of Molecular Genetics, Russian Academy of Sciences, Moscow, Russia

SOURCE: Amino Acids (2003), 24(3), 325-333
 CODEN: AACIE6; ISSN: 0939-4451
 PUBLISHER: Springer-Verlag Wien
 DOCUMENT TYPE: Journal
 LANGUAGE: English

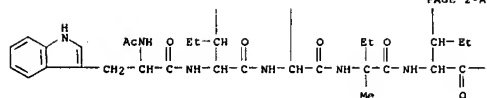
AB The mechanism of the reaction of high temperature solid state catalytic isotope exchange (HSCIE) of hydrogen in peptides with spillover-tritium at 140-180°C was analyzed. This reaction was used for preparing [3H]leukaphalins such as [3H]DAIS with specific activity of 138 Ci/mmol and [3H]LENX with specific activity of 120 Ci/mmol at 180°C. The analogs of [3H]ACTG4-10 with specific activity of 80 Ci/mmol, [3H]zervamicin IIB with specific activity of 70 Ci/mmol and [3H]conotoxin G1 with specific activity 35 Ci/mmol were produced. The obtained preps. completely retained their biol. activity. [3H]Peptide anal. using 3H NMR spectroscopy on a Varian UNITY-600 spectrometer at 640 MHz was carried out. The reaction ability of amino fragments in HSCIE was shown to depend both of their structures and on the availability and the mobility of the peptide chain. The reaction of HSCIE with the β -galactosidase from Thermomonas ethanolicus was studied. The selected HSCIE conditions allow to prepare [3H] β -galactosidase with specific activity of 1440 Ci/mmol and completely retained its the enzymic activity.

IT 79395-85-0P, Zervamicin IIB
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (tritium-labeled; tritium labeling of peptides and proteins using solid catalytic isotopic exchange with spillover-tritium)

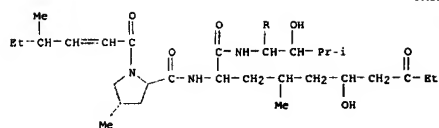
RN 79395-85-0 CAPLUS
 CN L-Prolineamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-



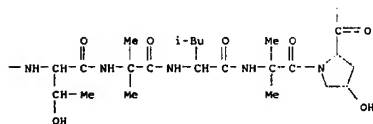
PAGE 1-B



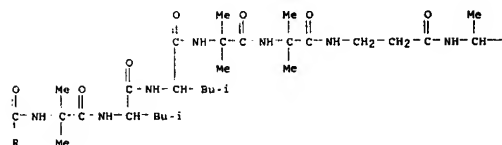
PAGE 2-A



PAGE 1-A



PAGE 2-B



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 136 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2003:280589 CAPLUS
 DOCUMENT NUMBER: 139:270244
 TITLE: In vitro antimalarial activities of the microbial metabolites
 AUTHOR(S): Anon.
 CORPORATE SOURCE: Research Center for Tropical Diseases, The Kitasato Institute, Tokyo, 108-8642, Japan
 SOURCE: Journal of Antibiotics (2003), 56(3), 322-324
 CODEN: JANTAJ; ISSN: 0021-8820
 PUBLISHER: Japan Antibiotics Research Association
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The in vitro antimalarial activities of leucinostatin A, polyketomycin, and takakamycin, were studied and compared with those of clin. used antimalarial drugs. In vitro activities against Plasmodium falciparum strains K1 and FCR3, and cytotoxicity against human diploid embryonic cell line <RC-5> were measured. Leucinostatin A and takakamycin showed moderate selectivity indexes with the ratios in the ranges of 92 .apprx. 148 and 45 .apprx. 333 for the MRC-5 cells/K1 strain and MRC-5 cells/FCR3 strain, resp

IT 76600-38-9, Leucinostatin A
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (antimalarial activities of microbial metabolites in vitro)

RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9CI) (CA INDEX NAME)

-- CH2- NMe2

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 137 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2003:261946 CAPLUS
 DOCUMENT NUMBER: 138:297699
 TITLE: Peptides from various peptide libraries, their dimers and fusion proteins as modulators of insulin and IGF-1 receptors
 INVENTOR(S): Pillutla, Renuka; Dedova, Olga; Blume, Arthur J.; Goldstein, Neil I.; Brissette, Renee; Wang, Pinger; Liu, Hao; Hsiao, Ku-Chuan; Lennick, Michael; Fletcher, Paul
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; DOI Biotechnologies
 SOURCE: PCT Int. Appl., 372 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent

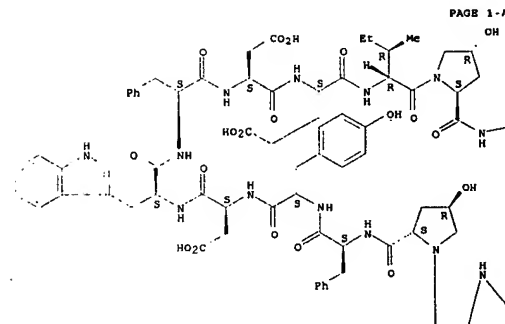
LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003027246	A2	20030403	WO 2002-US30412	20020924
NO 2003027246	A3	20030731		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, OM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: OH, OM, KE, LM, MZ, SD, SL, SE, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003195147	A1	20031016	US 2001-962756	20010924
US 6875741	B2	20050405		
CA 2459999	A1	20030403	CA 2002-2459999	20020924
AU 2002341834	A1	20030407	AU 2002-341834	20020924
EP 1432433	A2	20040630	EP 2002-775987	20020924
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 200505579	T	20050224	JP 2003-530818	20020924
PRIORITY APPLN. INFO.:				
			US 2001-962756	A2 20010924
			US 1998-146127	B2 19980902
			US 2000-538038	A2 20000329
			WO 2002-US30412	W 20020924

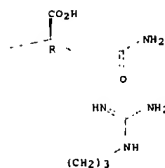
AB Peptide sequences capable of binding to insulin and/or insulin-like growth factor receptors with either agonist or antagonist activity and identified from various peptide libraries are disclosed. This invention also identifies at least two different binding sites, which are present on insulin and insulin-like growth factor receptors, and which selectively bind the peptides of this invention. As agonists, the peptides of this invention may be useful for development as therapeutics to supplement or replace endogenous peptide hormones. The antagonist peptides may also be developed as therapeutics. Dimers and fusion proteins are also disclosed as insulin and IGF-1 receptor modulators.

IT 506430-52-0P
 RL: BPN (Biosynthetic preparation); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (peptides from various peptide libraries, their dimers and fusion proteins as modulators of insulin and IGF-1 receptors)
 RN 506430-52-0 CAPLUS
 CN D-Glutamine, L-n-glutamyl-L-tryptophyl-L-arginyl-L-α-aspartyl-L-(4R)-4-hydroxy-L-prolyl-L-phenylalanyl-L-tyrosyl-L-α-aspartyl-L-tryptophyl-L-phenylalanyl-L-α-aspartyl-L-α-glutamyl-D-isoleucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

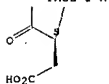


PAGE 1-A

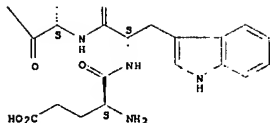


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PAGE 2-A



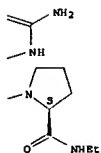
PAGE 2-B



L6 ANSWER 138 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2003:117854 CAPLUS
 DOCUMENT NUMBER: 138:153833
 TITLE: Preparation of peptides having antiangiogenic activity
 INVENTOR(S): Haviv, Fortuna, Bradley, Michael F., Kalvin, Douglas
 M., Henkin, Jack
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011896	A1	20030213	WO 2002-US19574	20020620
W:	AK, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, SE, ES, FI, GB, GD, GS, GR, OM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MM, MG, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG			
US 2003050246	A1	20030313	US 2001-915956	20010726
CA 2454753	A1	20030213	CA 2002-2454753	20020620
AU 2002315383	A1	20030217	AU 2002-315383	20020620
EP 1421107	A1	20040526	EP 2002-742231	20020620
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
HU 2004001629	A2	20041129	HU 2004-1629	20020620
JP 2005507864	T	20050324	JP 2003-517087	20020620
US 2003045477	A1	20030306	US 2002-205924	20020726
MX 2004PA00805	A	20040603	MX 2004-PA805	20040126
BQ 108587	A	20050331	BQ 2004-108587	20040218
PRIORITY APPLN. INFO.:			US 2001-915956	A 20010726
OTHER SOURCE(S):	MARPAT 138:153833		WO 2002-US19574	W 20020620

PAGE 1-B



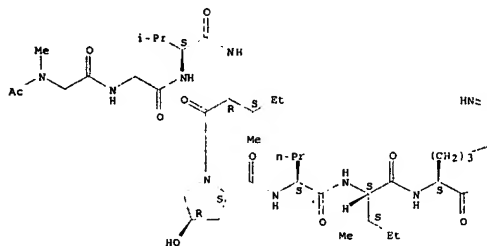
RN 496859-04-2 CAPLUS
 CN L-Prolinamide, N-acetyl-N-methylglycylglycyl-L-valyl-D-alloisoleucyl-(4R)-4-hydroxy-L-prolyl-L-norvalyl-L-isoleucyl-L-arginyl-N-ethyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 496859-03-1
 CMP C47 H83 N13 O11

Absolute stereochemistry.

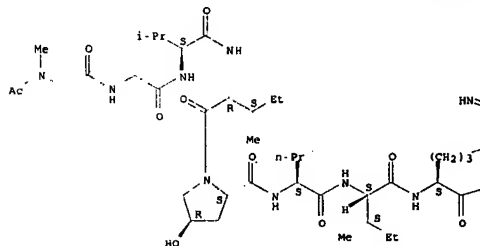
PAGE 1-A



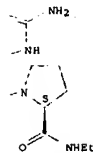
AB Peptides A0-A1-A2-A3-A4-A5-A6-A7-A8-A9-A10 (A0 is absent or Ac, N-acetylazetidine-2(or 3)-carbonyl, N-acetylprolyl, N-acetylproline-4-acetyl, or N-acetylprolyl; A1-A8 represent amino acid residues (defined); A9 is prolyl; A10 is D-alanylamide, D-lysyl(N-acetylamide, ethylamide, or N-methyl-D-alanylamide (with proviso)) or their pharmaceutically-acceptable salts were prepared for inhibiting angiogenesis. Thus, N-(N-acetylproline)-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-NHET was prepared by the solid-phase method using Fmoc-protected amino acids. The synthesized peptides inhibited human endothelial cell migration by at least 50 % at concns. of 100 nM.
 IT 496859-03-1P 496859-04-2P 496859-05-3P 496859-06-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of peptides having antiangiogenic activity)
 RN 496859-03-1 CAPLUS
 CN L-Prolinamide, N-acetyl-N-methylglycylglycyl-L-valyl-D-alloisoleucyl-(4R)-4-hydroxy-L-prolyl-L-norvalyl-L-isoleucyl-L-arginyl-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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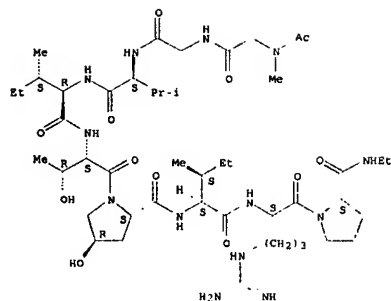


CM 2
 CRN 76-05-1
 CMP C2 H F3 O2



RN 496859-05-3 CAPLUS
 CN L-Prolinamide, N-acetyl-N-methylglycylglycyl-L-valyl-D-alloisoleucyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl-L-arginyl-N-ethyl- (9CI) (CA INDEX NAME)

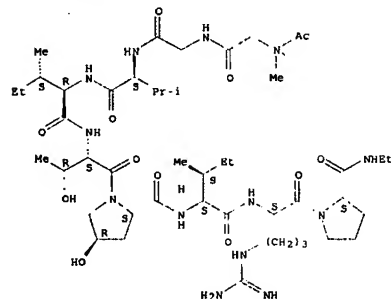
Absolute stereochemistry.



RN 496859-06-4 CAPLUS
 CN L-Prolinamide, N-acetyl-N-methylglycylglycyl-L-valyl-D-alloisoleucyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl-L-arginyl-N-ethyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1
 CRN 496859-05-3
 CMP C46 H81 N13 O12

Absolute stereochemistry.



CM 2
 CRN 76-05-1



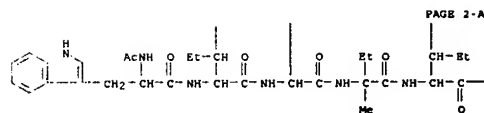
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 139 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2003:107002 CAPLUS
 DOCUMENT NUMBER: 138:317290
 TITLE: Production of zervamicin IIB peptide antibiotic isotopically modified with ¹³C + ¹⁵N
 AUTHOR(S): Skladnev, D. A.; Rogoshkina, E. A.; Kondakova, S. V.; Shvets, V. I.; Svishecheva, N. V.; Yakimenko, Z. A.; Shenkarev, Z. O.; Ovchinnikova, T. V.; Raap, J.
 CORPORATE SOURCE: Fed Gos. Unitarnoe Predpr. GosNIIGenetika, Moscow, 117545, Russia
 SOURCE: Biokhimiya (2002), (5), 32-40
 CODEN: BTMEE2; ISSN: 0234-2758
 PUBLISHER: Biokhimiya
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian

AB A biotechnol. method for obtaining zervamicin peptide antibiotic isotopically modified in carbon and nitrogen atoms with ¹³C and ¹⁵N, resp., has been developed and implemented. The biomass of the fungal producer *Emicelopsis salmosynnemata* grown on a full (¹³C + ¹⁴N) medium served as a source of the indicated antibiotic. This medium contained autolysates and exopolysaccharides obtained from *Methylobacillus flagellatum* KT obligate bacterial methylotroph as growth factors. Its culturing was carried out in a laboratory fermenter on a minimal ¹³C + ¹⁵N medium with ¹³C-methanol as a single source of carbon and energy.
 IT 79395-85-OP, Zervamicin IIB
 RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
 (production of zervamicin IIB peptide antibiotic isotopically modified with ¹³C + ¹⁵N)

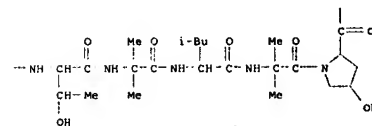
RN 79395-85-0 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

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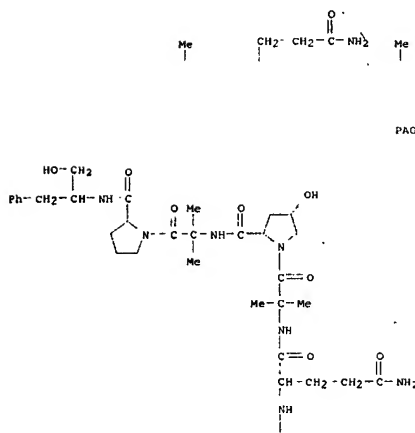


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L6 ANSWER 140 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2003:76637 CAPLUS
 DOCUMENT NUMBER: 138:131089
 TITLE: u-Fetoprotein peptides and use in cancer treatment
 INVENTOR(S): Andersen, Thomas T.; Bennett, James A.; Jacobson, Herbert I.; Masfin, Fasil B.
 PATENT ASSIGNEE(S): Albany Medical College, USA
 SOURCE: PCT Int. Appl., 69 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007978	A1	20030130	WO 2001-0517748	20010602
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TO			
CA 2449284	A1	20030130	CA 2001-2449284	20030602
AU 2003268133	A1	20030303	AU 2001-268133	20010602
EP 1401467	A1	20040331	EP 2001-946037	20010602
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004536128	T	20041202	JP 2003-513583	20010602

PRIORITY APPL. INFO:
 AB The invention addresses the need for methods of treatment and prevention of breast cancer, and other cancers, by providing a peptide of 8-20 amino acids in length which comprises a hydrophilic analog of an u-fetoprotein peptide EMTFVNPQ. The peptides may be linear, but are preferably cyclic. The peptides may be provided as dimers or other

multimers. A composition comprising the peptide, an antibody that specifically binds to the peptide, a method of reducing estrogen-stimulated growth of cells using the peptides, and a method of treating or preventing cancer, e.g. breast cancer, are also provided. The treatment or prevention method can include the use of tamoxifen therapy in combination with the peptide therapy.

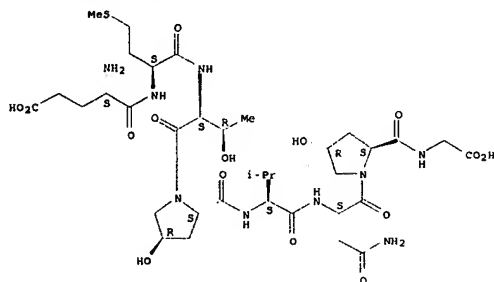
IT 393827-71-9P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN 393827-71-9 CAPLUS

CN Glycine, L- α -glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 489448-16-0 489448-16-0D, peptidomimetic derivs.

489448-18-2 489448-18-2D, peptidomimetic derivs.

489448-19-3 489448-19-3D, peptidomimetic derivs.

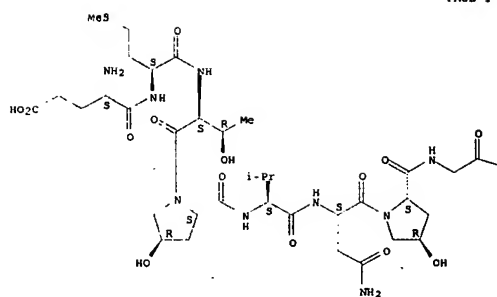
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(α -fetoprotein peptides and use in cancer treatment)

RN 489448-16-0 CAPLUS

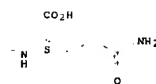
CN L-Glutamine, L- α -glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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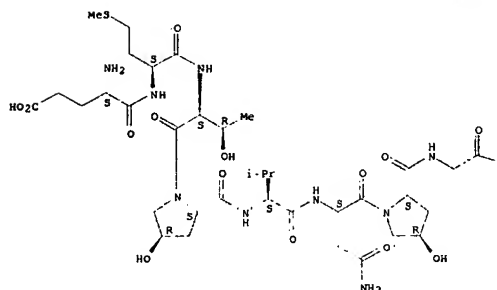


RN 489448-16-0 CAPLUS

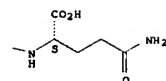
CN L-Glutamine, L- α -glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



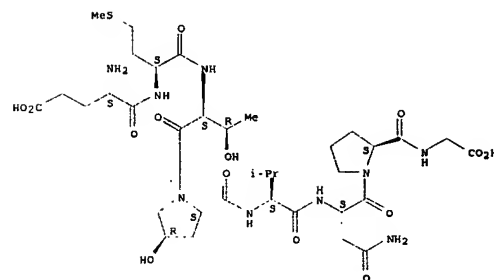
PAGE 1-B



RN 489448-18-2 CAPLUS

CN Glycine, L- α -glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-L-prolyl- (9CI) (CA INDEX NAME)

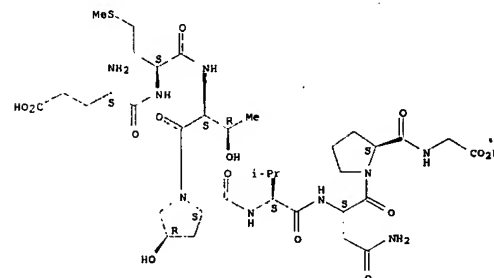
Absolute stereochemistry.



RN 489448-18-2 CAPLUS

CN Glycine, L- α -glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-L-prolyl- (9CI) (CA INDEX NAME)

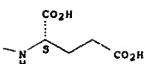
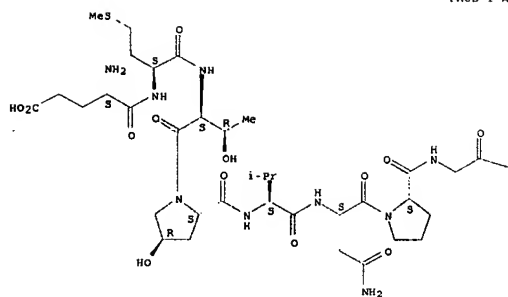
Absolute stereochemistry.



RN 489448-19-3 CAPLUS

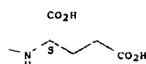
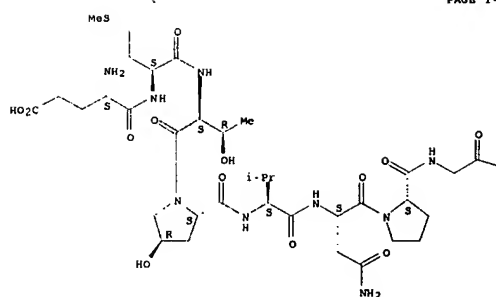
CN L-Glutamic acid, L- α -glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-L-prolylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 489448-19-3 CAPLUS
CN L-Glutamic acid, L- α -glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-L-prolylglycyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RR FORMAT

L6 ANSWER 141 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2003:68605 CAPLUS
 DOCUMENT NUMBER: 138:122863
 TITLE: Preparation of peptide derivatives, the derivatives
 immobilized on polymer particles, and screening of
 proteins using the particles
 INVENTOR(S): Takahashi, Takashi; Tanaka, Hiroshi; Hamda, Hiroshi;
 Setoi, Hiroyuki; Hatori, Hidetaka; Yoshimizu,
 Tatemasa; Kobayashi, Mikio
 PATENT ASSIGNEE(S): Tokyo Institute of Technology, Japan; Fujisawa
 Pharmaceutical Co., Ltd.
 SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003026698	A	20030129	JP 2001-297782	20010927
PRIORITY APPLN. INFO.:			JP 2000-294907	A 20000927

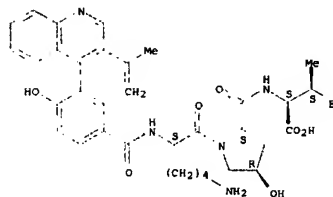
OTHER SOURCE(S): MARPAT 138.122663
AB R1XC0NCH2C1R0C9(C)H2(N)R4C4HOMCH3SCOR6 ([1: R1 = aryl or heterocyclyl which may be substituted with heterocyclyl, aryl, (un)substituted OH, alkyl, wherein Heterocyclyl and aryl may have lower alkyl or halo; X = direct bond, lower alkylene, lower alkenylene; R2 = lower alkyl which may be substituted with 21 amino, hydroxyl, halo, carboxy, carbamoyl, heterocyclyl, aryl, lower alkylthio; R3 may be bonded to neighboring N atom to form amide linkage; R4 = halo, R5 = H, lower (hydroxy)alkyl; R3 and R4 may be bonded together to form a heterocycle which may have OH and/or lower alkyl; R5 = H, lower alkyl, lower alkenyl; R6 = H, (un)substituted hydroxyl, lower alkyl, (un)substituted lower hydroxyalkyl, (un)substituted lower aminoalkylamino; n = 0-2; if R1 = lower alkenyl, quinolin-4-yl, hydroxyphenyl, X = direct bond, R2 = lower alkyl, substituted with lower alkyl, lower alkenyl, or halo, R3 and R4 are bonded together to form pyrrolidine ring substituted with OH and lower alkyl, and R6 = OH, then n = 0), and their salts inhibit gluconeogenesis and are useful for treatment of diabetes and obesity. Also claimed are I (exceptions where n = 0 shown above is not applied) immobilized on styrene-glycidyl methacrylate copolymer particles through a spacer and screening of binding of compounds to proteins immobilized products. Sequences of genes encoding the proteins thus obtained are applied to mass production of the proteins and the proteins are useful for screening or designing of drugs which show stronger gluconeogenesis-inhibiting activity. N-(4-amino)-2-{[1-[5-[4-amino{[imino(methyl)amino]-3-chloro-4-hydroxy-2-[4-hydroxy-3-(3-isopropenyl)-4-methoxybenzyl]amino]pentyl]amino]-3-hydroxy-3-methyl-2-pyrroldinyl]carbonyl}amino]-3-methyl-2-butenamide (preparation given) inhibited gluconeogenesis in fasting rats at IC50 2.27 µg/mL.

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IT 491602-69-0P 491602-70-1P 491602-71-2P
   491602-80-3P 491602-81-4P 491602-89-2P
   491602-90-5P 491602-91-6P 491603-03-3P
   491603-04-4P 491603-05-5P 491603-15-7P
   491603-16-8P 491603-17-9P 491603-69-1P
   491603-83-9P 491603-95-1P 491604-06-9P
   491604-20-7P
   RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU
   (Therapeutic use); BIO (Biological study); CMBI (Combinatorial study);
   PREP (Preparation) USES (Uses)
   (preparation of peptide deriva. as gluconeogenesis inhibitors and polymer
   particles immobilizing the deriva. for screening of proteins)
RN 491602-69-8 CAPLUS
CN L-Leucine, H2O, hydroxy-3-(3-(1-methylurethyl)-4-quinolinyl)benzoyl-L-
   tyrosyl-4-[4-(4-hydroxy-4-oxo-1H-pyridin-1-yl)-1H-imidazole-5-carboxyl-
   ate]

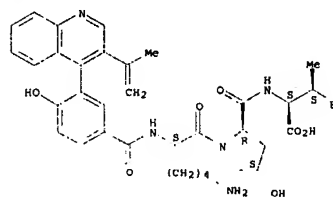
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Absolute stereochemistry.



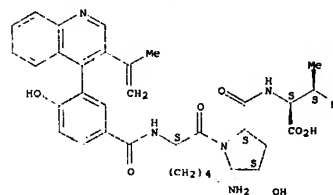
RN 491602-70-1 CAPLUS
CN L-Isoleucine, N2-[4-hydroxy-3-[3-(1-methylethenyl)-4-quinolinyl]benzoyl]-L-lysyl-(4S)-4-hydroxy-D-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 491602-71-2 CAPLUS
CN L-isoleucine, N2-(4-hydroxy-3-[3-(1-methylethenyl)-4-quinolinyl]benzoyl)-L-lysyl-(4S)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

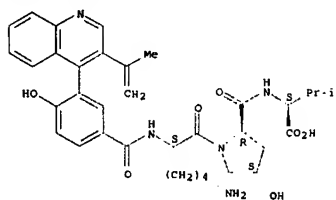
Absolute stereochemistry.



RN 491602-80-3 CAPLUS

CN L-Valine, N2-[4-hydroxy-3-[3-(1-methylethenyl)-4-quinolinyllbenzoyl]-L-lysyl-(4S)-4-hydroxy-D-prolyl- (9CI) (CA INDEX NAME)

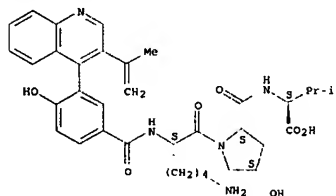
Absolute stereochemistry.



RN 491602-81-4 CAPLUS

CN L-Valine, N2-[4-hydroxy-3-[3-(1-methylethenyl)-4-quinolinyl]benzoyl]-L-lysyl-(4S)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

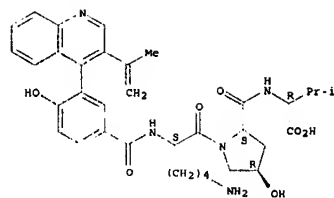
Absolute stereochemistry



RN 491602-89-2 CAPLUS

CN D-Valine, N2-[4-hydroxy-3-{3-(1-methylethenyl)-4-quinolinyl}benzoyl]-L-lysyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

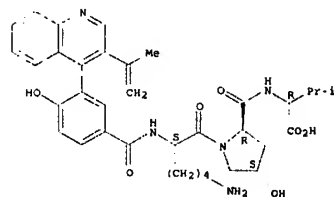
Absolute stereochemistry



RN 491602-90-5 CAPLUS

D-Valine, N2-[4-hydroxy-3-(3-(1-methylethenyl)-4-quinolinyl)benzoyl]-L-lysyl-(4S)-4-hydroxy-D-prolyl- (9CI) (CA INDEX NAME)

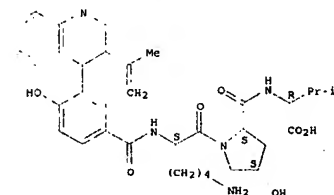
Absolute stereochemistry



RN 491602-91-6 CAPLUS

CN D-Valine, N2-[4-hydroxy-3-[3-(1-methylethenyl)-4-quinolinyl]benzoyl]-L-
[ysv]-(4S)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

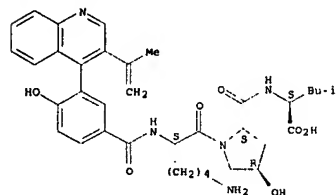
Absolute stereochemistry



RN 491603-03-3 CAPLUS

CN L-Leucine, N2-[4-hydroxy-3-[(1-methylethenyl)-4-quinolinyl]benzoyl]-L-lysyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

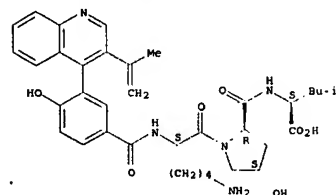
Absolute stereochemistry



RN 491603-04-4 CAPLUS

L-Leucine, N2-[3-(4-hydroxy-3-[3-(1-methylethenyl)-4-quinolinyl]benzoyl]-L-lysyl-(4S)-4-hydroxy-D-prolyl- (9CI) (CA INDEX NAME)

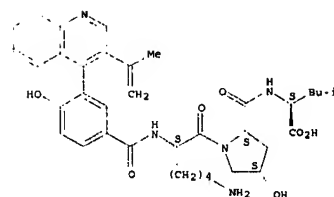
Absolute stereochemistry



RN 491603-05-5 CAPLUS

CN L-Leucine, N2-[4-hydroxy-3-[(1-methylethenyl)-4-quinolinyl]benzoyl]-L-
[vml]- (4S)-4-hydroxy-L-prol]- (9CI) (CA INDEX NAME)

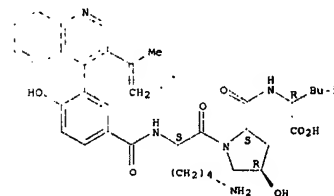
Absolute stereochemistry



RN 491603-15-7 CAPLUS

D-Leucine, N2-[4-hydroxy-3-[3-(1-methylethenyl)-4-quinolinyl]benzoyl]-L-
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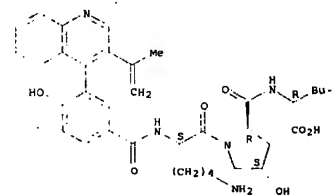
Absolute stereochemistry



RN 491603-16-8 CAPLU

CN D-Leucine, N2-[4-hydroxy-3-[3-(1-methylethenyl)-4-quinolinyl]benzoyl]-L-lysyl-(4S)-4-hydroxy-D-prolyl- (9CI) (CA INDEX NAME)

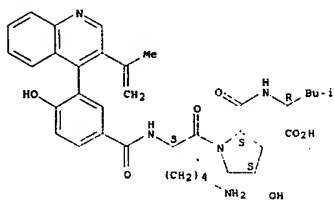
Absolute stereochemistry



RN 491603-17-9 CAPLU

CN D-Leucine, N2-[4-hydroxy-3-[3-(1-methylethenyl)-4-quinoliny]benzoyl]-L-lysyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

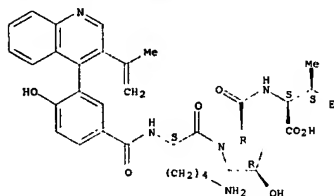
Absolute stereochemistry.



RN 491603-69-1 CAPLUS

CN L-Isoleucine, N2-[4-hydroxy-3-[3-(1-methylethenyl)-4-quinoliny]benzoyl]-L-lysyl-(4R)-4-hydroxy-D-prolyl- (9CI) (CA INDEX NAME)

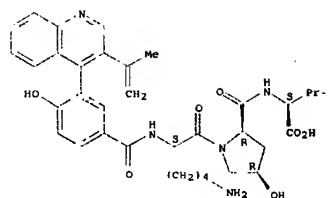
Absolute stereochemistry.



RN 491603-83-9 CAPLUS

CN L-Valine, N2-[4-hydroxy-3-[3-(1-methylethenyl)-4-quinoliny]benzoyl]-L-lysyl-(4R)-4-hydroxy-D-prolyl- (9CI) (CA INDEX NAME)

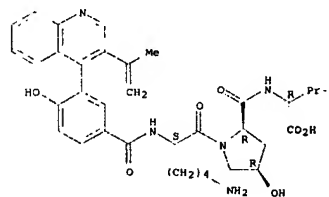
Absolute stereochemistry.



RN 491604-95-3 CAPLUS

CN D-Valine, N2-[4-hydroxy-3-[3-(1-methylethenyl)-4-quinoliny]benzoyl]-L-lysyl-(4R)-4-hydroxy-D-prolyl- (9CI) (CA INDEX NAME)

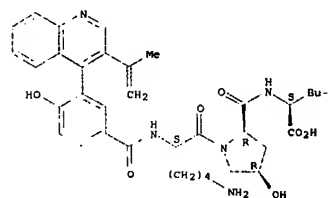
Absolute stereochemistry.



RN 491604-96-9 CAPLUS

CN L-Leucine, N2-[4-hydroxy-3-[3-(1-methylethenyl)-4-quinoliny]benzoyl]-L-lysyl-(4R)-4-hydroxy-D-prolyl- (9CI) (CA INDEX NAME)

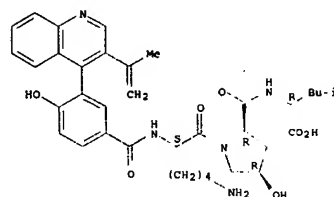
Absolute stereochemistry.



RN 491604-20-7 CAPLUS

CN D-Leucine, N2-[4-hydroxy-3-[3-(1-methylethenyl)-4-quinoliny]benzoyl]-L-lysyl-(4R)-4-hydroxy-D-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 491602-79-0P

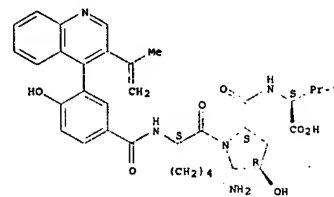
RL: CPN (Combinatorial preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(preparation of peptide derivs. as gluconeogenesis inhibitors and polymer particles immobilizing the derivs. for screening of proteins)

RN 491602-79-0 CAPLUS

CN L-Valine, N2-[4-hydroxy-3-[3-(1-methylethenyl)-4-quinoliny]benzoyl]-L-lysyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 142 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:45207 CAPLUS

DOCUMENT NUMBER: 139:48468

TITLE: A Novel Conus Peptide Ligand for K⁺ Channels
AUTHOR(S): Ferber, Michael; Sporning, Annett; Jeserich, Gunnar; DeLaCruz, Richard; Watkins, Maren; Olivera, Baldomero M.; Terlau, Heinrich

CORPORATE SOURCE: AG Molekulare und Zelluläre Neuropharmakologie, Max-Planck-Institut fuer Experimentelle Medizin, Goettingen, D-37075, Germany

SOURCE: Journal of Biological Chemistry (2003), 278(4), 2177-2183

CODEN: JBCHA3; ISSN: 0021-9258

PUBLISHER: American Society for Biochemistry and Molecular Biology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Voltage-gated ion channels determine the membrane excitability of cells. Although many Conus peptides that interact with voltage-gated Na⁺ and Ca²⁺ channels have been characterized, relatively few have been identified that interact with K⁺ channels. We describe a novel Conus peptide that interacts with the Shaker K⁺ channel, μ -conotoxin RIIIX from *Conus radiatus*. The peptide was chemically synthesized. Although μ -conotoxin RIIIX is structurally similar to the μ -conotoxins that are sodium channel blockers, it does not affect any of the sodium channels tested, but blocks Shaker K⁺ channels. Studies using Shaker K⁺ channel mutants with single residue substitutions reveal that the peptide interacts with the pore region of the channel. Introduction of a neg. charge at residue 427 (K427D) greatly increases the affinity of the toxin, whereas the substitutions at two other residues, Phe425 and Thr449, drastically reduced toxin affinity. Based on the Shaker results, a teleost homolog of the Shaker K⁺ channel, Tshal was identified as a μ -conotoxin RIIIX target. Binding of μ -conotoxin RIIIX is state-dependent, with an IC₅₀ of 20 nM for the closed state and 60 nM at 0 mV for the open state of Tshal channels.

IT 547753-77-5, μ -Conotoxin R IIX (reduced)

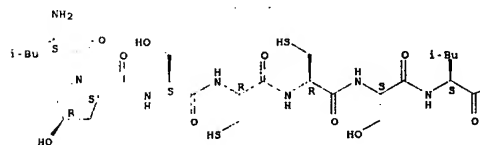
RL: BSU (Biological study, unclassified); BIOL (Biological study)

(amino acid sequence; novel Conus peptide ligand for K⁺ channels)

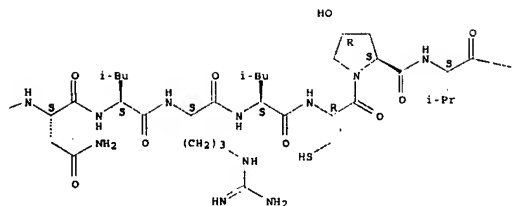
RN 547753-77-5 CAPLUS

CN L-Threoninamide, L-leucyl-(4R)-4-hydroxy-L-prolyl-L-seryl-L-cysteinyl-L-cysteinyl-L-seryl-L-leucyl-L-asparaginyl-L-leucyl-L-arginyl-L-leucyl-L-cysteinyl-(4R)-4-hydroxy-L-prolyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-alanyl-L-cysteinyl-L-lysyl-L-arginyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl-L-cysteinyl-L-cysteinyl- (9CI) (CA INDEX NAME)

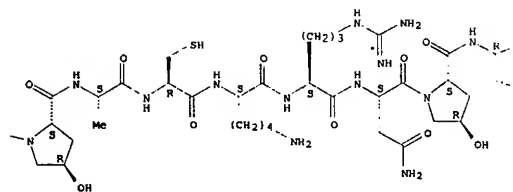
Absolute stereochemistry.



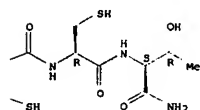
PAGE 1-B



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PAGE 1-D

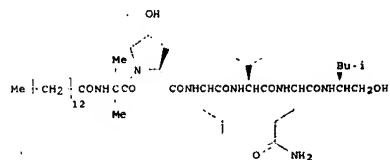


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 143 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2003:43015 CAPLUS

DOCUMENT NUMBER: 138.112396
TITLE: Halovir antiviral marine natural products
INVENTOR(S): Penical, William; Jensen, Paul R.; Rowley, David C.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U. S. 5,458,766
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003012659	A1	20030116	US 2002-217234	20020809
US 6458766	B1	20021001	US 1998-211877	19981215
PRIORITY APPL. INFO:			US 1998-211877	A2 19981215
OTHER SOURCE(S):		MARPAT 138:112396		



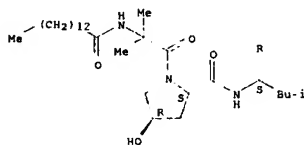
AB The invention is a group of compds. named halovirs with antiviral activity that are structurally related to compds. isolated from a marine fungus CNL240. Halovirs are comprised of a short, amphipathic helical peptide with an extended lipid moiety on the N-terminal end of the peptide. The halovirs have demonstrated activity against herpes simplex virus, types I and II. The synthesis of halovir A (I) was given.

IT 277302-27-9P, Halovir A
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(halovir antiviral marine natural products)

RN 277302-27-9 CAPLUS
CN L-Glutamamide, 2-methyl-N-(1-oxotetradecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-N1-[(1S)-1-(hydroxymethyl)-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.

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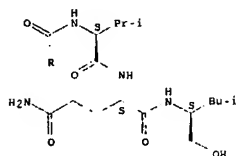


PAGE 1-B

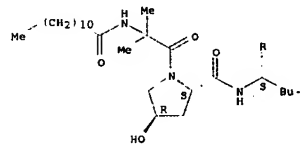
RN 486393-83-3 CAPLUS
CN L-Glutamamide, 2-methyl-N-(1-oxododecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-N1-[(1S)-1-(hydroxymethyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-A



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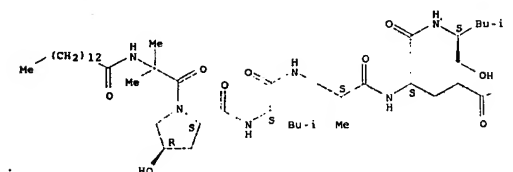
PAGE 2-A

IT 277302-28-0, Halovir B 486393-83-3, Halovir F 486393-85-5, Halovir H
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(halovir antiviral marine natural products)

RN 277302-28-0 CAPLUS
CN L-Glutamamide, 2-methyl-N-(1-oxotetradecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-alanyl-N1-[(1S)-1-(hydroxymethyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)

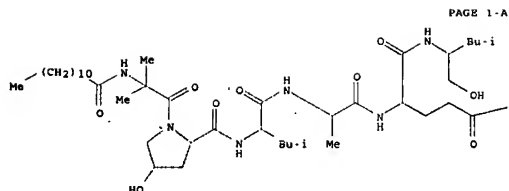
Absolute stereochemistry.

PAGE 1-A

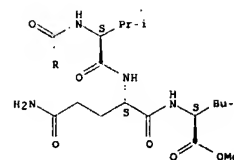


RN 486393-85-5 CAPLUS
CN Glutamide, 2-methyl-N-(1-oxododecyl)alanyl-4-hydroxyprolylleucylalanyl-N1-[(1S)-1-(hydroxymethyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)

Currently available stereo shown.

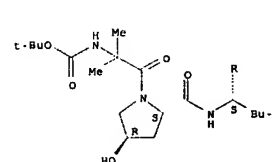


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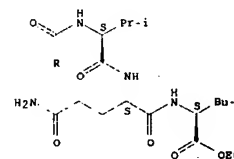


RN 484690-51-9 CAPLUS
 CN L-Leucine, N-[(1,1-dimethylethoxy)carbonyl]-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-L-glutaminy-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 2-A

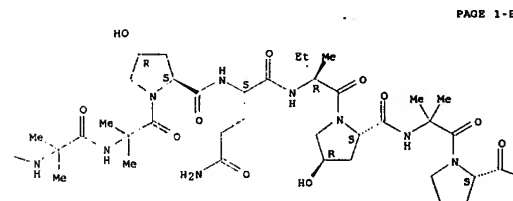


PAGE 2-A

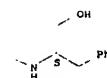
L6 ANSWER 144 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2003:24028 CAPLUS
 DOCUMENT NUMBER: 138:233629
 TITLE: Solution NMR studies of antimoebin, a membrane channel-forming polypeptide
 AUTHOR(S): Galbraith, T. P.; Harris, R.; Driscoll, P. C.; Wallace, B. A.
 CORPORATE SOURCE: School of Crystallography, Birkbeck College, University of London, London, WC1E 7HX, UK

SOURCE: Biophysical Journal (2003), 84(1), 185-194
 CODEN: BIOJAU; ISSN: 0006-3495
 PUBLISHER: Biophysical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Antimoebin I is a membrane-active peptaibol produced by fungi of the species Emericelopsis which is capable of forming ion channels in membranes. Previous structure depts. by x-ray crystallog. have shown the mol. is mostly helical, with a deep bend in the center of the polypeptide, and that the backbone structure is independent of the solvent used for crystallization. In this study, the solution structure of antimoebin was determined by NMR spectroscopy in methanol, a solvent from which one of the crystal structures was determined. The ensemble of structures produced exhibit a right-handed helical C terminus and a left-handed helical conformation toward the N-terminus, in contrast to the completely right-handed helices found in the crystal structures. The NMR results also suggest that a "hinge" region exists, which gives flexibility to the polypeptide in the central region, and which could have functional implications for the membrane insertion process. A model for the membrane insertion and assembly process is proposed based on the antimoebin solution and crystal structures, and is contrasted with the assembly and insertion mechanism proposed for other ion channel-forming polypeptides.
 IT 64347-37-1, Antimoebin I
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (solution NMR studies of antimoebin, a membrane channel-forming Emericelopsis polypeptide)
 RN 64347-37-1 CAPLUS
 CN Antimoebin I (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

PAGE 1-A



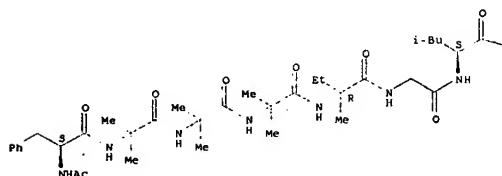
PAGE 1-C



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 145 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2003:22904 CAPLUS
 DOCUMENT NUMBER: 138:81417
 TITLE: New use of dipeptidyl peptidase IV inhibitors
 INVENTOR(S): Demuth, Hans-Ulrich; Hoffmann, Torsten; Heiser, Ulrich; Glund, Konrad; Von Hoersten, Stephan
 PATENT ASSIGNEE(S): Probiobdrug AG, Germany
 SOURCE: PCT Int. Appl., 89 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002596	A2	20030109	WO 2002-EP7133	20020627
WO 2003002596	A3	20030731		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, OM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			



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DE 10150203 A1 20030417 DE 2001-10150203 20011012
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AU 2002228306 A1 20030303 AU 2002-228306 20020627
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WO 2003072556 A1 20030904 WO 2002-EP7124 20020627

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AU 2002325278 A1 20030909 AU 2002-325278 20020627
EP 1399471 A2 20040324 EP 2002-762309 20020627

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JP 2004534836 T 20041118 JP 2003-508976 20020627
EP 1480961 A1 20041201 EP 2002-758280 20020627
EP 1480961 B1 20061227

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

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EP 1695970 A1 20060830 EP 2006-114291 20020627

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AT 349435 T 20070115 AT 2002-758280 20020627
NZ 545366 A 20070629 NZ 1966-5453 20020627
ES 2278944 T3 20070816 ES 2002-2758280 20020627
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WO 2003033524 A2 20030424 WO 2002-EP8929 20020809
WO 2003033524 A3 20040318

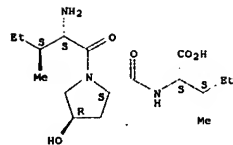
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AU 2002331224 A1 20030428 AU 2002-331224 20020809
US 2003148961 A1 20030807 US 2002-216305 20020809
EP 1434792 A2 20040707 EP 2002-767356 20020809

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

JP 2005514336 T 20050519 JP 2003-536263 20020809
US 2003162820 A1 20030828 US 2002-244347 20020916



L6 ANSWER 146 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2003:22903 CAPLUS
DOCUMENT NUMBER: 138:83355
TITLE: New dipeptidyl peptidase IV inhibitors and their uses as anti-cancer agents
INVENTOR(S): Demuth, Hans-Ulrich; Hoffmann, Torsten; Von Hoersten, Stephan
PATENT ASSIGNEE(S): Probiolog AG, Germany
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXX02
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2003002595 A2 20030109 WO 2002-EP7129 20020627
WO 2003002595 A3 20030508

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DE 10150203 A1 20030417 DE 2001-10150203 20011012
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US 2003119750 A1 20030626 US 2002-126374 20020419
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DE 20220238 A1 20030612 DE 2002-20220238 20020627
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AU 2002325278 A1 20030909 AU 2002-325278 20020627

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US 2003125304 A1 20030703 US 2002-287300 20021104
NO 2003000895 A 20030226 NO 2003-895 20030226
US 2004167191 A1 20040826 US 2004-779158 20040213

US 7060719 B2 20060613
ZA 2004002357 A 20050329 ZA 2004-2357 20040325
US 2005014946 A1 20050120 US 2004-914261 20040809
IN 2004MN00443 A 20050218 IN 2004-MN443 20040813
MX 2004PA08278 A 20050920 MX 2004-PA8278 20040825
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NO 2004004038 A 20040928 NO 2004-4038 20040924
HK 1067120 A1 20070323 HK 2004-110052 20041218
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US 7109347 B2 20060919
US 2006194852 A1 20060831 US 2006-369606 20060307
US 2006293248 A1 20061228 US 2006-481349 20060705

PRIORITY APPLN. INFO.:
DE 2001-10150203 A 20010627
DE 2001-10154689 A 20011109
US 2002-360909P P 20020228
US 2001-301158P P 20010627
US 2001-340151P P 20011214
US 2001-340182P P 20011214
US 2002-172809 A1 20020613
EP 2002-758280 A3 20020627
NZ 2002-534877 A3 20020627
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WO 2002-EP7133 W 20020627
WO 2002-EP8929 W 20020809
US 2002-244347 A1 20020916
US 2002-287300 A1 20021104
US 2004-779158 A3 20040213
US 2005-93991 A3 20050330

OTHER SOURCE(S): MARPAT 138:83417
AB The invention provides a new class of DPIP-inhibitors. The compds. of the invention, and their corresponding pharmaceutically acceptable acid addition salt forms, are useful in treating conditions mediated by DPIP or DPIP-like enzymes, such as immune, autoimmune or central nervous system disorder selected from the group consisting of strokes, tumors, ischemia, Parkinson's disease and migraines. In a more preferred embodiment, the compds. of the invention are useful for the treatment of multiple sclerosis.

IT 462349-28-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USEB (Uses)
(use of dipeptidyl peptidase IV inhibitors for autoimmune and CNS disorders)
RN 482349-28-0 CAPLUS
CN L-isoleucine, L-isoleucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

EP 1399470 A2 20040324 EP 2002-754782 20020627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004521149 T 20040715 JP 2003-508975 20020627
EP 1480961 A1 20041201 EP 2002-758280 20020627
EP 1480961 B1 20061227

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2002015622 A 20041207 BR 2002-15622 20020627
CN 1622941 A 20050601 CN 2002-828407 20020627
JP 2005527504 T 20050915 JP 2003-571262 20020627
CN 1688599 A 20051026 CN 2002-802247 20020627
NZ 534877 A 20060526 NZ 2002-534877 20020627
HU 2006000057 A2 20060529 HU 2006-57 20020627
EP 1695970 A1 20060830 EP 2006-114291 20020627

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

AT 349435 T 20070115 AT 2002-758280 20020627
RU 2299066 C2 20070520 RU 2003-105464 20020627
NZ 545366 A 20070629 NZ 1966-5453 20020627
ES 2278944 T3 20070816 ES 2002-2758280 20020627
CA 2461170 A1 20030424 CA 2002-2461170 20020809
WO 2003033524 A2 20030424 WO 2002-EP8929 20020809
WO 2003033524 A3 20040318

M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MM, MX, MY, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RH: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KQ, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO

AU 2002331224 A1 20030428 AU 2002-331224 20020809
US 2003148961 A1 20030807 US 2002-216305 20020809
EP 1434792 A2 20040707 EP 2002-767356 20020809

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

JP 2005514336 T 20050519 JP 2003-536263 20020809
US 2003162820 A1 20030828 US 2002-244347 20020916

US 6946480 B2 20050920
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US 7060719 B2 20060613
ZA 2004002357 A 20050329 ZA 2004-2357 20040325
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HK 1067120 A1 20070323 HK 2004-110052 20041218
US 2005171025 A1 20050804 US 2005-93991 20050330
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US 2006293248 A1 20061228 US 2006-481349 20060705

PRIORITY APPLN. INFO.:
DE 2001-10150203 A 20010627
DE 2001-10154689 A 20011109
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US 2002-172809 A1 20020613
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WO 2002-EP1129 W 20020627
WO 2002-EP8929 W 20020809
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US 2004-779158 A3 20040213
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OTHER SOURCE(S): MARPAT 138:83355

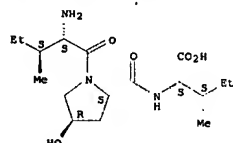
AB The invention provides new uses of DPIV-inhibitors and their corresponding pharmaceutically acceptable acid addition salt forms, for treating conditions mediated by DPIV or DPIV-like enzymes, such as cancer and tumors. In a more preferred embodiment, the compds. of the invention are useful for the treatment of metastasis and tumor colonization.

IT 482349-28-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of dipeptidyl peptidase IV inhibitors as anticancer agents)

RN 482349-28-0 CAPLUS
CN L-isoleucine, L-isoleucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 147 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2003:22901 CAPLUS

DOCUMENT NUMBER: 138:66712

TITLE: Peptide structures useful for competitive modulation of dipeptidyl peptidase IV catalysis, and therapeutic use

INVENTOR(S): Demuth, Hans Ulrich; Hoffmann, Torsten; Manhart, Susanne; Hoffmann, Matthias; Heins, Jochen

PATENT ASSIGNEE(S): Probiobio AG, Germany

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIRX02

DOCUMENT TYPE: Patent

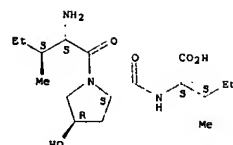
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003002593	A3	20030904		

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L6 ANSWER 148 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2003:6323 CAPLUS

DOCUMENT NUMBER: 139:17056

TITLE: Metabolites of micafungin in rats and dogs

AUTHOR(S): Kaneko, Hiyato; Yamato, Yasuhiro; Terakawa, Yuriko; Fujisawa, Tomochi; Suzuki, Akira; Kawamura, Akio; Terakawa, Masato; Kagayama, Akira

CORPORATE SOURCE: Biopharmaceutical and Pharmacokinetic Research Laboratories, Fujisawa Pharmaceutical Co., Ltd., Osaka, 532-8514, Japan

SOURCE: Nippon Kagaku Ryoho Gakkai Zasshi (2002), 50(Suppl. 1), 88-93

CODEN: NKRZES; ISSN: 1340-7007

PUBLISHER: Nippon Kagaku Ryoho Gakkai

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

AB The metabolism of micafungin (MCPG), a new echinocandin-like lipopeptide antifungal agent, was evaluated in rats and dogs. 1. After an i.v. administration of ¹⁴C labeled MCPG to rats and dogs, MCPG and M5 were mainly observed in plasma samples, and M1 and M2, which have antifungal activity, were barely detectable. The main compound observed was M5 in urine samples and MCPG in feces and bile samples. 2. The relative ratios of M1 and M2 to the total radioactivity in lung, liver, spleen, and kidney samples were higher than that in the plasma samples. In the liver sample at 24 h after administration, M1, M2 and MCPG were 26.9%, 22.8% and 8.9% of total radioactivity, resp. 3. From the anal. of plasma, urine, feces, and bile samples, the catechol form of MCPG (M1), methylated form of M1 (M2), open-ring form (M3) and hydroxyl form at the side chain (M5) were estimated.

IT 539823-62-0
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(metabolites of micafungin in rats and dogs)

RN 539823-62-0 CAPLUS

CN L-prolinamide, (4R)-4,5-dihydroxy-1-[4-{5-[4-(pentyloxy)phenyl]-3-isoxazolyl]benzoyl]-L-prolyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-(4S)-4-hydroxy-4-[4-hydroxy-3-(sulfoxy)phenyl]-L-threonyl-(3R)-3-hydroxy-L-glutamyl-3-hydroxy-4-methyl-, monosodium salt, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO

US 2003119750 A1 20030626 US 2002-126374 20020419
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EP 1399469 A2 20040324 EP 2002-762308 20020627

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

ZA 2003001312 A 20040330 ZA 2003-1312 20020627
JP 2004530729 T 20041007 JP 2003-508973 20020627
ZA 2003000595 A 20040213 ZA 2003-595 20030122
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US 2005171025 A1 20050804 US 2005-93991 20050330
US 7109347 S2 20060919
US 2005194852 A1 20060831 US 2006-369606 20060307
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PRIORITY APPLN. INFO.:
EP 2001-114796 A 20010627
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WO 2002-EP1124 A 20020627
WO 2002-EP1128 W 20020627
US 2004-779158 A3 20040213
US 2005-93991 A3 20050330

OTHER SOURCE(S): MARPAT 138:66712

AB The invention provides compds. ABCDE [A = any amino acid except D-amino acid; B = Pro, Ala, Ser, Gly, Hyp, aceticidine-(2)-carboxylic acid, pipecolic acid; C = any amino acid except Pro, Hyp, aceticidine-(2)-carboxylic acid, pipecolic acid, N-alkylated amino acid; D, E = any amino acid or absent] and pharmaceutically acceptable salts thereof. The compds. can be used for the preparation of a medicament for the prophylaxis or treatment of a condition mediated by modulation of dipeptidyl peptidase IV activity, wherein the condition preferably is selected from impaired glucose tolerance, diabetes mellitus, glucosuria and metabolic acidosis.

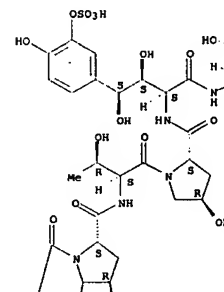
IT 482349-28-0
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide compds. for competitive modulation of dipeptidyl peptidase IV, and therapeutic use)

RN 482349-28-0 CAPLUS

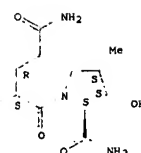
CN L-isoleucine, L-isoleucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

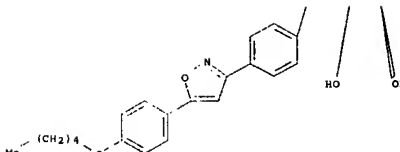
PAGE 1-A



PAGE 1-B



PAGE 2-A



L6 ANSWER 149 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:906473 CAPLUS
 DOCUMENT NUMBER: 138:16587
 TITLE: Conjugates activated by cell surface proteases and therapeutic uses thereof
 INVENTOR(S): Madison, Edwin L.; Sempie, Joseph Edward; Vlasuk, George P.; Kemp, Scott Jeffrey; Komandla, Mallareddy; Siev, Daniel Vanna
 PATENT ASSIGNEE(S): Corvas International, Inc., USA; Dendreon San Diego, LLC
 SOURCE: PCT Int. Appl., 581 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

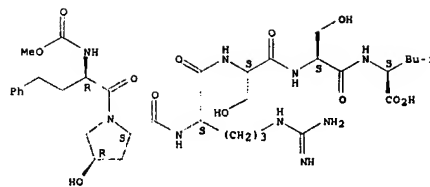
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002095007	A2	20021128	WO 2002-US16819	20020523
WO 2002095007	A3	20050506		
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CP, CU, CZ, DE, DK, DM, DO, EC, EE, ES, FI, GB, GR, GU, HK, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW</p> <p>RM: OH, OM, KE, LS, MM, MZ, SD, SL, SZ, T2, UO, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GG, GW, ML, MR, NE, SN, TD, TO</p> <p>CA 2447023 A1 20021128 CA 2002-2447023 20020523</p> <p>AU 2002312119 A1 20021203 AU 2002-312119 20020523</p> <p>JP 2005518332 T 20050623 JP 2002-592470 20020523</p> <p>EP 1545572 A2 20050629 EP 2002-719474 20020523</p> <p>R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR</p>				
PRIORITY APPLN. INFO.:			US 2001-293267P	P 20010523
			WO 2002-US16819	W 20020523

OTHER SOURCE(S): MARPAT 138:16587
 AB Conjugates, compns. and method for treatment, prevention, or amelioration of one or more symptoms of cell surface protease-related diseases, including MTSP-related, urokinase-type plasminogen activator (uPA) or endothelase-related diseases, are provided. The conjugates for use in the compns. and methods are peptidic conjugates that contain therapeutic, including cytotoxic, agents.

IT 476682-30-1D, drug conjugates 476682-31-2D, drug conjugates
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug conjugates activated by cell surface proteases for drug delivery)

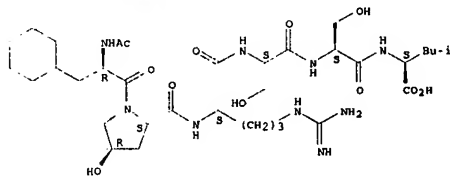
RN 476682-30-1 CAPLUS
 CN L-Leucine, (4R)-N-[(methoxycarbonyl)aminobenzenebutanoyl]-4R)-4-hydroxy-L-prolyl-L-arginyl-L-seryl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 476682-31-2 CAPLUS
 CN L-Leucine, N-acetyl-3-cyclohexyl-D-alanyl-(4R)-4-hydroxy-L-prolyl-L-arginyl-L-seryl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 150 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:876133 CAPLUS
 DOCUMENT NUMBER: 138:321551
 TITLE: Structural effects on the formation of proton and alkali metal ion adducts of apolar, neutral peptides: electrospray ionization mass spectrometry and ab initio theoretical studies
 AUTHOR(S): Padmanabhan
 CORPORATE SOURCE: Molecular Biophysics Unit and Department of Organic Chemistry, Indian Institute of Science, Bangalore, 560012, India
 SOURCE: Chemistry--A European Journal (2002), 8(21), 4980-4991
 CODEN: CEUJED; ISSN: 0947-6539
 PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Apolar, neutral peptides have been shown to ionize extremely well under the conditions used for electrospray ionization mass spectrometry (ESI/MS). Peptides for which the conformations have been independently determined in solution and in crystals have been examined by ESI/MS. Studies of peptide helices ranging from 7 to 18 residues reveal that shorter helices yield exclusively singly charged ions, while in larger helices multiply charged species are detectable. Multiple sites for protonation/metalation are introduced in the helix by proline insertion or by changing the chirality in the residue. The preferred site of cation binding to helices may be

PAGE 1-C

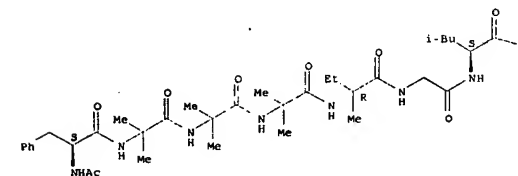
the C-terminus end, where three free C=O groups are available for chelation. Ab initio and DFT calcs. at several levels have been carried out for the binding of H⁺, Li⁺, Na⁺, and K⁺ to CMO-(Gly)₃-OMe. The results reveal that metalation in helices is favored by chelation to carbonyl groups at the C-terminus, while protonation involved two carbonyl groups and thus favor a 10-membered cyclic hydrogen-bonded structure. In β -strands, metalation/protonation occurs at isolated carbonyl groups. Collision induced fragmentation of hydrophobic peptides under ESI conditions reveals that helix fragmentation occurs predominantly from the C-terminus, while in β -hairpins cleavage occurs simultaneously at multiple sites.

IT 64347-37-1, Antiamebin I 135995-68-5
 RL: PRP (Properties)
 (electrospray ionization mass spectrometry and ab initio theor. studies of structural effects on formation of proton and alkali metal ion adducts of apolar, neutral peptides)

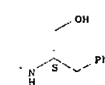
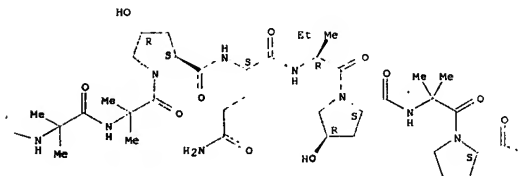
RN 64347-37-1 CAPLUS
 CN Antiamebin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



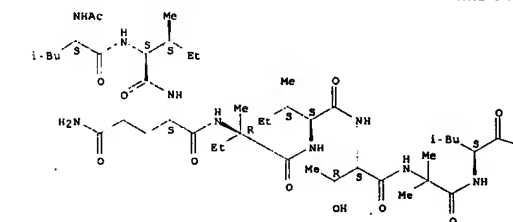
PAGE 1-B

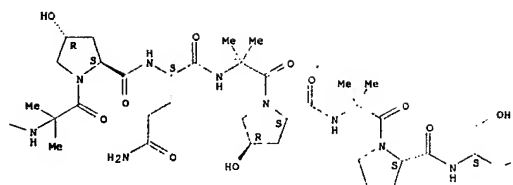


RN 135995-68-5 CAPLUS
 CN L-Proline, N-acetyl-L-leucyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





Ph

REFERENCE COUNT: 103 THERE ARE 103 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 151 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:856812 CAPLUS
 DOCUMENT NUMBER: 138:165184
 TITLE: Enhanced oral availability/peromonomotropic activity of pyrokinin/PBAN insect neuropeptides
 AUTHOR(S): Nachman, Ronald J.; Teal, Peter E. A.; Strey, Allison
 CORPORATE SOURCE: Southern Plains Agricultural Research Center, Area-wide Pest Management Research Unit, USDA, ARS, College Station, TX, 77845, USA
 SOURCE: Peptides (New York, NY, United States) (2002), 23(11), 2035-2043
 CODEN: PPTDD5; ISBN: 0196-9781
 PUBLISHER: Elsevier Science Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The peptide bond between active core residues Pro and Arg is the primary site of susceptibility for the pyrokinin/PBAN neuropeptides to insect tissue-bound peptidases, and incorporation of modified Pro residues can enhance resistance to peptidase hydrolysis. An Hyp-containing amphiphilic analog (Hex-PT(Hyp)RLa) is shown to operate as a topically active

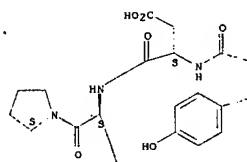
UA, UQ, US, UZ, VN, YU, ZA, ZM, ZW
 RW: OH, OM, KE, LS, MM, MZ, SD, SL, SE, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG
 CA 2442495 A1 20021010 CA 2002-2442495 20020328
 AU 2002245934 A1 20021015 AU 2002-245934 20020328
 EP 1385874 A1 20040204 EP 2002-713927 20020328
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2005500017 T 20050106 JP 2002-577860 20020328
 US 2005215480 A1 20050929 US 2004-473246 20040826
 PRIORITY APPLN. INPO.: AU 2001-4094 A 20010329
 WO 2002-AU411 W 20020328

OTHER SOURCE(S): MARPAT 137:289027
 AB This invention relates to novel α -conotoxin-like peptides comprising the following sequence of amino acids: Xaa1CCXaa2Xaa3Xaa4CXaa5Xaa6Xaa7Xaa8Xaa9Xaa10Xaa11C-NH2 in which Xaa1 is G or D; Xaa3 is proline, hydroxyproline or glutamine; each of Xaa2 to Xaa8 and Xaa11 is independently any amino acid; Xaa9 is proline, hydroxyproline or glutamine; Xaa10 is aspartate, glutamate or γ -carboxyglutamate; Xaa11 is optionally absent; and the C-terminus is optionally amidated, with the proviso that the peptide is not α -conotoxin Epl or α -conotoxin Im1. The peptides are useful in the treatment or prevention of pain, in recovery from nerve injury, and in the treatment of painful neural conditions such as stroke.

IT 467428-31-5
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(α -conotoxin peptides with analgesic properties)
 RN 467428-31-5 CAPLUS
 CN L-Cysteine, glycyl-L-cysteine-L-cysteine-L-seryl-L- α -aspartyl-L-(4R)-4-hydroxy-L-prolyl-L-arginyl-L-cysteine-L-asparaginyl-L-tyrosyl-L- α -aspartyl-L-histidyl-L-prolyl-L- γ -glutamyl-L-isoleucyl- (9CI)
 (CA INDEX NAME)

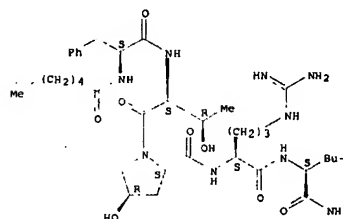
Absolute stereochemistry.



tissue-bound peptidase-resistant analog of the pyrokinin/PBAN class of insect neuropeptides in adult *Heliothis virescens* moths. An Oic amphiphilic analog (Hex-PT(Oic)RLa) is ineffective topically, but proves to be a superior tissue-bound, peptidase-resistant pyrokinin/PBAN analog for oral administration; outperforming both the Hyp analog and the orally inactive natural hormone PBAN in the moths. The Oic analog is effective in penetrating an isolated, ligated foregut preparation, but less successful in transmuting an isolated midgut preparation, whereas the opposite behavior is observed for the Hyp analog. The success of the Oic analog via oral administration may be related to its ability to effectively penetrate the foregut, thereby bypassing the hostile environment of the midgut region.

IT 497154-32-2P
 RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (oral availability and pheromonomotropic activity of peptidase-resistant topical amphiphilic analogs of pyrokinin/PBAN neuropeptides)
 RN 497154-32-2 CAPLUS
 CN L-Leucinamide, N-(1-oxohexyl)-L-phenylalanyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-arginyl- (9CI) (CA INDEX NAME)

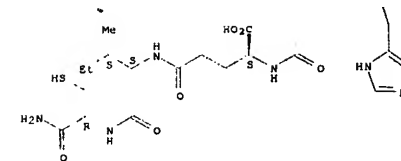
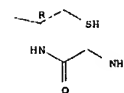
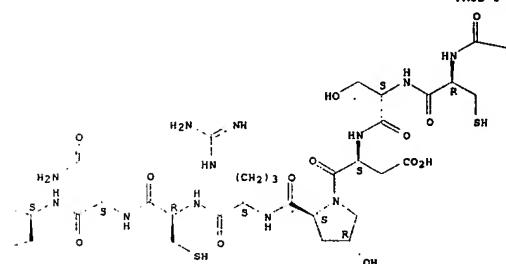
Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 152 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:777965 CAPLUS
 DOCUMENT NUMBER: 137:289027
 TITLE: Alpha conotoxin peptides with analgesic properties
 INVENTOR(S): Livett, Bruce; Khalil, Zeinab; Gayler, Kenwyn; Down, John
 PATENT ASSIGNEE(S): Australia
 SOURCE: PCT Int. Appl., 87 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079236	A1	20021010	WO 2002-AU11	20020328
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MO, MZ, ND, NE, NG, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, SN, TH, TM, TN, TR, TT, TZ,			



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 153 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:777963 CAPLUS
 DOCUMENT NUMBER: 137:295254
 TITLE: Preparation of peptide inhibitors of hepatitis C virus NS3 protease
 INVENTOR(S): Colarusso, Stefania; Gardelli, Cristina; Gerlach,

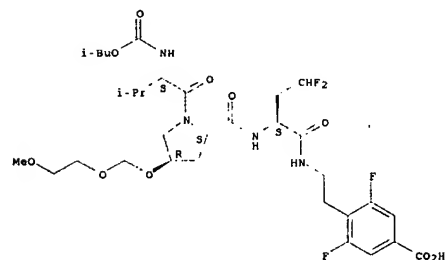
Benjamin; Harper, Steven; Koch, Uwe; Metassa, Victor
Giulio; Muraglia, Ester; Narjes, Frank; Ontoria,
Ontoria Jesus Maria; Petrocchi, Alessia; Ponzi,
Simona; Stangfield, Ian; Summa, Vincenzo
Istituto di Ricerche di Biologia Molecolare P.
Angeletti spa, Italy; et al.
PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DOCUMENT TYPE:
Patent
LANGUAGE:
English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079234	A1	20021010	WO 2002-EP3435	20020326
M:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DS, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RM:	GH, GM, KE, LS, MW, MZ, SD, SG, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2442540	A1	20021010	CA 2002-2442540	20020326
AU 2002308200	A1	20021015	AU 2002-308200	20020326
EP 1392721	A1	20040303	EP 2002-757728	20020326
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IS, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2004142876	A1	20040722	US 2004-473443	20040303
US 7119073	B2	20061010		
PRIORITY APPLN. INFO.:			GB 2001-7924	A 20010329
OTHER SOURCE(S):	MARPAT 137:295254		WO 2002-EP3435	W 20020326
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

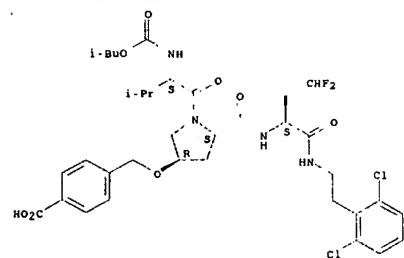
AB Compds. I, II, and III (X = CH₂, O; Y = CRA₂, where Ra = H, OH, CO₂H, alkyl, (hetero)aryl, (hetero)alkyl, or CRA₂ = cycloalkyl; Z = (un)substituted (hetero)aryl; R₂ = alkyl, fluoroalkyl, or CH₂SH; R₃ = (un)substituted alkyl, (hetero)aryl, (hetero)alkyl, or together with NRC forms a ring; R₄ = H or alkyl or NRC together with R₃ forms a ring; R₅ = alkyl, alkenyl, (hetero)alkyl, (hetero)aryl, or an acidic group; R₆ = (un)substituted carbamoyl, acyl, carboxylic ester, oxalyl, or sulfonyl group, which may be attached to an amino acid or a di- or tripeptide; R₁₃ is a group containing ≤ 25 carbon atoms, 0-5 oxygen atoms, 0-3 nitrogen atoms, 0-2 sulfur atoms and ≤ 9 other heteroatoms which may be the same or different; R₁₇ is H, alkyl, alkenyl, (hetero)aryl, (hetero)alkyl, OH, alkoxy, aryloxy, (hetero)alkoxy, thioether, sulfonyl or sulfoxide group; R₁₈ is a group containing ≤ 25 carbon atoms, 0-5 oxygen atoms, 0-3 nitrogen atoms, 0-2 sulfur atoms and ≤ 9 other heteroatoms which may be the same or different) and their pharmaceutically-acceptable salts or esters were prepared as inhibitors of the hepatitis C virus (HCV) NS3 protease. Thus, i-BuO₂C-Glu-Leu-Cys-NHCH₂CH₂CH₂CH₂Cl₂-2.4 was prepared by the solid-phase method and showed IC₅₀ ≤ 10 μM for inhibition of NS3 protease.

IT 467440-71-7P 467440-72-8P 467440-76-2P
467440-93-3P 467440-94-4P 467440-97-7P
467441-10-7P 467441-11-8P 467441-12-9P
467441-13-0P 467441-14-1P 467441-15-2P



RN 467440-76-2 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-[(4-carboxyphenyl)methoxy]-L-prolyl-2-amino-N-[2-(2,6-dichlorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

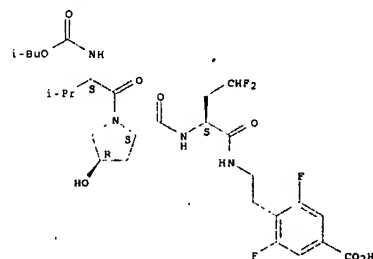


RN 467440-93-3 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

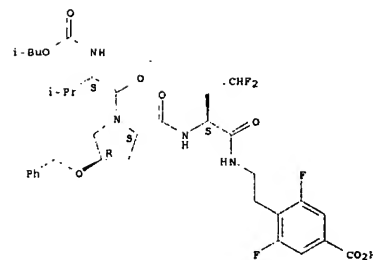
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467441-19-6P 467441-22-1P 467441-42-5P
467441-55-0P 467441-56-1P 467441-57-2P
467441-59-4P 467441-60-7P 467441-61-8P
467441-62-9P 467441-63-0P 467441-64-1P
467441-65-2P 467441-66-3P 467441-67-4P
467441-69-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide inhibitors of hepatitis C virus NS3 protease)
RN 467440-71-7 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-hydroxy-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



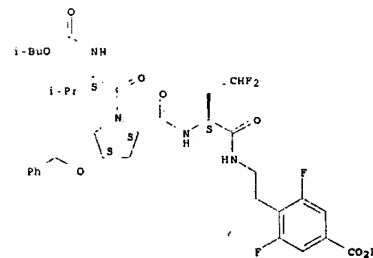
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CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-[(2-methoxyethoxymethoxy)-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



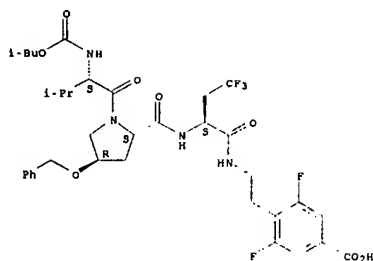
RN 467440-94-4 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



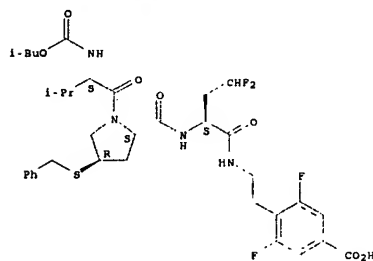
RN 467440-97-7 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4,4-trifluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



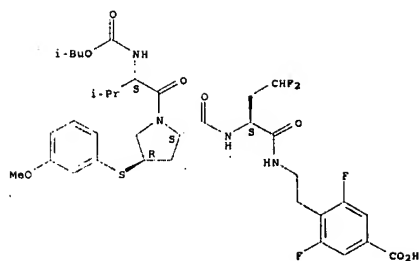
RN 467441-10-7 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-[(3-methoxyphenyl)thio]-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



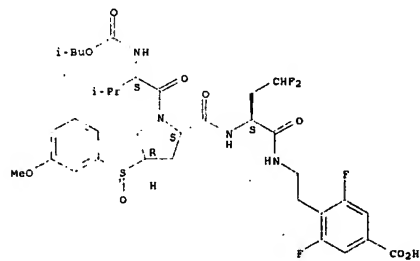
RN 467441-11-8 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-[(3-methoxyphenyl)thio]-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



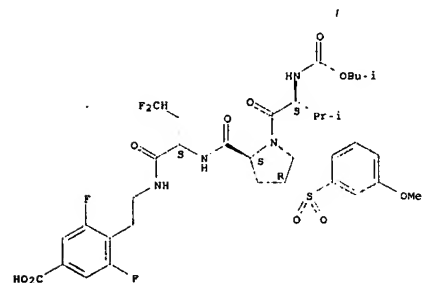
RN 467441-12-9 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-[(3-methoxyphenyl)sulfinyl]-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



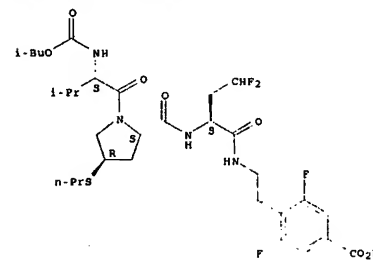
RN 467441-13-0 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-[(3-methoxyphenyl)sulfonyl]-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



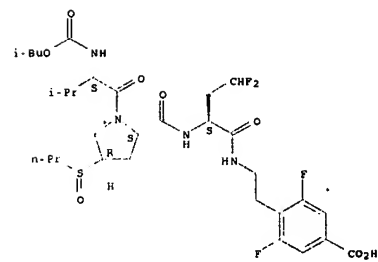
RN 467441-14-1 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-(propylthio)-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



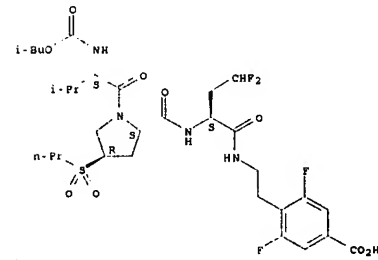
RN 467441-15-2 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-(propylsulfinyl)-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



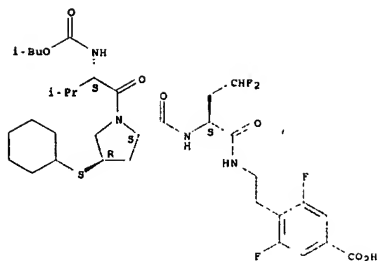
RN 467441-16-3 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-(propylsulfonyl)-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



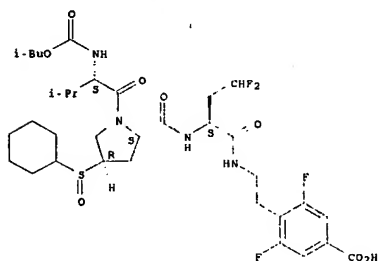
RN 467441-17-4 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-(cyclohexylthio)-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



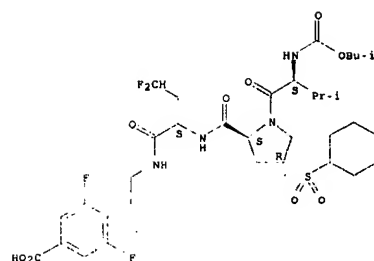
RN 467441-18-5 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-(cyclohexylsulfonylethyl)-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



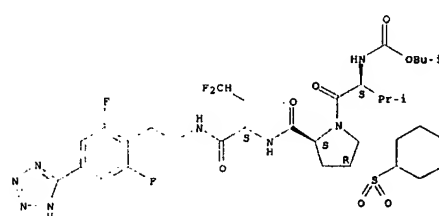
RN 467441-19-6 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-(cyclohexylsulfonylethyl)-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



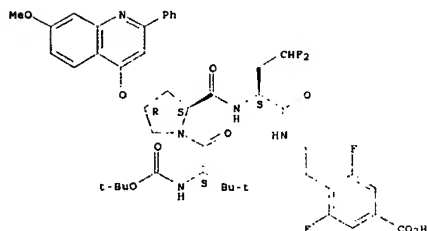
RN 467441-22-1 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-(cyclohexylsulfonylethyl)-L-prolyl-2-amino-N-[2-(2,6-difluoro-4-(1H-tetrazol-5-yl)phenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



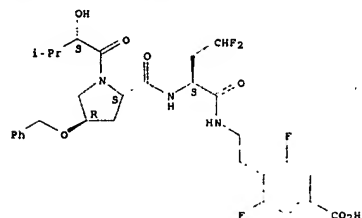
RN 467441-42-5 CAPLUS
CN Butanamide, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyloxy)-L-prolyl-2-amino-N-[2-(4-carboxy-2,6-difluorophenyl)ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



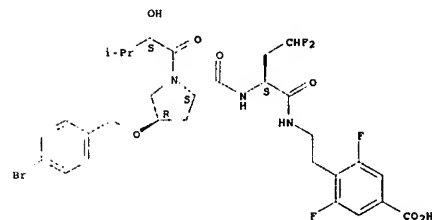
RN 467441-55-0 CAPLUS
CN Benzoic acid, 4-[2-[[[(2S)-4,4-difluoro-2-[[[(2S,4R)-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-4-(phenylmethoxy)-2-pyrrolidinyl]carbonyl]amino]-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



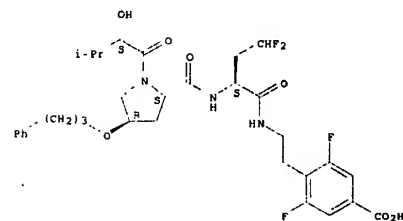
RN 467441-56-1 CAPLUS
CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-1-[(4-bromophenyl)methoxy]-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



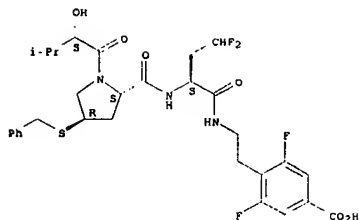
RN 467441-57-2 CAPLUS
CN Benzoic acid, 4-[2-[[[(2S)-4,4-difluoro-2-[[[(2S,4R)-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-4-(3-phenylpropoxy)-2-pyrrolidinyl]carbonyl]amino]-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 467441-59-4 CAPLUS
CN Benzoic acid, 4-[2-[[[(2S)-4,4-difluoro-2-[[[(2S,4R)-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-4-[(phenylmethyl)thio]-2-pyrrolidinyl]carbonyl]amino]-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

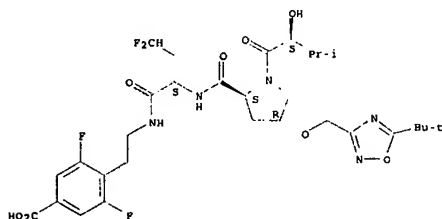
Absolute stereochemistry.



RN 467441-60-7 CAPLUS

CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-4-[[5-(1,1-dimethylethyl)-1,2,4-oxadiazol-3-yl]methoxy]-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

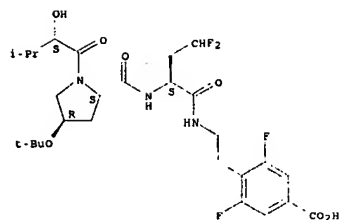
Absolute stereochemistry.



RN 467441-61-8 CAPLUS

CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-4-(cyclopropylmethoxy)-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

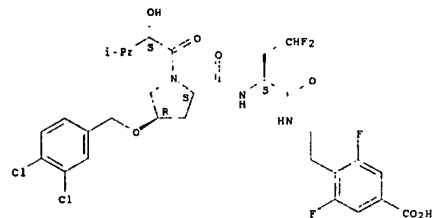
Absolute stereochemistry.



RN 467441-64-1 CAPLUS

CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-4-[(3,4-dichlorophenyl)methoxy]-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

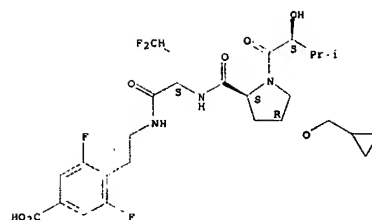
Absolute stereochemistry.



RN 467441-65-2 CAPLUS

CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-4-(2-ethylbutoxy)-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

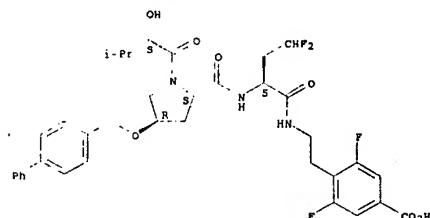
Absolute stereochemistry.



RN 467441-62-9 CAPLUS

CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-4-[(1,1'-biphenyl)-4-ylmethoxy]-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

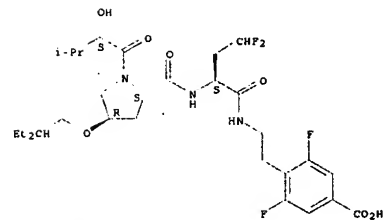
Absolute stereochemistry.



RN 467441-63-0 CAPLUS

CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-4-(1,1-dimethylethoxy)-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

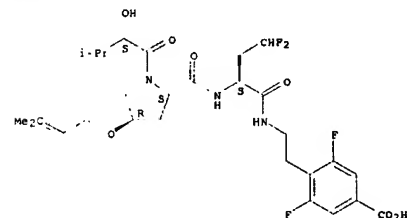
Absolute stereochemistry.



RN 467441-66-3 CAPLUS

CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-4-[(3-methyl-2-butenyl)oxy]-2-pyrrolidinyl]carbonyl]amino]-1-oxobutyl]amino]ethyl]-3,5-difluoro- (9CI)

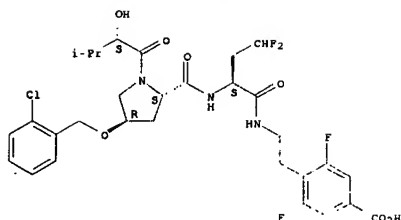
Absolute stereochemistry.



RN 467441-67-4 CAPLUS

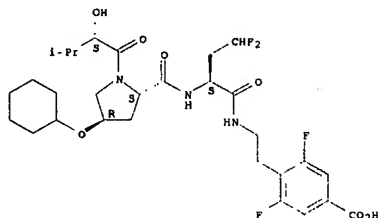
CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-4-[(2-chlorophenyl)methoxy]-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 467441-68-5 CAPLUS
CN Benzoic acid, 4-[2-[[[(2S)-2-[[[(2S,4R)-4-(cyclohexyloxy)-1-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]-4,4-difluoro-1-oxobutyl]amino]ethyl]-3,5-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



IT 467438-65-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
[preparation of peptide inhibitors of hepatitis C virus NS3 protease]
RN 467438-65-9 CAPLUS
CN Butanamide, N-[(2-methylpropoxy)carbonyl]-L-valyl-(4R)-4-(cyclohexylthio)-L-prolyl-2-amino-N-[2-[4-[[[(1,1-dimethylethoxy)carbonyl]-2,6-difluorophenyl]ethyl]-4,4-difluoro-, (2S)- (9CI) (CA INDEX NAME)

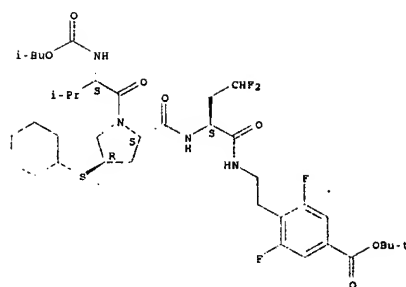
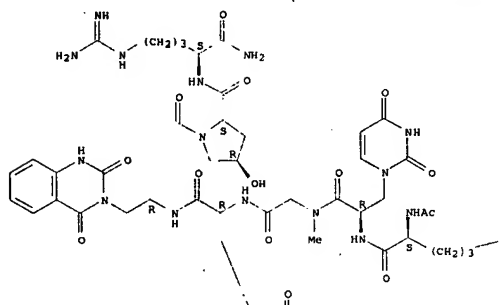
Absolute stereochemistry.

circular-dichroism expts. Finally, DNase-I-footprinting studies indicated a preferential interaction with a 6-base-pair mixed sequence 5'-CTCATC-3'. This study demonstrates that gel-shift expts. can be used for the solution-phase screening of library mixts. of peptides against dsDNA. In general, this technique allows the selection of new sequence-selective dsDNA-interacting moles. Furthermore, novel dsDNA-binding unnatural oligopeptides were developed with affinities in the 0.1 nM range.

IT 485803-47-2P 485803-57-4P
RL: PREP (Properties); SPN (Synthetic preparation); PREP (Preparation)
[preparation and selection of library of sequence-selective unnatural peptides binding to double-stranded DNAs]
RN 485803-47-2 CAPLUS
CN L-Argininamide, N2-acetyl-L-arginyl-3-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-D-alanyl-N-methylglycyl-3-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-D-alanyl-3-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-D-alanyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 154 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:700050 CAPLUS
DOCUMENT NUMBER: 138:122847
TITLE: Selection of new sequence-selective unnatural peptides binding to double-stranded deoxyribonucleic acids (dsDNA) by means of a gel-retardation experiment for library analysis

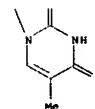
AUTHOR(S): Chaltin, Patrick; Lesclapier, Eveline; Lesclapier, Theo; Rozanski, Jef; Hendrix, Chris; Rosemeyer, Helmut; Bussan, Roger; Van Aerschoot, Arthur; Herdewijn, Piet
CORPORATE SOURCE: Laboratory of Medicinal Chemistry, Rega Institute for Medical Research, Katholieke Universiteit Leuven, Louvain, B-3000, Belg.
SOURCE: Helvetica Chimica Acta (2002), 85(8), 2258-2283
CODEN: HCAACV; ISSN: 0018-019X
PUBLISHER: Verlag Helvetica Chimica Acta
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:122847

AB Previously, we developed a methodol. for the solid-phase screening of peptide libraries for interaction with double-stranded DNAs (dsDNA). In the search for new and more-potent DNA ligands, we investigated the strategy of solution-phase screening of chemical libraries consisting of unnatural oligopeptides. After synthesis of the selected amino acid building blocks, libraries were constructed with the general structure Ac-Arg-Dal-Sar-X1-X2-X3-Arg-NH2, where X represents each of twelve unnatural or natural amino acids. Optimization of the sequence of binding peptides was performed with an iterative deconvolution procedure. Selection of interacting peptides was carried out in solution by means of gel-retardation expts., starting with libraries of 144 compds. A 14-base-pair double-stranded DNA fragment was chosen as the target. After several cycles of synthesis and screening of libraries and individual peptides, an oligopeptide was selected with an apparent dissociation constant of 9 - 10-5 M, as determined by gel-retardation expts. This peptide was studied by NMR spectroscopy. A certain degree of conformational pre-organization of the peptides was shown by temperature-dependent

PAGE 1-B

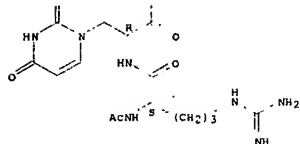
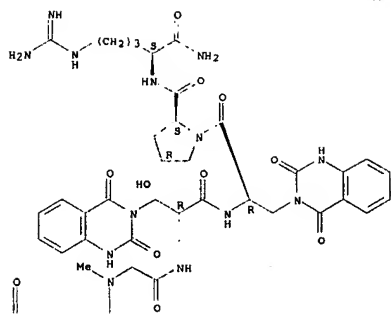


PAGE 2-A



RN 485803-57-4 CAPLUS
CN L-Argininamide, N2-acetyl-L-arginyl-3-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-D-alanyl-N-methylglycyl-3-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-D-alanyl-3-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-D-alanyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

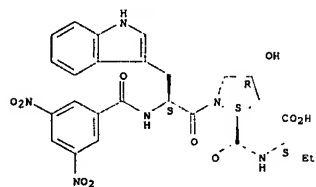


REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 155 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:696753 CAPLUS
 DOCUMENT NUMBER: 137:392690
 TITLE: Resolution of tert-butyl-1-(2-methylnaphthyl)phosphine oxide using selectors identified from a chemical combinatorial library
 AUTHOR(S): Blodgett, Jordan; Wang, Yan; Li, Tingyu; Polavarapu, Prasad L.; Drabowicz, Jozef; Pietrusiewicz, K. Michal; Zygo, Krystyna
 CORPORATE SOURCE: Department of Chemistry, Vanderbilt University, Nashville, TN, 37235-1822, USA
 SOURCE: Analytical Chemistry (2002), 74(20), 5212-5216
 CODEN: ANCHAM; ISSN: 0003-2700
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Resolution of racemic tert-butyl-1-(2-methylnaphthyl)phosphine oxide, a

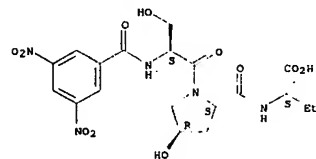
2-amino-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



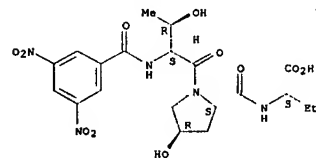
RN 475660-64-1 CAPLUS
 CN Butanoic acid, N-(3,5-dinitrobenzoyl)-L-seryl-(4R)-4-hydroxy-L-prolyl-2-amino-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 475660-73-2 CAPLUS
 CN Butanoic acid, N-(3,5-dinitrobenzoyl)-L-threonyl-(4R)-4-hydroxy-L-prolyl-2-amino-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



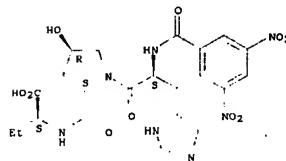
RN 475660-82-3 CAPLUS
 CN Butanoic acid, N2-(3,5-dinitrobenzoyl)-L-asparaginy-(4R)-4-hydroxy-L-prolyl-2-amino-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

chiral phosphorus compound, was achieved using selectors developed from a small peptide library. Separation factors 53.2 were observed. The library consists of 81 peptide-based potential chiral selectors on polymeric synthesis resins. The linker needed to immobilize the identified chiral selectors onto silica gel proved important in the chiral separation; a longer linker provided a significantly higher separation factor.
 IT 475660-14-1DP, reaction product with aminomethylated polystyrene resin 475660-23-2DP, reaction product with aminomethylated polystyrene resin 475660-52-7DP, reaction product with aminomethylated polystyrene resin 475660-64-1DP, reaction product with aminomethylated polystyrene resin 475660-73-2DP, reaction product with aminomethylated polystyrene resin 475660-82-3DP, reaction product with aminomethylated polystyrene resin 475660-91-4DP, reaction product with aminomethylated polystyrene resin 475661-00-8DP, reaction product with aminomethylated polystyrene resin
 RL: ARU (Analytical role, unclassified); MUU (Other use, unclassified); SPW (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
 (resolution of tert-Bu-(methylnaphthyl)phosphine oxide using peptide selectors identified from a chemical combinatorial library)

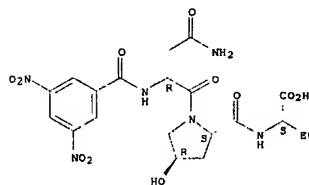
RN 475660-14-1 CAPLUS
 CN Butanoic acid, N-(3,5-dinitrobenzoyl)-L-histidyl-(4R)-4-hydroxy-L-prolyl-2-amino-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 475660-23-2 CAPLUS
 CN Butanoic acid, N2-(3,5-dinitrobenzoyl)-D-asparaginy-(4R)-4-hydroxy-L-prolyl-2-amino-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

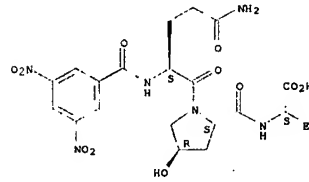


RN 475660-52-7 CAPLUS
 CN Butanoic acid, N-(3,5-dinitrobenzoyl)-L-tryptophyl-(4R)-4-hydroxy-L-prolyl-



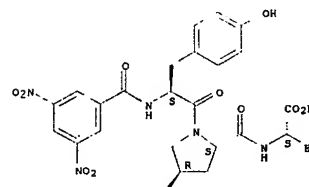
RN 475660-91-4 CAPLUS
 CN Butanoic acid, N2-(3,5-dinitrobenzoyl)-L-glutaminy-(4R)-4-hydroxy-L-prolyl-2-amino-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 475661-00-8 CAPLUS
 CN Butanoic acid, N-(3,5-dinitrobenzoyl)-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-2-amino-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 156 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

PAGE 1-B

RN	76600-38-9	CAPLUS
CN	Leucinoastatin A (9CI)	(CA INDEX NAME)

 $\cdot \text{CH}_2 - \text{NMe}_2$

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RB FORMAT

L6 ANSWER 157 OF 551 CAPLUS COPYRIGHT 2007 AC9 ON STN
ACCESSION NUMBER: 2002:658157 CAPLUS
DOCUMENT NUMBER: 137195579
TITLE: Angiogenesis-inhibitory tripeptides, compositions, and
their methods of use
INVENTOR(S): Scialdone, Mark A.; Mousa, Shaker A.; Shuey, Steven W.
PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXX02
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACS. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066512	A1	20020829	WO 2002-US5211	20020215
W: CA, CN, JP RM: AT, BE, CH, PT, SE, TR	CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL			
US 2003027769	A1	20030206	US 2002-74389	20020212
US 6815426	B2	20041109		
CA 2432932	B1	20020829	CA 2002-2432932	20020215
EP 1380205	A1	20031112	EP 2002-714953	20020215
RU: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE, MC, PT, IE, FI, CY, TR				
CN 1561344	A	20050105	CN 2002-805512	20020215
JP 200507363	T	20050317	JP 2002-566225	20020215
PRIORITY APPLN. INFO.:			US 2001-269537P	P 20010216
			US 2001-322048P	P 20010914
			WO 2002-US5211	W 20020215

OTHER SOURCE(S): MARPAT 137-195579 HU 20074-039111 HU 20020415

AB TH invention discloses methods and compns. for inhibiting endothelial cell tube formation, the initial step of tumor angiogenesis. More specifically, the invention discloses tripeptides that show inhibition of angiogenesis-mediated processes. The most preferred amino acid sequences are SNS and SQS.

IT 452280-56-9P 452280-59-9P

RL PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic Repetition); THU (Therapeutic use); R104 (Biologics) study); PREP

PAGE 1 - A

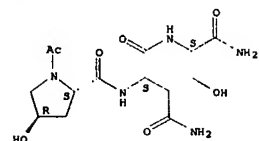
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 | \quad \quad \quad | \quad \quad \quad | \quad \quad \quad | \quad \quad \quad | \quad \quad \quad | \\
 \text{Me} \quad \quad \quad \text{Me} \quad \quad \quad \text{Me} \quad \quad \quad \text{Me} \quad \quad \quad \text{Me} \quad \quad \quad \text{Me}
 \end{array}$$
$$\begin{array}{ccccccc}
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 \text{C} - \text{NH} - \text{C} - \text{C} - \text{NH} - \text{CH}_2 - \text{CH}_2 - \text{C} - \text{NH} - \text{CH} - \\
 | & | & | & | & | & | & | \\
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 & & & & & & \\
 \text{O} & & \text{O} & & \text{O} & & \text{O} \\
 || & & || & & || & & || \\
 \text{C} - \text{NH} - \text{C} - \text{C} - \text{NH} - \text{CH} - \text{Bu-i} & & \text{C} - \text{NH} - \text{CH} - \text{Bu-i} & & \text{C} - \text{NH} - \text{CH} - \text{Bu-i} & & \text{C} - \text{NH} - \text{CH} - \text{Bu-i} \\
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 \text{Me} & & \text{Me} & & \text{Me} & & \text{Me}
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```

      (Preparation); USES (Uses)
      (tripeptide angiogenesis inhibitors, compns., and use)
RN  452280-58-9  CAPLUS
CN  L-Serinamide, (4R)-1-acetyl-4-hydroxy-L-prolyl-L-asparaginyl-
      (INDRX. NAME)

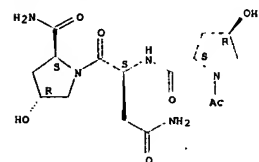
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Absolute stereochemistry.



RN 452280-59-0 CAPLUS
CN L-Prolinamide, (4R)-1-acetyl-4-hydroxy-L-prolyl-L-asparaginy-4-hydroxy-,
(4R)-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 158 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:609967 CAPLUS
DOCUMENT NUMBER: 137:140782
TITLE: Preparation of peptides as inhibitors of urokinase and
blood vessel formation
INVENTOR(S): Brunk, Terence K.; Tamura, Susan Y.
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: U.S., 68 pp., Cont. of U.S. Ser. No. 121,921.
CODEN: USKXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

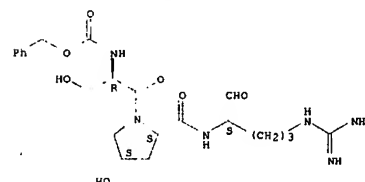
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6432922	B1	20020813	US 1999-359929	19990722
US 6576613	B1	20030610	US 1998-121921	19980724
PRIORITY APPLN. INFO.:			US 1998-121921	A2 19980724
OTHER SOURCE(S):		MARPAT 137:140782		
AB Peptides R1-X-NHCH(R2)CON(R3)CH(R4)CONHR5		[X = SO2, NR'SO2, CO, O2C, NHCO,		

(R¹O)₂R', or a direct link, where R' = H, alkyl, aryl, aralkyl; R₁ = (cyclo)alkyl, heterocycloalkyl, aryl, etc.; R₂ = H, CH₂CH₂COA₂, CHR₆G₆O, CHR₆SOA₂, CH₂NH-X'-R₆; where A₂ = CO₂R₉ or COR₉; X' = CO or CO₂; R₆ = H, Me, phenethyl, or benzyl; R₉ = (cyclo)alkyl, heterocycloalkyl, aryl, etc.; R₃ = H, Me, R₄ + H, CH₂SeMe, CH₂OH, CH₂CN, alkyl, propargyl, 2-propenyl, vinyl; or R₃ and R₄ together form propyl, piceplyl, azetidine-2-carbonyl-, 3- or 4-hydroxypropyl-, 3,4-dehydropropyl- (the carbonyl bearing R₈ is in the S configuration); R₅ = 1-(CH₂)_n-CHO, CH₂COO-R₇, CH₂COO-C(=O)-R₇, CH₂COO-C(=O)-COC(=O)-R₇, quandidinoalkyl, 3- or 4-amidinophenyl, 1-amidopiperidin-3- (or 4)-yl and A₁ is alkyl- or arylamino (with provisoal) or their pharmaceutically-acceptable salts were prepared as inhibitors of urokinase and blood vessel formation. These compds. have an arginine or arginine mimic aldehyde or an arginine ketoamide group at R₅. Thus, N-(isobutoxycarbonyl)-D-seryl-L-alanylarginine (II) was prepared by the solid-phase method and showed IC₅₀ of 100 nM for inhibition of urokinase-type plasminogen activator (uPA). The compounds also inhibited tumor angiogenesis in vivo and growth of human tumor cells in chick embryo model.

IT growth of human tumor cells in a chick embryo model.
256665-93-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of peptides as inhibitors of urokinase and blood vessel
formation)

RN 256665-93-7 CAPLUS
CN L-Prolinamide, N-((phenylmethoxy)carbonyl)-D-seryl-N-[(1S)-4-
[(aminoiminomethyl)amino]-1-formylbutyl]-4-hydroxy-, (4S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L6	ANSWER 159 OF 551	CAPLUS. COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:	2002:588657	CAPLUS
DOCUMENT NUMBER:	138:165595	
TITLE:	Biomedical synthesis and optimization of cyclic peptide antibiotics	
AUTHOR(S):	KONIG, Rahul M.; Walsh, Christopher T.; Burkart, Michael D.	
CORPORATE SOURCE:	Department of Biological Chemistry and Molecular Pharmacology, Harvard Medical School, Boston, MA, 02115, USA	
SOURCE:	Nature (London, United Kingdom) (2002), 418 (6898), 658-661	
PUBLISHER:	CODEN: NATUAS; ISSN: 0028-0836	
DOCUMENT TYPE:	Nature Publishing Group	

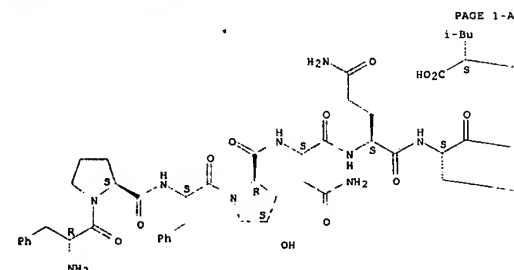
LANGUAGE: English

AB Mols. in nature are often brought to a bioactive conformation by ring formation (macrocyclization). A recurrent theme in the enzymic synthesis of macrocyclic compds. by non-ribosomal and polyketide synthetases is the tethering of activated linear intermediates through thioester linkages to carrier proteins. In a natural analogy to solid-phase synthesis. A terminal thioesterase domain of the synthetase catalyzes release from the tether and cyclization. Here we show that an isolated thioesterase can catalyze the cyclization of linear peptides immobilized on a solid-phase support modified with a biomimetic linker, offering the possibility of merging natural-product biosynthesis with combinatorial solid-phase chemical starting from the cyclic decapeptide antibiotic tyrocidine A, this chemoenzymic approach allows us to diversify the linear peptide both to probe the enzymol. of the macrocyclizing enzyme, TycC thioesterase, and to create a library of cyclic peptide antibiotic products. We have used this method to reveal natural-product analogs of potential therapeutic utility; these compds. have an increased preference for bacterial over eukaryotic membranes and an improved spectrum of activity against some common bacterial pathogens.

IT 484014-98-4D, immobilized, on polyethylene glycol amide resin
RL: CRG (Combinatorial reagent); RGT (Reagent); CMBI (Combinatorial study); RACT (Reactant or reagent)

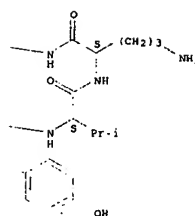
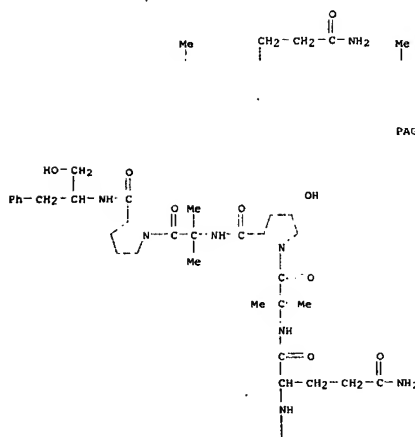
RN 484014-98-4 CAPLUS
CN L-Leucine, D-phenylalanyl-L-prolyl-L-phenylalanyl-(4S)-4-hydroxy-D-prolyl-L-asparaginyl-L-glutamyl-L-tyrosyl-L-valyl-L-ornithyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RS FORMAT

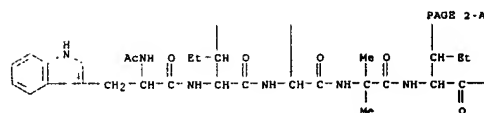
L6 ANSWER 150 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2002:571382 CAPLUS
DOCUMENT NUMBER: 138:51502
TITLE: Self-aggregation properties of spin-labeled servamicin IIA as studied by PELDOR spectroscopy

AUTHOR(S): Milov, A. D.; Tsvetkov, Yu. D.; Gorbunova, E. Yu.; Mustaeva, L. G.; Ovchinnikova, T. V.; Raap, J.
CORPORATE SOURCE: Institute of Chemical Kinetics and Combustion, Russian Academy of Sciences, Novosibirsk, 630090, Russia
SOURCE: Biopolymers (2002), 64(6), 328-336
CODEN: BIPMAA; ISSN: 0066-3525
PUBLISHER: John Wiley & Sons, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

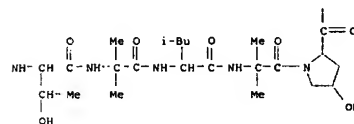
AB In this article, the pulsed double electron-electron resonance in electron spin-echo (PELDOR) technique is applied to study the self-aggregation of spin-labeled servamicin IIA, a hexadecapeptide antibiotic of fungal origin, which is known to form ion channels in a phospholipid double layer. Measurements of the ion channel forming properties and the antibiotic activity of the analog indicate that replacement of the C-terminal phenylalaninol by the amino-2,2,6,6-tetramethylpiperidinyloxy (TEMPO) residue does not influence the biophys. and biol. properties. The dipole-dipole interaction between the spin labels of the fully biol. active peptide analog was studied in frozen (77 K) glassy solns. in different ratios of toluene-methanol. The spin-labeled servamicin IIA mols. were shown to form aggregates. An average distance between the spin labels in the aggregates was estimated to be in the range of 25-35 Å (depending on the solvent composition), indicating that the amphiphilic helical peptide mols. are oriented in an antiparallel fashion. Increasing of methanol content in the solution results in a loosening of the aggregate structure. It was shown that the fraction of aggregated servamicin IIA mols. is less than 44.6% depending on the solvent composition. The general usefulness of the method to obtain structural long-range information in a range of several tens of angstroms is demonstrated by comparison with the peptide cluster of trichogin GA IV.

IT 79395-86-1, Zervamicin IIA
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); PROC (Process)
RN 79395-86-1 CAPLUS
CN L-Prolineamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-2-

L-Prolineamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-2-



PAGE 2-B



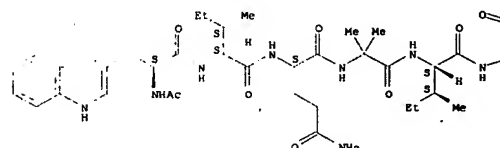
IT 479353-84-9P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)

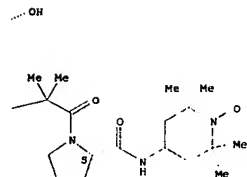
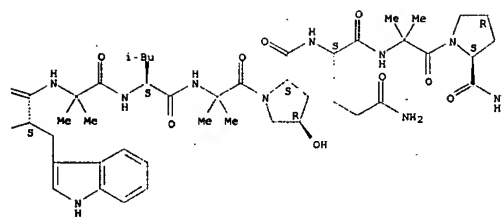
(Self-aggregation properties of spin-labeled servamicin IIA as studied by PELDOR spectroscopy)

RN 479353-84-9 CAPLUS
CN L-Prolineamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-2-methylalanyl-L-isoleucyl-L-tryptophyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-(2,2,6,6-tetramethyl-1-oxo-4-piperidinyloxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

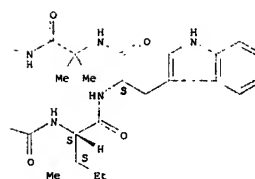
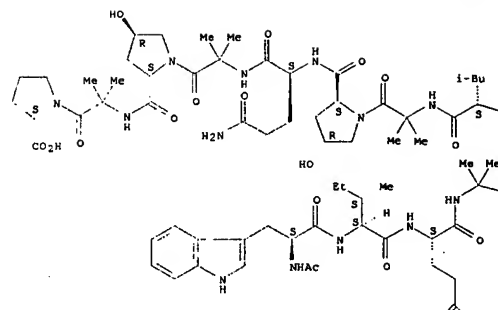
PAGE 1-A





IT 479353-85-OP
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (self-aggregation properties of spin-labeled cervamicin IIA as studied
 by PELDOR spectroscopy)
 RN 479353-85-0 CAPLUS
 CN L-Proline, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-2-methylalanyl-L-
 isoleucyl-L-tryptophyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-
 hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-
 methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RS FORMAT

L6 ANSWER 161 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:539481 CAPLUS
 DOCUMENT NUMBER: 137:103863
 TITLE: Active metabolite of antifungal compound

INVENTOR(S): Dropinski, James F.; Hicks, Patricia Scott
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 30 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

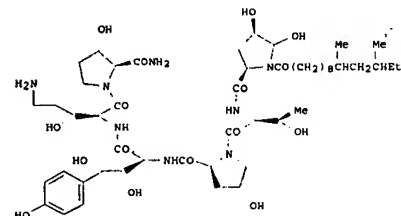
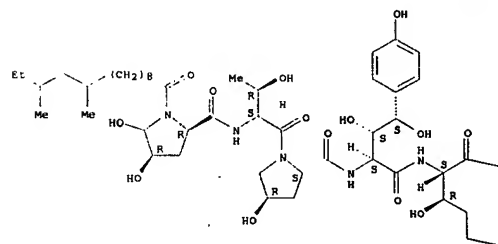
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055022	A2	20020718	WO 2002-US160	20020104
WO 2002055022	A3	20030227		
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RN: CH, GM, RE, LS, MW, NZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2433652	A1	20020718	CA 2002-2433652	20020104
AU 2002243462	A1	20020724	AU 2002-243462	20020104
EP 1361701	A2	20031015	EP 2002-708948	20020104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004531102	T	20040715	JP 2002-555759	20020104
PRIORITY APPL. INFO.:			US 2001-260603P	P 20010109
			WO 2002-US160	W 20020104

QI

combination with other antifungal agents and its preparation from
 pneumocandin B0)

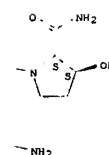
RN 314080-31-4 CAPLUS
 CN L-Prolineamide, (4R)-1-(10,12-dimethyl-1-oxotetradecyl)-4,5-dihydroxy-D-
 prolyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-(4S)-4-hydroxy-4-(4-
 hydroxyphenyl)-L-threonyl-(3R)-3-hydroxy-L-ornithyl-3-hydroxy-, (3S)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB This invention relates to a method for treating a fungal infection
 comprising administering to a mammalian subject in need of such treatment,
 an effective amount of a caspofungin acetate metabolite (I) or its
 pharmaceutically acceptable salt. Other aspects of the invention include
 a method of treating a fungal infection using a combination of I and a
 second antifungal agent and pharmaceutical compns. of said combinations.

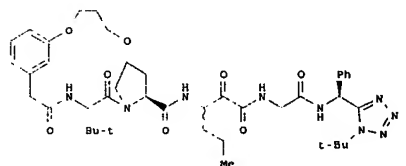
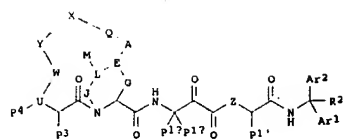
IT 314080-31-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (active metabolite of antifungal compound caspofungin acetate and



L6 ANSWER 162 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:466030 CAPLUS
 DOCUMENT NUMBER: 137:47444
 TITLE: Preparation of diaryl peptides as NS3-serine protease
 inhibitors of hepatitis C virus
 INVENTOR(S): Zhu, Zhaoning; Sun, Zhong-Yue; Venkatraman, Srikanth;

Njoroge, F. George; Arasappan, Ashok; Malcolm, Bruce A.; Girisjallabhan, Vijayor M.; Lovey, Raymond G.; Chen, Kevin X.
 PATENT ASSIGNEE(S): Schering Corporation, USA
 SOURCE: PCT Int. Appl. 149 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
MO 2002048172	A2	20020620	MO 2001-0547383	20011210
MO 2002048172	A3	20030619		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2430458	A1	20020620	CA 2001-2430458	20011210
AU 200236591	A	20020624	AU 2002-36591	20011210
US 2002147139	A1	20021010	US 2001-13071	20011210
US 6911428	B2	20050628		
EP 1343807	A2	20030917	EP 2001-986126	20011210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003003436	A2	20040128	HU 2003-3436	20011210
CN 1501942	A	20040602	CN 2001-820475	20011210
JP 2004532812	T	20041028	JP 2002-549703	20011210
ZA 2003004382	A	20040913	ZA 2003-4382	20030604
MX 2003PA05219	A	20030925	MX 2003-PA5219	20030611
PRIORITY APPLN. INFO.: US 2000-254869P P 20001212				
OTHER SOURCE(S): MARPAT 137:47444				
OI				

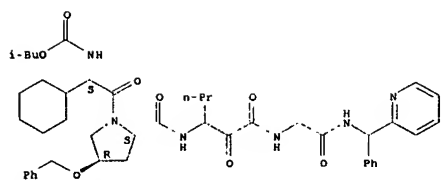


AB Title compds. I [X, Y = (cycloalkyl, heteroalkyl, (aryl)heteroaryl, alkyl(heteroaryl, substituted ether, sulfide, sulfone, amide, sulfonamide, urea, carbamate, hydrazide, carbonyl, etc.; W = null, CO, CS, or SO2; Q = null, CH, N, P, alkylene, O, imino, S, or SO2; A = O, CH2, alkylene, imino, S, SO2, or a bond; R = CH or substituted methylidene, N, or a double bond toward A, L, or O; G = null or alkylene; J = null or alkylene, SO2, imino, or O; L = null or CH or substituted methylidene, O, S, or imino; M = null or O, imino, S, SO2, or alkylene; P1a, P1b, P1', P3 = H, alkyl, alkenyl, cycloalkyl, heterocyclyl, (cycloalkyl)alkyl, or (heterocyclyl)alkyl; P1aP1bC may form a ring; Z = O or imino; Ar1, Ar2 = (un)substituted Ph, 2-, 3-, or 4-pyridyl or their N-oxides, 2- or 3-furanyl, etc.; P4 = H, alkyl, arylalkyl, or aryl; R2 = H, cyano, CF3, (cycloalkyl, aryl, carboxy, etc. (with proviso)) were prepared as hepatitis C virus (HCV) protease inhibitors. Thus, compound II was prepared by a multi-step procedure and showed Ki = 100-999 nM for inhibition of serine protease.

IT 437768-07-5P 437768-09-7P 437768-10-0P
 437768-27-9P 437768-28-0P 437768-29-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USBS (Uses)
 (preparation of diaryl peptides as NS3-serine protease inhibitors of hepatitis C virus)

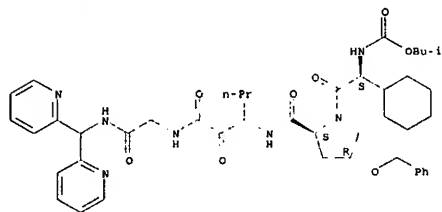
RN 437768-07-5 CAPLUS
 CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-(phenylmethoxy)-L-prolyl-3-amino-2-oxohexanoyl-N-[(di-2-pyridinylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



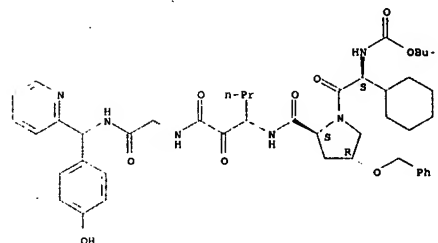
RN 437768-09-7 CAPLUS
 CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-(phenylmethoxy)-L-prolyl-3-amino-2-oxohexanoyl-N-[(di-2-pyridinylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



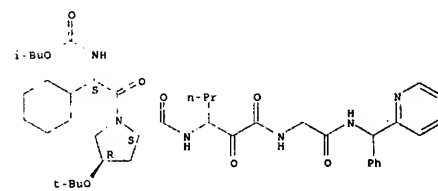
RN 437768-10-0 CAPLUS
 CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-(phenylmethoxy)-L-prolyl-3-amino-2-oxohexanoyl-N-[(4-hydroxyphenyl)-2-pyridinylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



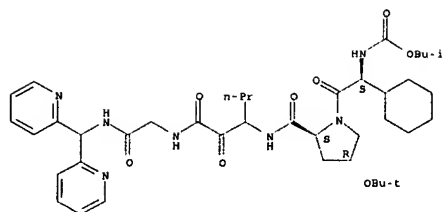
RN 437768-27-9 CAPLUS
 CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-3-amino-2-oxohexanoyl-N-[(phenyl-2-pyridinylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



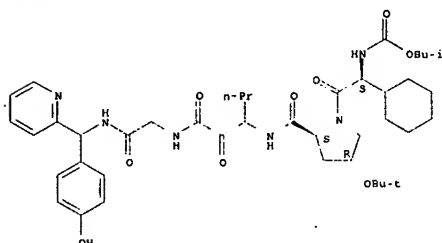
RN 437768-28-0 CAPLUS
 CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-3-amino-2-oxohexanoyl-N-[(di-2-pyridinylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 437768-29-1 CAPLUS
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-3-amino-2-oxohexanoyl-N-[(4-hydroxyphenyl)-2-pyridinylmethyl]- (9CI) (CA INDEX NAME)

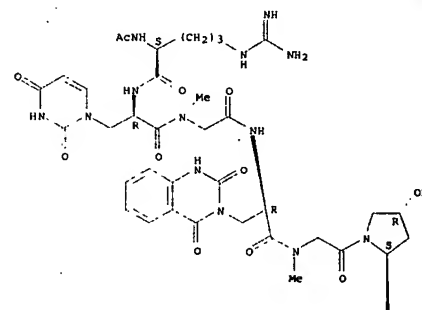
Absolute stereochemistry.



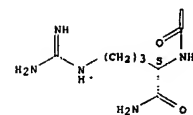
L6 ANSWER 163 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2002:389898 CAPLUS
DOCUMENT NUMBER: 137:311182
TITLE: Characterization and sequence confirmation of unnatural amino acid containing peptide libraries using electrospray ionization mass spectrometry
AUTHOR(S): Rosenski, Jef; Chaltin, Patrick; Van Aerachot, Arthur; Herdewijn, Piet
CORPORATE SOURCE: Rega Institute for Medical Research, P.P.W. K.U. Leuven, Louvain, B-3000, Belg.
SOURCE: Rapid Communications in Mass Spectrometry (2002), 16(10), 982-987
CODEN: RCMSEP; ISSN: 0951-4198
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The content of 24 12-membered heptapeptide libraries was investigated using capillary liquid chromatog. coupled with an electrospray ionization

quadrupole orthogonal acceleration time-of-flight mass spectrometer. Adjustment of the chromatog. parameters led to the separation of most of the components. Extraction of the $[M + 2H]^+$ ions allowed us to demonstrate the presence of all expected species in the library and to evaluate their relative abundance in the mixture. Rapid sequence confirmation was achieved by subtraction of product ion spectra, a way to eliminate common ions and to simplify the spectra for interpretation. This technique can also easily be applied to other libraries consisting of components with a common core.
IT 471295-83-7P
RL: ANLT (Analyte); CPN (Combinatorial preparation); PRP (Properties); ANST (Analytical study); CMBI (Combinatorial study); PREP (Preparation) (unnatural amino acid-containing heptapeptide library characterization and sequence confirmation using electrospray ionization mass spectrometry)
RN 471295-83-7 CAPLUS
CN L-Argininamide, N2-acetyl-L-arginyl-3-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-D-alanyl-N-methylglycyl-3-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-D-alanyl-N-methylglycyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

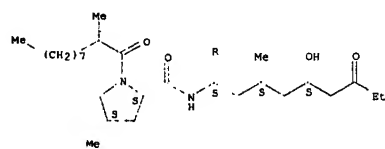


PAGE 2-A

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RS FORMAT

L6 ANSWER 164 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2002:352736 CAPLUS
DOCUMENT NUMBER: 137:121994
TITLE: Formation of new lipopeptinopeptides, acremostatins A, B, and C, by co-cultivation of Acremonium sp. Tbp-5 and Mycogone rosea DSM 12973
AUTHOR(S): Degenkolb, Thomas; Heine, Stephan; Schlegel, Brigitte; Strobel, Gary; Grafe, Udo
CORPORATE SOURCE: Hans-Knoll-Institute for Natural Products Research, Jena, D-07745, Germany
SOURCE: Bioscience, Biotechnology, and Biochemistry (2002), 66(4), 883-886
CODEN: BBBIJ; ISSN: 0916-8451
PUBLISHER: Japan Society for Bioscience, Biotechnology, and Agrochemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Formation of new lipopeptinopeptides, acremostatins A, B, and C, was observed during co-cultivation of Acremonium sp. Tbp-5 and Mycogone rosea DSM 12973. Thus, co-cultivation of microorganisms producing related products could be suggested as a suitable way towards diversification of microbial structures.
IT 443919-93-5, Acromostatin A 443919-94-6, Acromostatin B 443919-95-7, Acromostatin C
RL: BSU (Biological study, unclassified); NPO (Natural product occurrence); PRP (Properties); BIOL (Biological study); OCCU (Occurrence) (formation of the new lipopeptinopeptides acremostatins A, B, and C by cocultivation of Acremonium Tbp-5 and Mycogone rosea DSM 12973)
RN 443919-93-5 CAPLUS
CN β -Alaninamide, (4S)-4-methyl-1-(2-methyl-1-oxodecyl)-L-prolyl- (2S,4S,6S)-2-amino-6-hydroxy-4-methyl-8-oxodecanoyl-(3R)-3-hydroxy-L-leucyl-2-methylalanyl-L-leucyl-L-leucyl-2-methylalanyl-2-methylalanyl-N-[(1S)-1-methyl-2-(methylamino)ethyl]- (9CI) (CA INDEX NAME)

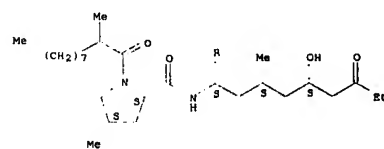
Absolute stereochemistry.
Currently available stereo shown.



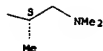
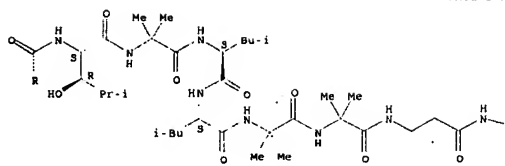
PAGE 1-A

L6 ANSWER 164 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2002:352736 CAPLUS
DOCUMENT NUMBER: 137:121994
TITLE: Formation of new lipopeptinopeptides, acremostatins A, B, and C, by co-cultivation of Acremonium sp. Tbp-5 and Mycogone rosea DSM 12973
AUTHOR(S): Degenkolb, Thomas; Heine, Stephan; Schlegel, Brigitte; Strobel, Gary; Grafe, Udo
CORPORATE SOURCE: Hans-Knoll-Institute for Natural Products Research, Jena, D-07745, Germany
SOURCE: Bioscience, Biotechnology, and Biochemistry (2002), 66(4), 883-886
CODEN: BBBIJ; ISSN: 0916-8451
PUBLISHER: Japan Society for Bioscience, Biotechnology, and Agrochemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Formation of new lipopeptinopeptides, acremostatins A, B, and C, was observed during co-cultivation of Acremonium sp. Tbp-5 and Mycogone rosea DSM 12973. Thus, co-cultivation of microorganisms producing related products could be suggested as a suitable way towards diversification of microbial structures.
IT 443919-93-5, Acromostatin A 443919-94-6, Acromostatin B 443919-95-7, Acromostatin C
RL: BSU (Biological study, unclassified); NPO (Natural product occurrence); PRP (Properties); BIOL (Biological study); OCCU (Occurrence) (formation of the new lipopeptinopeptides acremostatins A, B, and C by cocultivation of Acremonium Tbp-5 and Mycogone rosea DSM 12973)
RN 443919-93-5 CAPLUS
CN β -Alaninamide, (4S)-4-methyl-1-(2-methyl-1-oxodecyl)-L-prolyl- (2S,4S,6S)-2-amino-6-hydroxy-4-methyl-8-oxodecanoyl-(3R)-3-hydroxy-L-leucyl-2-methylalanyl-L-leucyl-L-leucyl-2-methylalanyl-2-methylalanyl-N-[(1S)-2-(dimethylamino)-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.

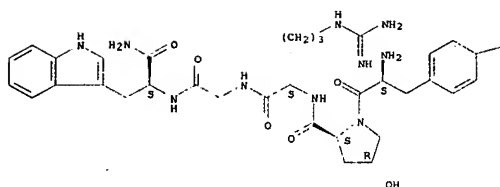
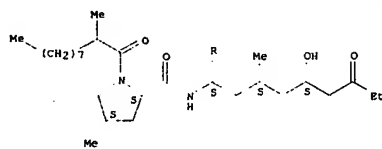


PAGE 1-A



RN 443919-95-7 CAPLUS
 CN β -Alaninamide, (4S)-4-methyl-1-(2-methyl-1-oxodecyl)-L-prolyl-
 (2S,4S,6S)-2-amino-6-hydroxy-4-methyl-8-oxodecanoyl-[(3R)-5-hydroxy-L-
 leucyl-2-methylalanyl-L-leucyl-L-leucyl-2-methylalanyl-N-
 [(1S)-2-(dimethylamino)-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Currently available stereo shown.



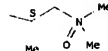
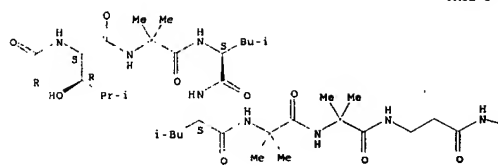
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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 166 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:317211 CAPLUS
 DOCUMENT NUMBER: 137:395252
 TITLE: The use of discriminant analysis to separate a study population by treatment subgroups in a clinical trial with a new pentapeptide antidepressant
 AUTHOR(S): Feighner, John P.; Sverdlov, Lev
 CORPORATE SOURCE: Innapharma, Inc., Park Ridge, NJ, 07656, USA
 SOURCE: Journal of Applied Research (2002), 2(1), 50-57
 CODEN: JAROBP; ISSN: 1537-064X
 PUBLISHER: Therapeutic Solutions LLC
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB This article examines the use of multivariate discriminant anal. to sep. the drug-treated from placebo populations by treatment subgroups in a phase 2 clin. trial with a new pentapeptide antidepressant and shows a preference to quantify the difference in the psychometric scores between treatment groups as a function of full observation period instead of single time-point at the end of treatment. Data were evaluated from a single-center, randomized, placebo-controlled, double-blind clin. trial where the investigatory drug was administered s.c. daily in 55 subjects diagnosed with major depression for either one or two 5-day treatment cycles (total 5 or 10 doses). The evaluable efficacy data set included 51 subjects: 19 from the 10-day treatment group, 11 from the 5-day treatment group, and 21 from the placebo group. A retrospective pharmacokinetic anal. permitted the definition of the min. projected therapeutic concentration (MPTC) with maximum observed plasma drug concentration (C_{max}) for 13 subjects

above MPTC and 17 subjects below MPTC. The key variable for discriminant anal. was percent change from baseline for 21-item Hamilton Depression Rating Scale scores for 11 major time points from the first evaluation during treatment (Day 3) to the last follow-up evaluation (Day 40). Because of the relatively small sample size, all subjects available for anal. were used to derive the discriminant criteria. Discriminant anal. was very successful in separating treatment subgroups: from 82.4% to 86.7% of subjects with the correct classification of any two of the three subgroups combined and 80.0% of subjects with correct classification of all three subgroups



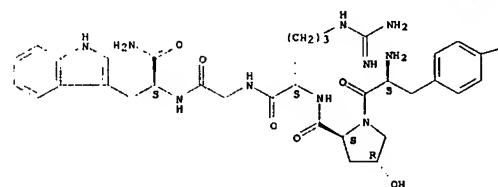
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L6 ANSWER 165 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:317212 CAPLUS
 DOCUMENT NUMBER: 137:362494
 TITLE: Computational chemistry studies on a new class of peptide antidepressants
 AUTHOR(S): Hlavka, Joseph J.
 CORPORATE SOURCE: Innapharma, Inc., Park Ridge, NJ, 07656, USA
 SOURCE: Journal of Applied Research (2002), 2(1), 50-62
 CODEN: JAROBP; ISSN: 1537-064X
 PUBLISHER: Therapeutic Solutions LLC
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Computational chemical studies were performed to determine the quant. structure activity relationships (QSAR) of a new series of small peptides that have demonstrated potent antidepressant activity in animal models for depression and in Phase II clin. trials. When comparing graphically the calculated activity value (Z*) with the observed activity value (Z), we observe an excellent correlation between the two, resulting in a good predictor of activity.
 IT 173240-15-8
 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (computational chemical studies on a new class of peptide antidepressants)
 RN 173240-15-8 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

combined. In contrast, the traditional statistical approach did not confirm the effect of separation between treatment subgroups using a single endpoint at the end of observation.
 IT 173240-15-8, Nemifitide
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (use of discriminant anal. to sep. study population by treatment subgroups in clin. trial with new pentapeptide antidepressant)
 RN 173240-15-8 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



- F

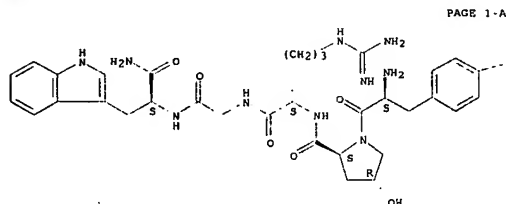
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 167 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:261816 CAPLUS
 DOCUMENT NUMBER: 137:149749
 TITLE: Clinical pharmacokinetic studies with INN 00835 (nemifitide), a novel pentapeptide antidepressant
 AUTHOR(S): Feighner, John P.; Nicolau, Gabriela; Abajian, Henry; Marricco, Nadia Cardillo; Morrison, John; Sverdlov, Lev; Hlavka, Joseph; Tonelli, George, Jr.; Di Spirito, Carlo; Paria, George
 CORPORATE SOURCE: Innapharma, Inc., Park Ridge, NJ, 07656, USA
 SOURCE: Biopharmaceutics & Drug Disposition (2002), 23(1), 33-39
 CODEN: BDDIDB; ISSN: 0142-2782
 PUBLISHER: John Wiley & Sons Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Nemifitide (4-fluoro-L-phenylalanyl-trans-4-hydroxy-L-prolyl-L-arginylglycyl-L-tryptophanamide ditrifluoroacetate) is a novel antidepressant, currently in phase 2/3 clin. trials. The purpose of our phase 1 clin. trials (conducted over a three year period) was to provide safety and pharmacokinetic data to support its clin. development as an antidepressant drug. Single and multiple doses ranging from 10 to 320 mg were administered s.c. to healthy volunteers in five phase 1 studies. Plasma concns. of unchanged parent drug were determined by a validated LC/MS/MS

method in blood samples collected at timepoints between 10 min and 72 h after dosing. Nemifitide was rapidly absorbed (C_{max} at 10 min) and eliminated (t_{1/2} 15-30 min) in most subjects. Regression and power model analyses were used to evaluate the data. The results indicate that pharmacokinetic parameters: AUC₀₋₁, AUC_{0-∞} and C_{max}, were close to dose proportional in the dose range investigated. There was no evidence of systemic accumulation of drug following 5 daily doses. No serious adverse events or clin. significant systemic adverse events occurred at any of the doses investigated in the over 100 subjects dosed in these studies. Drug-related adverse events were limited to local and transient skin reactions (pain and/or erythema) at the injection site, especially at the high doses administered: 240 and 320 mg.

IT 204992-09-6, Nemifitide
 RL: ADV (Adverse effect, including toxicity); PKT (Pharmacokinetics); BIOL (Biological study)
 (clin. pharmacokinetic studies with INN 00835 (nemifitide), a novel pentapeptide antidepressant)
 RN 204992-09-6 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 173240-15-8
 CMP C33 H43 F N10 O6

Absolute stereochemistry. Rotation (-).



PAGE 1-B

CM 2
 CRN 76-05-1
 CMP C2 H F3 O2

L6 ANSWER 169 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2002:242871 CAPLUS
 DOCUMENT NUMBER: 137:17075
 TITLE: Prime site binding inhibitors of a serine protease: NS3/4A of hepatitis C virus
 AUTHOR(S): Ingallinella, Paolo; Fattori, Daniela; Altamura, Sergio; Steinkuehler, Christian; Koch, Uwe; Cicero, Daniel; Bazzo, Renzo; Cortese, Riccardo; Bianchi, Elisabetta; Pessi, Antonello
 CORPORATE SOURCE: IRBM P. Angeletti, Pomezia (Rome), 00040, Italy
 SOURCE: Biochemistry (2002), 41(17), 5483-5492
 CODEN: BICHAM; ISSN: 0006-2960
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 137:17075
 AB Serine proteases are the most studied class of proteolytic enzymes and a primary target for drug discovery. Despite the large number of inhibitors developed so far, very few make contact with the prime site of the enzyme, which constitutes an almost untapped opportunity for drug design. In the course of our studies on the serine protease NS3/4A of hepatitis C virus (HCV), we found that this enzyme is an excellent example of both the opportunities and the challenges of such design. We had previously reported on two classes of peptide inhibitors of the enzyme: (a) product inhibitors, which include the P6-P1 region of the substrate and derive much of their binding energy from binding of their C-terminal carboxylate in the active site, and (b) decapeptide inhibitors, which span the S6-S4' subsites of the enzyme, whose P2'-P4' tripeptide fragment crucially contributes to potency. Here we report on further work, which combined the key binding elements of the two series and led to the development of inhibitors binding exclusively to the prime site of NS3/4A. We prepared a small combinatorial library of tripeptides, capped with a variety of constrained and unconstrained diacids. The SAR was derived from multiple analogs of the initial micromolar lead. Binding of the inhibitor(s) to the enzyme was further characterized by CD, site-directed mutagenesis, a probe displacement assay, and NMR to unequivocally prove that, according to our design, the bound inhibitor(s) occupies (occupy) the S' subsite and the active site of the protease. In addition, on the basis of the information collected, the tripeptide series was evolved toward reduced peptide character, reduced mol. weight, and higher potency. Beyond their interest as HCV antivirals, these compds. represent the first example of prime site inhibitors of a serine protease. We further suggest that the design of an inhibitor with an analogous binding mode may be possible for other serine proteases.

IT 433290-43-8P
 RL: BSU (Biological study, unclassified); CPN (Combinatorial preparation); PRP (Properties); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
 (prime site binding inhibitors of serine protease NS3/4A of hepatitis C virus)
 RN 433290-43-8 CAPLUS
 CN L-Leucinamide, (2S)-N-[[[(1R,2R)-2-carboxycyclohexyl]carbonyl]-2-cyclohexylglycyl-(4S)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

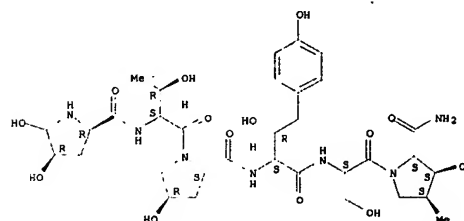
Absolute stereochemistry.



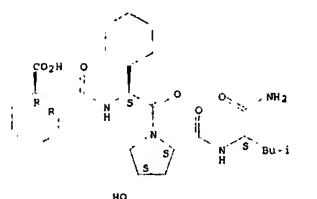
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 168 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2002:255764 CAPLUS
 DOCUMENT NUMBER: 137:201573
 TITLE: Synthesis of new echinocandin derivatives via a diol-keto transposition
 AUTHOR(S): Azodi, Jozsef; Fauveau, Patrick; Melon-Manguer, Dominique; Ehlers, Eberhard; Schio, Laurent
 CORPORATE SOURCE: Medicinal Chemistry, Aventis Pharma, Romainville, F-93235, Fr.
 SOURCE: Tetrahedron Letters (2002), 43(16), 2953-2956
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 137:201573
 AB A new diol-carbonyl transposition reaction has been discovered in echinocandin type structures. An α-hydroxy hemiaminal moiety has been shown to undergo a pinacol-type rearrangement in the presence of trimethylsilyl iodide to afford ketone derivs. Applied to deoxymulundocandin, this transposition led to a useful intermediate for further chemical modification.
 IT 452916-29-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of deoxymulundocandin derivs. via diol-carbonyl transposition reaction)
 RN 452916-29-9 CAPLUS
 CN L-Prolinamide, (4R)-4,5-dihydroxy-D-prolyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-threonyl-L-seryl-3-hydroxy-4-methyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



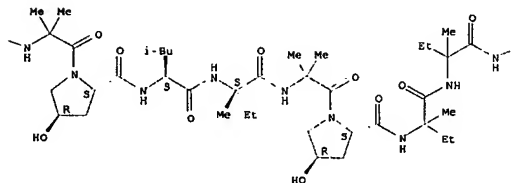
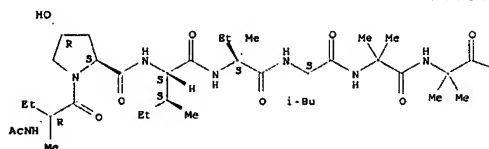
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

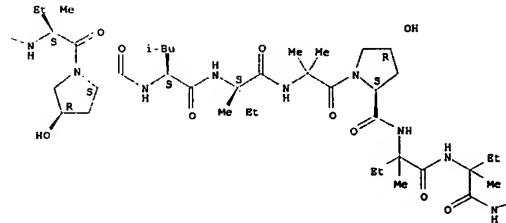
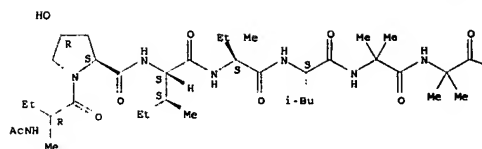
L6 ANSWER 170 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2002:234128 CAPLUS
 DOCUMENT NUMBER: 136:382624
 TITLE: Integrinamides A and B, two novel non-ribosomal linear peptides containing nine Cα-methyl amino acids produced by fungal fermentations that are inhibitors of HIV-1 integrase
 AUTHOR(S): Singh, Sheo B.; Herath, Kithairi; Guan, Ziqiang; Zink, Deborah L.; Dombrowski, Anne M.; Polishook, Jon D.; Silverman, Keith C.; Lingham, Russell B.; Pelock, Peter J.; Hasuda, Daria J.
 CORPORATE SOURCE: Merck Research Laboratories, Rahway, NJ, 07065, USA
 SOURCE: Organic Letters (2002), 4(9), 1431-1434
 CODEN: ORLEPT; ISSN: 1523-7060
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Integrinamides A and B are 2 novel 16-mer linear peptides rich in Cα-Me amino acids that were isolated from fungal exts. of Dendrodochium sp. by employing a bioassay-guided isolation procedure using recombinant HIV-1 integrase. The structure and stereochem. were elucidated by a combination of 2D NMR and ESI- and FAB-MS including MS/MS studies and by Marfey's method. Integrinamides A and B inhibited the coupled reaction of HIV-1 integrase with IC50 values of 17 and 10 μM, resp.
 IT 427885-58-3P, Integrinamide A 427885-59-4P, Integrinamide B
 RL: BSU (Biological study, unclassified); NPO (Natural product occurrence); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)
 (Integrinamides A and B, two novel non-ribosomal linear peptides containing nine Cα-Me amino acids produced by fungal ferms. that are inhibitors of HIV-1 integrase)
 RN 427885-58-3 CAPLUS
 CN Glycine, N-acetyl-D-isovalyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl-L-isovalyl-L-leucyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-isovalyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-isovalyl-L-isovalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Currently available stereo shown.

CO₂H

RN 437885-59-4 CAPLUS
 CN Glycine, N-acetyl-D-isovaleryl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl-L-isovaleryl-L-leucyl-2-methylalanyl-2-methylalanyl-L-isovaleryl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-isovaleryl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-isovaleryl-isovaleryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Currently available stereo shown.

CO₂H

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

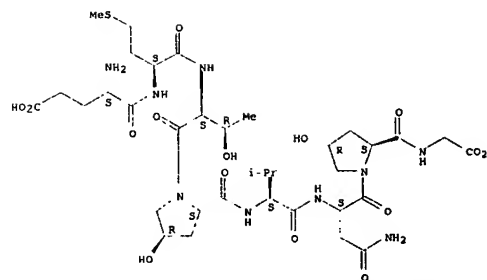
L6 ANSWER 171 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2002:200863 CAPLUS
 DOCUMENT NUMBER: 137:87997
 TITLE: A peptide derived from α -fetoprotein prevents the growth of estrogen-dependent human breast cancers sensitive and resistant to tamoxifen
 AUTHOR(S): Bennett, James A.; Mesfin, Fasil B.; Andersen, Thomas T.; Gierthy, John F.; Jacobson, Herbert I.
 CORPORATE SOURCE: Albany Medical College, Albany, NY, 12208, USA
 SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2002), 99(4), 2211-2215
 CODEN: PNASAB; ISSN: 0027-8424
 PUBLISHER: National Academy of Sciences
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB An 8-mer peptide (EMTOVNOG) derived from α -fetoprotein was compared with tamoxifen for activity against growth of human breast cancer xenografts implanted in immune-deficient mice. Both peptide and tamoxifen prevented growth of estrogen-receptor-pos. MCF-7 and T47D human breast cancer xenografts. A subline of MCF-7, made resistant to tamoxifen by a 6-mo exposure to this drug in culture, was found to be resistant to tamoxifen in vivo. Peptide completely prevented the xenograft growth of this tamoxifen-resistant subline of MCF-7. Neither peptide nor tamoxifen was effective in slowing the xenograft growth of the estrogen-receptor-neg. MDA-MB-231 human breast cancer. A worrisome side effect of tamoxifen is its hypertrophic effect on the uterus. In this study, tamoxifen was shown to stimulate the growth of the immature mouse uterus in vivo, and the peptide significantly inhibited tamoxifen's uterotrophic effect. The mechanism of action of peptide is different from that of tamoxifen in that the peptide does not interfere with the binding of [3H]estradiol to the estrogen receptor. In conclusion, α -fetoprotein-derived peptide appears to be a novel agent that interferes with the growth of tamoxifen-sensitive as well as tamoxifen-resistant estrogen-receptor-pos. human breast cancers; it inhibits the uterotrophic side effect of tamoxifen and, thus, it may be useful in combination with or in place of tamoxifen for treatment of estrogen-receptor-pos. human breast cancers.

IT 393827-71-9
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (peptide derived from α -fetoprotein prevents growth of estrogen-dependent human breast cancers sensitive and resistant to tamoxifen)

RN 393827-71-9 CAPLUS
 CN Glycine, L-glutamyl-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 172 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2002:90074 CAPLUS
 DOCUMENT NUMBER: 136:151440
 TITLE: Preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus
 INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin S.; Bennett, Frank; McCormick, Jinping; Wang, Hailan; Pike, Russell E.; Bogen, Stephanie L.; Liu, Yi-Tsung; Arasappan, Ashok; Parekh, Tejal; Pinto, Patrick A.; Njoroge, P. George; Ganguly, Ashit K.; Brunck, Terence K.; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita Schering Corporation, USA; Corvas International, Inc.
 PATENT ASSIGNEE(S): PCT Int. Appl., 197 pp.
 SOURCE: CODEN: PIXX2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008256	A2	20020131	WO 2001-US22826	20010719
WO 2002008256	A3	20020829		
N: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DS, EC, EE, ES, FI, GB, GD, GR, HU, ID, IL, IN, IS, JP, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KO, KZ, MD, RU, TJ, TM				
RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TO				
CA 2418204	A1	20020131	CA 2001-2418204	20010719
US 2003016501	A1	20030220	US 2001-909062	20010719
US 6800434	B2	20041005		
EP 1301528	A2	20030416	EP 2001-959046	20010719
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Chemical structures of various ligands are shown, including:

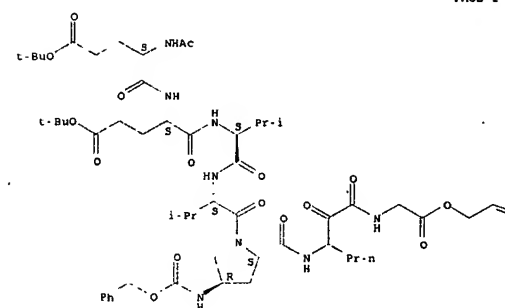
- $\text{HO}_2\text{C}-\text{CH}_2-\text{CH}_2-\text{S}-\text{NHAc}$
- $\text{t-BuO}-\text{C}(=\text{O})-\text{CH}_2-\text{CH}_2-\text{S}-\text{NH}-\text{C}(=\text{O})-\text{NH}-\text{Pr-i}$
- $\text{i-Pr}-\text{S}-\text{NH}-\text{C}(=\text{O})-\text{NH}-\text{Pr-n}$
- $\text{Ph}-\text{CH}_2-\text{O}-\text{C}(=\text{O})-\text{N}(\text{R})-\text{S}-\text{CH}_2-\text{CH}_2-\text{OH}$

Absolute stereochemistry.

RN 393520-81-5 CAPLUS
 CN Glycine, N-acetyl-L- α -glutamyl-L- α -glutamyl-L-valyl-L-valyl-
 (4R)-4-(1,1-dimethylethoxy)-L-prolyl-3-amino-2-oxohexanoyl-,
 1,2-bis[(1,1-dimethylethyl) 7-(2-propenyl) ester (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

Absolute stereochemistry.

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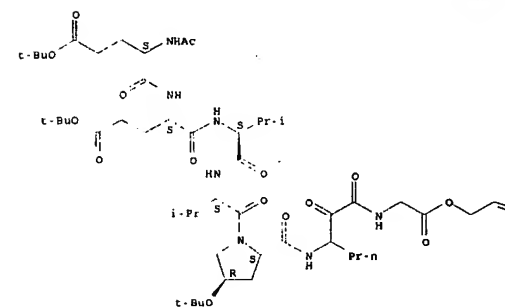


PAGE 1-B

 CH_3

Absolute stereochemistry

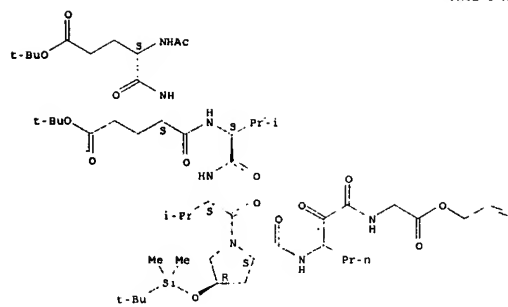
PAGE 1-A



PAGE 1 - E

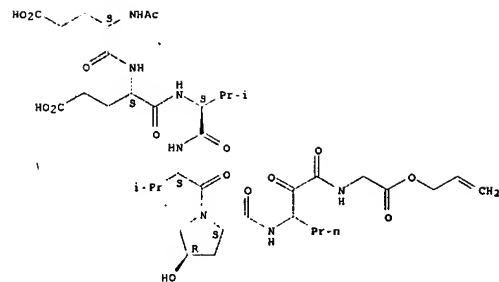
 $\cdot \text{CH}_2$

Absolute stereochemistry

=CH₂

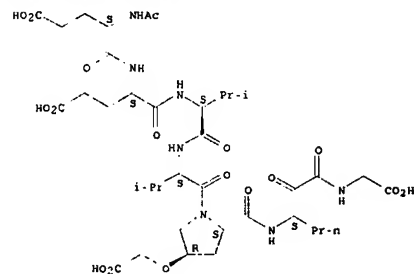
RN 393520-85-9 CAPLUS
 CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-(4R)-4-hydroxy-L-prolyl-3-amino-2-oxohexanoyl-, 7-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



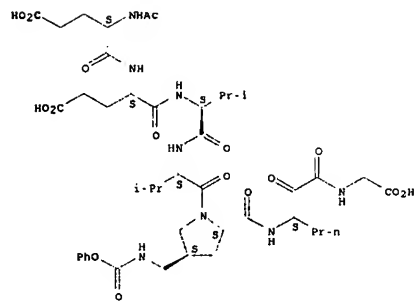
RN 393522-26-4 CAPLUS
 CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-(4R)-4-((carboxymethoxy)-L-prolyl-(3S)-3-amino-2-oxohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



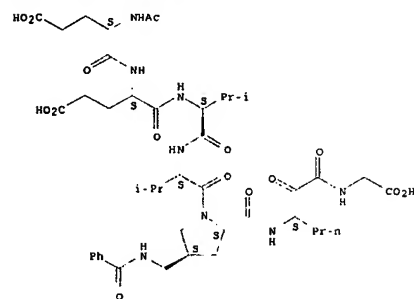
RN 393522-52-6 CAPLUS
 CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-(4R)-4-[[[(phenoxycarbonyl)amino]methyl]-L-prolyl-(3S)-3-amino-2-oxohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



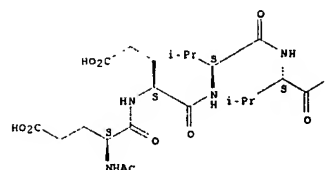
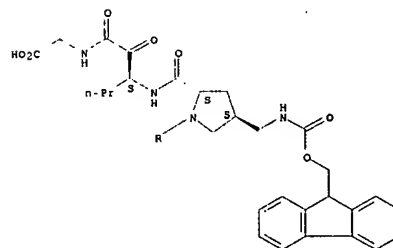
RN 393522-55-9 CAPLUS
 CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-(4R)-4-[[[(benzoylamino)methyl]-L-prolyl-(3S)-3-amino-2-oxohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



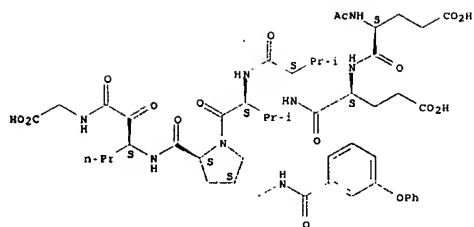
RN 393522-59-3 CAPLUS
 CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-(4R)-4-[[[(19H-fluoren-9-ylmethoxy)carbonyl]amino]methyl]-L-prolyl-(3S)-3-amino-2-oxohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



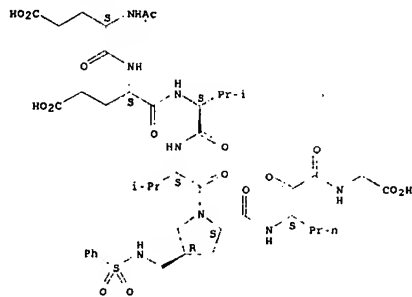
RN 393522-62-8 CAPLUS
 CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-(4R)-4-[[[(3-phenoxycarbonyl)amino]methyl]-L-prolyl-(3S)-3-amino-2-oxohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



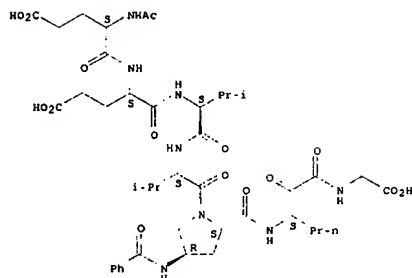
RN 393522-65-1 CAPLUS
CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-[(4R)-4-[[[(phenylsulfonyl)amino]methyl]-L-prolyl-(3S)-3-amino-2-oxohexanoyl-(9CI)] (CA INDEX NAME)]

Absolute stereochemistry.



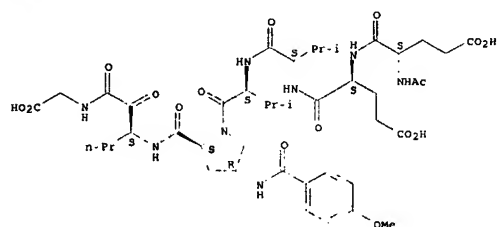
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CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-[(4R)-4-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-L-prolyl-(3S)-3-amino-2-oxohexanoyl-(9CI)] (CA INDEX NAME)]

Absolute stereochemistry.



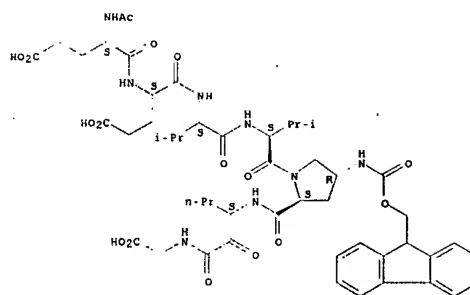
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CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-[(4R)-4-[[[(4-methoxybenzoyl)amino]-L-prolyl-(3S)-3-amino-2-oxohexanoyl-(9CI)] (CA INDEX NAME)]

Absolute stereochemistry.



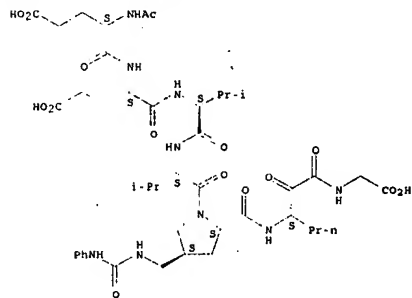
RN 393522-79-7 CAPLUS
CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-[(4R)-4-[[[(4-phenoxybenzoyl)amino]-L-prolyl-(3S)-3-amino-2-oxohexanoyl-(9CI)] (CA INDEX NAME)]

Absolute stereochemistry.



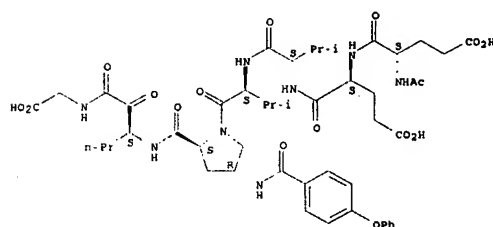
RN 393522-71-9 CAPLUS
CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-[(4S)-4-[[[(phenylamino)carbonyl]amino]methyl]-L-prolyl-(3S)-3-amino-2-oxohexanoyl-(9CI)] (CA INDEX NAME)]

Absolute stereochemistry.



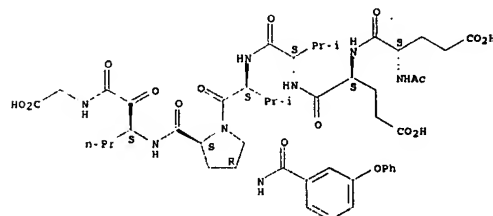
RN 393522-74-2 CAPLUS
CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-[(4R)-4-[(benzoylamino)-L-prolyl-(3S)-3-amino-2-oxohexanoyl-(9CI)] (CA INDEX NAME)]

Absolute stereochemistry.



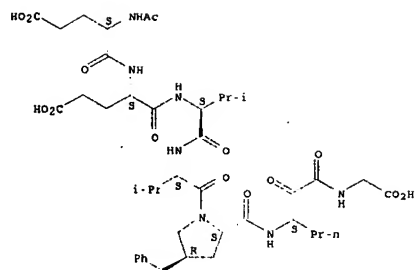
RN 393522-81-1 CAPLUS
CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-[(4R)-4-[[[(3-phenoxybenzoyl)amino]-L-prolyl-(3S)-3-amino-2-oxohexanoyl-(9CI)] (CA INDEX NAME)]

Absolute stereochemistry.



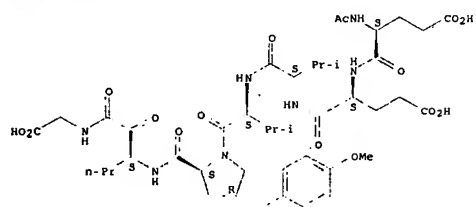
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CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-[(4R)-4-[[[(phenylmethyl)-L-prolyl-(3S)-3-amino-2-oxohexanoyl-(9CI)] (CA INDEX NAME)]

Absolute stereochemistry.



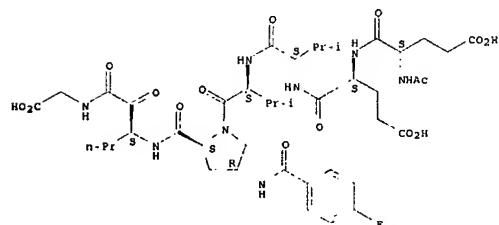
RN 393522-87-7 CAPLUS
CN Glycine, N-acetyl-L- α -glutamyl-L- α -glutamyl-L-valyl-L-valyl-
(4R)-4-[(4-methoxyphenyl)methyl]-L-prolyl-(3S)-3-amino-2-oxohexanoyl-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



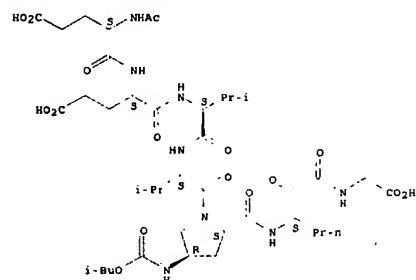
RN 393522-90-2 CAPLUS
CN Glycine, N-acetyl-L- α -glutamyl-L- α -glutamyl-L-valyl-L-valyl-
(4R)-4-(2-propenyl)-L-prolyl-(3S)-3-amino-2-oxohexanoyl- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



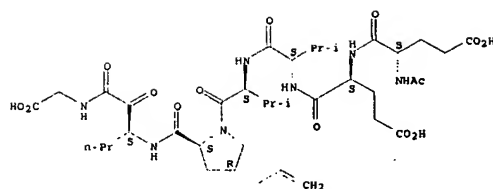
RN 393522-99-1 CAPLUS
CN Glycine, N-acetyl-L- α -glutamyl-L- α -glutamyl-L-valyl-L-valyl-
(4R)-4-[(2-methylpropoxy)carbonyl]amino-L-prolyl-(3S)-3-amino-2-
oxohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 393523-02-9 CAPLUS
CN Glycine, N-acetyl-L- α -glutamyl-L- α -glutamyl-L-valyl-L-valyl-
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(CA INDEX NAME)

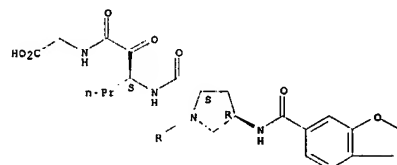
Absolute stereochemistry.



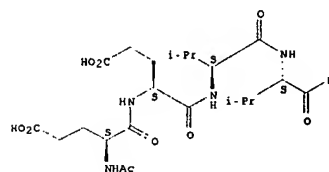
RN 393522-93-5 CAPLUS
CN Glycine, N-acetyl-L- α -glutamyl-L- α -glutamyl-L-valyl-L-valyl-
(4R)-4-[(1,3-benzodioxol-5-ylcarbonyl)amino]-L-prolyl-(3S)-3-amino-2-
oxohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

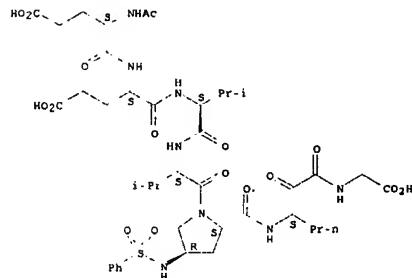


PAGE 2-A



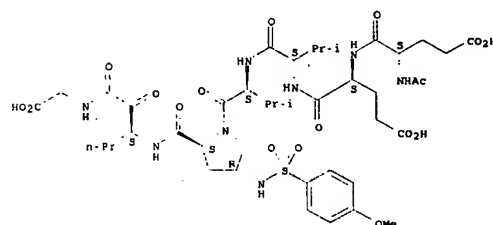
RN 393522-96-8 CAPLUS
CN Glycine, N-acetyl-L- α -glutamyl-L- α -glutamyl-L-valyl-L-valyl-
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(CA INDEX NAME)

Absolute stereochemistry.



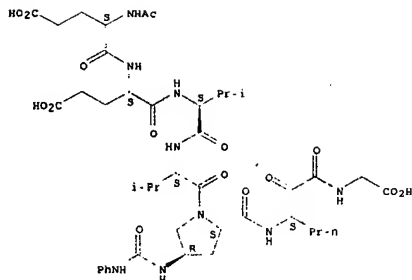
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CN Glycine, N-acetyl-L- α -glutamyl-L- α -glutamyl-L-valyl-L-valyl-
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oxohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



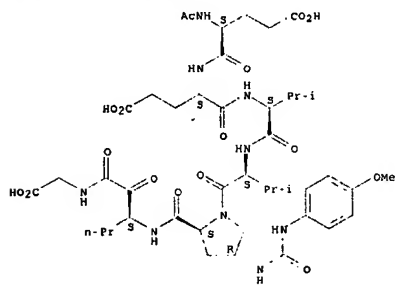
RN 393523-06-3 CAPLUS
CN Glycine, N-acetyl-L- α -glutamyl-L- α -glutamyl-L-valyl-L-valyl-
(4R)-4-[(phenylamino)carbonyl]amino-L-prolyl-(3S)-3-amino-2-oxohexanoyl-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



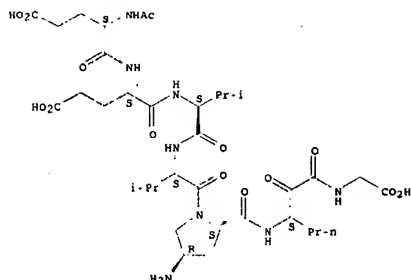
RN 393523-09-6 CAPLUS
CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-(4R)-4-[[[(4-methoxyphenyl)amino]carbonyl]amino]-L-prolyl-(3S)-3-amino-2-oxohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



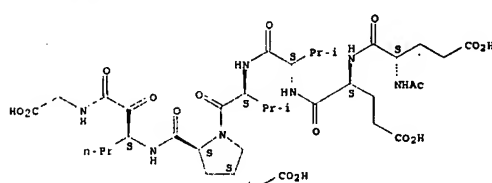
RN 393523-21-2 CAPLUS
CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-(4R)-4-amino-L-prolyl-(3S)-3-amino-2-oxohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 393523-24-5 CAPLUS
CN Glycine, N-acetyl-L-α-glutamyl-L-α-glutamyl-L-valyl-L-valyl-(4S)-4-(carboxymethyl)-L-prolyl-(3S)-3-amino-2-oxohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



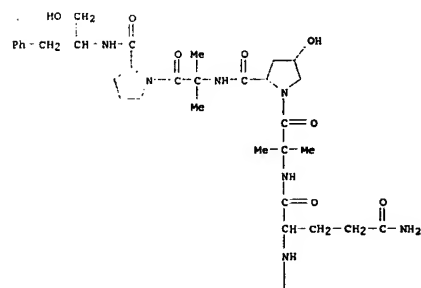
L6 ANSWER 173 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:88430 CAPLUS
DOCUMENT NUMBER: 136:212506
TITLE: Spatial structure of zervamicin IIB bound to DPC micelles: implications for voltage-gating
AUTHOR(S): Shenkarev, Z. O.; Balashova, T. A.; Efremov, R. G.; Yakimenko, Z. A.; Ovchinnikova, T. V.; Raap, J.; Arseniev, A. S.
CORPORATE SOURCE: Shemyakin-Ovchinnikov Institute of Bioorganic Chemistry, Russian Academy of Sciences, Moscow, 117997, Russia
SOURCE: Biophysical Journal (2002), 82(2), 762-771
CODEN: BIOJAU; ISSN: 0006-3495
PUBLISHER: Biophysical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Zervamicin IIB is a 16-amino acid peptaibol that forms voltage-dependent

ion channels with multilevel conductance states in planar lipid bilayers and vesicular systems. The spatial structure of zervamicin IIB bound to dodecylphosphocholine micelles was studied by NMR spectroscopy. The set of 20 structures obtained has a bent helical conformation with a mean backbone root mean square deviation value of approx. 0.2 Å and resembles the structure in isotropic solvents. The N-terminus represents an α-helix, whereas the C-terminal part has a mixed 310/αR hydrogen-bond pattern. In the anisotropic micelle environment, the bending angle on H₂O (23°) is smaller than that (47°) in isotropic solvents. In the NOESY (Nuclear Overhauser Effect Spectroscopy) spectra, the characteristic attenuation of the peptide signals by 5- and 16-doxylsterate relaxation probes indicates a peripheral mode of the peptaibol binding to the micelle with the N-terminus immersed slightly deeper into micelle interior. Anal. of the surface hydrophobicity reveals that the zervamicin IIB helix is amphiphilic and well suited to formation of a tetrameric transmembrane bundle, according to the barrel-stave mechanism. The results are discussed in a context of voltage-driven peptaibol insertion into membrane.

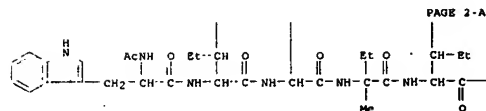
IT 79395-85-0, Zervamicin IIB
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); PROC (Process)
(spatial structure of zervamicin IIB bound to DPC micelles provides evidence for voltage-driven model of peptaibol membrane insertion)

RN 79395-85-0 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

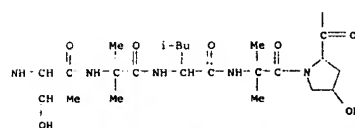
PAGE 1-A



PAGE 1-B



PAGE 2-A



PAGE 2-B

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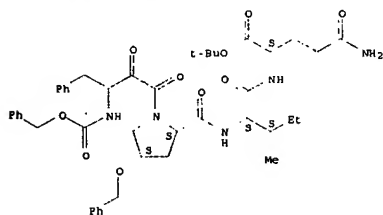
L6 ANSWER 174 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:801933 CAPLUS
DOCUMENT NUMBER: 137:226
TITLE: A study on docking mode of HIV protease and their inhibitors
AUTHOR(S): Akaho, Eiichi; Morris, Garret; Goodsell, David; Mong, David; Olson, Arthur
CORPORATE SOURCE: Fac. Pharmaceutical Sci., Kobe Gakuin Univ., 518

SOURCE: Arise, Ikawadani-cho, Nishi-ku, Kobe, 651-2180, Japan
Journal of Chemical Software (2001), 7(3), 103-114
CODEN: CHSPEJ; ISSN: 0918-0761
PUBLISHER: Kagaku Sofutosewa Gakkai
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The capability to propose feasible ways of binding a putative ligand inhibitor to a known receptor site is crucial to the successful structure-based drug design. A computer docking approach is to position or "dock" ligand and receptor moles. together in many different ways and then score each orientation by applying a reasonable evaluation function. AutoDock3.0 is an unbiased type docking program in which a user does not have to direct a ligand to an active site, but the system finds an optimal position after a ligand is placed in a random manner. Synthesized deriva. of the intact inhibitor (inh1) of HIV protease were investigated for their docking modes as compared with their Ki values. Among the deriva., inh1trans and inh6H were found to be more powerful inhibitors of HIV protease than the others. Gibbs free energy calculated by applying mol. mechanics interaction energies was compared with the one obtained by using expl. inhibitory potencies for a series of HIV protease inhibitors, and a fairly good correlation was found between the two. Based on this favorable correlation between the computational and the expl. results, the computational expts. were pursued for the compds. drawn by Sybyl taking into consideration the fact that unexploited carbon affinity regions (or hydrophobic regions) with sizable volume were detected on the docking study of inh1 and inh6 against HIV protease. Those were compds. with a t-Bu substituted by various hydrophobic side chains. Among those a compound with a benzyl group exhibited the lowest docking energy. Since one of the goals of this paper was to perform the computational drug-design experiment to investigate potential HIV protease inhibitors, the authors would like to leave the clin. investigational work for the expertise of those areas.

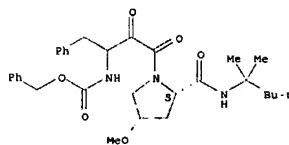
IT 191851-38-4 433709-59-2
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(docking mode of HIV protease and their inhibitors)
RN 191851-38-4 CAPLUS
CN L-Glutamine, (4S)-1-[[1,2-dioxo-4-phenyl-3-[[[(phenylmethoxy)carbonyl]amino]butyl]-4-(phenylmethoxy)-L-prolyl-L-isoleucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 433709-59-2 CAPLUS
CN Carbanic acid, [3-[[[2S]-4-methoxy-2-[[[(1,1,2,2-tetramethylpropyl)amino]carbonyl]-1-pyrrolidinyl]-2,3-dioxo-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RS FORMAT

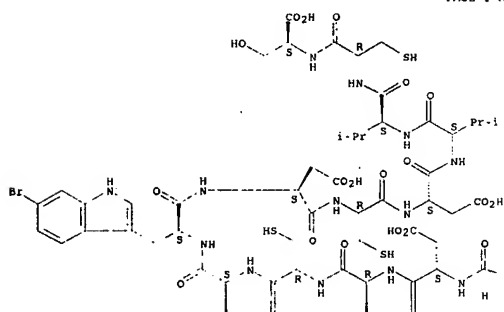
L6 ANSWER 175 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2001:772157 CAPLUS
DOCUMENT NUMBER: 135:328320
TITLE: Conopeptides of Conus textile
INVENTOR(S): Furie, Bruce; Furie, Barbara C.; Stenflo, Johan; Rigby, Alan C.; Koepstorff, Peter
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 19 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6107014	B1	20011023	US 1998-136769	19980819

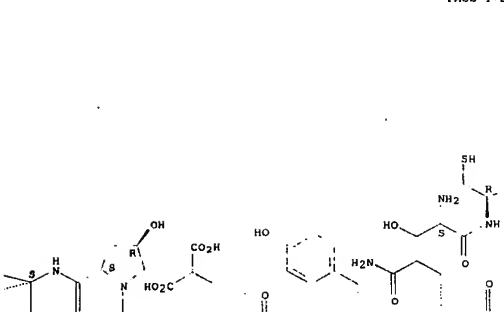
PRIORITY APPLN. INFO.:
US 1998-136769 19980819
AB Substantially pure conopeptides containing γ -carboxyglutamic acid (Gla) are disclosed. Thus, 11 novel Gla-containing peptides were isolated from Conus textile and partially characterized. Peptide P11.1 had the sequence H-Gla-Cys-Cys-Gla-Asp-Gly-(6-bromo-Trp)-Cys-Cys-Thr-Ala-Ala-HyPro-OH. Cys-2 and Cys-8 were disulfide bonded as were Cys-3 and Cys-9. GalNac-Gal was attached to Thr-10. The activity of P11.1 on a cholinergic synapse of a buccal ganglion was studied. The presynaptic Ca^{2+} current was decreased which resulted in a decreased ACh release. P11.1 had no effect on postsynaptic ACh receptors.
IT 367965-83-1
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); OCCU (Occurrence)
(conopeptide P12.3; conopeptides of Conus textile)
RN 367965-83-1 CAPLUS
CN L-Serine, L-seryl-L-cysteiny-L-seryl-L- α -aspartyl-L- α -aspartyl-L-tryptophyl-L-glutamyl-L-tyrosyl-L-cysteiny-L-4-carboxy-L- α -glutamyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L- α -aspartyl-L-cysteiny-L-cysteiny-L-seryl-6-bromo-L-tryptophyl-L- α -aspartyl-L-cysteiny-L- α -aspartyl-L-valyl-L-valyl-L-cysteiny-L- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

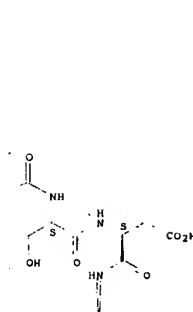
PAGE 1-A



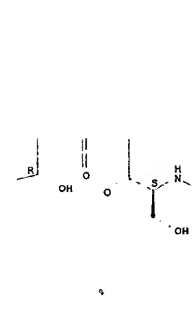
PAGE 1-B



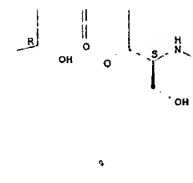
PAGE 1-C

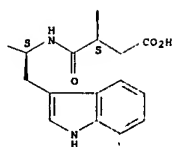


PAGE 2-A



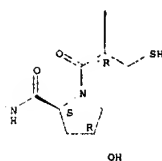
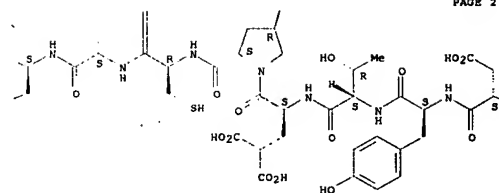
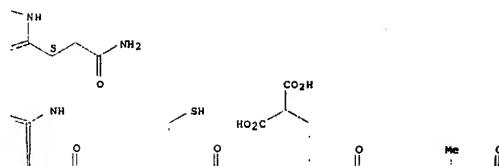
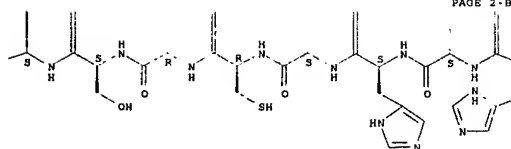
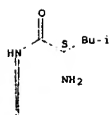
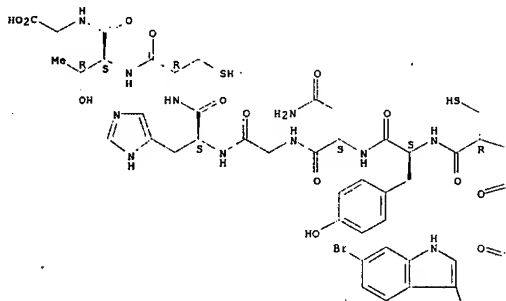
PAGE 2-B





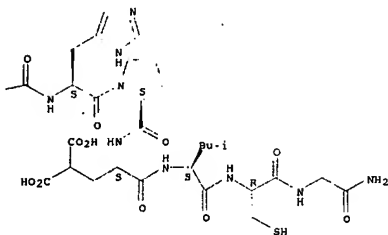
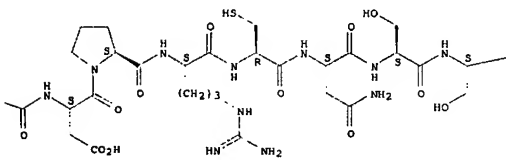
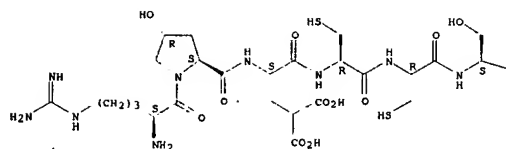
IT 367965-86-4
 RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); OCCU (Occurrence)
 (conopeptide P14.1; conopeptides of Conus textile)
 RN 367965-86-4 CAPLUS
 CN Glycine, L-leucyl-L-cysteinyl-(4R)-4-hydroxy-L-prolyl-L-α-aspartyl-L-tyrosyl-L-threonyl-4-carboxy-L-α-glutamyl-(4R)-4-hydroxy-L-prolyl-L-cysteinyl-L-seryl-L-histidyl-L-alanyl-L-histidyl-4-carboxy-L-α-glutamyl-L-cysteinyl-L-cysteinyl-L-seryl-6-bromo-L-tryptophyl-L-asparaginyl-L-cysteinyl-L-tyrosyl-L-asparaginylglycyl-L-histidyl-L-cysteinyl-L-threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 367965-78-4
 RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); OCCU (Occurrence)
 (conopeptide PB.1; conopeptides of Conus textile)
 RN 367965-78-4 CAPLUS
 CN Glycinamide, L-arginyl-(4R)-4-hydroxy-L-prolyl-4-carboxy-L-α-glutamyl-L-cysteinyl-L-cysteinyl-L-seryl-L-α-aspartyl-L-prolyl-L-arginyl-L-cysteinyl-L-asparaginyl-L-seryl-L-seryl-L-histidyl-L-prolyl-4-carboxy-L-α-glutamyl-L-leucyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L6 ANSWER 176 OF 551

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT:

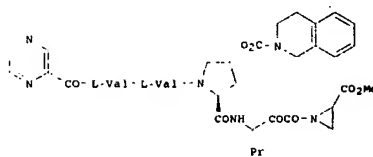
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074768	A2	20011011	WO 2001-US10367	20010329
WO 2001074768	A3	20020606		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GR, GU, HK, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2405043	A1	20011011	CA 2001-2405043	20010329
AU 2001051165	A5	20011015	AU 2001-51165	20010329
EP 1268519	A2	20030102	EP 2001-924516	20010329
EP 1268519	B1	20050615		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003529583	T	20031007	JP 2001-572463	20010329
AT 297946	T	20050715	AT 2001-924516	20010329
ES 2240446	T3	20051016	ES 2001-1924516	20010329
US 2003236242	A1	20031225	US 2003-191932	20030319
AU 2006202124	A1	20060608	AU 2006-202124	20060519
PRIORITY APPLN. INFO.:			US 2000-194563P	P 20000403
			US 2000-198330P	P 20000418
			WO 2001-US10367	M 20010329

OTHER SOURCE(S):

GI

MARPAT 135:289060

AB Peptides O-CO-A1-NHCH₂COCOR3 [R1 is C1-6 alkyl or C2-6 alkenyl or

alkenyl, optionally substituted by 1-4 halogen atoms and SH or OH at the terminal position; R3 is (unsubstituted 1-aziridinyl or 1-azetidinyl); A1 is a proline residue which may be substituted, e.g., by Z-X- at the 4-position, where X is O, imino, CO, CO₂, etc. and Z is H, alkyl, a cyclic ring system, etc.; Q is OH, alkoxy, an amino group, etc.) were prepared as serine protease inhibitors, particularly as hepatitis C NS3 protease inhibitors. Thus, peptide I was prepared by solid-phase coupling using a THP resin and showed $K_i < 1 \mu M$ for inhibition of hepatitis C NS3 protease.

IT 364633-33-OP 364633-34-1P 364633-35-2P

364633-36-3P 364633-37-4P 364633-38-5P

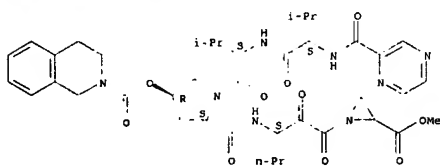
364633-39-6P 364633-40-9P 364633-41-OP

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of peptides as inhibitors of serine proteases, particularly hepatitis C virus NS3 protease)

RN 364633-33-0 CAPLUS

CN 2-Aziridinecarboxylic acid, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-(4R)-4-[[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]oxy]-L-prolyl-(3S)-3-amino-2-oxohexanoyl]-, methyl ester (9CI) (CA INDEX NAME)

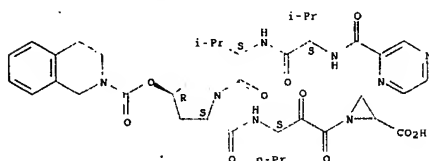
Absolute stereochemistry.



RN 364633-34-1 CAPLUS

CN 2-Aziridinecarboxylic acid, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-(4R)-4-[[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]oxy]-L-prolyl-(3S)-3-amino-2-oxohexanoyl]-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 364633-35-2 CAPLUS

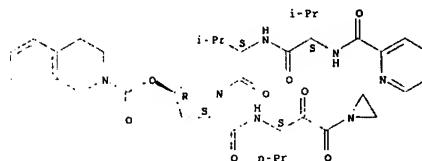
CN 2-Aziridinecarboxylic acid, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-(4R)-4-[[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]oxy]-L-prolyl-(3S)-3-amino-2-oxohexanoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 364633-36-3 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]oxy]-L-prolyl-(3S)-3-amino-2-oxohexanoyl]-, (4R)- (9CI) (CA INDEX NAME)

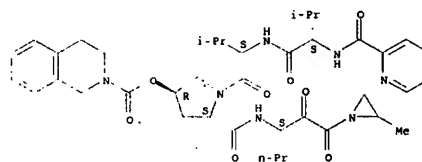
Absolute stereochemistry.



RN 364633-37-4 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]oxy]-L-prolyl-(3S)-3-amino-2-oxohexanoyl]-, (4R)- (9CI) (CA INDEX NAME)

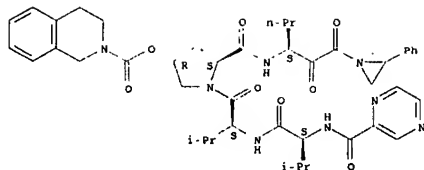
Absolute stereochemistry.



RN 364633-38-5 CAPLUS

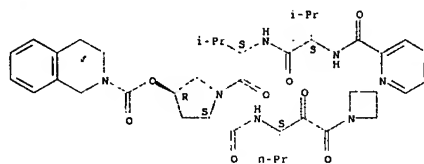
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]oxy]-L-prolyl-(3S)-3-amino-2-oxohexanoyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



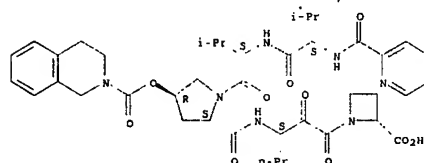
RN 364633-39-6 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-[(1-azetidinyl)oxoacetyl]butyl]-4-[(1S)-1-[(1,4-dihydro-2(1H)-isoquinolinyl)carbonyloxy]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 364633-40-9 CAPLUS
CN 2-Azetidinecarboxylic acid, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-(4R)-4-[(1,4-dihydro-2(1H)-isoquinolinyl)carbonyloxy]-L-prolyl-(3S)-3-amino-2-oxohexanoxy]- (9CI) (CA INDEX NAME)

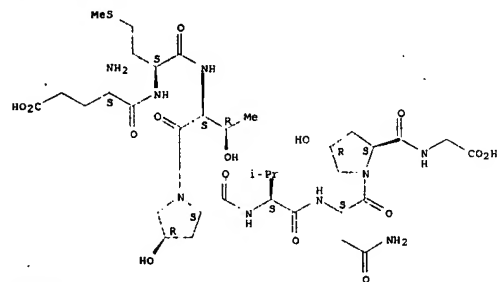
Absolute stereochemistry.



RN 364633-41-0 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(1,4-dihydro-2(1H)-isoquinolinyl)carbonyloxy]-N-[(1S)-1-oxo(3-phenoxy-1-azetidinyl)acetyl]butyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

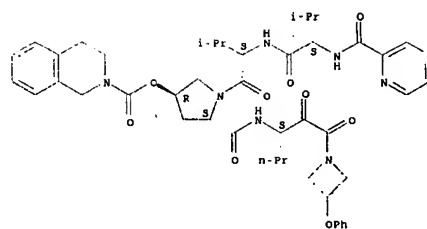
Absolute stereochemistry.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 178 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:693138 CAPLUS
DOCUMENT NUMBER: 135:273218
TITLE: Preparation of peptidase-cleavable, targeted antineoplastic drugs and their therapeutic use
INVENTOR(S): Copeland, Robert A.; Albright, Charles P.; Combs, Andrew P.; Dowling, Radine L.; Graciani, Nilsa R.; Han, Wei; Higley, C. Anne; Huang, Pearl S.; Yue, Eddy W.; Dimeo, Susan V.
PATENT ASSIGNER(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 203 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
MO 2001068145	A2	20010920	MO 2001-US8589	20010315
MO 2001068145	A3	20020711		
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RN: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2401873	A1	20010920	CA 2001-2401873	20010315
AU 2001245836	A1	20020716	AU 2001-245836	20010315
US 2002103133	A1	20020801	US 2001-808832	20010315
US 6844318	B2	20050118		
EP 1263473	A2	20021211	EP 2001-918798	20010315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, CY, TR				
BR 2001009266	A	20030429	BR 2001-9266	20010315
HU 2003000590	A2	20030728	HU 2003-590	20010315
JP 2003526683	T	20030909	JP 2001-566708	20010315
ES 200200522	A	20040415	EE 2002-522	20010315



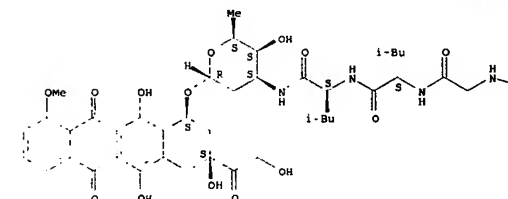
L6 ANSWER 177 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:725404 CAPLUS
DOCUMENT NUMBER: 136:144763
TITLE: Development of a synthetic cyclized peptide derived from α -fetoprotein that prevents the growth of human breast cancer
AUTHOR(S): Mesfin, F. B.; Andersen, T. T.; Jacobson, H. I.; Zhu, S.; Bennett, J. A.
CORPORATE SOURCE: Center for Immunology and Microbial Diseases, Albany Medical College, Albany, NY, 12208, USA
SOURCE: Journal of Peptide Research (2001), 58(3), 246-256
CODEN: JPERFA; ISSN: 1397-002X
PUBLISHER: Munksgaard International Publishers Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The peptide, EMTVPVNG, derived from α -fetoprotein, inhibits estrogen-stimulated growth of immature mouse uterus and estrogen-dependent proliferation of human breast cancer cells. However, the biol. activities of the peptide diminish over time in storage, even when in the lyophilized state, probably because of peptide aggregation through hydrophobic interaction among monomers. Two analogs of EMTVPVNG were designed with the intent of minimizing aggregation and retaining biol. activity during prolonged storage. EMTOVNOD, where O is 4-hydroxyproline, is a linear peptide generated by substituting 4-hydroxyproline for the two prolines, thereby increasing peptide hydrophilicity. This analog exhibited a dose-dependent inhibition of estrogen-stimulated growth of immature mouse uterus similar to that of EMTVPVNG (maximal activity at 1 μ g/mouse). A second analog, cyclo-(EMTVNODG), a hydrophilic, cyclic analog with increased conformational constraint, was as potent as the other peptides in its inhibition of estrogen-dependent growth of immature mouse uterus, and had an expanded ED range. Both linear and cyclized hydroxyproline-substituted analogs exhibited indefinite shelf-life. Furthermore, both analogs inhibited the estrogen-dependent growth of MCF-7 human breast cancer growing as a xenograft in SCID mice. These analogs may become significant, novel agents for the treatment of breast cancer.
IT 393827-71-9P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
[development of a synthetic cyclized peptide derived from α -fetoprotein that prevents the growth of human breast cancer]
RN 393827-71-9 CAPLUS
CN Glycine, L-(α -glutamyl)-L-methionyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-valyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

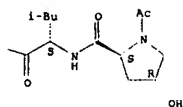
TR 200202103	T2 20070122	TR 2002-2183	20010315
IN 2002MH01139	A 20050304	IN 2002-MH01139	20020822
MX 2002PA00919	A 20030212	MX 2002-PA00919	20020913
PRIORITY APPLN. INFO.:		US 2000-189387P	P 20000315
		MO 2001-US8589	M 20010315

OTHER SOURCE(S): MARPAT 135:273218
AB This invention is directed to antineoplastic agents conjugated to enzyme-cleavable peptides comprising the amino acid recognition sequence of a membrane-bound and/or cell-secreted peptidase. The conjugated compds. are for use as chemotherapeutic agents in the targeted treatment of cancers. Claimed peptide sequences include Cap-Paa-Xa2-Gly-Xp1-Laa, where Cap is an N-terminus group R, Xa4 or R-Xa4 (R is an amino capping group, Xa4 is an amino acid), Paa is Pro, 4-hydroxyproline (Hyp), 2-carboxyazetidine (Aze), homo-Pro, cyclohexylglycine (Chg), 4-fluorophenylalanine (Fph), nipecotic acid (Npe), 4-thiazolidinecarboxylic acid (Tzcl), or proline mimetic; Xa2 is an amino acid; Xp1 is an amino acid wherein -Gly-Xp1- or -Ser-Xp1- form a bond cleavable by a matrixin; Laa is an amino acid, e.g., Leu, Ile, Nle, β -homo-Leu, homoleucine, homoserine, Ala and cyclohexylalanine. Thus, peptide conjugate Ac-PLGLYL-Dox (Dox = doxorubicin) was prepared by the solid phase method and evaluated for stability in blood and cleavage with MMPs and neprilysin.
IT 360779-66-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
[preparation of antineoplastic agents conjugated to enzyme-cleavable peptides]
RN 360779-66-4 CAPLUS
CN 5,12-Naphthacenedione, 10-[(3-[(4R)-1-acetyl-4-hydroxy-L-prolyl-L-leucylglycyl-L-leucyl-L-leucyl]aminol-2,3,6-trideoxy- α -L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



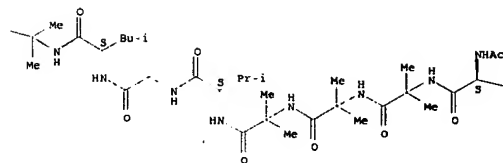
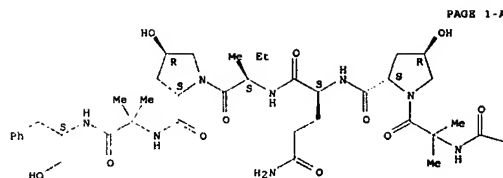


L6 ANSWER 179 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2001:693010 CAPLUS
 DOCUMENT NUMBER: 135:238070
 TITLE: Ion channel forming peptaibols for use as pest resistance inducers in plants
 INVENTOR(S): Jabs, Thorsten; Ammermann, Eberhard; Stierl, Reinhard; Lorenz, Gisela; Boland, Wilhelm; Engelberth, Juergen
 PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany
 SOURCE: PCT Int. Appl., 24 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001067867	A2	20010920	WO 2001-EP2957	20010315
WO 2001067867	A3	20020314		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TW, ZH, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10013294	A1	20010920	DE 2000-10013294	20000317
PRIORITY APPLN. INFO.: DE 2000-10013294 A 20000317				
AB The invention relates to ion channel forming peptaibols from fungi, which represent a novel class of highly effective elicitors of the secondary metabolism of plants, the coiling of touch-sensitive tendrils and the induced resistance to harmful fungi, bacteria, viruses, nematodes and insects.				
IT 181478-82-0, Bergofungin A 245670-50-2, Bergofungin B 245670-52-4, Bergofungin C				
RL: AGR (Agricultural use), BIOL (Biological study), USES (Uses)				
(ion-channel-forming agent as pest-resistance inducers in plants)				
RN 181478-82-0 CAPLUS				
CN Bergofungin A (9CI) (CA INDEX NAME)				

Absolute stereochemistry. Rotation (+).

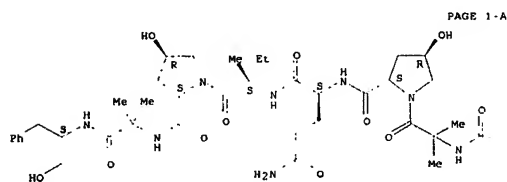
PAGE 1-B



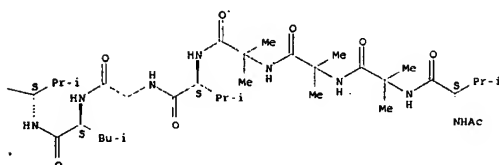
PAGE 1-C

Pr-i
 RN 245670-50-2 CAPLUS
 CN Bergofungin B (9CI) (CA INDEX NAME)
 Absolute stereochemistry. Rotation (+).

PAGE 1-B



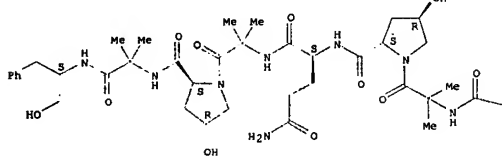
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PAGE 1-C

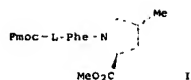
RN 245670-52-4 CAPLUS
 CN Bergofungin C (9CI) (CA INDEX NAME)
 Absolute stereochemistry. Rotation (+).

PAGE 1-A



Pr-i

L6 ANSWER 180 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2001:677298 CAPLUS
 DOCUMENT NUMBER: 136:6323
 TITLE: Photochemically Induced Electron Transfer (PET) Catalyzed Radical Cyclization: A Practical Method for Inducing Structural Changes in Peptides by Formation of Cyclic Amino Acid Derivatives
 AUTHOR(S): Jonas, Marco; Blechert, Siegfried; Steckhan, Eberhard
 CORPORATE SOURCE: Institut fuer Chemie, Technische Universität Berlin, Berlin, D-10623, Germany
 SOURCE: Journal of Organic Chemistry (2001), 66(21), 6896-6904
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 136:6323
 OI



AB A new radical cyclization reaction of unsatd. amino acid deriva. is presented. The reaction is induced by photoelectron transfer (PET) catalysis and proceeds, in comparison to commonly applied methods, under mild, nonoxidizing, and nontoxic conditions in neutral medium. This type of radical cyclization reaction can be used in peptide chemical for inducing structural changes in peptides. For example, allylglycinate derivative (2S)-TMSCH2NHCH(CH2CH:CH2)CO2Me was reacted Fmoc-Phe-OH in the presence of 1-hydroxy-7-azabenzotriazole, diisopropyl carbodiimide and diisopropylethylamine (DIEA) in MeCN to give the dipeptide Fmoc-Phe-N(CH2TMS)CH(CH2CH:CH2)CO2Me in 75% yield. Next, the dipeptide (0.1-0.4 mmol/L) in MeOH/MeCN (2:3, 50 mL) was combined with 20 mol% of 9,10-anthracenedicarbonitrile and 30 mol% of biphenyl in a 100 mL Schlenk tube, the reaction mixture was purged for 10 min with Ar, and then, was irradiated for 60-80 min under Ar to give the cyclized amino acid-containing dipeptide 1 in 51% yield.

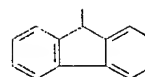
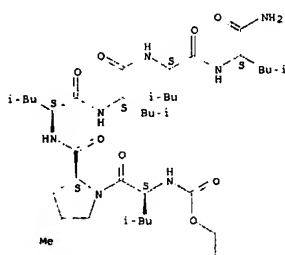
IT 375394-47-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(radical-based cyclization, via photoinduced electron transfer, of unsatd. amino acids for preparing cyclic amino acids and peptides containing cyclic amino acids)

RN 375394-47-1 CAPLUS

CN L-Leucinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-leucyl-4-methyl-L-prolyl-L-leucyl-L-leucyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 181 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:628948 CAPLUS
DOCUMENT NUMBER: 136:20231
TITLE: Solid-phase synthesis of hydroxyproline-based cyclic hexapeptides

AUTHOR(S): Basso, A.; Ernst, B.
CORPORATE SOURCE: Pharmcenter, University of Basel, Institute of Molecular Pharmacy, Basel, CH-4056, Switz.
SOURCE: Tetrahedron Letters (2001), 42(38), 6687-6690
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:20231

AB Cyclic peptides are excellent tools to investigate the functional and spatial requirements for ligands to bind to a given target. In this paper we report the synthesis of a library of cyclic hexapeptides, designed to be selectin antagonists. Based on mol. modeling calcs., these peptides contain a hydroxyproline building block that serves also as the point of attachment to the solid phase. A modified THP linker has been prepared to bind the hydroxy group of this amino acid to aminomethyl SynPhase Lanterns. Amino acids of the D- and L-series are used and their effect on the cyclization step is also investigated.

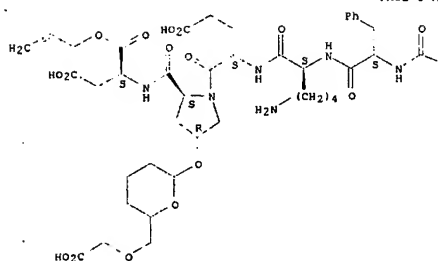
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378783-42-7DP, aminomethyl SynPhase resin-bound
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378783-45-0DP, aminomethyl SynPhase resin-bound
378783-46-1DP, aminomethyl SynPhase resin-bound
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378783-60-9DP, aminomethyl SynPhase resin-bound
378783-61-0DP, aminomethyl SynPhase resin-bound
378783-63-2DP, aminomethyl SynPhase resin-bound
RL: CPN (Combinatorial preparation); CRT (Combinatorial reactant); RCT (Reactant); CMB (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent)
(solid-phase synthesis of hydroxyproline-based cyclic hexapeptides)

RN 378783-39-2 CAPLUS

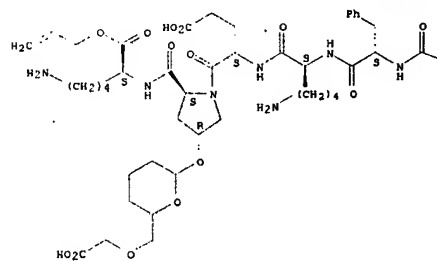
CN L-Aspartic acid, N-[(9H-fluoren-9-ylmethoxy)carbonyl]glycyl-L-phenylalanyl-L-lysyl-L-D-α-glutamyl-(4R)-4-[[6-[[[carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

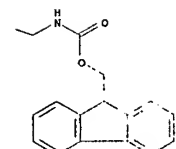
PAGE 1-A



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PAGE 1-B

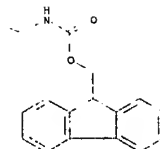


RN 378783-42-7 CAPLUS

CN L-Lysine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]glycyl-L-phenylalanyl-L-lysyl-L-α-glutamyl-(4R)-4-[[6-[[[carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

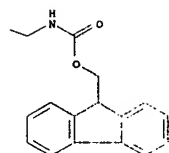
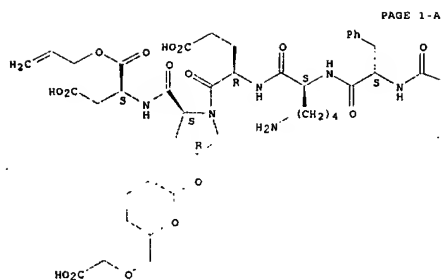
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RN 378783-43-8 CAPLUS

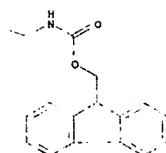
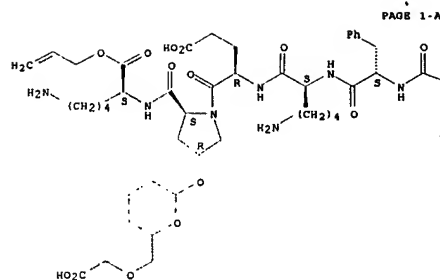
CN L-Aspartic acid, N-[(9H-fluoren-9-ylmethoxy)carbonyl]glycyl-L-phenylalanyl-L-lysyl-D-α-glutamyl-(4R)-4-[[6-[[[carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



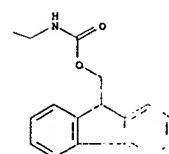
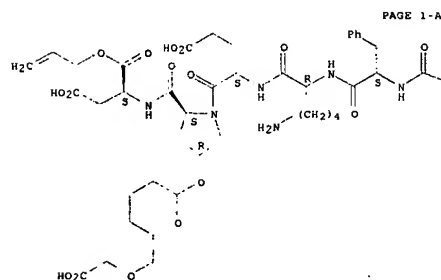
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CN L-Lysine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]glycyl-L-phenylalanyl-L-lysyl-D-α-glutamyl-(4R)-4-[[6-[(carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



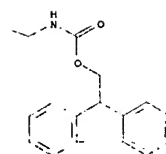
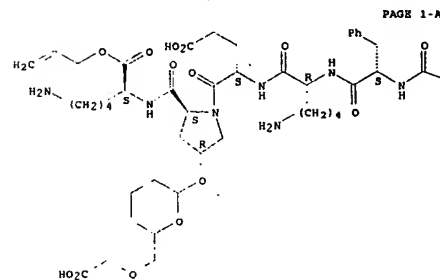
RN 378783-46-1 CAPLUS
CN L-Aspartic acid, N-[(9H-fluoren-9-ylmethoxy)carbonyl]glycyl-L-phenylalanyl-D-lysyl-L-α-glutamyl-(4R)-4-[[6-[(carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



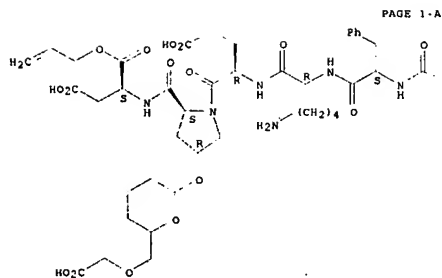
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CN L-Lysine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]glycyl-L-phenylalanyl-D-lysyl-L-α-glutamyl-(4R)-4-[[6-[(carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

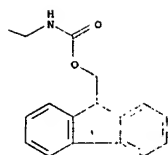


RN 378783-49-4 CAPLUS
CN L-Aspartic acid, N-[(9H-fluoren-9-ylmethoxy)carbonyl]glycyl-L-phenylalanyl-D-lysyl-L-α-glutamyl-(4R)-4-[[6-[(carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

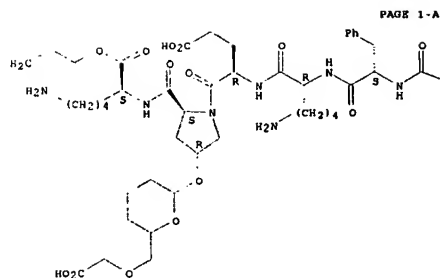


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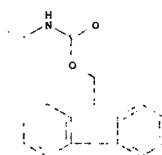


RN 378783-51-8 CAPLUS
CN L-Lysine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]glycyl-L-phenylalanyl-D-lysyl-D-α-glutamyl-(4R)-4-[[6-[(carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

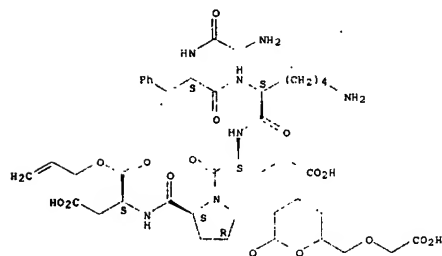


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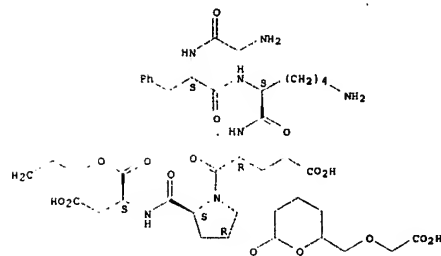
RN 378783-52-9 CAPLUS
CN L-Aspartic acid, glycyl-L-phenylalanyl-L-lysyl-L-α-glutamyl-(4R)-4-[[6-[(carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



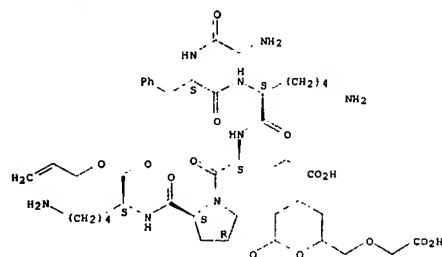
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CN L-Lysine, glycyl-L-phenylalanyl-L-lysyl-L-α-glutamyl-(4R)-4-[[6-[(carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



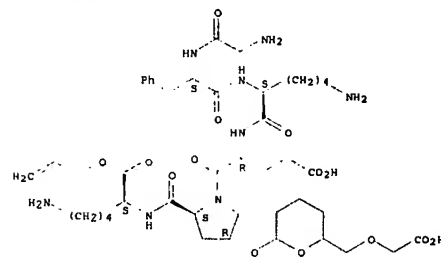
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CN L-Lysine, glycyl-L-phenylalanyl-L-lysyl-D-α-glutamyl-(4R)-4-[[6-[(carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



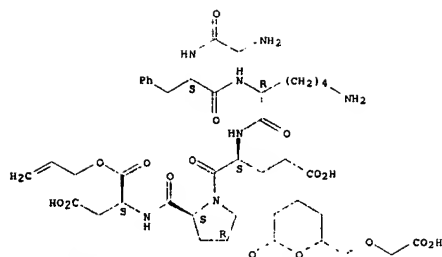
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CN L-Aspartic acid, glycyl-L-phenylalanyl-L-lysyl-D-α-glutamyl-(4R)-4-[[6-[(carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



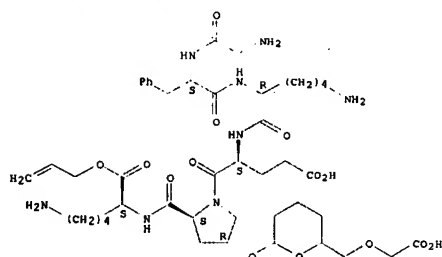
RN 378783-58-5 CAPLUS
CN L-Aspartic acid, glycyl-L-phenylalanyl-D-lysyl-L-α-glutamyl-(4R)-4-[[6-[(carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



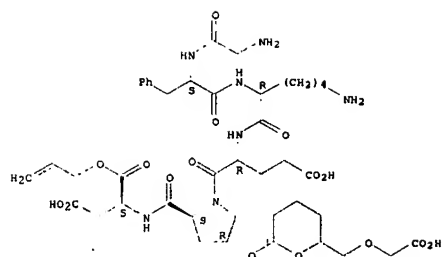
RN 378783-60-9 CAPLUS
CN L-Lysine, glycyl-L-phenylalanyl-D-lysyl-L- α -glutamyl-(4R)-4-[[6-[(carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



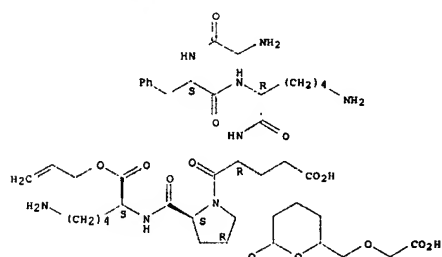
RN 378783-61-0 CAPLUS
CN L-Aspartic acid, glycyl-L-phenylalanyl-D-lysyl-D- α -glutamyl-(4R)-4-[[6-[(carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 378783-63-2 CAPLUS
CN L-Lysine, glycyl-L-phenylalanyl-D-lysyl-D- α -glutamyl-(4R)-4-[[6-[(carboxymethoxy)methyl]tetrahydro-2H-pyran-2-yl]oxy]-L-prolyl-, 6-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 182 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:618206 CAPLUS
DOCUMENT NUMBER: 135:192528
TITLE: Methods for identifying signaling molecules
INVENTOR(S): Ryan, Clarence A.; Pearce, Gregory L.
PATENT ASSIGNEE(S): Washington State University Research Foundation, USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001061047	A1	20010823	WO 2001-US5191	20010213
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KR, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2400390	A1	20010823	CA 2001-2400390	20010213
EP 1294932	A1	20010326	EP 2001-912797	20010213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003211941	A1	20031113	US 2002-204341	20021022
PRIORITY APPLN. INFO.: US 2000-183073P P 20000215				
US 2000-183089P P 20000215				
WO 2001-US5191 W 20010213				

AB The present invention provides methods for identifying and isolating signaling mols., such as signaling mols. that interact with a plant celled membrane receptor mol. In the practice of the present invention, the ability of a sample of biol. material to induce a pH change in a liquid plant cell culture is used as an assay for the presence of one or more signaling mols. in the biol. material.

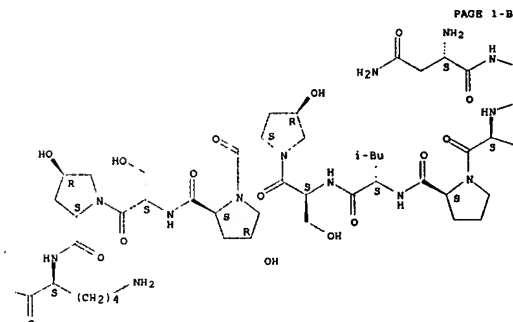
IT 356533-51-2P
RL: ANT (Analyte); PUR (Purification or recovery); ANST (Analytical study); PREP (Preparation)
(methods for identifying signaling mols.)

RN 356533-51-2 CAPLUS
CN L-Proline, L-asparaginyl-L-arginyl-L-lysyl-L-prolyl-L-leucyl-L-seryl-(4R)-4-hydroxy-L-prolyl-(4R)-4-hydroxy-L-prolyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-lysyl-L-prolyl-L-alanyl-L- α -aspartylglycyl-L-glutamyl-L-arginyl-(9CI) (CA INDEX NAME)

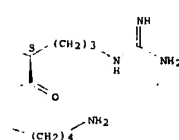
Absolute stereochemistry.

PAGE 1-A

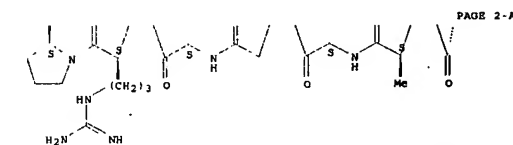
PAGE 1-B



PAGE 1-C

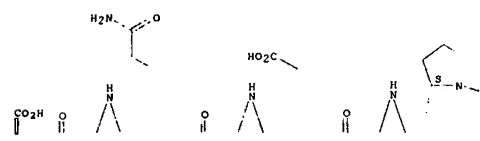


PAGE 2-A

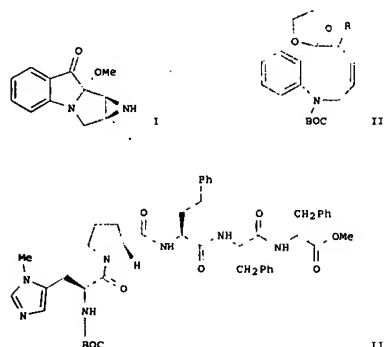


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 183 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:558409 CAPLUS



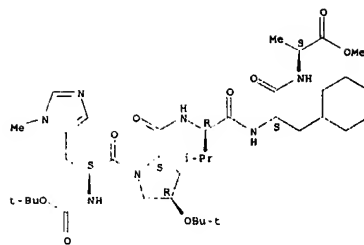
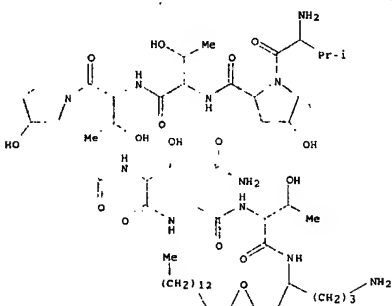
DOCUMENT NUMBER: 135:288611
 TITLE: Enantioselective Synthesis of a Mitosane Core Assisted by Diversity-Based Catalyst Discovery
 AUTHOR(S): Papaioannou, Nikolaos; Evans, Catherine A.; Blank, Jarred T.; Miller, Scott J.
 CORPORATE SOURCE: Department of Chemistry Merkert Chemistry Center, Boston College, Chestnut Hill, MA, 02467-3860, USA
 SOURCE: Organic Letters (2001), 3(18), 2879-2882
 CODEN: ORLEP7; ISSN: 1523-7060
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 135:288611
 OI



AB Synthesis of (-)-mitosane 1 in optically pure form is reported. A retrosynthetic plan that proceeds through racemic allylic alc. II (R = OH) was carried out. Intermediate II served as a test substrate for a rapid screen of a small library (152 members) of peptide-based kinetic resolution catalysts. Peptide III was found to effect kinetic resolution with k_{rel} = 27. Alc. II (R = R-OH) was then converted to optically pure I in eight steps.
 IT 365222-98-6P
 RL: CAT (Catalyst use); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
 (enantioselective synthesis of a mitosane core assisted by diversity-based catalyst discovery)
 RN 365222-98-6 CAPLUS
 CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-histidyl-(4R)-4-[(1,1-dimethylethoxy)-L-prolyl-D-valyl-3-cyclohexyl-L-alanyl-, methyl ester (SCI) (CA INDEX NAME)
 Absolute stereochemistry.

AB The present invention relates to a nasal composition of physiol. active cyclic peptides and salts that are prepared by homogeneously dispersing an active cyclic peptide such as antifungal cyclic peptides (aerothricin, echinocandin analogs, pneumocandin analogs, and aureobasidin), antibacterial cyclic peptides (e.g., vancomycin, daptomycin), cyclosporin A, lanreotide, vapreotide, vasopressin antagonist and epitifibatide in a unique carrier. The powdery or crystalline carrier contains a water insol. polyvalent metal carrier, or organic carrier having a mean particle size of 20-500 μm, in the presence or absence of an absorption enhancer and by homogeneously adsorbing onto the carrier, and its use for therapeutic treatment of disease such as systemic fungal infections by intranasal administration. The composition can be nasally administered in a powder form. Thus, 201 mg Aerothricin 133 and 599 mg CaCO₃ (mean particle size: 40-60 μm) were mixed well. Then, 200 μL water was added, and mixing was continued until the mixture became a paste and the resulting pasty solid was freeze-dried at -50°, and further dried at 30° for 3 h in vacuo. After large particles in the dry powder were broken into small particles, 8 mg of calcium stearate was added and the mixture was passed through 180-μm-mesh. Aerothricin 133 was synthesized by a series of steps.
 IT 256947-07-6
 RL: BOC (Biological occurrence); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); OCCU (Occurrence); RACT (Reactant or reagent)
 (preparation of cyclic peptide compns. for nasal administration)
 RN 256947-07-6 CAPLUS
 CN Threonine, valyl-4-hydroxyprolylthreonylthreonyl-3-hydroxyprolyl-3-hydroxyglutamylglycylthreonylornithyl-(3R)-3-hydroxyhexadecanoyl- (SCI) (CA INDEX NAME)
 Absolute stereochemistry.
 Currently available stereo shown.

PAGE 1-A



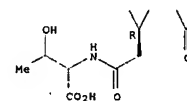
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 184 OF 551
 ACCESSION NUMBER: 2001:545525 CAPLUS
 DOCUMENT NUMBER: 135:157672
 TITLE: Cyclic peptide compositions for nasal administration
 INVENTOR(S): Horii, Ikuo; Kobayashi, Kazuko; Shimizu, Nobuo; Yanagawa, Akira
 PATENT ASSIGNEE(S): Basilea Pharmaceutica A.-G., Switz.
 SOURCE: PCT Int. Appl., 117 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001052894	A2	20010726	WO 2001-EP163	20010109
WO 2001052894	A3	20020131		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: OH, OM, OS, PE, PG, PH, PI, PR, PT, RU, SC, SD, SE, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
CA 2396381	A1	20010726	CA 2001-2396381	20010109
EP 1251827	A2	20021030	EP 2001-909587	20010109
EP 1251827	B1	20040526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001007764	A	20021112	BR 2001-7764	20010109
JP 2003535042	T	20031125	JP 2001-552941	20010109
AT 267582	T	20040615	AT 2001-909587	20010109
ES 2220724	T3	20041216	ES 2001-1969587	20010109
US 2001038824	A1	20011108	US 2001-765846	20010119
ZA 2002005240	A	20030929	ZA 2002-5240	20020628
MX 2002PA07052	A	20021213	MX 2002-PA7052	20020718
PRIORITY APPLN. INFO.:			EP 2000-101057	A 20000120
			WO 2001-EP163	M 20010109

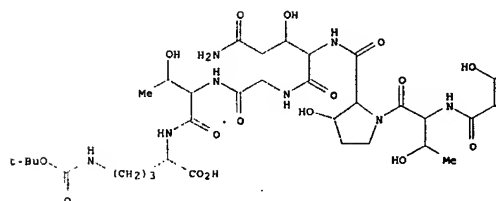
OTHER SOURCE(S): MARPAT 135:157672

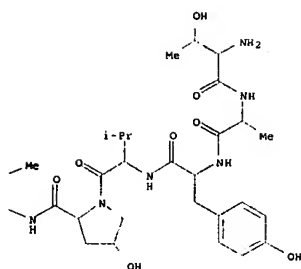
PAGE 2-A



IT 256947-13-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of cyclic peptide compns. for nasal administration)
 RN 256947-13-4 CAPLUS
 CN Ornithine, threonylalaninyltyrosylvalyl-4-hydroxyprolylthreonylthreonyl-3-hydroxyprolyl-3-hydroxyglutamylglycylthreonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (SCI) (CA INDEX NAME)
 Currently available stereo shown.

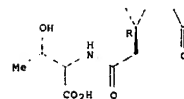
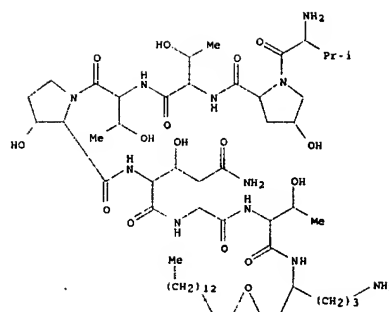
PAGE 1-A





IT 256947-10-1P 256947-11-2P 256947-12-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 RN 256947-10-1 CAPLUS
 CN Threonine, valyl-4-hydroxyprolylthreonylthreonyl-3-hydroxyprolyl-3-
 hydroxyglutaminyglycylthreonylornithyl- (3R)-3-hydroxyhexadecanoyl-,
 mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 256947-07-6
 CMF C59 H104 N12 O21

Absolute stereochemistry.
 Currently available stereo shown.

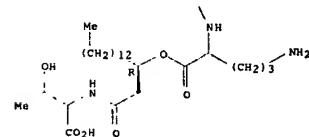
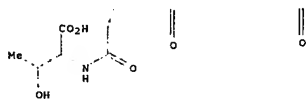
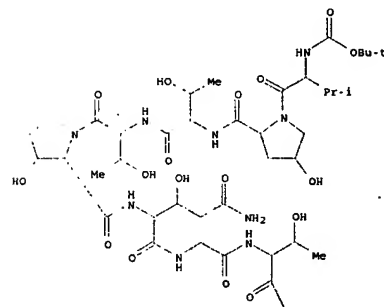
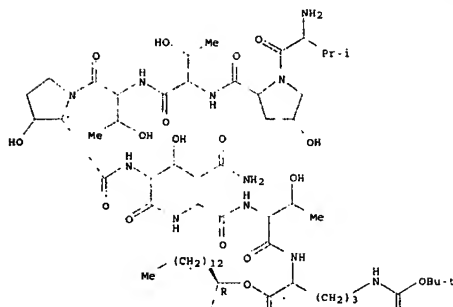


CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



RN 256947-11-2 CAPLUS
 CN Threonine, valyl-4-hydroxyprolylthreonylthreonyl-3-hydroxyprolyl-3-
 hydroxyglutaminyglycylthreonyl-N5-((1,1-dimethylethoxy)carbonyl)ornithyl-
 (3R)-3-hydroxyhexadecanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Currently available stereo shown.



RN 256947-12-3 CAPLUS
 CN Threonine, N-((1,1-dimethylethoxy)carbonyl)valyl-4-
 hydroxyprolylthreonylthreonyl-3-hydroxyprolyl-3-
 hydroxyglutaminyglycylthreonylornithyl- (3R)-3-hydroxyhexadecanoyl- (9CI)
 (CA INDEX NAME)

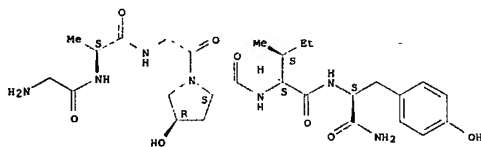
Absolute stereochemistry.
 Currently available stereo shown.

L6 ANSWER 185 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2001:504962 CAPLUS
 DOCUMENT NUMBER: 135:298164
 TITLE: Structure-activity relationships of novel peptides
 related to the antiarrhythmic peptide AAP10 which
 reduce the dispersion of epicardial action potential
 duration
 AUTHOR(S): Grover, R.; Dhein, S.
 CORPORATE SOURCE: Institute of Pharmacology, University of Cologne,
 Cologne, 50931, Germany
 SOURCE: Peptides (New York, NY, United States) (2001), 22(7),
 1011-1021
 CODEN: PPTDD5; ISSN: 0196-9781
 PUBLISHER: Elsevier Science Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB We report the first study on short peptide structure-activity
 relationships (SAR) for the antiarrhythmic peptide AAP10 and its putative
 receptor. Synthetic improvements on the natural antiarrhythmic peptide
 AAPat (H-Gly-Pro-Hyp-Gly-Ala-Gly) isolated from bovine atria led us to

the synthesis of our lead mol. AAP10 (N-Gly-Ala-Gly-Hyp-Pro-Tyr-NH₂) which reduces dispersion of epicardial potential duration and acts antiarrhythmically in isolated rabbit hearts. The aim of our study was to elucidate structure-activity relationships for AAP10 based on Langendorff experiments and mol. modeling. Mutation of the amino acid sequence led to 11 different peptides which were tested analogous to the lead mol. Among these new synthetic peptides various including the cyclopeptide cAAP10R₂, cyclo(CP3C(OH)-Gly-Ala-Gly-Hyp-Pro-Tyr) showed promising activities. (supported by the DFG and Kohn-Portune).

IT 366800-50-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOD (Biological study); USES (Uses)
(structure-activity relationships of novel peptides related to antiarrhythmic peptide AAP10 which reduce dispersion of epicardial action potential duration)
RN 366800-50-2 CAPLUS
CN L-Tyrosinamide, glycyl-L-alanylglycyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl-(9CI) (CA INDEX NAME)

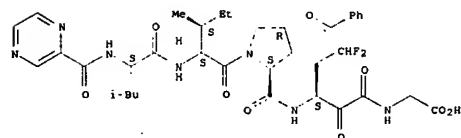
Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

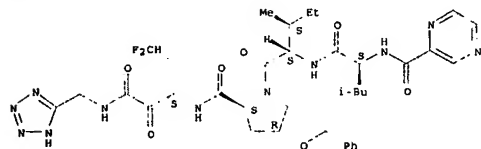
L6 ANSWER 186 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:416971 CAPLUS
DOCUMENT NUMBER: 135:19916
TITLES: Preparation of n-keto amide inhibitors of hepatitis C virus NS3 protease
INVENTOR(S): Han, Wei
PATENT ASSIGNER(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 282 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040242	A1	20010607	WO 2000-US22677	20001201
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2390349	A1	20010607	CA 2000-2390349	20001201
US 2002123468	A1	20020905	US 2000-728653	20001201
US 6774212	B2	20040810		
EP 1252178	A1	20021030	EP 2000-983845	20001201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				



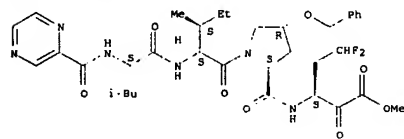
RN 342612-10-6 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-N-[(3S)-1-(2,2-difluoroethyl)-2,3-dioxo-3-[(1H-tetrazol-5-ylmethyl)amino]propyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 342612-11-7 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-N-[(3S)-1-(2,2-difluoroethyl)-3-methoxy-2,3-dioxopropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 342612-12-8 CAPLUS
CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(3-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

JP 2003526634 T 20030909 JP 2001-541017 20001201
PRIORITY APPLN. INFO.: US 1999-168998P P 19991203
WO 2000-US22677 W 20001201

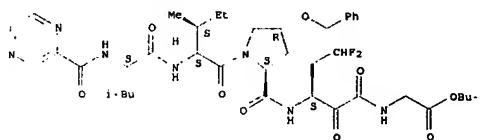
OTHER SOURCE(S): MARPAT 135:19916
AB Keto amide and keto ester compds. R⁹-A⁶-A⁵-A⁴-A³-A²-NHCH₂CH₂COCO-W-O (W = NH or O; O = substituted alkyl, alkenyl, or alkynyl or an amino acid residue; A² is a bond, NHCH₂CO which may be C-substituted, an amino acid residue, or NRCH₂CO, where NRCH₂ represents tetrahydropyrrole-1,2-diyl which may be substituted at the 4- and 5-positions or hexahydroindole-1,2-diyl; A³ or A⁴ is a bond, NHCH₂CO which may be C-substituted, or an amino acid residue; A⁵ or A⁶ is a bond or an amino acid residue; R¹ = H, F, or substituted alkyl, alkenyl, alkynyl, aryl, or cycloalkyl; R² = H, F, alkyl; R⁹ = S(O)R^{9a}, SO₂R^{9a}, C(O)R^{9a}, C(O)OR^{9a}, C(O)NHR^{9a}, alkyl-R^{9a}, alkenyl-R^{9a}, or alkynyl-R^{9a}, where R^{9a} = substituted alkyl, cycloalkyl, aryl, or heterocyclyl or stereoisomeric forms or pharmaceutically acceptable salts were prepared as inhibitors of HCV NS3 protease. Thus, N-(2-pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-3-cyclohexyl-L-alanyl-2-oxo-(3S)-3-aminopentanoylethylglycine was prepared by a multistep sequence which includes peptide coupling reactions in solution compds. of the invention exhibit ki values of 560 μM, thereby confirming their utility as effective NS3 protease inhibitors.

IT 342612-08-2P 342612-09-3P 342612-10-6P
342612-11-7P 342612-12-8P 342612-13-9P
342612-14-0P 342612-15-1P 342612-16-2P
342612-17-3P 342612-18-4P 342612-19-5P
342612-20-8P 342612-21-9P 342612-22-0P
342612-23-1P 342612-24-2P 342612-25-3P
342612-26-4P 342612-27-5P 342612-28-6P
342612-29-7P 342612-34-4P 342612-35-5P
342612-36-6P 342612-37-7P 342612-38-8P
342612-39-9P 342612-40-2P 342612-41-3P
342612-42-4P 342612-43-5P 342612-44-6P
342612-42-5P 342612-43-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOD (Biological study); PREP (Preparation); USES (Uses)
(preparation of n-keto amide inhibitors of hepatitis C virus NS3 protease)

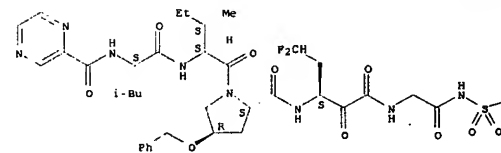
RN 342612-08-2 CAPLUS
CN Glycine, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 342612-09-3 CAPLUS
CN Glycine, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



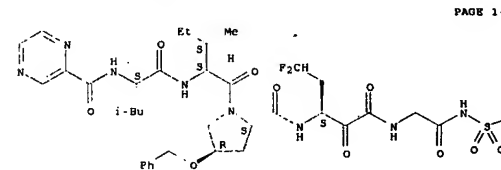
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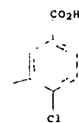


RN 342612-13-9 CAPLUS
CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(3-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



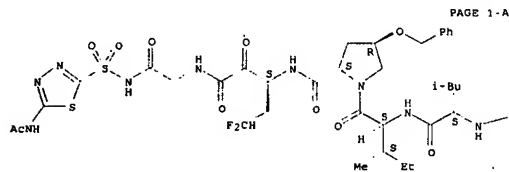
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RN 342612-14-0 CAPLUS
 CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(5-(acetylamino)-1,3,4-thiadiazol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



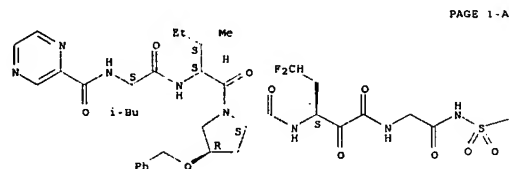
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PAGE 1-B

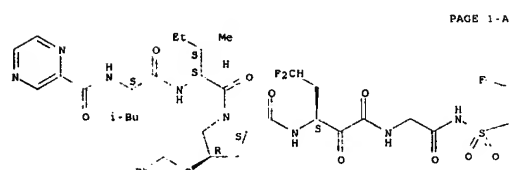


RN 342612-15-1 CAPLUS
 CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(3,5-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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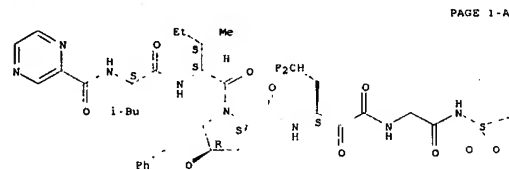
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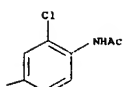
RN 342612-18-4 CAPLUS
 CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(4-(acetylamino)-3-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

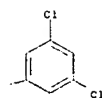


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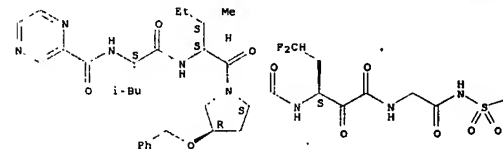


RN 342612-19-5 CAPLUS
 CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(3-chloro-4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



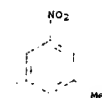
RN 342612-16-2 CAPLUS
 CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(3-methyl-5-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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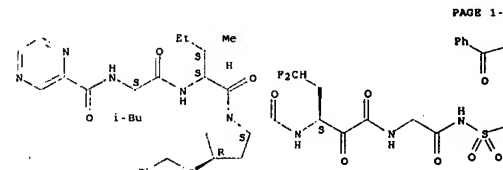


RN 342612-17-3 CAPLUS
 CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(3-carboxy-4-chloro-2-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

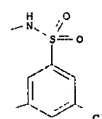
[(benzoylamino)sulfonyl]-5-chlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



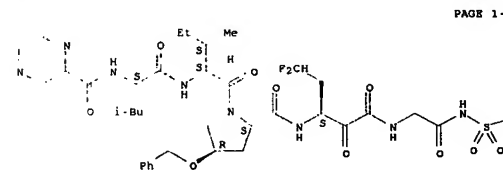
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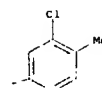
RN 342612-20-8 CAPLUS
 CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(3-chloro-4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



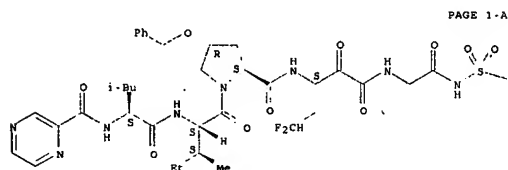
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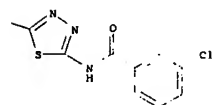
RN 342612-21-9 CAPLUS
 CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(5-[(3-chlorobenzoyl)amino]-1,3,4-thiadiazol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



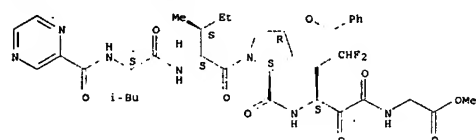
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RN 342612-22-0 CAPLUS
 CN Glycine, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

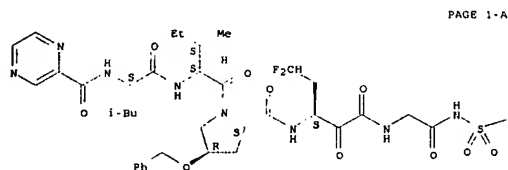


RN 342612-23-1 CAPLUS
 CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(2,4-dichloro-5-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

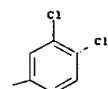
CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(3,4-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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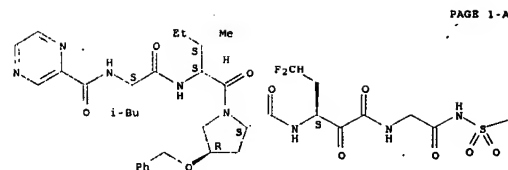
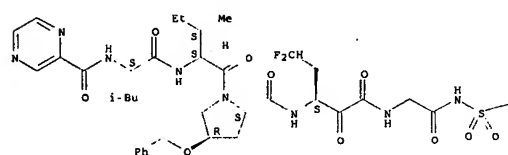
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RN 342612-26-4 CAPLUS
 CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(4-(3,5-dimethyl-1-piperidinyl)-3-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

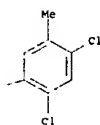
Absolute stereochemistry.

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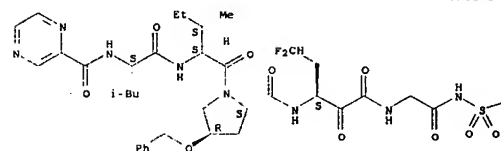
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RN 342612-24-2 CAPLUS
 CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(3,4-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

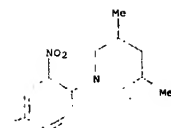
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RN 342612-25-3 CAPLUS

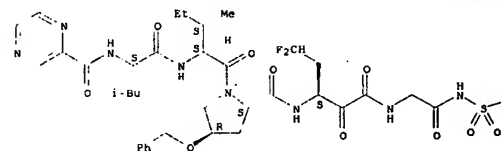


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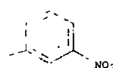
RN 342612-27-5 CAPLUS
 CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(3-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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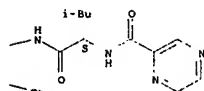
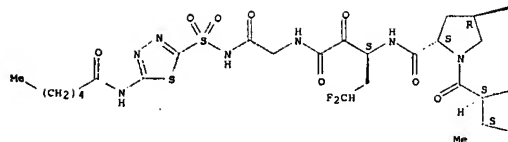


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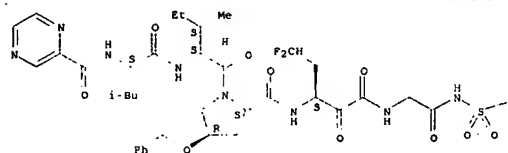
RN 342612-28-6 CAPLUS
 CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(5-oxohexyl)amino]-1,3,4-thiadiazol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

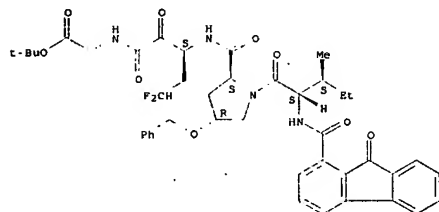


RN 342612-29-7 CAPLUS
CN Glycinamide, N-[(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(5-carboxy-2,4-dichlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

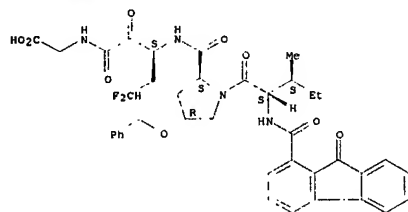


Absolute stereochemistry.



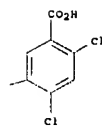
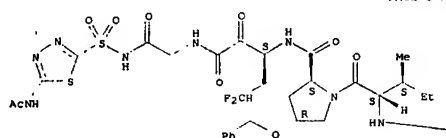
RN 342612-37-7 CAPLUS
CN Glycine, N-[(9-oxo-9H-fluoren-1-yl)carbonyl]-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



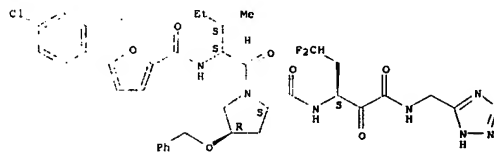
RN 342612-38-6 CAPLUS
CN Glycinamide, N-[(9-oxo-9H-fluoren-1-yl)carbonyl]-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(5-acetylaminol-1,3,4-thiadiazol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



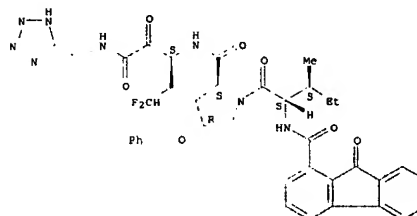
RN 342612-34-4 CAPLUS
CN L-Prolinamide, N-[(5-(4-chlorophenyl)-2-furanylcarbonyl)-L-isoleucyl-N-[(1S)-1-(2,2-difluoroethyl)-2,3-dioxo-3-[(1H-tetrazol-5-ylmethyl)amino]propyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

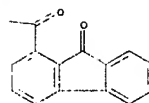


RN 342612-35-5 CAPLUS
CN L-Prolinamide, N-[(9-oxo-9H-fluoren-1-yl)carbonyl]-L-isoleucyl-N-[(1S)-1-(2,2-difluoroethyl)-2,3-dioxo-3-[(1H-tetrazol-5-ylmethyl)amino]propyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

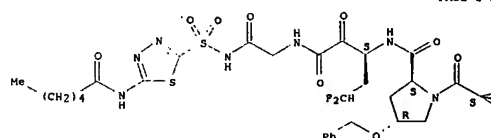


RN 342612-36-6 CAPLUS
CN Glycine, N-[(9-oxo-9H-fluoren-1-yl)carbonyl]-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

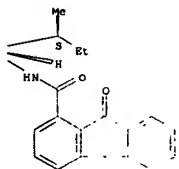


RN 342612-39-9 CAPLUS
CN Glycinamide, N-[(9-oxo-9H-fluoren-1-yl)carbonyl]-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(5-[(1-oxohexyl)amino]-1,3,4-thiadiazol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



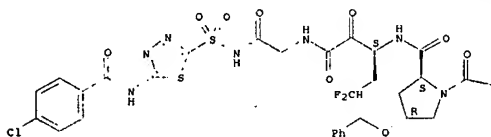
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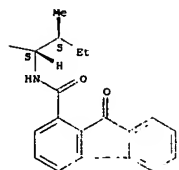
RN 342612-40-2 CAPLUS
CN Glycinamide, N-[(9-oxo-9H-fluoren-1-yl)carbonyl]-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[[5-[(4-chlorobenzoyl)amino]-1,3,4-thiadiazol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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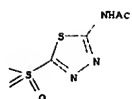


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RN 342612-41-3 CAPLUS

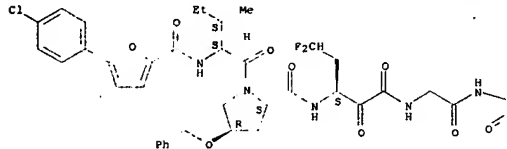
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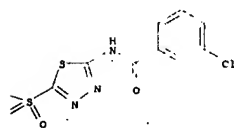
RN 342612-43-5 CAPLUS
CN Glycinamide, N-[[5-(4-chlorophenyl)-2-furanyl]carbonyl]-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[[5-[(3-chlorobenzoyl)amino]-1,3,4-thiadiazol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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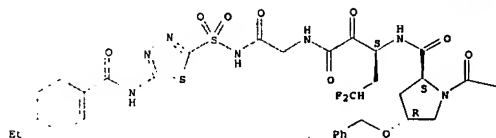
RN 342612-44-6 CAPLUS
CN Glycinamide, N-[[5-(4-chlorophenyl)-2-furanyl]carbonyl]-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[[1,1'-biphenyl]-3-ylsulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

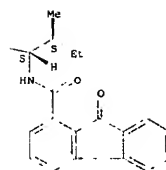
CN Glycinamide, N-[(9-oxo-9H-fluoren-1-yl)carbonyl]-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[[5-[(4-ethylbenzoyl)amino]-1,3,4-thiadiazol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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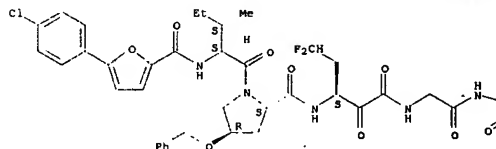
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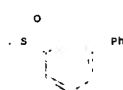
RN 342612-42-4 CAPLUS
CN Glycinamide, N-[[5-(4-chlorophenyl)-2-furanyl]carbonyl]-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[[5-(acetylaminol)-1,3,4-thiadiazol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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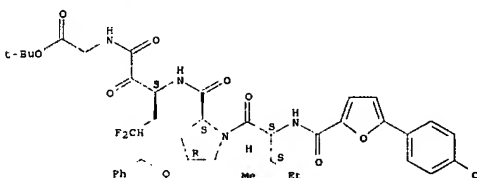


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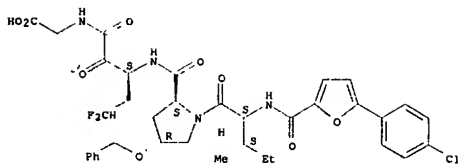
RN 342621-42-5 CAPLUS
CN Glycine, N-[[5-(4-chlorophenyl)-2-furanyl]carbonyl]-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 342621-43-6 CAPLUS
CN Glycine, N-[[5-(4-chlorophenyl)-2-furanyl]carbonyl]-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl- (9CI) (CA INDEX NAME)

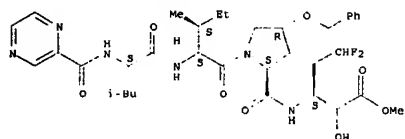
Absolute stereochemistry.



IT 342613-00-7P 342613-01-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of α -keto amide inhibitors of hepatitis C virus NS3 protease)

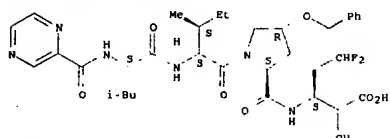
RN 342613-00-7 CAPLUS
 CN L-glycero-Pentonic acid, 3,4,5-trideoxy-5,5-difluoro-3-[[N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolylamino]-, methyl ester, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



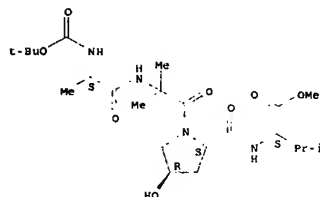
RN 342613-01-8 CAPLUS
 CN L-glycero-Pentonic acid, 3,4,5-trideoxy-5,5-difluoro-3-[[N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-(4R)-4-(phenylmethoxy)-L-prolylamino]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 187 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001:374961 CAPLUS
 DOCUMENT NUMBER: 135:122747
 TITLE: A novel 2H-azirin-3-amine as a dipeptide (Aib-Hyp)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 188 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001:373744 CAPLUS
 DOCUMENT NUMBER: 135:308980
 TITLE: Microbiological and densitometric TLC analyses for peptides in liposomes
 AUTHOR(S): Ricci, M.; Tutobello, L.; Luca, G.; Rossi, C.
 CORPORATE SOURCE: Department of Chimica e Tecnologia del Farmaco, Universita degli Studi, Perugia, 06123, Italy
 SOURCE: Journal of Pharmaceutical and Biomedical Analysis (2001), 25(5-6), 903-912
 CODEN: JPBADA; ISSN: 0731-7085
 PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Quant. determination of Leucinoastatins and/or of similar peptides, such as Peptaibols, is sometimes quite difficult to perform especially when they are entrapped in vectors, i.e. liposomes, whose components display UV absorbances that may obscure those of the active principle. Therefore, in these cases, it is useful to find alternative ways, especially when high pressure liquid chromatog. (HPLC) is difficult to perform or needs long procedure times. In the present paper, the use of microbiol. and densitometric methods for quant. anal. of Leucinoastatin A (Leu-A) are described and the results compared with those from HPLC analyses. The use of microbiol. and densitometric assays, furnished results comparable with those obtained by HPLC. Of the two methods used, the microbiol. procedure appeared to be less accurate and precise.

IT 76600-38-9, Leucinoastatin A 76663-52-0, Leucinoastatin B 100349-85-7, Leucinoastatin P 108426-90-0, Leucinoastatin D 109539-57-3, Leucinoastatin K 109539-58-4, Leucinoastatin H 110483-88-0, Leucinoastatin C
 RL: ANT (Analyte); ANST (Analytical study)
 (microbiol. and densitometric TLC analyses for peptides in liposomes)

RN 76600-38-9 CAPLUS
 CN Leucinoastatin A (9CI) (CA INDEX NAME)

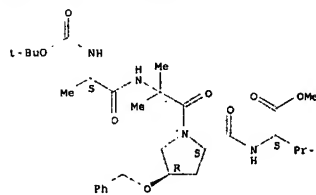
synthon
 AUTHOR(S): Breitenmoser, Roland A.; Hirt, Thomas R.; Luykx, Roeland T. N.; Heimgartner, Heinz
 CORPORATE SOURCE: Organisch-chemisches Institut der Universitat Zurich, Zurich, CH-8057, Switz.
 SOURCE: Helvetica Chimica Acta (2001), 84(4), 972-979
 CODEN: HCACAV; ISSN: 0018-019X
 PUBLISHER: Verlag Helvetica Chimica Acta
 DOCUMENT TYPE: Journal
 LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:122747
 AB The synthesis of Me (2S,4R)-4-(benzyloxy)-N-(2,2-dimethyl-2H-azirin-3-yl)proline (1), a novel 2H-azirin-3-amine ("3-amino-2H-azirine"), is described. The reaction of Me (2S,4R)-N-(2-methylpropanoyl)-4-(benzyloxy)proline with Lawesson reagent gave Me (2S,4R)-4-(benzyloxy)-N-(2-(methylthio)propanoyl)proline and consecutive treatment with COCl₂, 1,4-diazabicyclo[2.2.2]octane (DABCO), and NaN₃ led to 1. The use of 1 as a building block of the dipeptide Aib-Hyp (Aib = 2-aminoisobutyric acid, Hyp = (2S,4R)-4-hydroxyproline) is demonstrated by the synthesis of several model peptides. The benzyl protecting group of the 4-OH function in Hyp in the model peptides has been removed in good yields.

IT 351356-45-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and using of 2H-azirin-3-amine as synthon for peptide synthesis)

RN 351356-45-1 CAPLUS
 CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-2-methylalanyl-(4R)-4-(phenylmethoxy)-L-prolyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

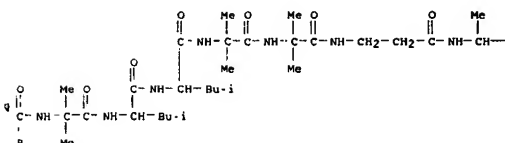
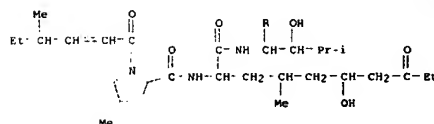


IT 351356-60-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and using of 2H-azirin-3-amine as synthon for peptide synthesis)

RN 351356-60-0 CAPLUS
 CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-, methyl ester (9CI) (CA INDEX NAME)

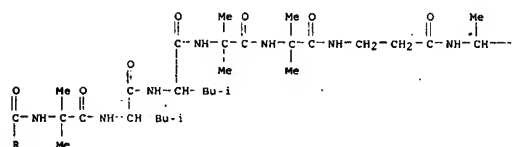
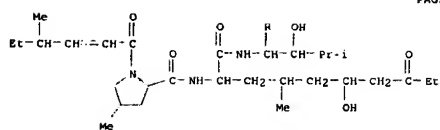
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

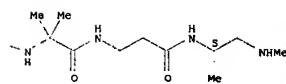
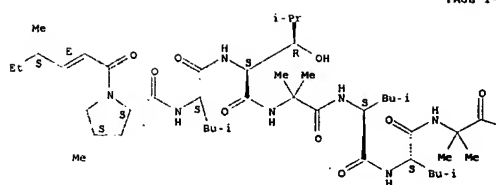
RN 76663-52-0 CAPLUS
 CN Leucinoastatin B (9CI) (CA INDEX NAME)



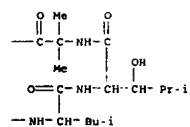
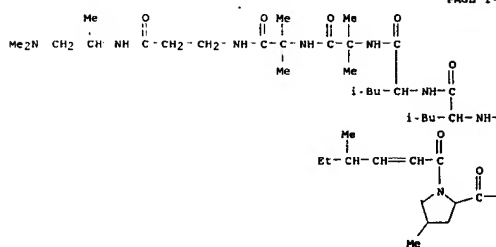
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RN 100349-85-7 CAPLUS
CN Leucinostatin F (9CI) (CA INDEX NAME)

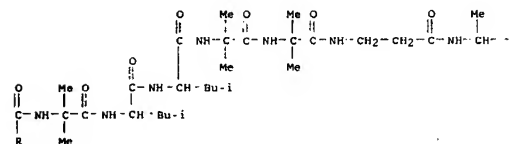
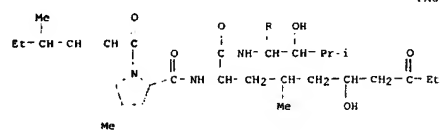
Absolute stereochemistry.
Double bond geometry as shown.



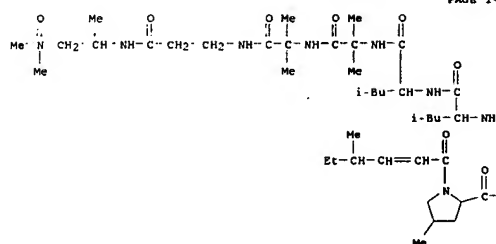
RN 108426-90-0 CAPLUS
CN Leucinostatin D (9CI) (CA INDEX NAME)

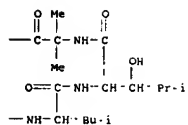


RN 109539-57-3 CAPLUS
CN Leucinostatin K (9CI) (CA INDEX NAME)



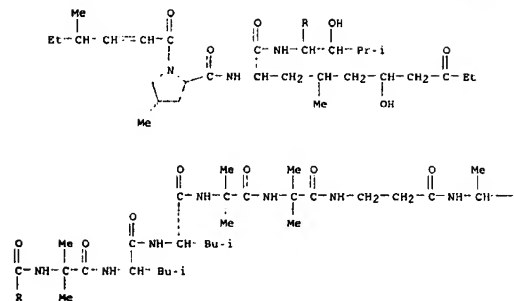
RN 109539-58-4 CAPLUS
CN Leucinostatin H (9CI) (CA INDEX NAME)





RN 110483-98-0 CAPLUS
CN Leucinosatin C (9CI) (CA INDEX NAME)

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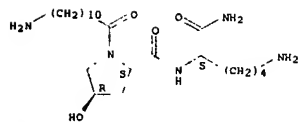


CH2 NH2

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 189 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2001:119344 CAPLUS
DOCUMENT NUMBER: 135:102007
TITLE: A feature based pharmacophore for *Candida albicans* MyristoylCoA: protein N-myristoyltransferase inhibitors
AUTHOR(S): Karki, Rajeshri G.; Kulkarni, Vithal M.
CORPORATE SOURCE: Department of Chemical Technology, Pharmaceutical Division, University of Mumbai, Mumbai, 400019, India
SOURCE: European Journal of Medicinal Chemistry (2001), 36(2), 147-163
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A three-dimensional pharmacophore model has been generated for *Candida albicans* MyristoylCoA: protein N-myristoyltransferase (NMT) inhibitors, using the software program CATALYST. The in vitro NMT inhibitory activity of a series of peptidic inhibitors was used for pharmacophore generation. The effect of altering the control parameters and feature selection was studied to arrive at the pharmacophore model. The selection of the best hypothesis model was based on the total cost, predictive ability, difference in the cost from the null hypothesis and alignment of the training set compounds on to the hypothesis. The pharmacophore model selected has four features: one hydrophobic, two hydrogen bond acceptor and one positive ionizable function. Groups identified as necessary by scanning alanine mutagenesis studies of the peptidic substrate of *C. albicans* NMT, have been identified as pharmacophore features. Comparison of the ligand binding with the enzyme in the crystal structure of NMT and that proposed by the pharmacophore is consistent. The pharmacophore thus generated can be used as a template for designing non-peptidic inhibitors of NMT.
IT 350504-51-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(a feature based pharmacophore for *Candida albicans* myristoylCoA: protein N-myristoyltransferase inhibitors)
RN 350504-51-7 CAPLUS
CN L-lysineamide, (4R)-1-(11-amino-1-oxoundecyl)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

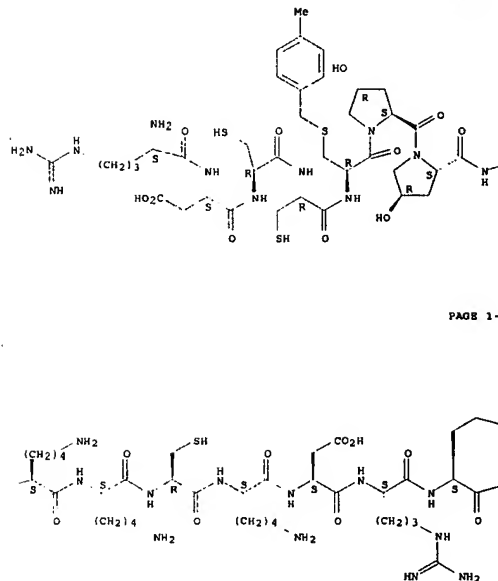


REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

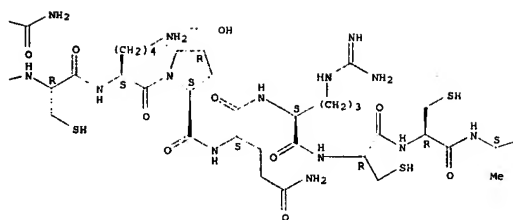
L6 ANSWER 190 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2001:111709 CAPLUS
DOCUMENT NUMBER: 135:46435
TITLE: Syntheses and activity of μ -Conotoxin analogs with a modified amino acid
AUTHOR(S): Nakamura, Mitsuhiro; Ishida, Yukisato; Kohno, Toshiyuki; Sato, Kazuki; Nakamura, Hideshi
CORPORATE SOURCE: Graduate School of Bioagricultural Sciences, Nagoya University, Nagoya, 464-8601, Japan
SOURCE: Peptide Science (2001), Volume Date 2000, 37th, 85-88
CODEN: PSCIFQ; ISSN: 1344-7661
PUBLISHER: Japanese Peptide Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A symposium report of the authors' work. μ -Conotoxin GIIIA preferentially blocks skeletal muscle sodium channels by binding at an outer vestibule of ion channel through both electrostatic and non-electrostatic interaction between pos. charged GIIIA amino acids and neg. charged channel portions. We synthesized analogs of GIIIA in which Thr-5 was replaced with Cys or Lys(biotinyl). The (Cys5) GIIIA analog allowed us to introduce various types of tags into GIIIA for studying the funnel-shaped structure of outer vestibule of muscle skeletal sodium channel. The inhibitory activity of GIIIA was modulated with the introduced tag group, suggesting that the analogs are useful to analyze the pore structure of sodium channels.
IT 344913-25-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of modified μ -conotoxin GIIIA and study of its effects on skeletal muscle sodium channels)
RN 344913-25-3 CAPLUS
CN L-Alaninamide, L-arginyl-L-4-aspartyl-L-cysteinyl-L-cysteinyl-S-[(4-methylphenyl)methyl]-L-cysteinyl-(4R)-4-hydroxy-L-prolyl-(4R)-4-hydroxy-L-prolyl-L-lysyl-L-lysyl-L-cysteinyl-L-lysyl-L-4-aspartyl-L-arginyl-L-glutamyl-L-cysteinyl-L-lysyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-L-arginyl-L-cysteinyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B

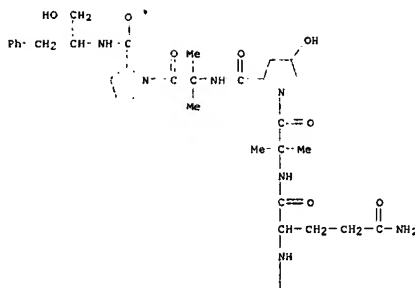
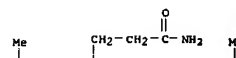


REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 191 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001:293301 CAPLUS
 DOCUMENT NUMBER: 135:72921
 TITLE: Backbone dynamics of the channel-forming antibiotic zervamicin IIB studied by 15N NMR relaxation
 AUTHOR(S): Korzhnev, D. M.; Bocharov, E. V.; Zhuravlyova, A. V.; Orekhov, V. V.; Ovchinnikova, T. V.; Billeter, M.; Arseniev, A. S.
 CORPORATE SOURCE: Shenyakin-Ovchinnikov Institute of Bioorganic Chemistry, ul. Miklukho-Maklaya 16/10, Russian Academy of Sciences, Moscow, 117997, Russia

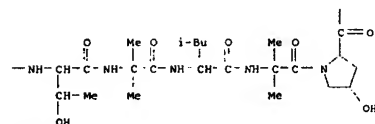
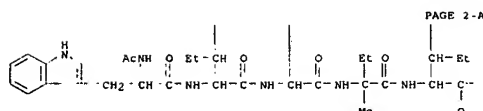
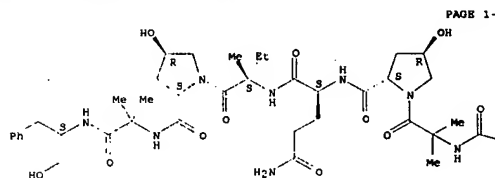
SOURCE: FEBS Letters (2001), 495(1,2), 52-55
 CODEN: FEBLAL, ISSN: 0014-5793
 PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The backbone dynamics of the channel-forming peptide antibiotic zervamicin IIB (Zrv-IIB) in methanol were studied by 15N NMR relaxation measurements at 11.7, 14.1 and 18.8 T magnetic fields. The anisotropic overall rotation of the peptide was characterized based on 15N relaxation data and by hydrodynamic calcs. 'Model-free' anal. of the relaxation data showed that the peptide is fairly rigid on a sub-nanosecond time-scale. The residues from the polar side of Zrv-IIB helix are involved in micro-millisecond time-scale conformational exchange. The conformational exchange observed might indicate intramol. processes or specific intermol. interactions of potential relevance to Zrv-IIB ion channel formation.
 IT 79395-85-0, zervamicin IIB
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)
 (backbone dynamics of channel-forming antibiotic zervamicin IIB studied by 15N NMR relaxation)
 RN 79395-85-0 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)



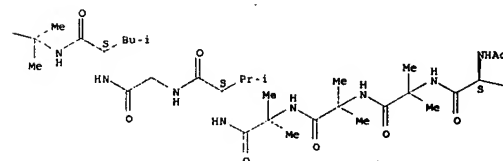
SOURCE: Jena, D-07745, Germany
 ACGC Chemical Research Communications (2000), 11, 45-48
 CODEN: ACRCPA, ISSN: 1020-5586
 PUBLISHER: Asian Coordinating Group for Chemistry
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Application of mass spectrometric methods in the screening for new and recurrent natural products is reported. Comps. either in mixts. or as purified fractions were identified through their pseudomol. ions, diagnostic fragmentations pattern and database. New bioactive peptides such as helioferin (1), lipohexin (2), ampulsporin (3), bergofungin (4) and peptaibolin (5) were discovered in microbial cultures.
 IT 181478-82-0, Bergofungin A
 RL: ANT (Analyte); ANST (Analytical study)
 (advances of screening for natural products using mass spectrometric tools)
 RN 181478-82-0 CAPLUS
 CN Bergofungin A (9CI) (CA INDEX NAME)
 Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 192 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001:267195 CAPLUS
 DOCUMENT NUMBER: 135:223580
 TITLE: Advances of screening for natural products using mass spectrometric tools
 AUTHOR(S): Grafe, Udo J.; Heinze, Stefan; Hulsmann, Heike; Berg, Albrecht; Schlegel, Brigitte
 CORPORATE SOURCE: Hans-Knoll-Institute of Natural Products Research,



Pr-1

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 193 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2001:245446 CAPLUS
 DOCUMENT NUMBER: 134:281143
 TITLE: Preparation of substituted phosphinate based peptide derivatives for treatment of metabolic bone diseases
 INVENTOR(S): Buchardt, Jens; Foged, Niels; Taekker, Meldal, Morten; Delaisse, Jean-Marie; Engsig, Michael; Ferreras, Mercedes; Karstad, Morten; Ovejero, Maria Del Carmen; Schiodt, Christine; Bruun, Winding, Bent
 PATENT ASSIGNEE(S): Osteopro A/S, Den.
 SOURCE: PCT Int. Appl., 149 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
MO 2001025264	A2	20010412	WO 2000-EP9173	20000919
MO 2001025264	A3	20011018		
MO 2001025264	A9	20020919		

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 RW: GH, GM, KE, LS, MW, MY, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CM, GN, GW, ML, MR, NE, SN, TD, TG

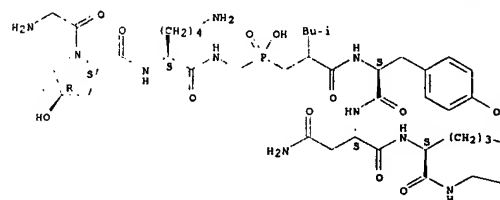
EP 1220871 A2 20020710 EP 2000-967712 20000919
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

PRIORITY APPLN. INPO.: WO 1999-22577 A 19990923
 WO 2000-EP9173 W 20000919
 OTHER SOURCE(S): MARPAT 134:281143
 AB Peptides R₁NHCR₂R₃CONHCR₄P(=O)(Xa)(Xb)CR₅CR₆CR₇CR₈CR₉ [R₁ = H, an amino-protecting group, a group R_a (alkyl, alkenyl, alkynyl, or aryl), R₂R₃R₄R₅R₆R₇R₈R₉ is H, a natural or unnatural α-amino acid or peptide, or a group R_a; R₁C, R₂C, R₃C, R₄C, R₅C, R₆C, R₇C, R₈C, R₉C are H, a side chain of a natural or non-natural amino acid, or a group R_a; R₁CO (R₁ is H or a group R₁), or R₂SO₂, R₃, R₄, R₅, R₆, R₇, R₈, R₉ = H, a group as defined for R₁C, R₂CO (R₂ is aryl, heteroalkylcyl or heteroarom.); R₅ = H or a group R_a; R₆, R₇ = H, alkyl, R₈ = R₃a-Xc, where R₃a is a group R_a, heteroalkylcyl, heteroarom.,

or a group defined for R₂ and Xc is O, S or NH; Xa and Xb are O, S or NH] were prepared for use in the treatment of metabolic bone diseases such as osteoporosis and bone metastasis. Thus, O-adamantyl P-(9-fluorenylmethoxycarbonylaminoethyl)-P-(2-isobutyl-2-carboxyethyl)phosphinate was prepared and used as building block for the solid-phase synthesis of phosphinate-based peptides, e.g., H-Ala-Gly-Pro-Leu-Gly- γ [(POH)-CH₂]-Leu-Tyr-Ala-Arg-Gly-OH. The preparation and screening of a one-bead two-compound solid phase combinatorial library of phosphinic peptides is described.

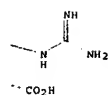
IT 333752-94-6P 333753-01-AP 333753-02-9P
 333753-18-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 [preparation of substituted phosphinate based peptide deriva. for treatment of metabolic bone diseases]
 RN 333752-94-6 CAPLUS
 CN Glycine, glycol-(4R)-4-hydroxy-L-prolyl-L-lysylglycyl- ω [(POH)-CH₂]-leucyl-L-tyrosyl-L-asparagyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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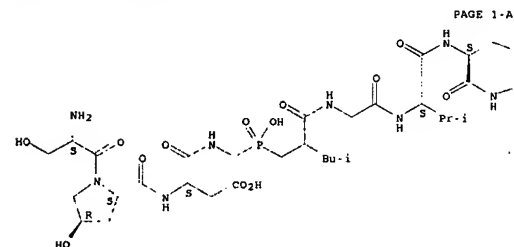
PAGE 1-B



RN 333753-01-8 CAPLUS
 CN Glycine, L-seryl-(4R)-4-hydroxy-L-prolyl-L- α -aspartylglycyl- ω [(POH)-CH₂]-leucylglycyl-L-valyl-L- α -glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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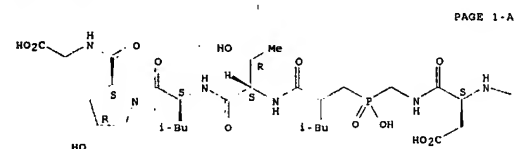
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PAGE 1-B

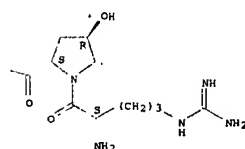


RN 333753-02-9 CAPLUS
 CN Glycine, L-arginyl-(4R)-4-hydroxy-L-prolyl-L- α -aspartylglycyl- ω [(POH)-CH₂]-leucyl-L-threonyl-L-leucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

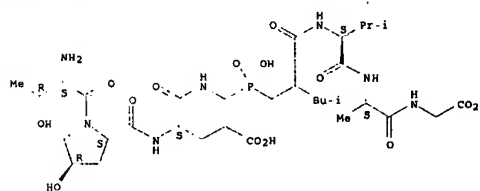


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RN 333753-18-7 CAPLUS
 CN Glycine, L-threonyl-(4R)-4-hydroxy-L-prolyl-L- α -glutamylglycyl- ω [(POH)-CH₂]-leucyl-L-valyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

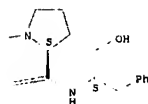


L6 ANSWER 194 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2001:245141 CAPLUS
 DOCUMENT NUMBER: 135:43192
 TITLE: Cephaibols, new peptaibol antibiotics with anthelmintic properties from Acremonium tubakii DSM 12774
 AUTHOR(S): Schiell, Matthias; Hofmann, Joachim; Kurz, Michael; Schmidt, Frank Rainer; Vertesy, Laszlo; Vogel, Martin; Wink, Joachim; Seibert, Gerhard
 CORPORATE SOURCE: Division Lead Generation, Industriepark Hochst, Aventis Pharma Deutschland GmbH, Frankfurt/Main, D-65926, Germany
 SOURCE: Journal of Antibiotics (2001), 54(3), 220-233
 CODEN: JANTAJ; ISSN: 0021-8820
 PUBLISHER: Japan Antibiotics Research Association
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Two groups of new peptaibol-type antibiotics, termed cephaibols, have been isolated from the fungus Acremonium tubakii, DSM 12774. These 16- or 17-unit straight-chain peptides, whose structures were characterized by amino acid analyses, 2-D NMR expts., and by mass spectrometric sequencing, have a high content of the unusual amino acids aminoisobutyric acid and isovaline. The principal constituent of the novel peptaibol mixture is cephaibol A, which is formed in abundance in cultures of the wild strain. The striking biol. property of cephaibol A is its pronounced anthelmintic action and activity against ectoparasites.

IT 280774-61-0P, Cephaibol E 280774-64-3P, Cephaibol D
 304911-38-4P, Cephaibol A 304911-39-5P, Cephaibol B
 304911-40-8P, Cephaibol C 304911-41-9P, Cephaibol P
 344926-93-8P
 RL: BAC (Biological activity or effector, except adverse); BOC (Biological
 Occurrence); BSU (Biological study, unclassified); PRP (Properties); PUR
 (Purification or recovery); BICL (Biological study); OCCU (Occurrence);
 PRSP (Preparation)
 (Cephaibols as new peptaibol antibiotics with antelmintic properties
 from Acremonium tubakii)
 RN 280774-61-0 CAPLUS
 CN L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-
 methylalanyl-2-methylalanylglycyl-L-leucyl-2-methylalanyl-2-methylalanyl-
 (4R)-4-hydroxy-L-prolyl-L-glutamyl-D-isovalyl-(4R)-4-hydroxy-L-prolyl-2-
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 NAME)

Absolute stereochemistry.

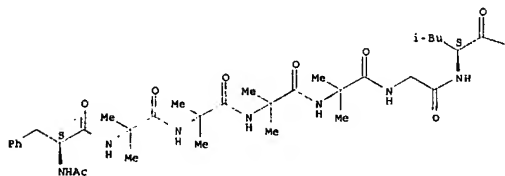
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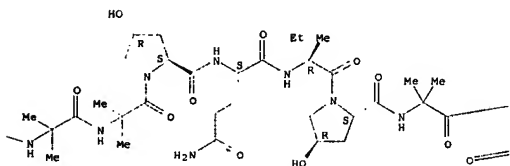
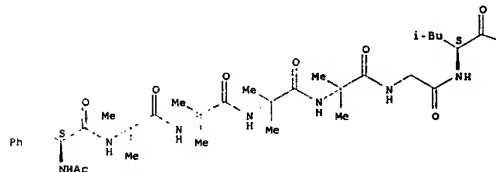
RN 280774-64-3 CAPLUS
 CN L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-
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 (4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-
 prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

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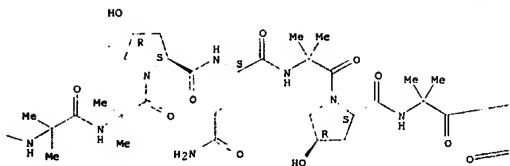


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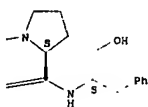
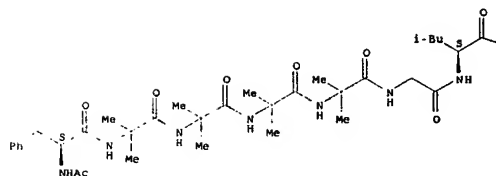


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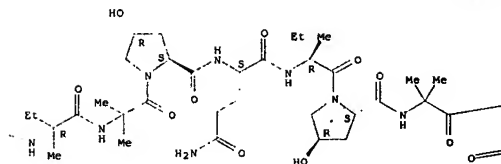
PAGE 1-C



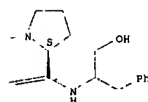
RN 304911-38-4 CAPLUS
 CN L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-
 methylalanyl-2-methylalanylglycyl-L-leucyl-D-isovalyl-2-methylalanyl-(4R)-
 4-hydroxy-L-prolyl-L-glutamyl-D-isovalyl-(4R)-4-hydroxy-L-prolyl-2-
 methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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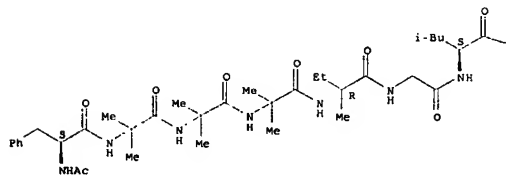
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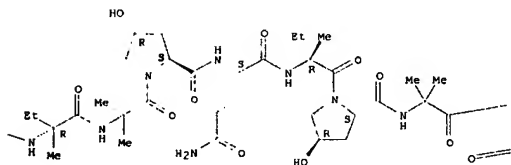
RN 304911-39-5 CAPLUS
 CN L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-
 methylalanyl-D-isovalylglycyl-L-leucyl-D-isovalyl-2-methylalanyl-(4R)-4-

Absolute stereochemistry.

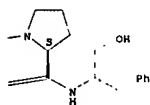
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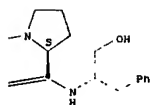
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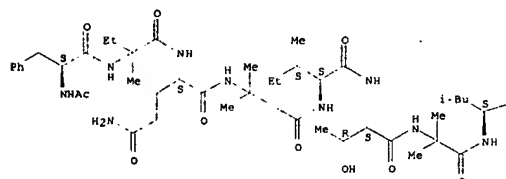


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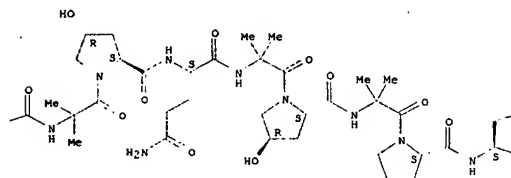


Absolute stereochemistry.
Currently available stereo shown.

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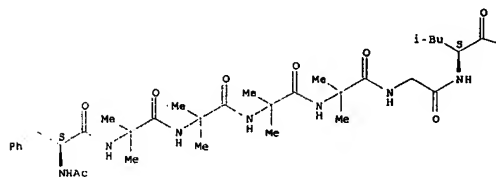


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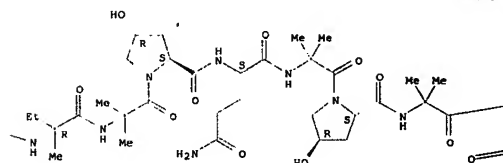


Absolute stereochemistry.

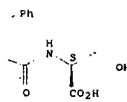
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PAGE 1-B

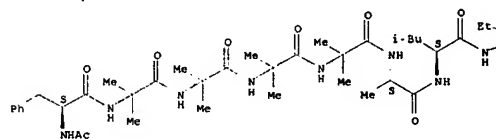


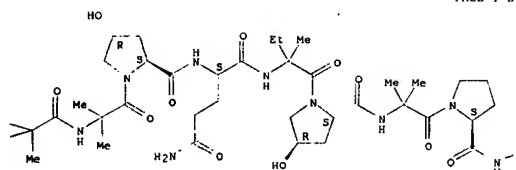
PAGE 1-C



Absolute stereochemistry.
Currently available stereo shown.

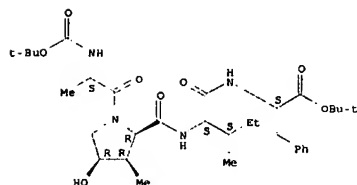
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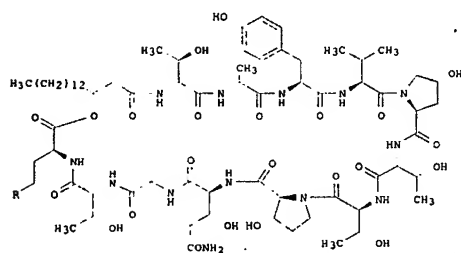
REFERENCES COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 195 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2001:186502 CAPLUS
 DOCUMENT NUMBER: 134:340700
 TITLE: The asymmetric chelate-Claisen rearrangement as a key step in the syntheses of non-proteinogenic amino acids
 AUTHOR(S): Mues, Heike; Kazmaier, Uli
 CORPORATE SOURCE: Organisch-Chemisches Institut der Universität Heidelberg, 69120, Germany
 SOURCE: Synthesis (2001), (3), 487-498
 CODEN: SYNTBP; ISSN: 0039-7881
 PUBLISHER: Georg Thieme Verlag
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 134:340700
 AB Allylic esters of TFA-protected amino acids undergo asym. Claisen rearrangements in the presence of cinchona alkaloids, giving rise to γ,δ -unsatd. amino acids in a highly stereoselective fashion. The products are useful precursors for the short and efficient synthesis of more complex compds. such as substituted 4-hydroxyornithines and iminosugars. Starting from the unsatd. amino acids, iodolactonization, bicyclization and opening of the lactone ring with nucleophiles such as amino acids or peptides provide hydroxyproline derivs. directly incorporated into peptides.
 IT 294846-57-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of nonproteinogenic amino acids via asym. chelate-Claisen



REFERENCES COUNT: 90 THERE ARE 90 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

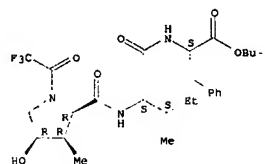
L6 ANSWER 196 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2001:158453 CAPLUS
 DOCUMENT NUMBER: 134:311419
 TITLE: Site-specific structural transformation of the novel antifungal cyclic depsipeptide FR901469: synthesis and biological activity of FR203903
 AUTHOR(S): Tanaka, Akira; Barrett, David; Fujie, Akihiko; Shigematsu, Nobuharu; Hashimoto, Michizane; Hashimoto, Seiji; Ikeda, Fumiaki
 CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Fujisawa Pharmaceutical Co., Ltd., Osaka, 532-8514, Japan
 SOURCE: Journal of Antibiotics (2001), 54(2), 193-197
 CODEN: JANTAJ; ISSN: 0021-8820
 PUBLISHER: Japan Antibiotics Research Association
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 134:311419
 OI



AB The semi-synthesis of FR-203903, I (R = 1-piperazinylcarbonyl, HCl salt).

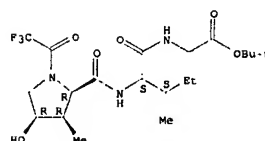
(rearrangement)
 RN 294846-57-4 CAPLUS
 CN L-Phenylalanine, (3R,4R)-4-hydroxy-3-methyl-1-(trifluoroacetyl)-D-prolyl-L-isoleucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 294846-56-3P 294846-58-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of nonproteinogenic amino acids via asym. chelate-Claisen rearrangement)
 RN 294846-56-3 CAPLUS
 CN Glycine, (3R,4R)-4-hydroxy-3-methyl-1-(trifluoroacetyl)-D-prolyl-L-isoleucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

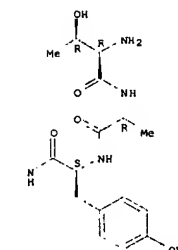
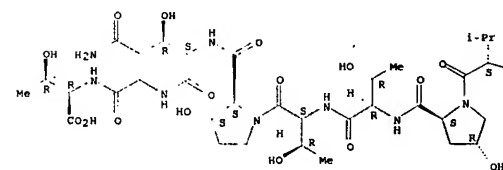


RN 294846-58-5 CAPLUS
 CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-(3R,4R)-4-hydroxy-3-methyl-D-prolyl-L-isoleucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

an ornithine-modified analog of FR-901469, I (R = CH2NH2, HCl salt), is described. The antifungal activities of both FR-203903 and FR-901469 against various clin. isolates of fungi are reported.
 IT 289614-86-4P
 RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and antifungal activity of cyclic depsipeptide FR-203903, an ornithine-modified analog of FR-901469)
 RN 289614-86-4 CAPLUS
 CN D-Allothreonine, D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

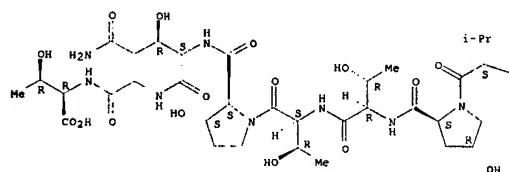


IT 289615-45-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

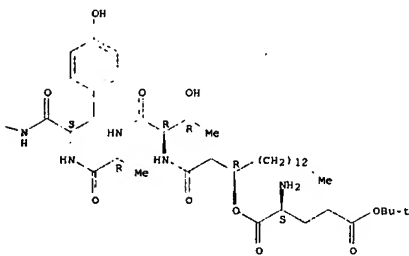
(Reactant or reagent)
 (preparation and antifungal activity of cyclic depsipeptide FR-203903, an ornithine-modified analog of FR-901469)
 RN 289615-45-8 CAPLUS
 CN D-Allothreonine, L- α -glutamyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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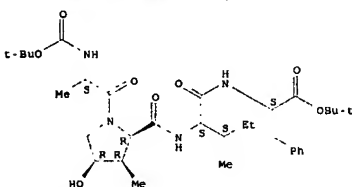


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 197 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001:138697 CAPLUS
 DOCUMENT NUMBER: 134:326729
 TITLE: ester enolate Claisen rearrangements to a variety of non-proteinogenic amino acids
 AUTHOR(S): Mues, R.; Kazmaier, U.

INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 198 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001:85157 CAPLUS
 DOCUMENT NUMBER: 134:266551
 TITLE: An expedient synthesis of the amide analog of the potent antifungal lipopeptidolactone FR901469
 AUTHOR(S): Barrett, D.; Tanaka, A.; Fujie, A.; Shigematsu, N.; Hashimoto, M.; Hashimoto, S.
 CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Fujisawa Pharmaceutical Co. Ltd., Yodogawa-ku, Osaka, 532-8514, Japan
 SOURCE: Tetrahedron Letters (2001), 42(4), 703-705
 CODEN: TETLEY; ISSN: 0040-4039
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 134:266551

AB An expedient synthesis of the lactam analog of the 40-membered lipopeptidolactone antifungal antibiotic FR901469 is described. The key steps in this synthesis are a novel biotransformation of the natural product to produce the highly versatile linear peptide building block and efficient formation of the 40-membered ring by macrolactamization under high-dilution conditions. Novel method to prepare the amide analog from the natural product is described.

IT 289614-86-4P
 RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of amide analog of potent antifungal lipopeptidolactone FR901469)

RN 289614-86-4 CAPLUS
 CN D-Allothreonine, D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3R)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

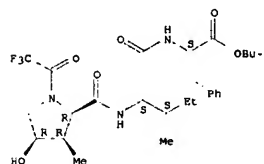
CORPORATE SOURCE: Department of Chemistry, University of Heidelberg, Heidelberg, D-69120, Germany
 SOURCE: Proceedings of ECSOC-3, [and] Proceedings of ECSOC-4, Sept. 1-30, 1999 and 2000 (2000), Meeting Date 1999-2000, 1314-1319. Editor(s): Pombo-Villar, Esteban. Molecular Diversity Preservation International: Basel, Switz.
 CODEN: 69AXZT

DOCUMENT TYPE: Conference; (computer optical disk)
 LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:326729
 AB Electronic conference proceedings. Allylic esters of TFA-protected amino acids undergo asym. Claisen rearrangements in the presence of cinchona alkaloids, giving rise to γ,δ -unsatd. amino acids in a highly stereoselective fashion. The products are useful precursors for the short and efficient synthesis of more complex compds. such as substituted 4-hydroxyprolines, 4-hydroxyornithines and imino sugars.

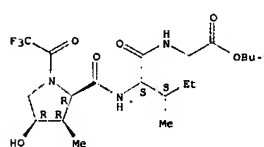
IT 294846-57-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (ester enolate Claisen rearrangements to non-proteinogenic amino acids)
 RN 294846-57-4 CAPLUS
 CN L-Phenylalanine, (3R,4R)-4-hydroxy-3-methyl-1-(trifluoroacetyl)-D-prolyl-L-isoleucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 294846-56-3P 294846-58-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (ester enolate Claisen rearrangements to non-proteinogenic amino acids)
 RN 294846-56-3 CAPLUS
 CN Glycine, (3R,4R)-4-hydroxy-3-methyl-1-(trifluoroacetyl)-D-prolyl-L-isoleucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

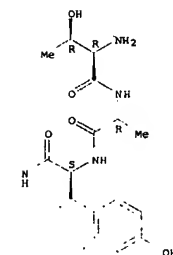
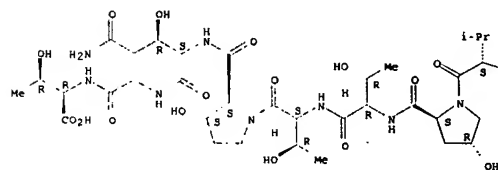
Absolute stereochemistry. Rotation (-).



RN 294846-58-5 CAPLUS
 CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-(3R,4R)-4-hydroxy-3-methyl-D-prolyl-L-isoleucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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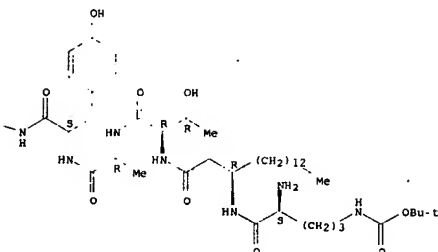
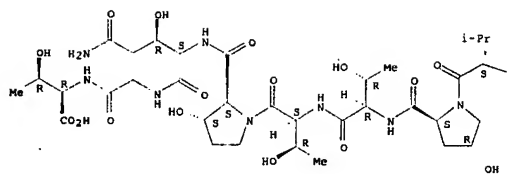
PAGE 1-B



IT 331866-83-2P
 RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of amide analog of potent antifungal lipopeptidolactone FR901469)

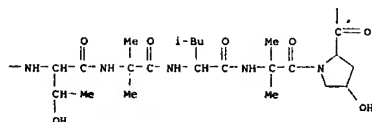
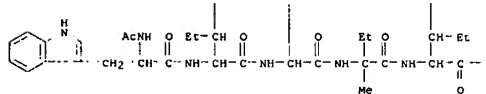
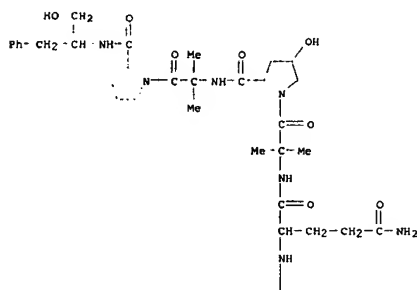
RN 331866-83-2 CAPLUS
 CN D-Allothreonine, N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3R)-3-aminoheptadecanoyl-D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3R)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 199 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001:64642 CAPLUS
 DOCUMENT NUMBER: 134:265183
 TITLE: Cultivation of *Emericellopsis salmosynnemata* mycelium (fungus - producer of the peptide antibiotic zervamicin IIB. Part 1. HPLC analysis of zervamicin
 AUTHOR(S): Rogozhkina, E. A.; Lapshina, M. B.; Eremin, S. V.; Shvets, V. I.; Skladnev, D. A.
 CORPORATE SOURCE: Moscow State Academy of Fine Chemical Technology, Moscow, Russia
 SOURCE: Pharmaceutical Chemistry Journal (Translation of Khimiko-Farmatsevticheskii Zhurnal) (2000), 34(6), 332-335
 CODEN: PCJOAU; ISSN: 0091-150X
 PUBLISHER: Consultants Bureau
 DOCUMENT TYPE: Journal



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 200 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001:64638 CAPLUS
 DOCUMENT NUMBER: 134:279614
 TITLE: Biotechnological synthesis of 2H- and 15N-labeled zervamicin IIB from *Emericellopsis salmosynnemata*
 AUTHOR(S): Rogozhkina, E. A.; Lapshina, M. B.; Eremin, S. V.; Shveta, V. I.; Skladnev, D. A.; Raap, J.
 CORPORATE SOURCE: Moscow State Academy of Fine Chemical Technology,

LANGUAGE: English

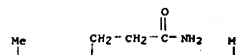
AB Conditions for extraction and HPLC anal. of zervamicin IIB produced during *Emericellopsis salmosynnemata* fermns. was studied. Zervamicin IIB was extracted from *Emericellopsis salmosynnemata* mycelia with a water/chloroform/methanol mixture. The extracted zervamicin IIB was partitioned into the lower phase and then dissolved into the HPLC solvent phase. Zervamicin IIB was then determined using reverse phase HPLC on a Separon SGX CN column with an acetonitrile/ aqueous ammonium acetate / isopropanol eluent. The optimized methods permitted monitoring of zervamicin IIB production during the course of a 11 day fermentation
 IT 79395-85-0P. Zervamicin IIB
 RL: AMT (Analyte); BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation)
 (HPLC anal. of zervamicin IIB produced by *Emericellopsis salmosynnemata*)
 RN 79395-85-0 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutaminy-L-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutaminy-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

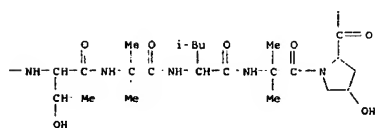
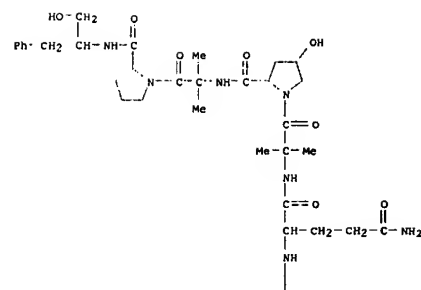
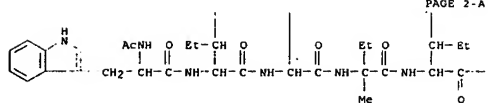
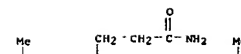
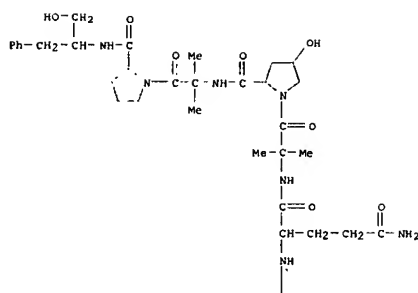
SOURCE: Moscow, Russia
 Pharmaceutical Chemistry Journal (Translation of Khimiko-Farmatsevticheskii Zhurnal) (2000), 34(6), 318-321
 CODEN: PCJOAU; ISSN: 0091-150X
 PUBLISHER: Consultants Bureau
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB A method was devised to prepare stable isotope labeled zervamicin IIB from *Emericellopsis salmosynnemata*. *Methylobacillus flagellatus* was cultivated in media with high levels of 15N labeled ammonium chloride or deuterated salts and methanol. The *Methylobacillus* biomass was then autolyzed and used in the culture medium as a substitute for bacto-peptone and yeast ext for the growth of *Emericellopsis salmosynnemata*. Growth of *E. salmosynnemata* on the deuterated medium produced 9.1 g/L biomass which contained 1.2 g/g dry cell mass deuterated zervamicin IIB. Growth on 15N labeled media produced 11 g/L cell mass and 3.7 mg of 15N labeled zervamicin IIB. An optimized method for zervamicin isolation was also reported.

IT 79395-85-0P. Zervamicin IIB 79395-86-1P. Zervamicin IIA
 RL: BPN (Biosynthetic preparation); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
 (biotechnol. synthesis of 2H- and 15N-labeled zervamicin IIB from *Emericellopsis salmosynnemata*)

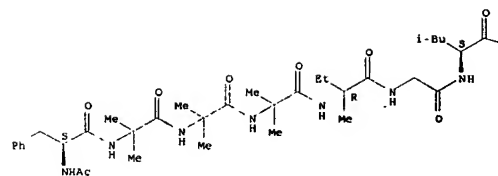
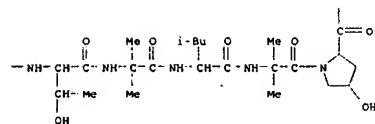
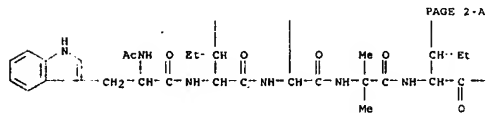
RN 79395-85-0 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutaminy-L-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutaminy-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)





RN 79395-86-1 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-1(1S)-1-(hydroxymethyl)-2-phenylethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



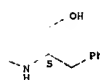
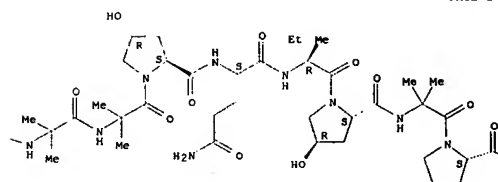
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 201 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001:15507 CAPLUS
 DOCUMENT NUMBER: 134:202460
 TITLE: Antimalarial activities of peptide antibiotics isolated from fungi
 AUTHOR(S): Nagaraj, G.; Uma, M. V.; Shivayogi, M. S.; Balaram, Hemalatha
 CORPORATE SOURCE: Molecular Biology and Genetics Unit, Jawaharlal Nehru Centre for Advanced Scientific Research, Bangalore, 560 064, India
 SOURCE: Antimicrobial Agents and Chemotherapy (2001), 45(1), 145-149
 CODEN: AMACQ; ISSN: 0066-4804
 PUBLISHER: American Society for Microbiology
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Malaria caused by Plasmodium falciparum is a major public health problem in the developing countries of the world. Clin. treatment of malaria has become complicated due to the occurrence of infections caused by drug resistant parasites. Secondary metabolites from fungi are an attractive source of chemotherapeutic agents. This work reports the isolation and in vitro antiparasitic activities of peptide antibiotics of fungal origin. The three peptide antibiotics used in this study were efrapeptins, zervamicins, and antiameobin. The high-performance liquid chromatography-purified peptides were characterized by NMR and mass spectral anal. All three fungal peptides kill P. falciparum in culture with 50% inhibitory concns. in the micromolar range. A possible mode of action of these peptide antibiotics on P. falciparum is presented.

IT 64347-37-1P, Antiameobin I
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antimalarial activities of peptide antibiotics isolated from fungi)
 RN 64347-37-1 CAPLUS
 CN Antiameobin I (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 202 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2000:871424 CAPLUS
DOCUMENT NUMBER: 134:163314
TITLE: Chemical synthesis of 15N-labeled analogs of zervamicin IIB
AUTHOR(S): Rimawi, W. H.; Ogrel, An. A.; Raap, J.; Shvets, V. I.
CORPORATE SOURCE: Lomonosov State Academy of Fine Chemical Technology,
Moscow, 117571, Russia
SOURCE: Russian Journal of Bioorganic Chemistry (Translation
of Biorganicheskaya Khimiya) (2000), 26(11), 725-733
CODEN: RJBCCT; ISSN: 1068-1620
PUBLISHER: MAIK Nauka/Interperiodica
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:163314

AB Analogs of 16-membered peptide antibiotic zervamicin IIB with the Glu3 and
Gln11 residues 15N-labeled at the C α -atoms were synthesized by
coupling the antibiotic segments (1-4), (5-9), and (10-16). In turn,
these were prepared by a stepwise chain elongation in solution starting from
their C-termini using benzotriazol-1-yloxy-tris(dimethylamino)phosphonium
hexafluorophosphate (BOP) as an activating agent. The sterically hindered
2-aminoisobutyric acid was introduced by the BOP-dimethylaminopyridine
system with the preactivation of the carboxyl component. The segment
condensation was performed with the use of the 6-
trifluoromethylbenzotriazol-1-yloxy-tris(pyrrolidino)phosphonium
hexafluorophosphate activating reagent. The homogeneity of the resulting
zervamicin analogs was confirmed by HPLC, and their structures were proved
by NMR spectroscopy and FAB mass spectrometry.

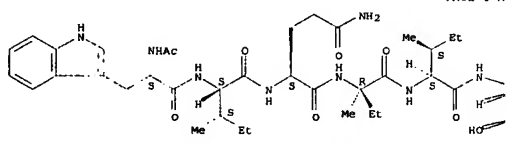
IT 213247-23-5P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 15N-labeled analogs of zervamicin IIB)

RN 213247-23-5 CAPLUS

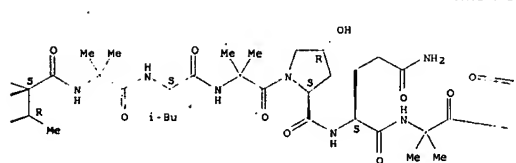
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-D-isovalyl-L-
isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-
L-prolyl-L-glutamyl-N2-15N-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-
methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

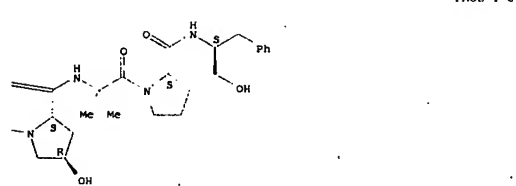
PAGE 1-A



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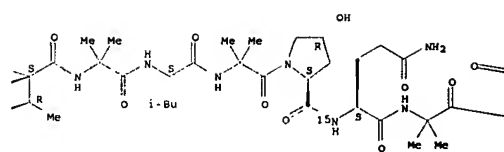


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

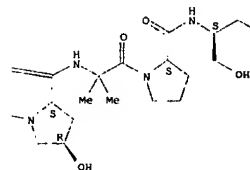
L6 ANSWER 203 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2000:839438 CAPLUS
DOCUMENT NUMBER: 134:128050
TITLE: Transferred 13C T1 Relaxation at Natural Isotopic
Abundance: A Practical Method for Determining
Site-Specific Changes in Ligand Flexibility upon
Binding to a Macromolecule
AUTHOR(S): LaPlante, Steven R.; Aubry, Norman; Deziel, Robert;
Ni, Feng; Xu, Ping
CORPORATE SOURCE: Research and Development, Boehringer Ingelheim
(Canada) Ltd., Laval, QC, H7S 2G5, Can.
SOURCE: Journal of the American Chemical Society (2000),
122(50), 12530-12535
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An NMR strategy is described for measuring changes in 13C spin-lattice
relaxation times (T1) of ligand mols., at natural isotopic abundance, upon
binding to macromols. of potentially unlimited size. The rapidly
reversible binding nature of a substrate-based inhibitor (BILN127SE, Ki =
5.4 μ M) with the NS3 protease domain of the hepatitis C virus has been
well documented and has served as an appropriate system for testing the
transferred 13C T1 concept. 13C T1 relaxation, which is sensitive to
motions that occur on the pico- to nanosecond time scale, were first
measured for free BILN127SE. Upon addition of the protease at a 25:1

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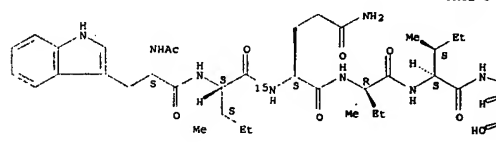
IT 324764-21-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 15N-labeled analogs of zervamicin IIB)

RN 324764-21-8 CAPLUS

CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-N2-15N-D-
isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-
(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-
prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



inhibitor-to-protease ratio, differential changes in the 13C T1 relaxation
times of BILN127SE were observed. The equilibrium binding nature of the
complex.

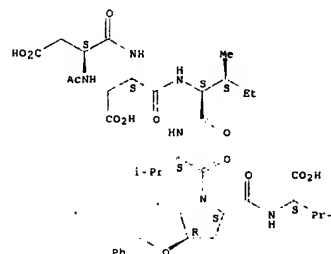
results in a transfer of T1 relaxation information of the ligand from the
bound to the free state where it is more easily detected. The relative
changes in 13C T1 relaxation provides a qual. insight into the
site-specific changes in ligand immobilization upon binding to the
protease. Comparisons of this dynamics information are made with
structural data deduced from 1H NOESY, line-broadening, J-coupling, and
ROESY expts. The combination of dynamics and structural information
should provide medicinal chemists with further opportunities to design
more potent, chemical rigidified inhibitors.

IT 220425-44-5, BILN 127SE
RL: ANT (Analyte); BPR (Biological process); BSU (Biological study,
unclassified); PRP (Properties); ANST (Analytical study); BIOL (Biological
study); PROC (Process)
(transferred 13C T1 relaxation at natural isotopic abundance as a
practical method for determining site-specific changes in ligand flexibility
upon binding to a macromol.)

RN 220425-44-5 CAPLUS

CN L-Norvaline, N-acetyl-L- α -aspartyl-L- α -isoleucyl-L-
valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 204 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2000:785816 CAPLUS
DOCUMENT NUMBER: 133:349221
TITLE: Cephalobols, new antiparasitics from Acremonium
tubakii, procedures for its production and use
Verhey, Leslie; Kurt, Michael; Schiell, Matthias;
Hofmann, Joachim
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: Ger. Offen., 40 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

DE 19920816 A1 20001109 DE 1999-19920816 19990505
 WO 2000068256 A1 20001116 WO 2000-EP3894 20000429

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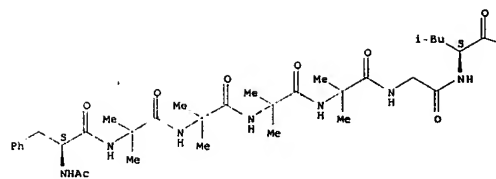
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 ES 2241612 T3 20051101 ES 2000-936703 20000429
 US 5582949 B1 20030624 US 2000-563505 20000503
 US 2003203848 A1 20031030 US 2003-411144 20030411
 US 7067112 B2 20060627

PRIORITY APPLN. INFO.: DE 1999-19920816 A 19990505
 WO 2000-EP3894 W 20000429
 US 2000-563505 A3 20000503

PAGE 1-A



OTHER SOURCE(S): MARPAT 133,349221

AB The invention concerns connections of the general formula
 AcPhe-Aib-Aib-x-Gly-Leu-y-Aib-Hyp-Gln-z-Hyp-Aib-Pro-R (I), in which R
 is Pheol or Pheal and x, y, and z may be the following: (1) x is Aib and y
 and z are Iva; (2) x, y and z are Iva; (3) x and z are Aib and y is Iva;
 (4) x, y and z are Aib; or (5) x and y are Aib and z is Iva; or the
 general formula AcPhe-Iva-Gln-Aib-Thr-Aib-Leu-Aib-x-Gln-Aib-Hyp-Aib-
 Pro-Phe-Ser (II), in which x is Hyp or Pro, which is synthesized by A.
 tubakii PH 1685 DSM 12774 during the fermentation and secreted into the culture
 medium. The invention also concerns a procedure for the production of the
 cephalobols by fermentation of A. tubakii PH 1685 DSM 12774, isolation of the
 cephalobols from the culture medium and their purification, as well as their use
 as pharmacol. active active substances, in particular against parasites.

IT 280774-61-OP, Cephalobol E 280774-64-3P, Cephalobol D
 304911-38-4P, Cephalobol A 304911-39-5P, Cephalobol B
 304911-40-8P, Cephalobol C 304911-41-9P, Cephalobol P

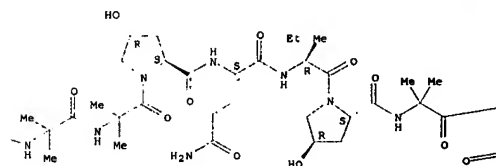
RL: AGR (Agricultural use); BNP (Bioindustrial manufacture); BPN
 (Biosynthetic preparation); PRP (Properties); PUR (Purification or
 recovery); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(Cephalobols are new antiparasitics from Acremonium tubakii)

RN 280774-61-0 CAPLUS

CN L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-
 methylalanyl-2-methylalanylglycyl-L-leucyl-2-methylalanyl-2-methylalanyl-
 (4R)-4-hydroxy-L-prolyl-L-glutaminy-D-isovalyl- (4R)-4-hydroxy-L-prolyl-2-
 methylalanyl-N-[1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX
 NAME)

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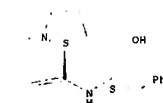
RN 280774-64-3 CAPLUS
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 methylalanyl-2-methylalanylglycyl-L-leucyl-2-methylalanyl-2-methylalanyl-

(4R)-4-hydroxy-L-prolyl-L-glutaminy-2-methylalanyl- (4R)-4-hydroxy-L-
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 INDEX NAME)

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Absolute stereochemistry.

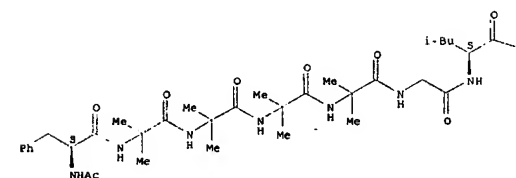
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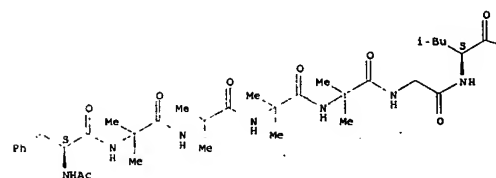
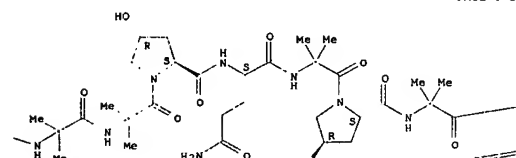
RN 304911-38-4 CAPLUS
 CN L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-
 methylalanyl-2-methylalanylglycyl-L-leucyl-D-isovalyl-2-methylalanyl- (4R)-
 4-hydroxy-L-prolyl-L-glutaminy-D-isovalyl- (4R)-4-hydroxy-L-prolyl-2-
 methylalanyl-N-[1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

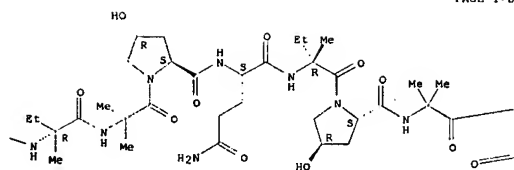
Absolute stereochemistry.

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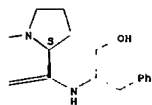
PAGE 1-B





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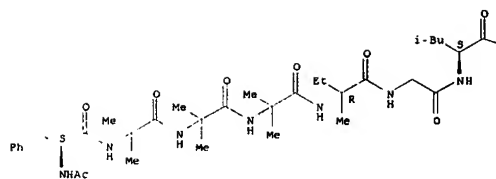
PAGE 1-C



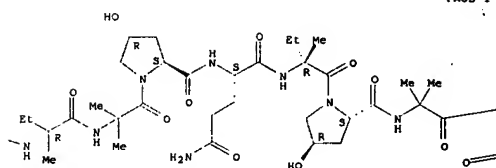
RN 304911-39-5 CAPLUS

CN L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-D-isovalylglycyl-L-leucyl-D-isovalyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-D-isovalyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

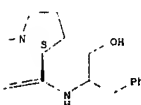
Absolute stereochemistry.



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RN 304911-40-8 CAPLUS

CN L-Prolinamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanylglycyl-L-leucyl-D-isovalyl-2-methylalanyl-(4R)-

4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

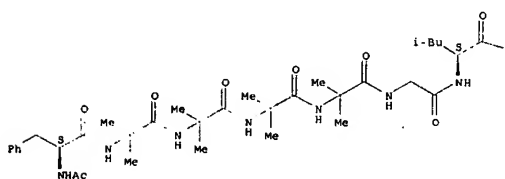
PAGE 1-A

RN 304911-41-9 CAPLUS

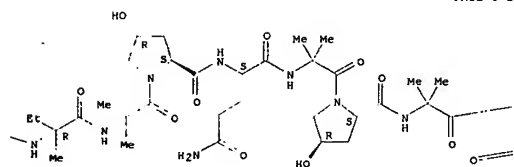
CN L-Serine, N-acetyl-L-phenylalanylisovalyl-L-glutamyl-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-L-prolyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.

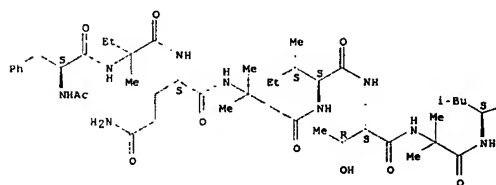
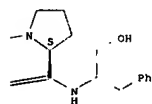
PAGE 1-A



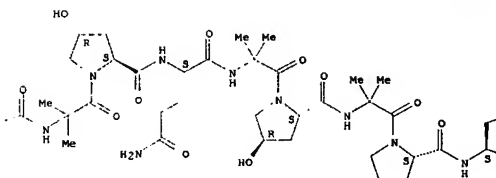
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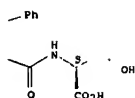


PAGE 1-C



PAGE 1-B



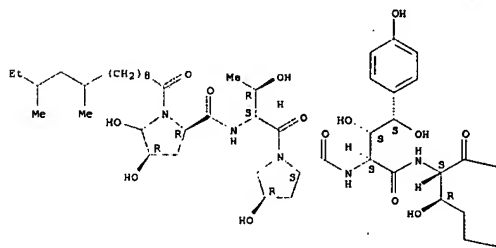


L6 ANSWER 205 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2000:757621 CAPLUS
 DOCUMENT NUMBER: 134:59956
 TITLE: Metabolites of caspofungin acetate, a potent antifungal agent, in human plasma and urine
 AUTHOR(S): Balani, Suresh K.; Xu, Xin; Arison, Byron H.; Silva, Maria V.; Gries, Amy; DeLuna, Florencia A.; Cui, Donghui; Kari, Prasad H.; Ly, Trung; Hop, Cornelis E. C. A.; Singh, Rominder; Wallace, Michael A.; Dean, Dennis C.; Lin, Jiunn H.; Pearson, Paul G.; Baillie, Thomas A.
 CORPORATE SOURCE: Department of Drug Metabolism, Merck Research Laboratories, West Point, PA, USA
 SOURCE: Drug Metabolism and Disposition (2000). 28(11), 1274-1278
 CODEN: DMDSDI; ISSN: 0090-9556
 PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Caspofungin acetate (MK-0991) is a semisynthetic pneumocandin derivative being developed as a parenteral antifungal agent with broad-spectrum activity against systemic infections such as those caused by *Candida* and *Aspergillus* species. Following a 1-h i.v. infusion of 70 mg of [3H]MK-0991 to healthy subjects, excretion of drug-related material was very slow, such that 41 and 35% of the dosed radioactivity was recovered in urine and feces, resp., over 27 days. Plasma and urine samples collected around 24 h postdose contained predominantly unchanged MK-0991, together with trace amts. of a peptide hydrolysis product, M0, a linear peptide. However, at later sampling times, M0 proved to be the major circulating component, whereas corresponding urine specimens contained mainly the hydrolytic metabolites M1 and M2, together with M0 and unchanged MK-0991, whose cumulative urinary excretion over the first 16 days postdose represented 13, 71, 1, and 9%, resp., of the urinary radioactivity. The major metabolite, M2, was highly polar and extremely unstable under acidic conditions when it was converted to a less polar product identified as N-acetyl-4-(S)-hydroxy-4-(4-hydroxyphenyl)-L-threonine γ -lactone. Derivatization of M2 in aqueous media led to its identification as the corresponding γ -hydroxy acid, N-acetyl-4-(S)-hydroxy-4-(4-hydroxyphenyl)-L-threonine. Metabolite M1, which was extremely polar, eluting from HPLC column just after the void volume, was identified by chemical derivatization as des-acetyl-M2. Thus, the major urinary and plasma metabolites of MK-0991 resulted from peptide hydrolysis and/or N-acetylation.
 IT 314080-31-4

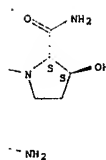
RL: BPR (Biological process); BSU (Biological study, unclassified); KPM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative); PROC (Process)
 (metabolites of caspofungin acetate, a potent antifungal agent, in human plasma and urine)
 RN 314080-31-4 CAPLUS
 CN L-Prolinamide, (4R)-1-(10,12-dimethyl-1-oxotetradecyl)-4,5-dihydroxy-D-prolyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-(4S)-4-hydroxy-4-(4-hydroxyphenyl)-L-threonyl-(3R)-3-hydroxy-L-ornithyl-3-hydroxy-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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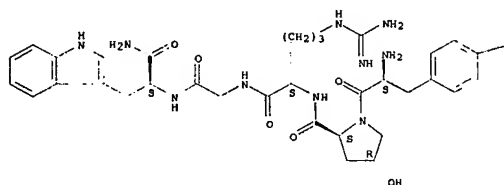
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 206 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2000:753495 CAPLUS
 DOCUMENT NUMBER: 134:320735
 TITLE: Cluster analysis of clinical data to identify subtypes within a study population following treatment with a new pentapeptide antidepressant
 AUTHOR(S): Feighner, John P.; Sverdlov, Lev; Nicolau, Gabriela; Noble, John P.
 CORPORATE SOURCE: Immapharma, Inc., Suffern, NY, 10901, USA
 SOURCE: International Journal of Neuropsychopharmacology (2000). 3(3), 237-242
 CODEN: IJNUPB; ISSN: 1461-1457
 PUBLISHER: Cambridge University Press
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Cluster anal. was used to evaluate the data from a placebo-controlled, double-blind clin. trial with a new pentapeptide antidepressant (INN 00835) in major depression. The objective of this paper is to examine the effect of separating the study population into homogeneous subgroups (clusters) with relatively similar response to treatment within subgroups, and significantly different response between subgroups. The list of variables for cluster anal. was selected only from the efficacy parameters investigated in the study. Three to six clusters were modelled to obtain the optimal number of clusters, based on a proportional contribution of subjects per cluster, and the maximum statistical difference between clusters. After separation, the variability of response among drug-treated subjects by cluster was attributed to plasma drug concentration. Platelet serotonin uptake, which is a putative biochem. marker of effective treatment of depression, also reproduced the same effect of separation as the initially established cluster variables.
 IT 204992-09-6, INN 00835
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
 (cluster anal. of new pentapeptide antidepressant INN 00835 in humans with major depression)
 RN 204992-09-6 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 173240-15-8
 CMP C33 H43 F N10 O6

Absolute stereochemistry. Rotation (-).

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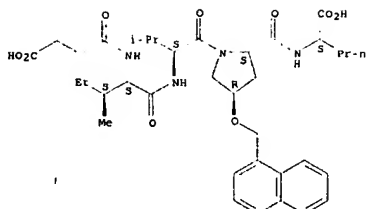


CM 2
 CRN 76-05-1
 CMP C2 H F3 O2

F
 F C CO2H
 F

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 207 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2000:719694 CAPLUS
 DOCUMENT NUMBER: 134:65833
 TITLE: NMR line-broadening and transferred NOESY as a medicinal chemistry tool for studying inhibitors of the hepatitis C virus NS3 protease domain
 AUTHOR(S): LaPlante, S. R.; Aubry, N.; Bonneau, P. R.; Kukolj, G.; Lamarre, D.; Lefebvre, S.; Li, H.; Llinas-Brunet, M.; Plouffe, C.; Cameron, D. R.
 CORPORATE SOURCE: Departments of Chemistry and Biological Sciences, Boehringer Ingelheim (Canada) Ltd, Laval, QC, H7S 2G5, Can.
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2000). 10(20), 2271-2274
 CODEN: BMCLB8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB This work describes the use of NMR as a medicinal chemical tool for better understanding the binding characteristics of inhibitors of the HCV NS3 protease. The protease-bound structure of a tetrapeptide-like inhibitor that has an acid C-terminus, a norvaline at P1 and a naphthylmethoxy proline at P2 is described. Conformational comparisons are made with a similar compound having a 1-amino-cyclopropylcarboxylic acid at P1 and with a hexapeptide inhibitor. Differences between the free and bound states are identified. 19F NMR also helped in determining that a single complex is observed when an inhibitor is added to the protease at a 1:1 ratio.
 IT 220425-64-9
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (NMR line-broadening and transferred NOESY as a medicinal chemical tool for studying inhibitors of hepatitis C virus NS3 protease domain in relation to antiviral activity)
 RN 220425-64-9 CAPLUS
 CN L-Norvaline, N-(3-carboxy-1-oxopropyl)-L-isoleucyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

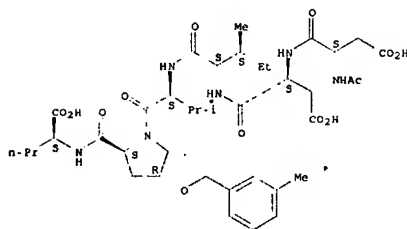
L6 ANSWER 208 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2000:719693 CAPLUS
 DOCUMENT NUMBER: 134:50978
 TITLE: Highly potent and selective peptide-based inhibitors of the hepatitis C virus serine protease: towards smaller inhibitors
 AUTHOR(S): Llinas-Brunet, M.; Bailey, M.; Fazal, O.; Ohno, E.; Gorys, V.; Goulet, S.; Halmos, T.; Maurice, R.; Poirier, M.; Poupart, M.-A.; Rancourt, J.; Thibeault, D.; Wernic, D.; Lamarre, D.
 CORPORATE SOURCE: Research and Development, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(20), 2267-2270
 CODEN: BMCLB; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Structure-activity studies on a hexapeptide N-terminal cleavage product of a dodecamer substrate led to the identification of very potent and highly specific inhibitors of the HCV NS3 protease/NS4A cofactor peptide complex. The largest increase in potency was accomplished by the introduction of a (4R)-naphthalen-1-yl-4-methoxy substituent to the P2 proline. N-Terminal truncation resulted in tetrapeptides containing a C-terminal carboxylic acid, which exhibited low micromolar activity against the HCV serine protease.

IT 220425-44-5P 220425-47-8P 220425-48-9P
 220425-49-0P 220425-50-3P 220425-51-4P
 220425-60-5P 220425-61-6P 220425-64-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (peptide-based inhibitors of hepatitis C virus serine protease)

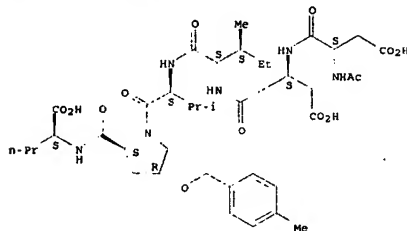
RN 220425-44-5 CAPLUS
 CN L-Norvaline, N-acetyl-L- α -aspartyl-L- α -aspartyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



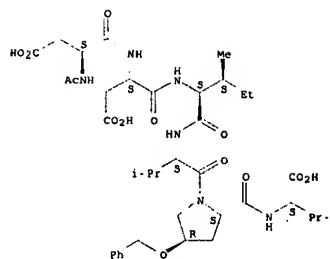
RN 220425-49-0 CAPLUS
 CN L-Norvaline, N-acetyl-L- α -aspartyl-L- α -aspartyl-L-isoleucyl-L-valyl-(4R)-4-[(4-methylphenyl)methoxy]-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



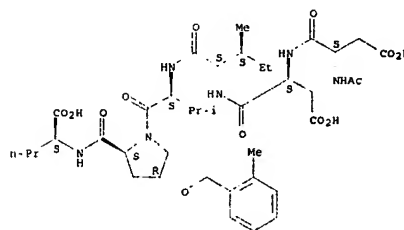
RN 220425-50-3 CAPLUS
 CN L-Norvaline, N-acetyl-L- α -aspartyl-L- α -aspartyl-L-isoleucyl-L-valyl-(4R)-4-[(1-naphthalenyl)methoxy]-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



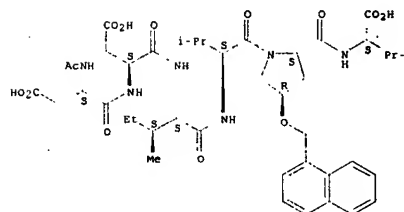
RN 220425-47-8 CAPLUS
 CN L-Norvaline, N-acetyl-L- α -aspartyl-L- α -aspartyl-L-isoleucyl-L-valyl-(4R)-4-[(2-methylphenyl)methoxy]-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



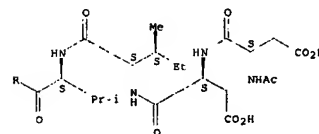
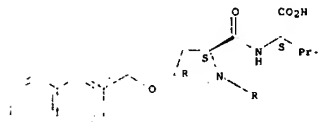
RN 220425-48-9 CAPLUS
 CN L-Norvaline, N-acetyl-L- α -aspartyl-L- α -aspartyl-L-isoleucyl-L-valyl-(4R)-4-[(3-methylphenyl)methoxy]-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



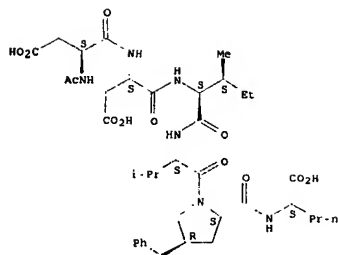
RN 220425-51-4 CAPLUS
 CN L-Norvaline, N-acetyl-L- α -aspartyl-L- α -aspartyl-L-isoleucyl-L-valyl-(4R)-4-(2-naphthalenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



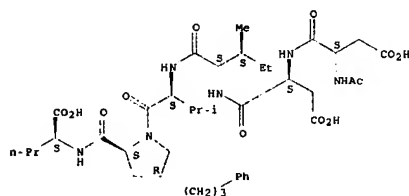
RN 220425-60-5 CAPLUS
 CN L-Norvaline, N-acetyl-L- α -aspartyl-L- α -aspartyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethyl)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



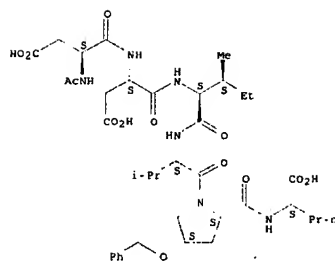
RN 220425-61-6 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-(3-phenylpropyl)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 314082-64-9 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

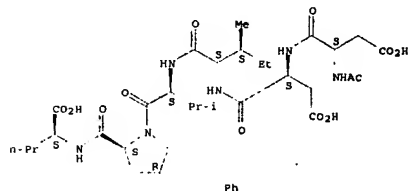
Absolute stereochemistry.



IT 220425-91-2 314082-63-8 314082-65-0
RL BAC (Biological activity or effector); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptide-based inhibitors of hepatitis C virus serine protease)

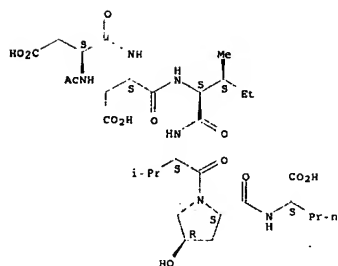
RN 220425-91-2 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-(2-phenylethyl)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



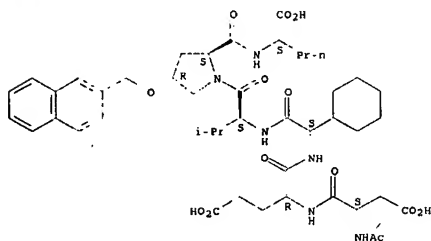
RN 314082-63-8 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 314082-65-0 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-D-α-glutamyl-(2S)-2-cyclohexylglycyl-L-valyl-(4R)-4-(2-naphthalenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

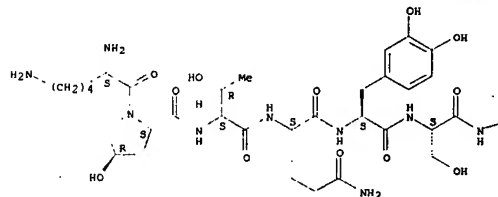
L6 ANSWER 209 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2000:712990 CAPLUS
DOCUMENT NUMBER: 133:286531
TITLE: Biocompatible adhesive protein, and method for manufacture thereof
INVENTOR(S): Yamamoto, Hiroyuki; Ohkawa, Kosaku; Nishida, Ayako
PATENT ASSIGNEE(S): Ueda Seni Kagaku Shinkokai, Japan; Yamamoto, Hiroyuki
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JXXXXP
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

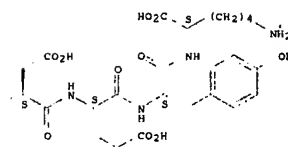
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000281699	A	20001010	JP 1999-39008	19990107
PRIORITY APPLN. INFO.: JP 1999-39008 19990107				
AB The invention provides an adhesive protein containing amino acid sequence of Lys-Hyp-Thr-Gln-Dopa-Ser-Asp-Glu-Tyr-Lys, especially obtained from freshwater mussel <i>Limnoperna fortunei</i> , suitable for use as a medical adhesive material.				
IT 300549-10-4				
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses) (biocompatible adhesive protein containing)				
RN 300549-10-4 CAPLUS				
CN L-lysine, L-lysyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-glutamyl-3-hydroxy-L-tyrosyl-L-seryl-L-α-aspartyl-L-α-glutamyl-L-tyrosyl- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.

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L6 ANSWER 210 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2000:675049 CAPLUS
DOCUMENT NUMBER: 134:27426
TITLE: Optimization of the P'-Region of Peptide Inhibitors of Hepatitis C Virus NS3/4A Protease
AUTHOR(S): Ingallinella, Paolo; Bianchi, Elisabetta; Ingenito,

CORPORATE SOURCE: Raffaele, Koch, Uwe; Steinkuehler, Christian;
SOURCE: Altamura, Sergio; Pessi, Antonello
IRBM P. Angeletti, Pomezia, 00040, Italy
Biochemistry (2000), 39(42), 12898-12906
CODEN: BICHAM; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

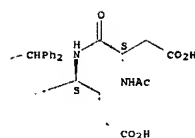
PAGE 1-B

AB Infection by Hepatitis C Virus (HCV) leads to a slowly progressing disease that over two decades can lead to liver cirrhosis or liver cancer. Currently, one of the most promising approaches to anti-HCV therapy is the development of inhibitors of the NS3/4A protease, which is essential for maturation of the viral polyprotein. Several substrate-derived inhibitors of NS3/4A have been described, all taking advantage of binding to the S subsite of the enzyme. Inspection of the S' subsite of NS3/4A shows binding pockets which might be exploited for inhibitor binding, but due to the fact that ground-state binding to the S' subsite is not used by the substrate, this does not represent a suitable starting point. The authors have now optimized S'-binding in the context of noncleavable decapeptides spanning P6-P4'. Binding was sequentially increased by introduction of the previously optimized P'-region [Ingallinella et al. (1998) Biochem. 37, 8906-8914], change of the P4' residue, and combinatorial optimization of positions P2'-P3'. The overall process led to an increase in binding of more than 3 orders of magnitude, with the best decapeptide showing IC50 < 200 pM. The binding mode of the decapeptides described in the present work shares features with the binding mode of the natural substrates, together with novel interactions within the S' subsite. Therefore, these peptides may represent an entry point for a novel class of NS3 inhibitors.

IT 311348-25-1 311348-30-8 311348-33-1
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(Optimization of P'-region of peptide inhibitors of hepatitis C virus NS3/4A protease in relation to antiviral therapy)

RN 311348-25-1 CAPLUS
CN L-Leucinamide, N-acetyl-L- α -aspartyl-L- α -glutamyl- β -phenyl-L-phenylalanyl-L-isoleucyl-3-cyclohexyl-L-alanyl-L-cysteinyl-L-prolyl-L-norleucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

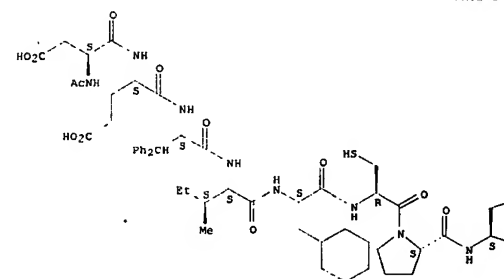
Absolute stereochemistry.



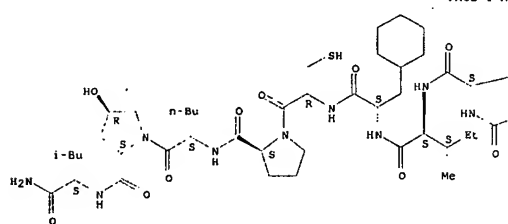
RN 311348-30-8 CAPLUS
CN L-Leucinamide, N-acetyl-L- α -aspartyl-L- α -glutamyl- β -phenyl-L-phenylalanyl-L-isoleucyl-3-cyclohexyl-L-alanyl-L-cysteinyl-L-prolyl-3-cyclohexyl-L-alanyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

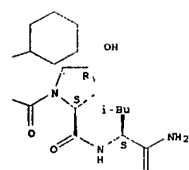
PAGE 1-A



PAGE 1-A



PAGE 1-B

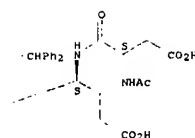
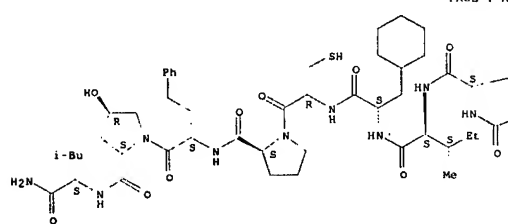


PAGE 2-B

RN 311348-33-1 CAPLUS
CN L-Leucinamide, N-acetyl-L- α -aspartyl-L- α -glutamyl- β -phenyl-L-phenylalanyl-L-isoleucyl-3-cyclohexyl-L-alanyl-L-cysteinyl-L-prolyl-(4R)- α -aminobenzenebutanoyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B

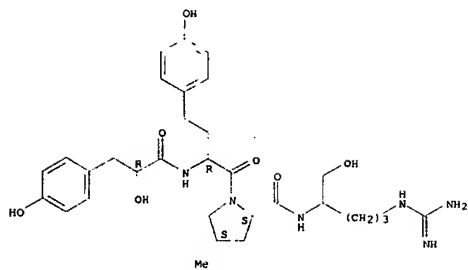
REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 211 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2000:609838 CAPLUS
DOCUMENT NUMBER: 133:331207
TITLE: Serine proteases inhibiting cyanopeptides
AUTHOR(S): Radau, G.
CORPORATE SOURCE: Department of Pharmaceutical/Medicinal Chemistry, Institute of Pharmacy, Ernst-Moritz-Arndt-University, Greifswald, Germany
SOURCE: Pharmazie (2000), 55(8), 555-560
CODEN: PHARAT; ISSN: 0031-7144
PUBLISHER: Govi-Verlag Pharmazeutischer Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with 42 refs. There are many compds. inhibiting serine proteases which play an important role in the human organism. This article reviews publications on the low-mol. weight, serine protease inhibitory cyanopeptides and reports on new developments in establishing structure-activity relationships.

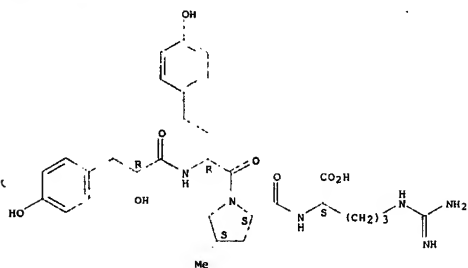
IT 184682-38-0, Spumigin A 184682-39-1, Spumigin B1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (serine proteinase-inhibiting cyanopeptides)
RN 184682-38-0 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[4-[(aminomino(methylamino)-1-(hydroxymethyl)butyl]-1-[(2R)-2-[[[(2R)-2-hydroxy-3-[(4-hydroxyphenyl)-1-oxopropyl]amino]-4-(4-hydroxyphenyl)-1-oxobutyl]-4-methyl-, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 184682-39-1 CAPLUS
CN L-Arginine, (αR)-α,4-dihydroxybenzenepropanoyl-[(4R)-α-amino-4-hydroxybenzenobutanoyl-(4S)-4-methyl-L-prolyl- (9CI) (CA INDEX NAME)

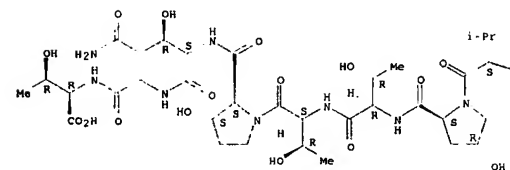
Absolute stereochemistry.



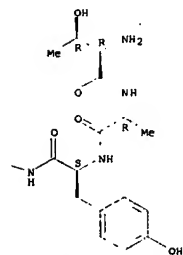
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 212 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:587076 CAPLUS
DOCUMENT NUMBER: 133:193492
TITLE: Preparation of cyclopeptides or cyclic decapeptides as antifungal agents
INVENTOR(S): Barrett, David; Tanaka, Akira; Okitsu, Osamu; Harada, Keiko; Ohki, Hidenori; Yamanaka, Hideaki; Kawabata, Koji
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 300 pp.

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PAGE 1-B

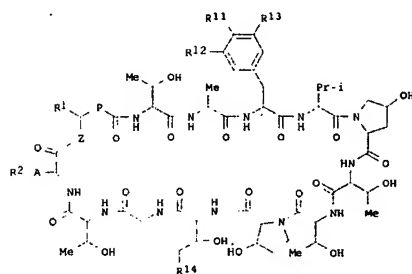


RN 289633-84-7 CAPLUS
CN L-Ornithine, D-allotheonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allotheonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allotheonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000229998	A	20000822	JP 1999-301639	19991022
PRIORITY APPLN. INFO.:			JP 1998-368524	A 19981208
OTHER SOURCE(S):		MARPAT 133:193492		

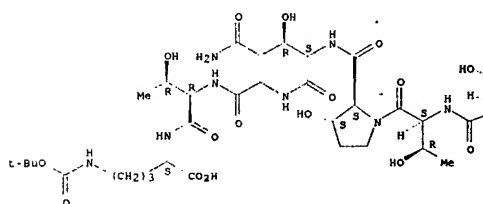


AB The title compds. [I; R1 = H, alkyl, lower alkoxyalkyl, CO2H, (un)substituted CONH2, aryl, lower (ar)alkyl, or heterocyclic carbonyl; R2 = (un)protected CO2H, (un)substituted heterocyclic carbonyl, (un)substituted NH2, N-(R5)3.X.; wherein R5 = (un)substituted lower alkyl or alkenyl; X = acid residue; R11 = HO, (un)substituted lower alkoxy; R12 = H, halo; R13 = H, NO2, NH2, acylamino; or R11 and R13 are bonded together to form O-CO-NH or -O-CO-NH; R14 = cyano, (un)substituted CONH2, (un)protected lower aminoalkyl; Z = O, NH, alkyl-N; P = (CH2)n; n = 0, 1, which inhibit the biosynthesis of α-1,3-glucan and are useful for the treatment or prevention of bacterial infection, e.g. pneumonia caused by Pneumocystis carinii, are prepared Thus, I.HCl (R1 = tridecyl, R2-A = H2N(CH2)3, R11 = OH, R12 = R13 = H, R14 = H2NCO, P = CH2, Z = O) was condensed with Et formimidate hydrochloride in the presence of diisopropylethylamine in DMF at room temperature for 4 days to give I.HCl (R2-A = H; CHN(CH2)3; R1, R2, R11, R12, R13, R14, P, Z = same as above) which showed min. inhibitory concentration of 0.20 μg/mL against Candida albicans (FP633).

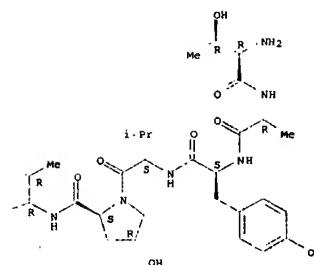
IT 289614-86-4 289633-84-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cyclopeptides or cyclic decapeptides as antifungal agents)
RN 289614-86-4 CAPLUS
CN D-allotheonyl, D-allotheonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allotheonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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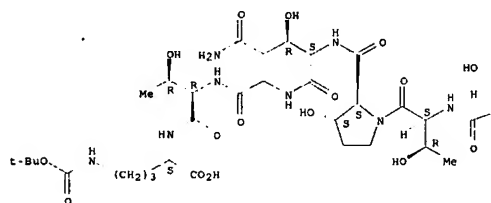


IT 289615-14-1P 289615-15-2P 289615-20-9P
289615-21-0P 289615-27-6P 289615-28-7P
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289615-69-6P 289615-76-5P 289615-77-6P
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289615-93-6P 289615-95-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclopeptides or cyclic decapeptides as antifungal agents)

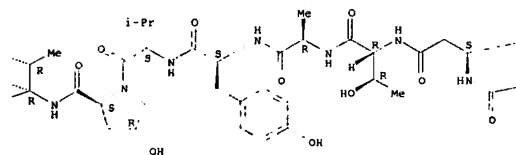
RN 289615-14-1 CAPLUS
 CN L-Ornithine, (3S)-3-[(4'-pentyl[1,1'-biphenyl]-4-yl)-N-
 [(phenylmethoxy)carbonyl]-β-alanyl-D-allothreonyl-D-alanyl-L-tyrosyl-
 L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-
 prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-
 dimethylethoxy)carbonyl]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

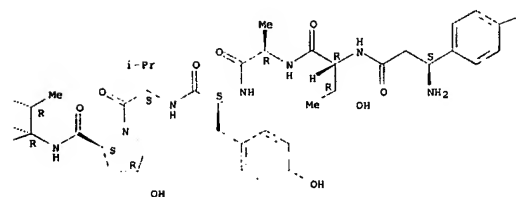
PAGE 1-A



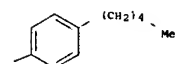
PAGE 1-B



PAGE 1-B



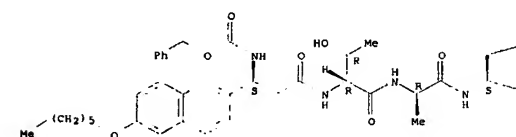
PAGE 1-C



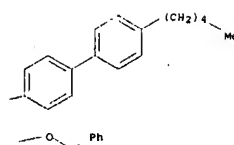
RN 289615-20-9 CAPLUS
 CN L-Ornithine, (3S)-3-[6-(hexyloxy)-2-naphthalenyl]-N-
 [(phenylmethoxy)carbonyl]-β-alanyl-D-allothreonyl-D-alanyl-L-tyrosyl-
 L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-
 prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-
 dimethylethoxy)carbonyl]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

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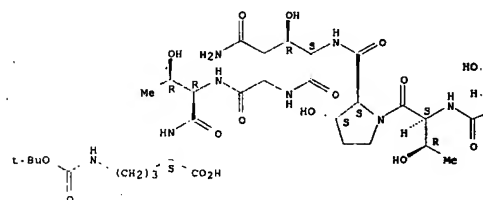
PAGE 1-C



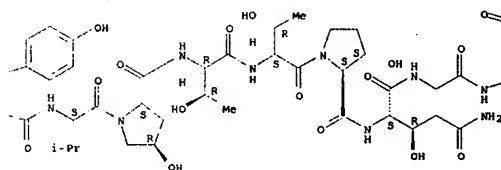
RN 289615-15-2 CAPLUS
 CN L-Ornithine, (3S)-3-[(4'-pentyl[1,1'-biphenyl]-4-yl)-β-alanyl-D-
 allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-
 allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-
 glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9C1)
 (CA INDEX NAME)

Absolute stereochemistry.

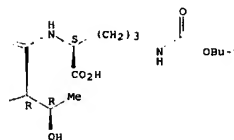
PAGE 1-A



PAGE 1-B



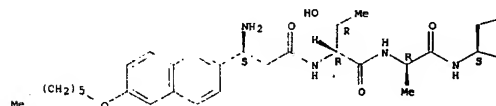
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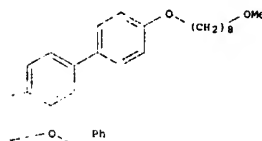
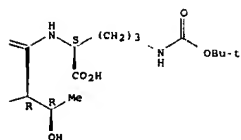
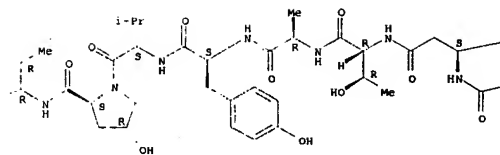
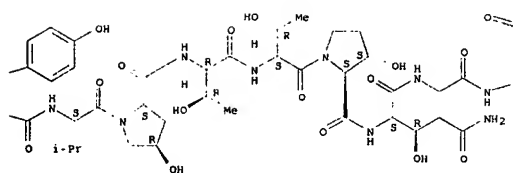


RN 289615-21-0 CAPLUS
 CN L-Ornithine, (3S)-3-[6-(hexyloxy)-2-naphthalenyl]-β-alanyl-D-
 allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-
 allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-
 glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9C1)
 (CA INDEX NAME)

Absolute stereochemistry.

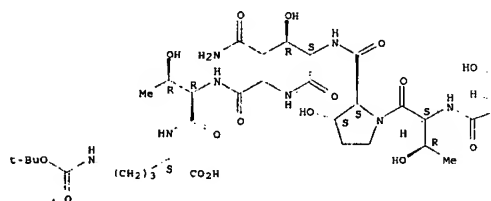
PAGE 1-A





RN 289615-27-6 CAPLUS
CN L-Ornithine, (3S)-3-[4'-[(8-methoxyoctyl)oxy] [1,1'-biphenyl]-4-yl]-N-[(phenylmethoxy)carbonyl]-D-alanyl-D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

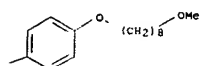
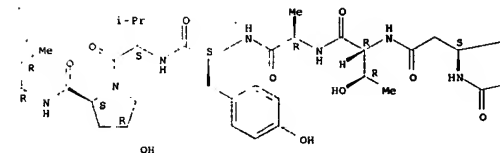
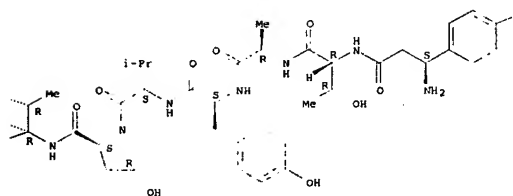
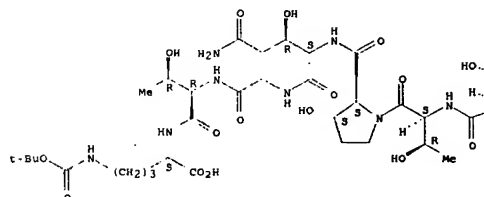
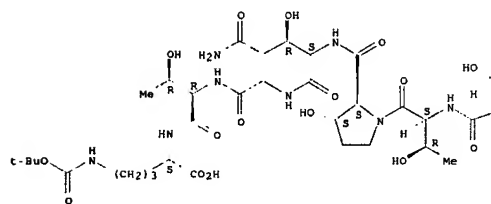


RN 289615-28-7 CAPLUS

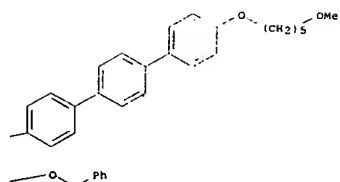
CN L-Ornithine, (3S)-3-[4'-[(8-methoxyoctyl)oxy] [1,1'-biphenyl]-4-yl]-D-alanyl-D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

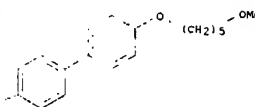
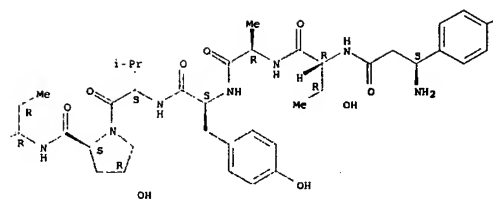
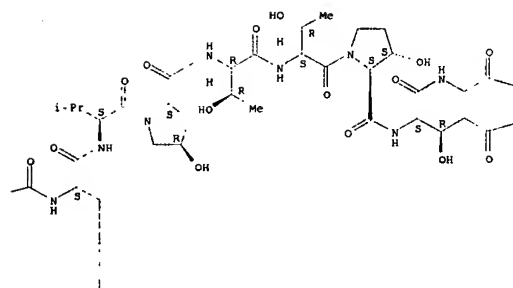
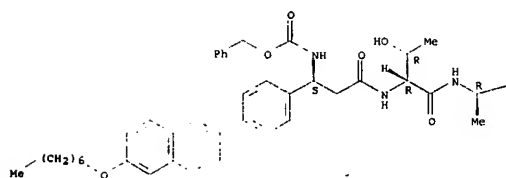
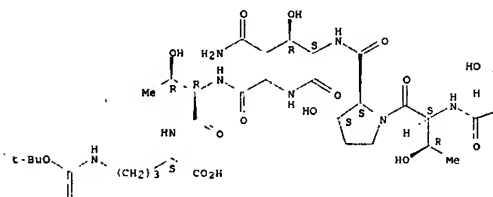


RN 289615-33-4 CAPLUS
CN L-Ornithine, (3S)-3-[4'-[(5-methoxypentyl)oxy] [1,1',4',1''-terphenyl]-4-yl]-N-[(phenylmethoxy)carbonyl]-D-alanyl-D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)



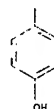
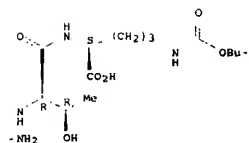
RN 289615-34-5 CAPLUS
 CN L-Ornithine, (3S)-3-[(5-methoxypentyl)oxy][1,1':4',1''-terphenyl]-4-yl]-β-alanyl-D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminylglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



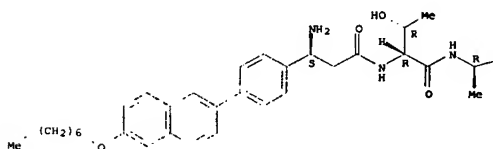
RN 289615-39-0 CAPLUS
 CN L-Ornithine, (3S)-3-[4-[6-(heptyloxy)-2-naphthalenyl]phenyl]-N-[(phenylmethoxy)carbonyl]-β-alanyl-D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminylglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

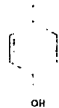
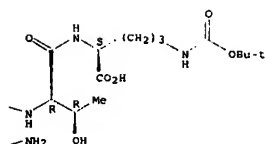
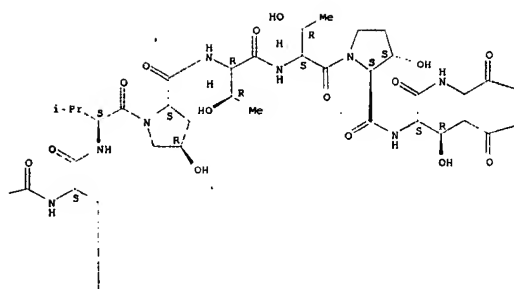
Absolute stereochemistry.



RN 289615-40-3 CAPLUS
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 (CA INDEX NAME)

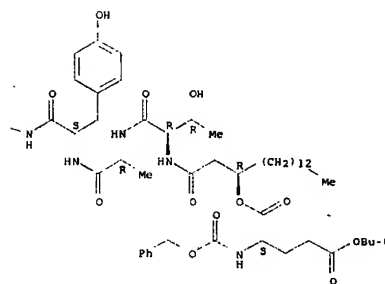
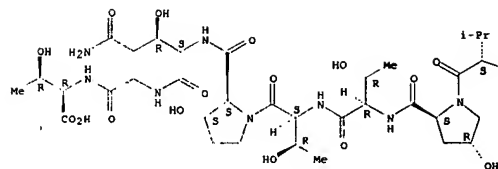
Absolute stereochemistry.





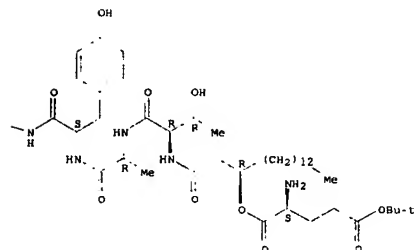
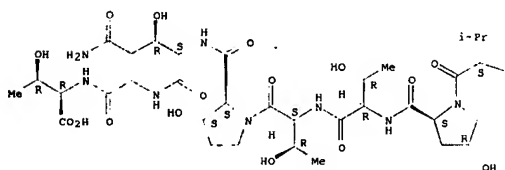
RN 289615-44-7 CAPLUS
 CN D-Allothreonine, N-[(phenylmethoxy)carbonyl]-L-α-glutamyl-(3R)-3-hydroxyhexadecanoyl-D-allotheonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allotheonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-, 1-[(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



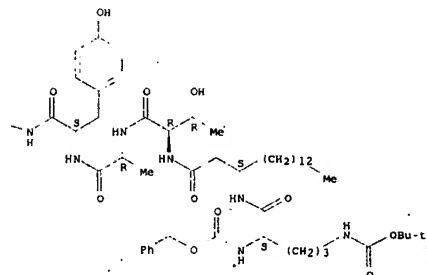
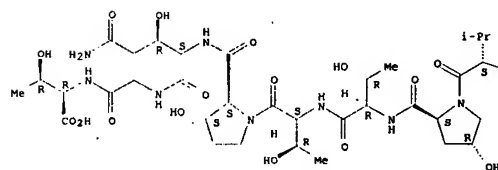
RN 289615-45-8 CAPLUS
 CN D-Allothreonine, L-α-glutamyl-(3R)-3-hydroxyhexadecanoyl-D-allotheonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allotheonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-, 1-[(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



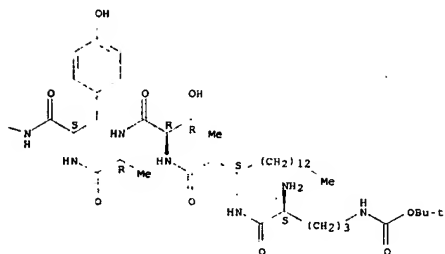
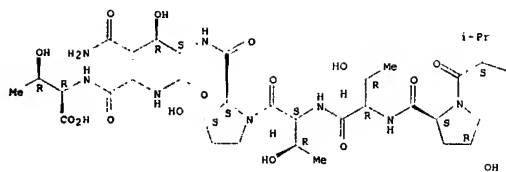
RN 289615-51-6 CAPLUS
 CN D-Allothreonine, N5-[(1,1-dimethylethoxy)carbonyl]-N2-[(phenylmethoxy)carbonyl]-L-ornithyl-(3S)-3-hydroxyhexadecanoyl-D-allotheonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allotheonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



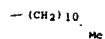
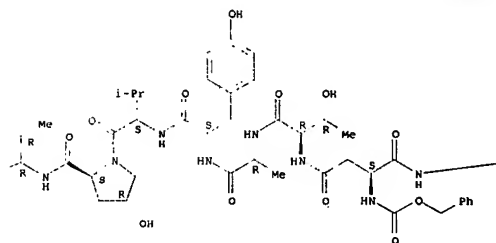
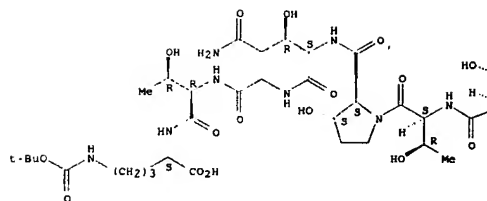
RN 289615-52-7 CAPLUS
 CN D-Allothreonine, N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3S)-3-hydroxyhexadecanoyl-D-allotheonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allotheonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



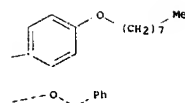
RN 289615-56-1 CAPLUS
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 (CA INDEX NAME)

Absolute stereochemistry.



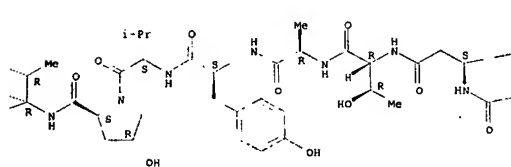
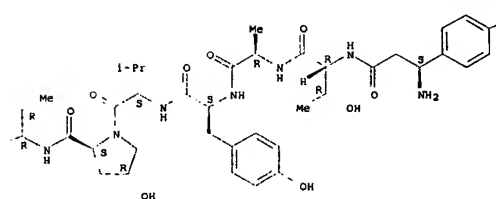
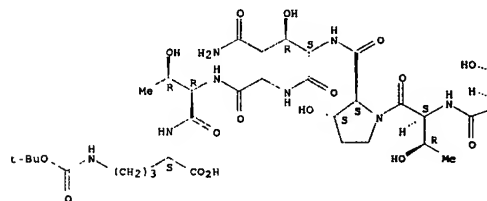
RN 289615-62-9 CAPLUS
 CN L-Ornithine, (3S)-3-[4-(octyloxy)phenyl]-N-[(phenylmethoxy)carbonyl]-β-alanyl-D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9C1)
 (CA INDEX NAME)

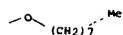
Absolute stereochemistry.



RN 289615-63-0 CAPLUS
 CN L-Ornithine, (3S)-3-[4-(octyloxy)phenyl]-β-alanyl-D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



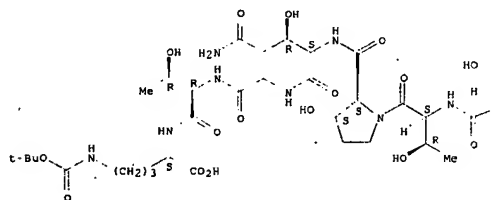


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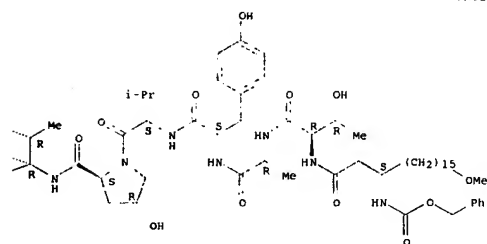
RN 289615-68-5 CAPLUS
CN L-Ornithine, N-[(3S)-18-methoxy-1-oxo-3-[[[(phenylmethoxy)carbonyl]amino]octadecyl]-D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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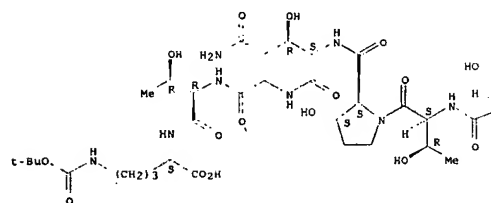


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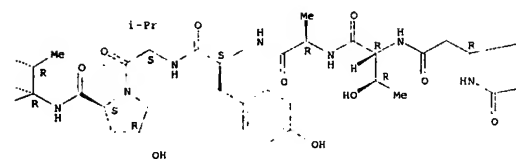


RN 289615-69-6 CAPLUS
CN L-Ornithine, N-[(3S)-3-amino-18-methoxy-1-oxooctadecyl]-D-allothreonyl-D-

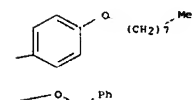
PAGE 1-A



PAGE 1-B



PAGE 1-C



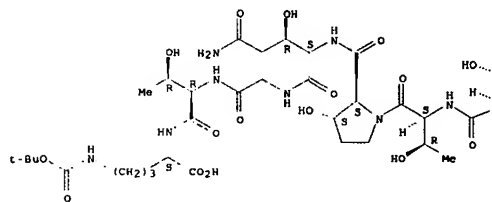
RN 289615-77-6 CAPLUS
CN L-Ornithine, (3R)-3-[4-(octyloxy)phenyl]-β-alanyl-D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry

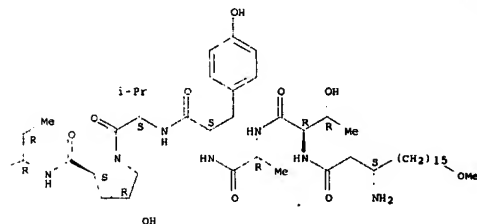
alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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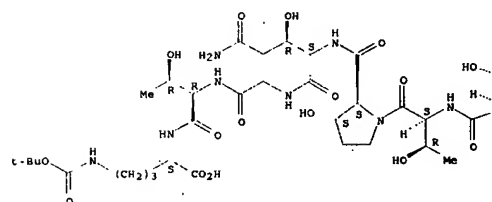
PAGE 1-B



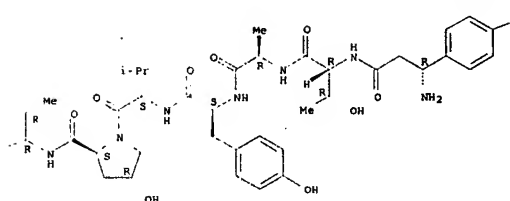
RN 289615-76-5 CAPLUS
CN L-Ornithine, (3R)-3-[4-(octyloxy)phenyl]-N-[(phenylmethoxy)carbonyl]-β-alanyl-D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

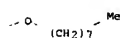
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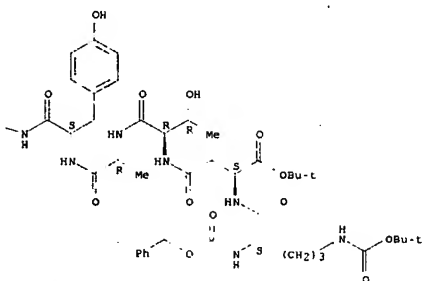
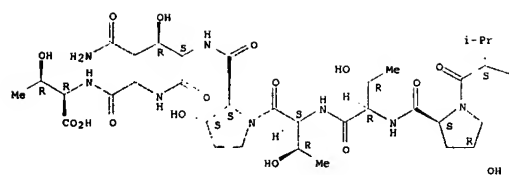


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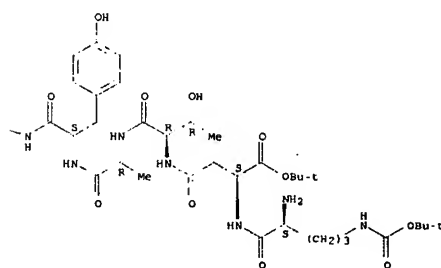
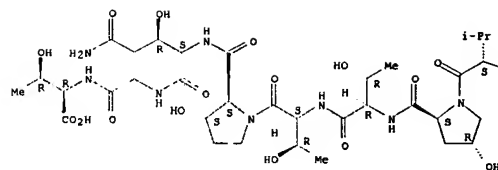
RN 289615-81-2 CAPLUS
CN D-Allothreonyl, N5-[(1,1-dimethylethoxy)carbonyl]-N2-[(phenylmethoxy)carbonyl]-L-ornithine, β-aspartyl-D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-2-[(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 289615-82-3 CAPLUS
CN D-Allothreonine, N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-L-
aspartyl-D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-
D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-
glutaminyglycyl-, 2-[(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

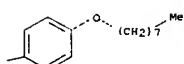
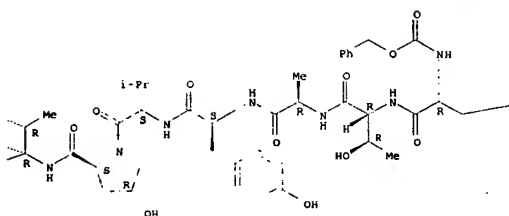
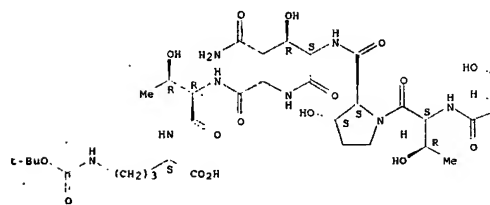


RN 289615-92-5 CAPLUS
CN L-Ornithine, O-octyl-N-[(phenylmethoxy)carbonyl]-D-tyrosyl-D-allothreonyl-
D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-
threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-
allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

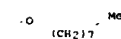
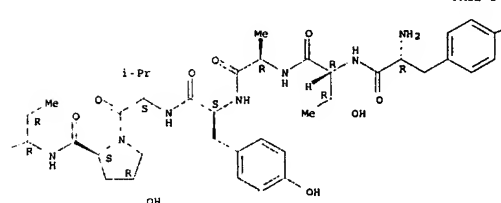
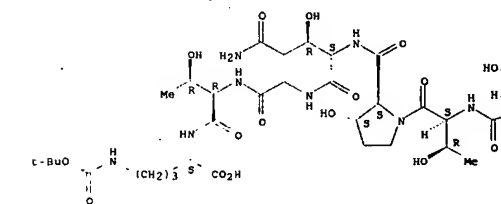
Absolute stereochemistry.

dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 289615-93-6 CAPLUS
CN L-Ornithine, O-octyl-D-tyrosyl-D-allothreonyl-D-alanyl-L-tyrosyl-L-valyl-
(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-
(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-



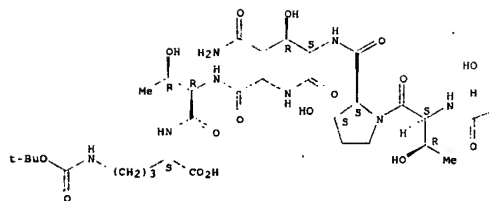
RN 289615-95-8 CAPLUS
CN L-Ornithine, N-dodecyl-B-alanyl-D-allothreonyl-D-alanyl-L-tyrosyl-L-
valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-
prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-
dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

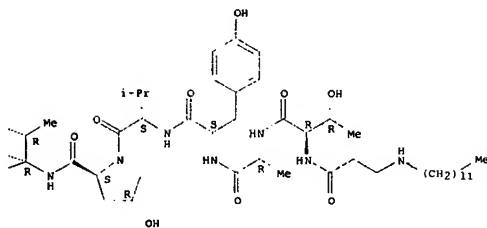
AB We have shown recently that glycosylation of threonine in the peptide Ac-(Gly-Pro-Thr)-10-NH₂ with β -D-galactose induces the formation of a collagen triple helix, whereas the non-glycosylated peptide does not. In this report, we present evidence that a collagen triple helix can also be formed in the case of Ac-(Gly-Hyp-Pro)-10-NH₂ if the threonine in the Xaa position is replaced with 4-trans-hydroxyproline (Hyp). Furthermore, replacement of Pro with Hyp in the sequence Ac-(Gly-Pro-Thr)(β -D-Gal)-10-NH₂ increases the Tm of the triple helix by 15.7°. It is generally believed that Hyp in the Xaa position destabilizes the triple helix, because of the cis- and trans-4-hydroxyproline in the Y and X helices but the peptide (Hyp-Pro-Gly)-10 does not. Our data suggest that the destabilizing effect of Hyp relative to Pro in the Xaa position is only true in the case of (Hyp-Pro-Gly)-10. Increasing concns. of galactose in the solvent stabilize the triple helix of Ac-(Gly-Hyp-Thr)-10-NH₂ but to a much lesser extent than that achieved by covalently linked galactose. The data explain the differences observed governing the stability of the annelid/vesimentiferan cuticle collagens.

```
IT      101523-82-0
       RL: PRP (Properties)
           (comparison of thermodyn. parameters for the triple helix-coil
            transition of various peptides)
RN      101523-82-0 CAPUSU
CN      L-Threoninamide-N-acetylglucyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-
        (4R)-4-hydroxy-L-prolyl-L-threonylglycyl-(4R)-4-hydroxy-L-prolyl-L-
        threonynglycyl-(4R)-4-hydroxy-L-prolyl-L-threonylglycyl-(4R)-4-hy-
        prolyl-L-threonylglycyl-(4R)-4-hydroxy-L-prolyl-L-threonylglycyl-
        hydroxy-L-prolyl-L-threonylglycyl-(4R)-4-hydroxy-L-prolyl-L-threo-
        (4R)-4-hydroxy-L-prolyl-L-threonylglycyl-(4R)-4-hydroxy-L-prolyl-
        (CA INDEX NAME)
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Absolute stereochemistry.

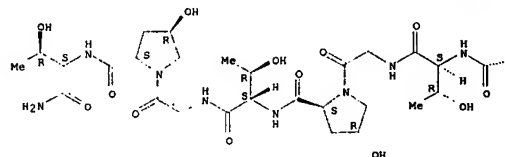


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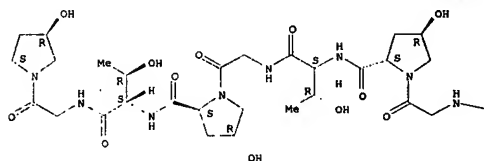


LE ANSWER 213 OF 551	CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER:	2000:579004 CAPLUS
DOCUMENT NUMBER:	133:292551
TITLE:	Glycosylation/hydroxylation-induced stabilization of the collagen triple helix. 4-trans-Hydroxyproline in the Xaa position can stabilize the triple helix
AUTHOR(S):	Bann, James G.; Bachinger, Hans Peter
CORPORATE SOURCE:	Department of Biochemistry and Molecular Biology, Oregon Health Sciences University, Portland, OR. 97201, USA
SOURCE:	Journal of Biological Chemistry (2000), 275(32), 24466-24469
PUBLISHER:	CODEN: JBCHA3; ISSN: 0021-9258 American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE:	Journal
LANGUAGE:	English

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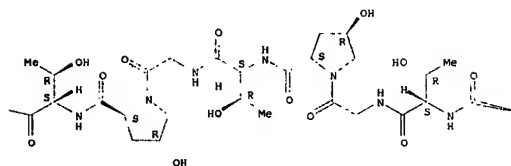


occurrence); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); OCCU (Occurrence)
(heptaibin, a novel antifungal peptaibol antibiotic produced by *Emericella*)

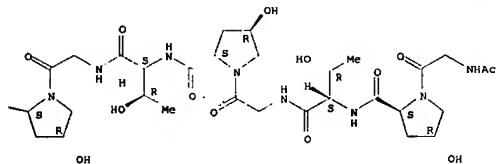
RN	291311-47-2	CAPLUS
CN	Alaninamide, N-acetyl-L-phenylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-L-valylglycyl-L-leucyl-2-methylalanyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutaminy-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]-2-methyl- (9CI) (CA INDEX NAME)	

Absolute stereochemistry.

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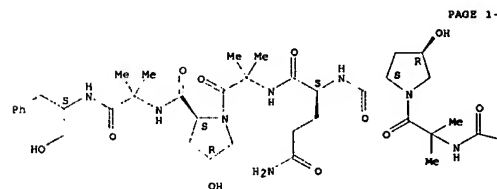
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L# ANSWER 214 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 0005:539279 CAPLUS
 DOCUMENT NUMBER: 133:219942
 TITLE: Heptaibin, a novel antifungal peptaibol antibiotic from *Emericellopsis* sp. BAUA8289
 AUTHOR(S): Ishiyama, Daisuke; Satou, Tsutomu; Senda, Hisato; Fujinaki, Tsukasa; Honda, Reiko; Kanacawa, Susumu
 CORPORATE SOURCE: Development Research Laboratories, Kaken Pharmaceutical Co., Ltd., Shizuoka, 426-8646, Japan
 SOURCE: Journal of Antibiotics (2000), 53(7), 728-732
 CODEN: JAMTAJ; ISSN: 0021-8820
 PUBLISHER: Japan Antibiotics Research Association
 DOCUMENT TYPE: Journal

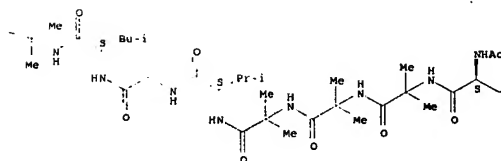
LABOUR: English
AB The fermentation and isolation protocol is given of heptaibin produced by *Emericella sp.* from rice root. The substance was co-isolated with emericin. Its structure was determined by FAB-MS, ESI-MS, and NMR as similar to emericin, where iso-Val is replaced by α -aminoisobutyric acid. Val, Leu, Glu, Phe, and Phol were determined to be L and Hyp to be L-4-trans. Gram-pos. bacteria and fungi were inhibited (64 μ g/mL) while the activity against protozoa was moderate (Rhabditella pseudoelongata) at 50 μ g/mL.

IT 291311-47-2, Heptaibin
RL: BAC (Biological activity or effector, except adverse); BOC (Biological

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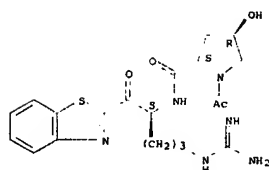


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REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 215 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:515126 CAPLUS
DOCUMENT NUMBER: 133:150919
TITLE: Preparation of peptidyl heterocyclic ketones useful as
inventor(s): Costanzo, Michael J.; Maryanoff, Bruce E.; Yabut, Stephen C.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXAD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044733	A1	20000803	WO 2000-US883	20000113
M: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MM, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2361479	A1	20000803	CA 2000-2361479	20000113
EP 1147097	A1	20011024	EP 2000-909902	20000113
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TR 200102766	T2	20011221	TR 2001-2766	20000113
BR 2000007778	A	20020604	BR 2000-7778	20000113
HU 2002001295	A2	20020828	HU 2002-1295	20000113
ES 200100191	A	20021015	ES 2001-391	20000113
JP 2002535394	T	20021022	JP 2000-595989	20000113
US 6459036	B1	20021022	US 2000-482802	20000113
TW 229669	B	20050321	TW 2000-8910335	20000224
NO 2001003666	A	20010926	NO 2001-3666	20010726
BO 105762	A	20020329	BO 2001-105762	20010801
HR 200100601	A1	20020631	HR 2001-601	20010813
ZA 2001006995	A	20021125	ZA 2001-6995	20010823
US 2003008829	A1	20030109	US 2002-205255	20020725
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PRIORITY APPLN. INFO.: US 1999-117602P P 19990127				



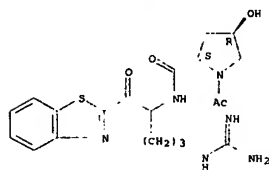
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CRN 76-05-1
CMP C2 H F3 O2



RN 287182-52-9 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolyl)carbonyl]butyl]-4-hydroxy-, monohydrochloride, (2S,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



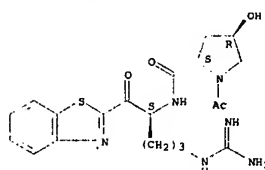
● HCl

RN 287182-74-5 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolyl)carbonyl]butyl]-4-hydroxy-, (2S,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

OTHER SOURCE(S): MARPAT 133:150919
AB Peptidyl heterocyclic ketones A-NRCR12CO-E [A = substituted cycloalkylcarbonyl, norbornanecarbonyl, norbornenecarbonyl, adamantanecarbonyl, arylcarbonyl, heteroarylcarbonyl, aminoalkylcarbonyl, an amino acid or dipeptide residue, etc.; R, R1 = H, alkyl; R2 = amino-, guanidino-, alkylguanidino-, dialkylguanidino-, amidino-, alkylamidino-, dialkylamidino-, or alkoxyalkyl, (un)substituted Ph, benzyl, pyridyl, pyridyl-, pyrimidinyl-, triazinyl-, or imidazoalkyl, imidazolyl-, N-amidinopiperazinyl-, hydroxy-, alkylamino-, dialkylamino-, N-amidinopiperidinyl-, or 4-aminocyclohexylalkyl; E = (un)substituted heterocyclyl] and their pharmaceutically acceptable salts and prodrugs were prepared as tryptase inhibitors and are therefore effective for the prevention and treatment of inflammatory diseases associated with the respiratory tract, such as asthma and allergic rhinitis. Thus, (2S,4R)-1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolyl)carbonyl]butyl]-4-hydroxy-2-pyrrolidinecarboxamide was prepared by a seven-step procedure starting from Boc-Arg(Ts)-OH (Boc, tert-butoxycarbonyl, Ts = tosyl), benzothiazole, and trans-1-acetyl-4-benzylloxyl-L-proline and showed IC50 = 0.036 ± 0.031 μM for inhibition of tryptase.
IT 287182-50-7P 287182-51-8P 287182-52-9P
287182-74-5P 287182-75-6P 287183-00-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
RN (Preparation of peptidyl heterocyclic ketones useful as tryptase inhibitors)
RN 287182-50-7 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolyl)carbonyl]butyl]-4-hydroxy-, (2S,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

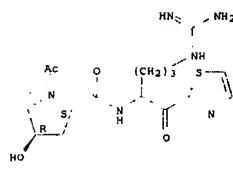


RN 287182-51-8 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolyl)carbonyl]butyl]-4-hydroxy-, (2S,4R)-(9CI), mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

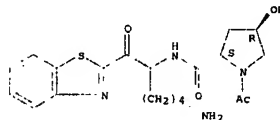
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CMP C20 H26 N6 O4 S

Absolute stereochemistry.



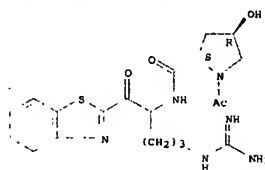
RN 287182-75-6 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolyl)carbonyl]butyl]-4-hydroxy-, (2S,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



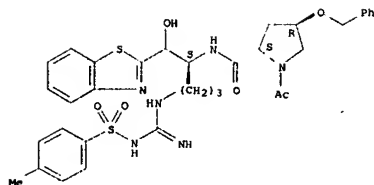
RN 287183-00-0 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolyl)carbonyl]butyl]-4-hydroxy-, (2S,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



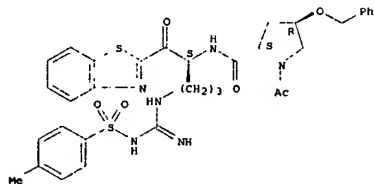
IT 287182-89-2P 287182-90-5P 287183-01-1P
RL: RCT (Reactant), SPM (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Preparation of peptidyl heterocyclic ketones useful as tryptase inhibitors)
RN 287182-89-2 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolyl)carbonyl]butyl]-4-hydroxy-, (2S,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



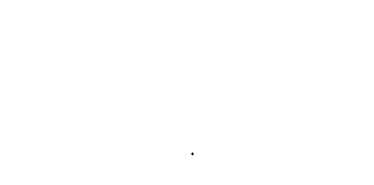
RN 267182-90-5 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-1-(2-benzothiazolylcarbonyl)-4-[[imino[[4-methylphenyl)sulfonyl]amino]methyl]amino]butyl]-4-phenylmethoxy-, (2S,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



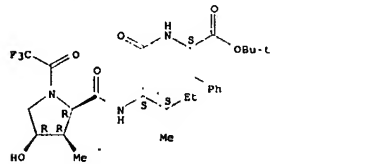
RN 267182-01-1 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[(1S)-1-(2-benzothiazolylhydroxymethyl)-4-[[imino[[4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino]methyl]amino]butyl]-4-hydroxy-, (2S,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



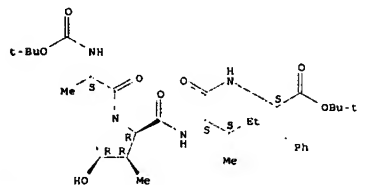
IT 294846-57-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptides containing cis-substituted hydroxyprolines)
RN 294846-57-4 CAPLUS
CN L-Phenylalanine, (3R,4R)-4-hydroxy-3-methyl-1-(trifluoroacetyl)-D-prolyl-L-isoleucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



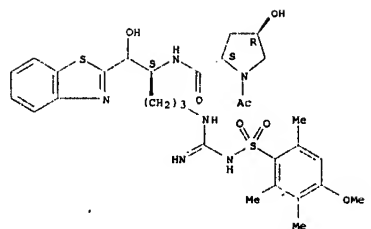
IT 294846-58-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of peptides containing cis-substituted hydroxyprolines)
RN 294846-58-5 CAPLUS
CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-(3R,4R)-4-hydroxy-3-methyl-D-prolyl-L-isoleucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

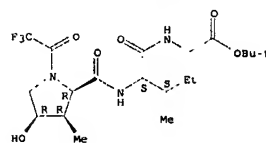
L6 ANSWER 217 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:508204 CAPLUS
DOCUMENT NUMBER: 133:144924
TITLE: Tri-, tetra-, penta-, and polypeptides and their therapeutic use as an antidepressant agents
INVENTOR(S): Abajian, Henry B.; Noble, John F.; Hlavka, Joseph J.
PATENT ASSIGNEE(S): Innopharma, Inc., USA
SOURCE: U.S., 82 pp., Cont.-in-part of U. S. 5,767,083.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 216 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:521076 CAPLUS
DOCUMENT NUMBER: 133:252728
TITLE: A straightforward approach towards substituted cis hydroxyprolines
AUTHOR(S): Mues, Heike; Kasmaler, Uli
CORPORATE SOURCE: Organisch-Chemisches Institut der Universität Heidelberg, D-69120, Germany
SOURCE: Synlett (2000), (7), 1004-1006
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:252728
AB Allylic esters of TFA-protected amino acids undergo asym. Claisen rearrangements in the presence of cinchona alkaloids, giving rise to γ,δ-unsatd. amino acids in a highly stereoselective fashion. Subsequent iodolactonization, bicyclization and opening of the lactone ring with nucleophiles such as amino acids or peptides provides hydroxyproline derivs. directly incorporated into peptides.
IT 294846-56-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of cis-substituted hydroxyprolines from nucleophilic ring opening of bicyclic lactones)
RN 294846-56-3 CAPLUS
CN Glycine, (3R,4R)-4-hydroxy-3-methyl-1-(trifluoroacetyl)-D-prolyl-L-isoleucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



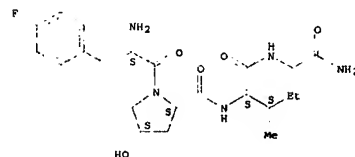
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6093797	A	20000725	US 1997-962962	19971104
US 5589460	A	19961231	US 1994-238089	19940504
US 5767083	A	19980616	US 1995-432651	19950502
WO 9922758	A1	19990514	WO 1998-US23478	19981104
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KR, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: OH, OM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SP, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9913058	A	19990524	AU 1999-13058	19981104
IN 191479	A1	20031206	IN 2001-CA198	20010404
US 2003176354	A1	20030918	US 2002-122246	20020411
US 6767897	B2	20040727		
PRIORITY APPLN. INFO.:			US 1994-238089	A2 19940504
			US 1995-432651	A2 19950502
			IN 1996-CA786	A3 19960501
			US 1997-962962	A 19971104
			WO 1998-US23478	W 19981104
			US 2000-625103	B2 20000725

OTHER SOURCE(S): MARPAT 133:144924
AB Peptides are disclosed to treat patients suffering from depression. The peptides are modifications of the tripeptide hormone MIP, including modification of amino terminus residues, carboxyl terminus residues and internal residues, including addition and substitution of amino acid residues and modification of the peptide bonds and functional side groups of resp. amino acid residues. The tri-, tetra-, penta-, peptides and polypeptides of the present invention may be utilized alone or in combination to treat patients suffering from depression.

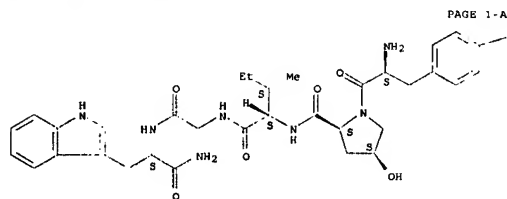
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173240-12-5P 173240-13-6P 173240-15-8P
173240-22-7P 173240-27-2P 224187-63-7P
285977-61-9P 285977-62-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USBS (Uses)
(MIP derivative peptides for antidepressants)
RN 173071-84-6 CAPLUS
CN Glycinamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-isoleucyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 173071-92-6 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

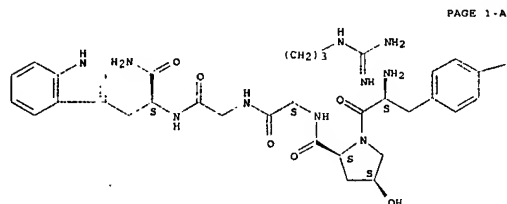


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RN 173071-94-8 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



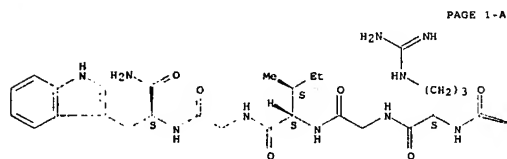
PAGE 1-A

PAGE 1-B

RN 173072-05-4 CAPLUS
 CN L-Tryptophanamide, O-methyl-L-tyrosyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

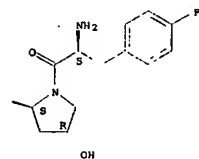
RN 173072-12-3 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



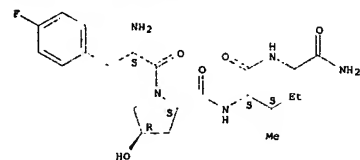
PAGE 1-A

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RN 173240-12-5 CAPLUS
 CN Glycinamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

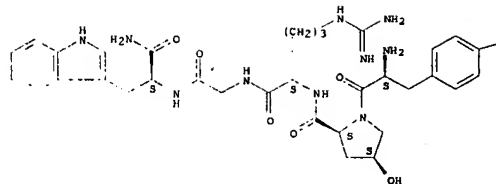


RN 173240-13-6 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

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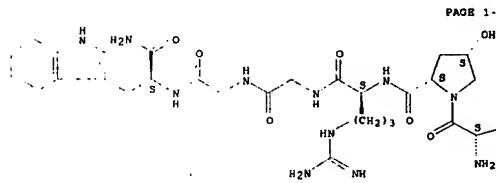


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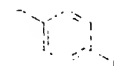
RN 173072-10-1 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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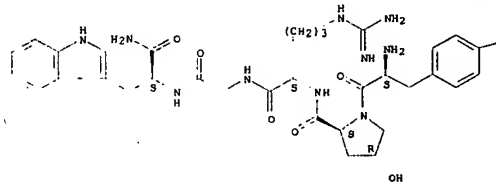


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RN 173240-15-8 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

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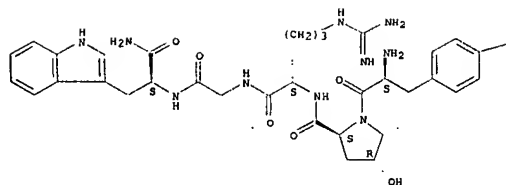
PAGE 1-B

F

RN 173240-22-7 CAPLUS
 CN L-Tryptophanamide, O-methyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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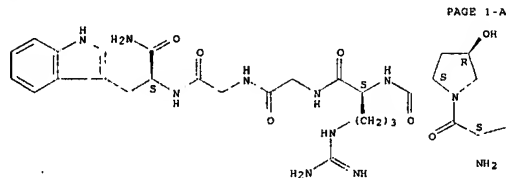


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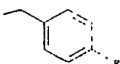
RN 173240-27-2 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



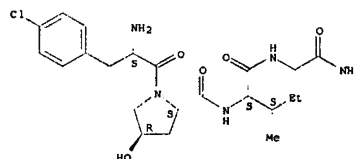
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PAGE 1-B



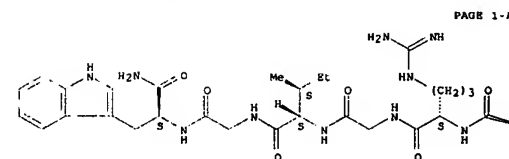
RN 224187-63-7 CAPLUS
CN Glycinamide, 4-chloro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



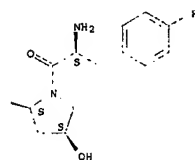
RN 285977-61-9 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



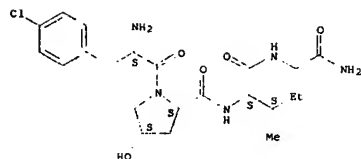
PAGE 1-A

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RN 285977-62-0 CAPLUS
CN Glycinamide, 4-chloro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-isoleucyl- (9CI) (CA INDEX NAME)

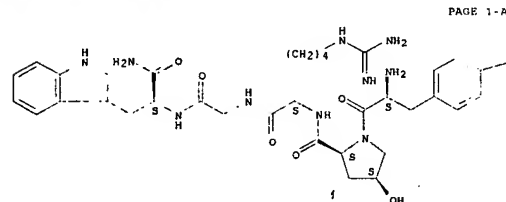
Absolute stereochemistry.



IT 208999-95-5 208999-96-6 224187-66-0
224187-67-1 224187-69-7 224187-90-0
224187-91-1 224187-96-6 224187-99-9
224188-00-5 224188-01-6 286862-69-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(MIP derivative peptides for antidepressants)

RN 208999-95-5 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-N6-(aminoiminomethyl)-L-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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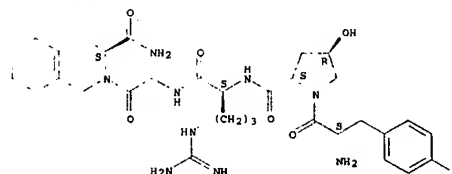
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RN 208999-96-6 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-N6-(aminoiminomethyl)-L-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 224187-66-0 CAPLUS
CN 3-Isoquinolinecarboxamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

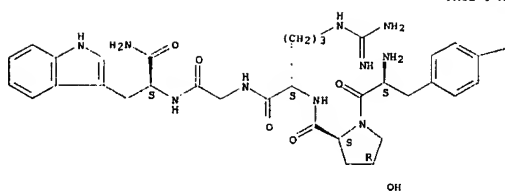
Absolute stereochemistry.



RN 224187-67-1 CAPLUS
CN L-Tryptophanamide, 4-cyano-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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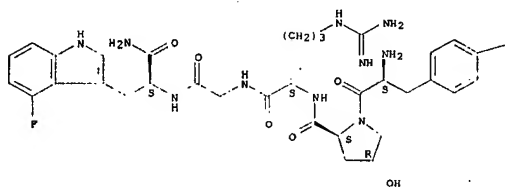
PAGE 1-B

-CN

RN 224187-89-7 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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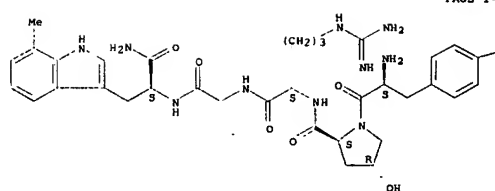
PAGE 1-B

-F

RN 224187-90-0 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-7-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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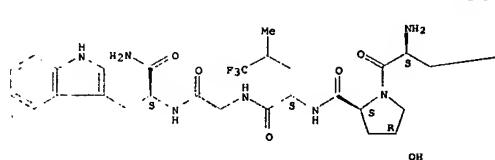
PAGE 1-B

-F

RN 224187-91-1 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-5,5,5-trifluoro-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

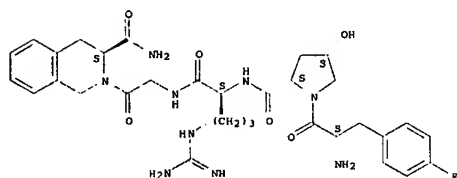


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RN 224187-96-6 CAPLUS
CN 3-Isoquinolinecarboxamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl-1,2,3,4-tetrahydro-, (39I)- (9CI) (CA INDEX NAME)

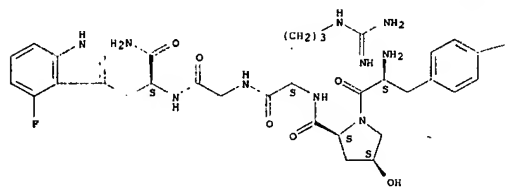
Absolute stereochemistry.



RN 224187-99-9 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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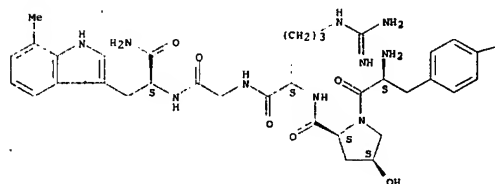
PAGE 1-B

-F

RN 224188-00-5 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl-7-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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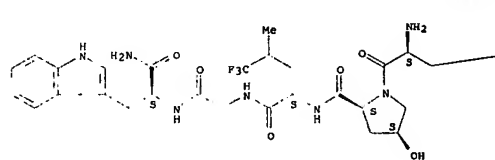
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RN 224188-01-6 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-5,5,5-trifluoro-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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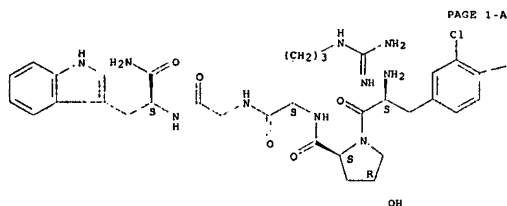


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RN 286862-69-9 CAPLUS
CN L-Tryptophanamide, 3,4-dichloro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

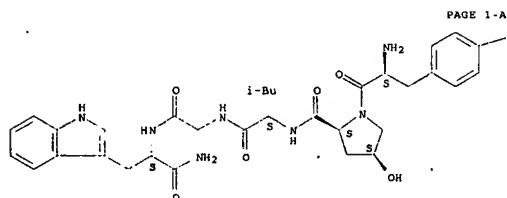


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-C1

IT 173071-93-7P 173071-97-1P 173072-02-1P
 173072-25-8P 173240-11-4P 173240-14-7P
 173240-17-0P 173240-18-1P 173240-19-2P
 173240-21-6P 173240-25-0P 173240-26-1P
 173240-28-3P 173240-36-3P 224187-65-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 [MIP derivative peptides for antidepressants]
 RN 173071-93-7 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



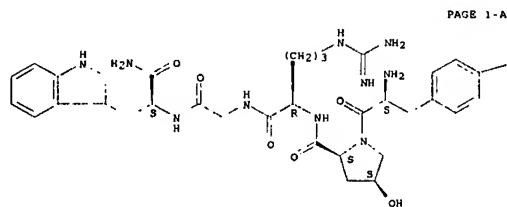
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RN 173071-97-1 CAPLUS

RN 173072-25-8 CAPLUS
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Absolute stereochemistry.

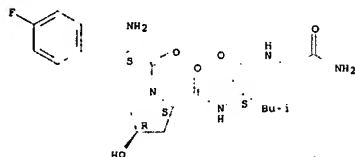


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RN 173240-11-4 CAPLUS
 CN Glycinamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

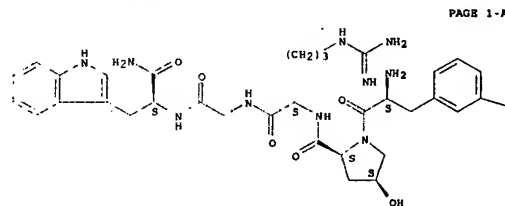


RN 173240-14-7 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CN L-Tryptophanamide, 3-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



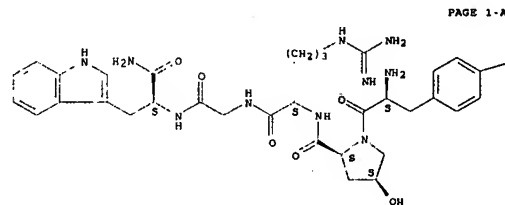
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-P

RN 173072-02-1 CAPLUS
 CN L-Tryptophanamide, 4-amino-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

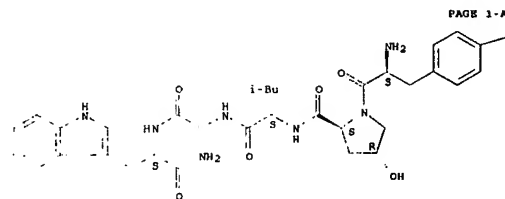
Absolute stereochemistry.



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-NH2



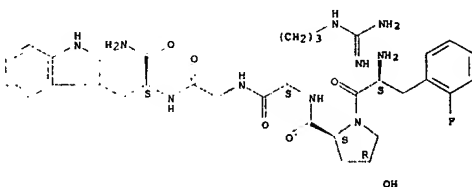
PAGE 1-A

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-F

RN 173240-17-0 CAPLUS
 CN L-Tryptophanamide, 2-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

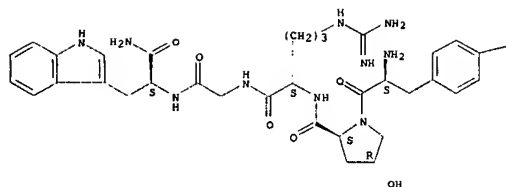
Absolute stereochemistry.



RN 173240-18-1 CAPLUS
 CN L-Tryptophanamide, 4-chloro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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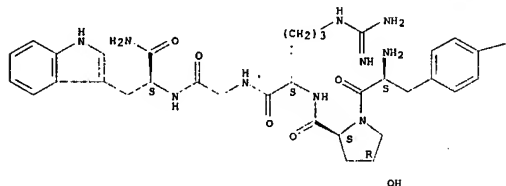
PAGE 1-B

-Cl

RN 173240-19-2 CAPLUS
CN L-Tryptophanamide, 4-amino-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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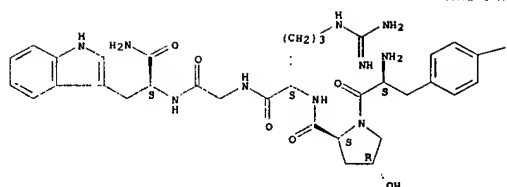
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-NH2

RN 173240-21-6 CAPLUS
CN L-Tryptophanamide, 4-nitro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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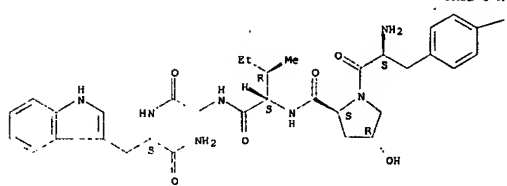
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NO2

RN 173240-25-0 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-alloisoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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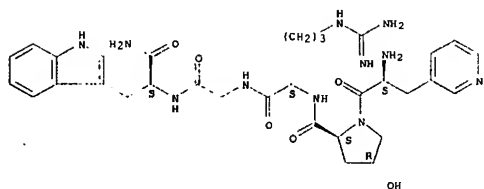
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F

RN 173240-26-1 CAPLUS
CN L-Tryptophanamide, 3-(3-pyridinyl)-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

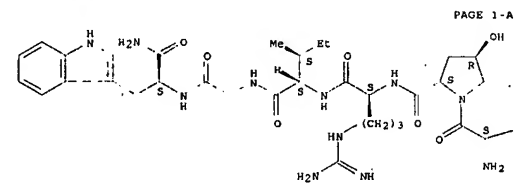
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RN 173240-28-3 CAPLUS
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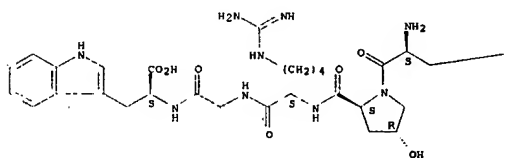
Absolute stereochemistry.

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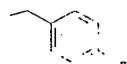


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RN 173240-36-3 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

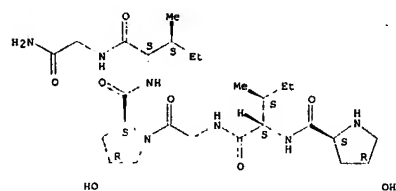
Absolute stereochemistry.

IT 173072-20-3 173240-29-4 173240-30-7
173240-31-8 173240-32-9 173240-33-0
173240-34-1 224187-68-2 286862-38-2
286862-39-3 286862-43-9 286862-44-0
286862-46-2 286862-47-3 286862-48-4
286862-50-8 286862-51-9
RL: PRP (Properties)

(unclaimed protein sequence; tri-, tetra-, penta-, and polypeptides and their therapeutic use as an antidepressant agents)

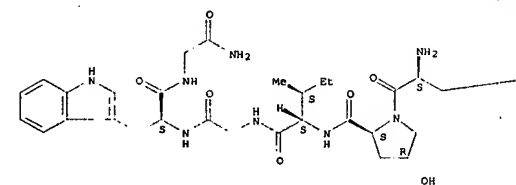
RN 173072-20-3 CAPLUS
CN Glycinamide, 4-(4R)-4-hydroxy-L-prolyl-L-isoleucylglycyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



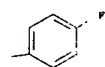
RN 173240-29-4 CAPLUS
CN Glycinamide, 4-(4-fluoro-L-phenylalanyl)-(4R)-4-hydroxy-L-prolyl-L-isoleucylglycyl-L-tryptophyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



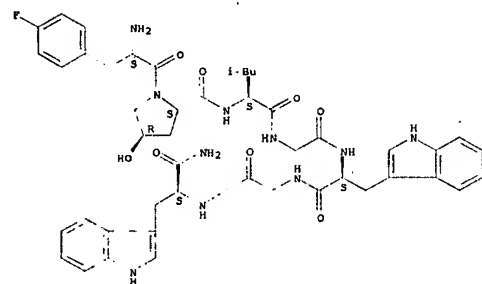
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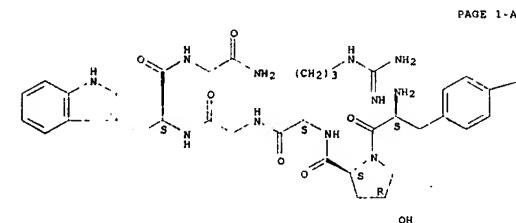
RN 173240-30-7 CAPLUS
CN L-Tryptophanamide, 4-(4-fluoro-L-phenylalanyl)-(4R)-4-hydroxy-L-prolyl-L-isoleucylglycyl-L-tryptophylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 173240-33-0 CAPLUS
CN Glycinamide, 4-(4-fluoro-L-phenylalanyl)-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-L-tryptophyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

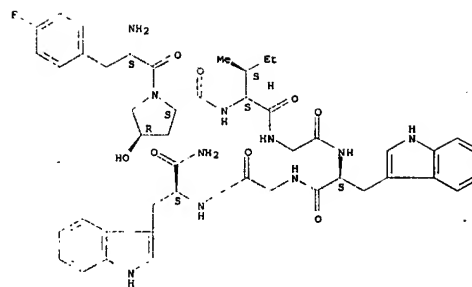


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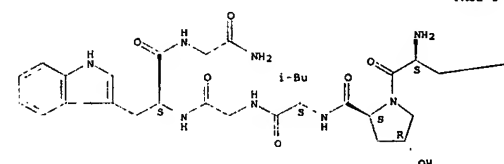
RN 173240-34-1 CAPLUS
CN L-Tryptophanamide, 4-(4-fluoro-L-phenylalanyl)-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-L-tryptophylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



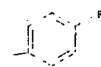
RN 173240-31-8 CAPLUS
CN Glycinamide, 4-(4-fluoro-L-phenylalanyl)-(4R)-4-hydroxy-L-prolyl-L-leucylglycyl-L-tryptophyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



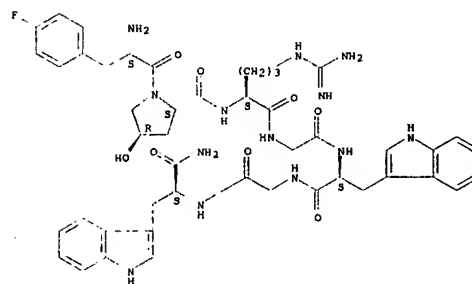
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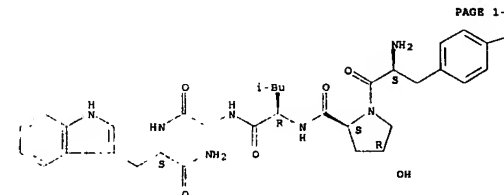
RN 173240-32-9 CAPLUS
CN L-Tryptophanamide, 4-(4-fluoro-L-phenylalanyl)-(4R)-4-hydroxy-L-prolyl-L-leucylglycyl-L-tryptophylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 224187-68-2 CAPLUS
CN L-Tryptophanamide, 4-(4-fluoro-L-phenylalanyl)-(4R)-4-hydroxy-L-prolyl-D-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

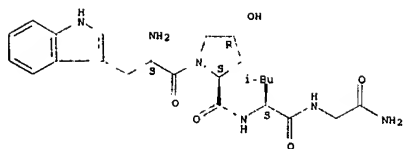


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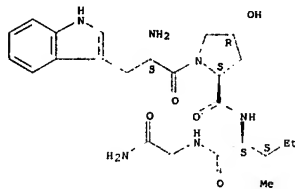
RN 286862-38-2 CAPLUS
CN Glycinamide, L-tryptophyl-(4R)-4-hydroxy-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



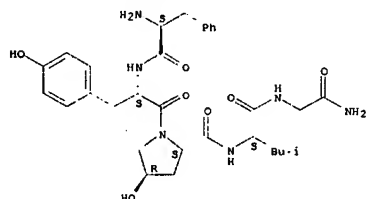
RN 266862-39-3 CAPLUS
CN Glycinamide, L-tryptophyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 266862-43-9 CAPLUS
CN Glycinamide, L-phenylalanyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

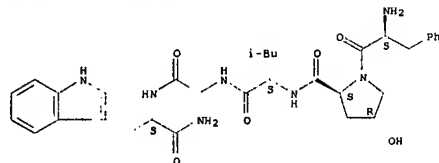


RN 266862-44-0 CAPLUS
CN Glycinamide, L-phenylalanyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

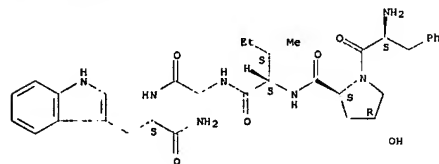
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



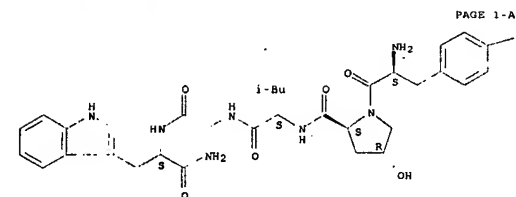
RN 266862-50-8 CAPLUS
CN L-Tryptophanamide, L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

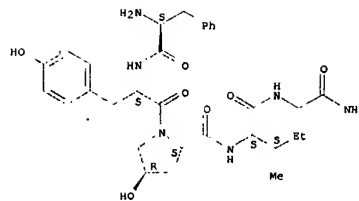


RN 266862-51-9 CAPLUS
CN L-Tryptophanamide, L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

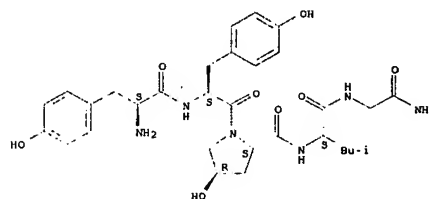


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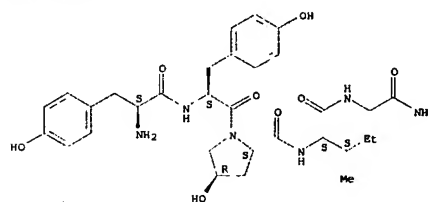
RN 266862-45-2 CAPLUS
CN Glycinamide, L-tyrosyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 266862-47-3 CAPLUS
CN Glycinamide, L-tyrosyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 266862-48-4 CAPLUS
CN L-Tryptophanamide, L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucylglycyl- (9CI) (CA INDEX NAME)

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OH

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 218 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:488724 CAPLUS
DOCUMENT NUMBER: 133:267119
TITLE: Total synthesis and antifungal evaluation of cyclic aminohexapeptides

AUTHOR(S): Klein, Larry L.; Li, Leping; Chen, Hui-Ju; Curty, Cynthia B.; DeGoey, David A.; Graspovnik, David J.; Leone, Christina L.; Thomas, Sheila A.; Yeung, Clinton M.; Funk, Kenneth W.; Kishore, Vimal; Lundell, Edwin O.; Modha, Darluz; Meulbroek, Jon A.; Alder, Jeffrey D.; Nilius, Angela M.; Leroy, Paul A.; Plattner, Jacob J.

CORPORATE SOURCE: Infectious Disease Research, Abbott Laboratories, Abbott Park, IL, 60064-3500, USA

SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(7), 1677-1696

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:267119

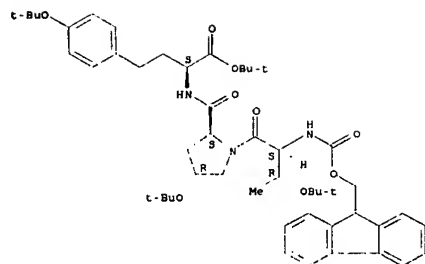
AB Naturally occurring hexapeptide echinocandin B (1) has shown potent antifungal activity via its inhibition of the synthesis of B-1,3 glucan, a key fungal cell wall component. Although this series of agents has been limited thus far based on their physicochem. characteristics, we have found that the synthesis of analogs bearing an aminoproline residue in the 'northwest' position imparts greatly improved water solubility (>5 mg/mL). The synthesis and structure-activity relationships (SAR) based on whole cell and upon in vivo activity of the series of compds. are reported.

IT 296774-21-5P 296774-22-6P 296774-23-7P
296774-24-8P 296774-25-9P 296774-26-0P
296774-29-3DP, resin-bound 296774-30-6P
296774-31-9P 296774-35-1P 296774-37-3P
296774-49-7DP, resin-bound 296774-50-ODP, resin-bound
296775-11-6P 296775-30-9P 296775-31-0P
296775-32-1P 296775-33-2P 296775-34-3P
296775-35-4P 296775-36-5P 296775-37-6P
296775-38-7P 296775-39-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Total synthesis and antifungal evaluation of cyclic aminohexapeptides)
RN 296774-21-5 CAPLUS
CN Benzenecarboxylic acid, O-[(1,1-dimethylethyl)-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-threonyl-(4R)-4-[(1,1-dimethylethoxy)-L-prolyl-L-isoleucyl-(1,1'-dimethylethoxy)]-, 1,1-dimethylethyl ester, (1S)- (9CI) (CA INDEX NAME)

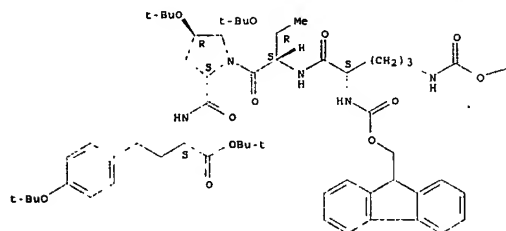
Absolute stereochemistry.



RN 296774-22-6 CAPLUS
CN Benzenebutanoic acid, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-α-amino-4-(1,1-dimethylethoxy)-, 1,1-dimethylethyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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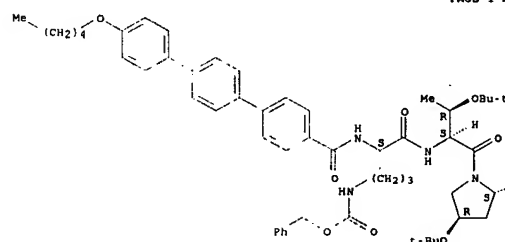


RN 296774-23-7 CAPLUS

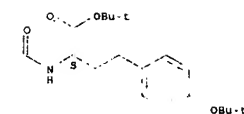
CN Benzenebutanoic acid, N2-[(4''-(pentyloxy)[1,1':4',1''-terphenyl]-4-yl)carbonyl]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-α-amino-4-(1,1-dimethylethoxy)-, 1,1-dimethylethyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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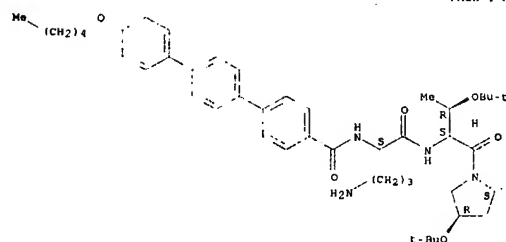
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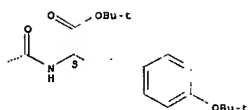
RN 296774-24-8 CAPLUS
CN Benzenebutanoic acid, N2-[(4''-(pentyloxy)[1,1':4',1''-terphenyl]-4-yl)carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-α-amino-4-(1,1-dimethylethoxy)-, 1,1-dimethylethyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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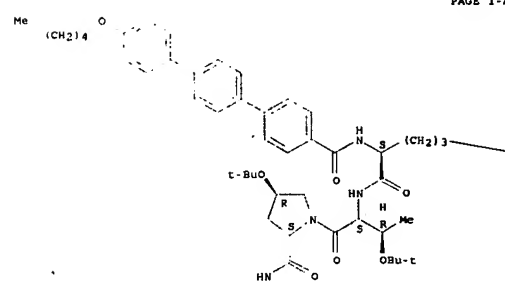
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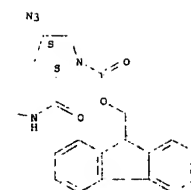
RN 296774-25-9 CAPLUS
CN Benzenebutanoic acid, N5-[(4S)-4-azido-1-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-prolyl]-N2-[(4''-(pentyloxy)[1,1':4',1''-terphenyl]-4-yl)carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-α-amino-4-(1,1-dimethylethoxy)-, 1,1-dimethylethyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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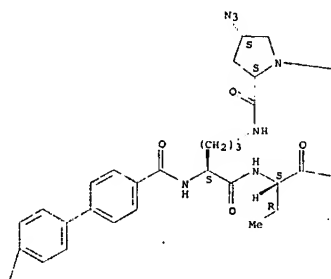


RN 296774-26-0 CAPLUS
CN Benzenebutanoic acid, N5-[N-[(1,1-dimethylethoxy)carbonyl]-O-(1,1-dimethylethyl)-L-threonyl-(4S)-4-azido-L-prolyl]-N2-[(4''-(pentyloxy)[1,1':4',1''-terphenyl]-4-yl)carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-α-amino-4-(1,1-dimethylethoxy)-, 1,1-dimethylethyl ester, (αS)- (9CI) (CA INDEX NAME)

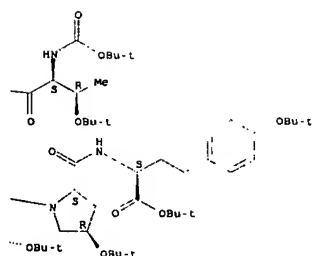
dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl- α -amino-4-(1,1-dimethylethoxy)-, 1,1-dimethylethyl ester, (uS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

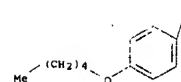
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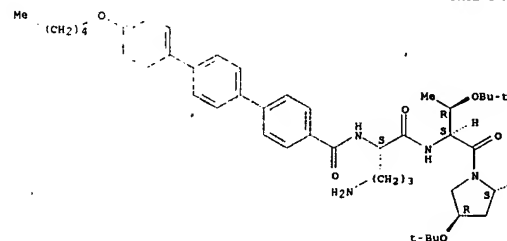
PAGE 2-A



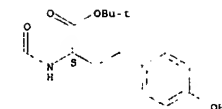
RN 296774-29-3 CAPLUS
CN Benzenebutanoic acid, N2-[4'''-(pentyloxy)[1,1':4',1'''-terphenyl]-4-yl]carbonyl-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl- α -amino-4-hydroxy-, 1,1-dimethylethyl ester, (uS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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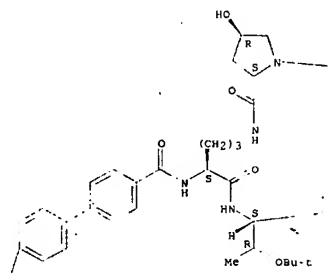


RN 296774-30-6 CAPLUS
CN Benzenebutanoic acid, N5-[N-[(1,1-dimethylethoxy)carbonyl]-L-threonyl-(4R)-3-hydroxy-L-prolyl]-N2-[4'''-(pentyloxy)[1,1':4',1'''-terphenyl]-4-yl]carbonyl-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl- α -amino-4-hydroxy-, 1,1-dimethylethyl ester, (uS)- (9CI) (CA INDEX NAME)

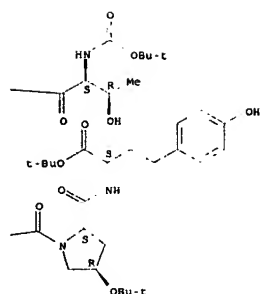
4-hydroxy-L-prolyl]-N2-[4'''-(pentyloxy)[1,1':4',1'''-terphenyl]-4-yl]carbonyl-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl- α -amino-4-hydroxy-, 1,1-dimethylethyl ester, (uS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

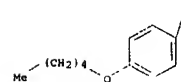
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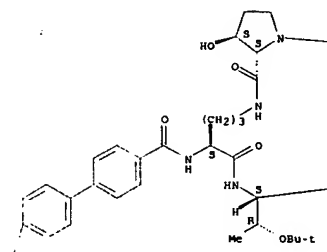
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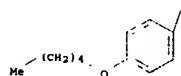
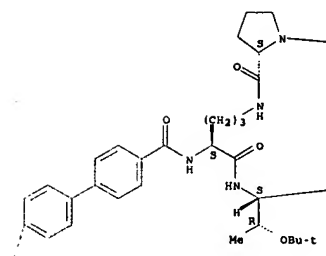
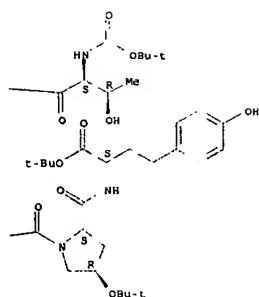


RN 296774-33-9 CAPLUS
CN Benzenebutanoic acid, N5-[N-[(1,1-dimethylethoxy)carbonyl]-L-threonyl-(3R)-3-hydroxy-L-prolyl]-N2-[4'''-(pentyloxy)[1,1':4',1'''-terphenyl]-4-yl]carbonyl-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl- α -amino-4-hydroxy-, 1,1-dimethylethyl ester, (uS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

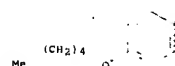
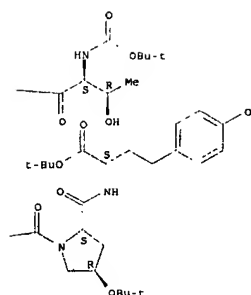
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RN 296774-35-1 CAPLUS
 CN Benzenebutanoic acid, N5-[N-[(1,1-dimethylethoxy)carbonyl]-L-threonyl-L-prolyl]-N2-[[4'-(pentyloxy)[1,1':4',1''-terphenyl]-4-yl]carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-α-amino-4-hydroxy-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

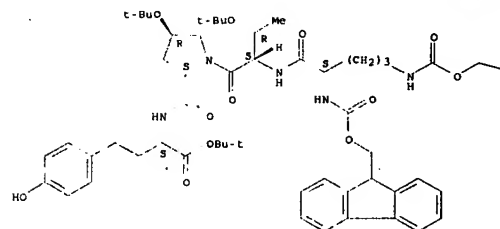


RN 296774-37-3 CAPLUS
 CN Benzenebutanoic acid, N5-[N-[(1,1-dimethylethoxy)carbonyl]-L-threonyl-(2S)-2-piperidinecarbonyl]-N2-[[4'-(pentyloxy)[1,1':4',1''-terphenyl]-4-yl]carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-α-amino-4-hydroxy-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 296774-49-7 CAPLUS
 CN Benzenebutanoic Acid, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N5-[(2-propenyloxy)carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-α-amino-4-hydroxy-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

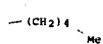
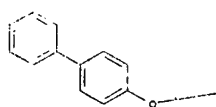
Absolute stereochemistry.

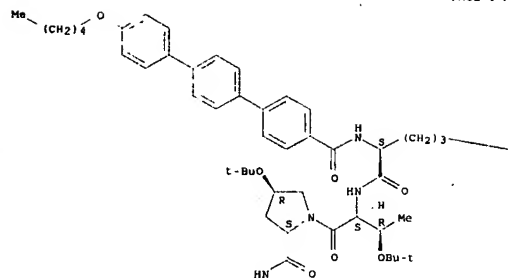


CH2

RN 296774-50-0 CAPLUS
 CN Benzenebutanoic Acid, N5-[(4S)-4-azido-1-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-prolyl]-N2-[[4'-(pentyloxy)[1,1':4',1''-terphenyl]-4-yl]carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-α-amino-4-hydroxy-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

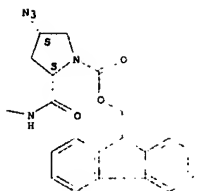
Absolute stereochemistry.



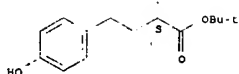


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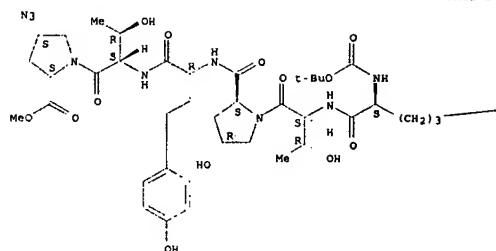
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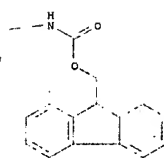
RN 296775-11-6 CAPLUS
CN L-Proline, N2-[(1,1-dimethylethoxy)carbonyl]-N5-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-ornithyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-(4R)-α-amino-4-hydroxybenzenebutanoyl-L-threonyl-4-azido-,

methyl ester, (4S)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

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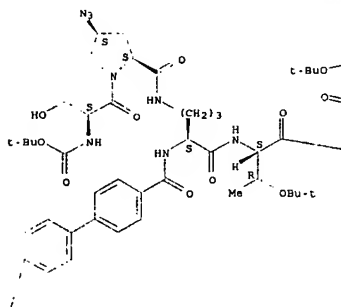
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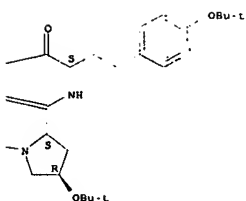
RN 296775-30-9 CAPLUS
CN Benzenebutanoic Acid, N5-[N-[(1,1-dimethylethoxy)carbonyl]-L-seryl-(4S)-4-azido-L-prolyl]-N2-[(4''-(pentyloxy)[1,1':4',1''-terphenyl]-4-yl)carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-[(1,1-dimethylethoxy)-L-prolyl-α-amino-4-(1,1-dimethylethoxy)-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME) .

Absolute stereochemistry.

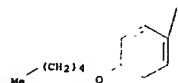
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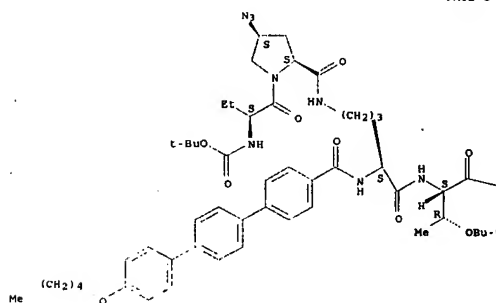
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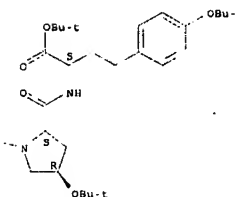
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CN Benzenebutanoic acid, N5-[(2S)-2-[(1,1-dimethylethoxy)carbonyl]amino]butanoyl-(4S)-4-azido-L-prolyl-N2-[(4''-(pentyloxy)[1,1':4',1''-terphenyl]-4-yl)carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-[(1,1-dimethylethoxy)-L-prolyl-α-amino-4-(1,1-dimethylethoxy)-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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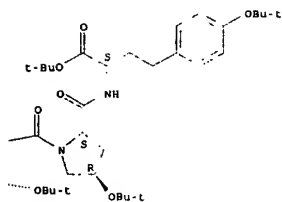
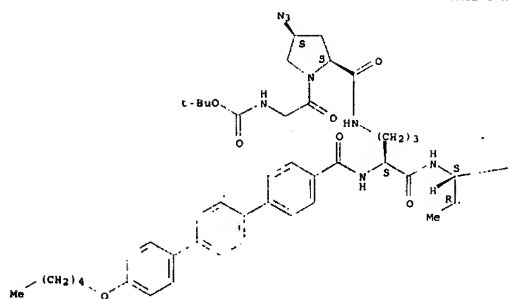


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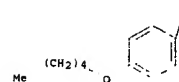
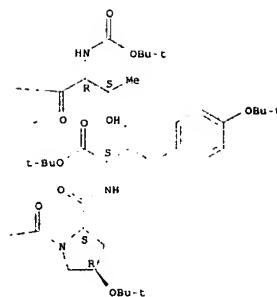
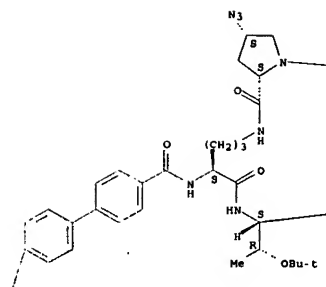
RN 296775-32-1 CAPLUS
CN Benzenebutanoic acid, N5-[N-[(1,1-dimethylethoxy)carbonyl]glycyl-(4S)-4-azido-L-prolyl]-N2-[(4''-(pentyloxy)[1,1':4',1''-terphenyl]-4-yl)carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-[(1,1-dimethylethoxy)-L-prolyl-α-amino-4-(1,1-dimethylethoxy)-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 296775-33-2 CAPLUS
 CN Benzenebutanoic acid, N5-[N-[(1,1-dimethylethoxy)carbonyl]-D-threonyl-(4S)-4-azido-L-prolyl]-N2-[[4'''-(pentyloxy)[1,1':4',1'''-terphenyl]-4-yl]carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-α-amino-4-(1,1-dimethylethoxy)-, 1,1-dimethylethyl ester, (αS)-(9CI) (CA INDEX NAME)

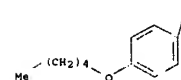
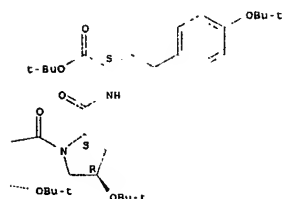
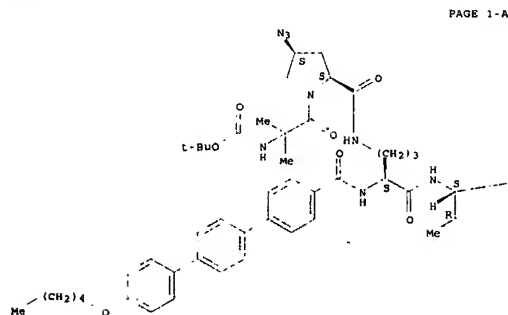
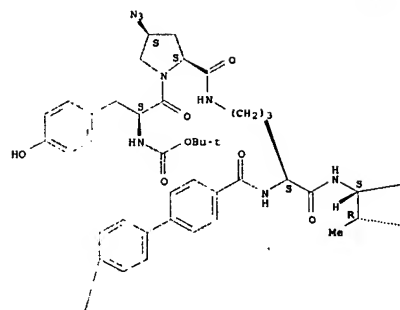
Absolute stereochemistry.



RN 296775-34-3 CAPLUS
 CN Benzenebutanoic acid, N5-[N-[(1,1-dimethylethoxy)carbonyl]-2-methylalanyl-(4S)-4-azido-L-prolyl]-N2-[[4'''-(pentyloxy)[1,1':4',1'''-terphenyl]-4-yl]carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-α-amino-4-(1,1-dimethylethoxy)-, 1,1-dimethylethyl ester, (αS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.



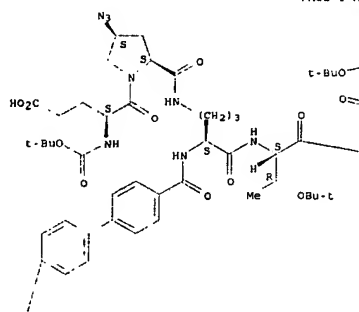
RN 296775-35-4 CAPLUS
 CN Benzenebutanoic acid, N5-[N-[(1,1-dimethylethoxy)carbonyl]-D-tyrosyl-(4S)-4-azido-L-prolyl]-N2-[[4'''-(pentyloxy)[1,1':4',1'''-terphenyl]-4-yl]carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-dimethylethoxy)-L-prolyl-α-amino-4-(1,1-dimethylethoxy)-, 1,1-dimethylethyl ester, (αS)-(9CI) (CA INDEX NAME)

RN 296775-36-5 CAPLUS

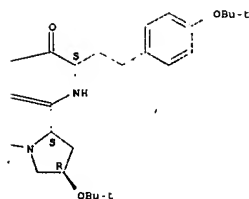
CN Benzenebutanoic acid, N5-[N-[(1,1-dimethylethoxy)carbonyl]-L-
glutanyl-(4S)-4-azido-L-prolyl]-N2-[[4'''-(pentyloxy)(1,1':4',1''-
terphenyl)-4-yl]carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-
4-(1,1-dimethylethoxy)-L-prolyl- α -amino-4-(1,1-dimethylethoxy)-,
1,1-dimethylethyl ester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

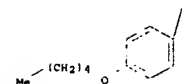
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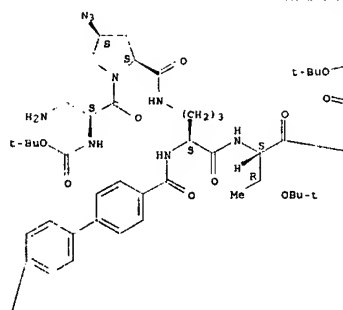


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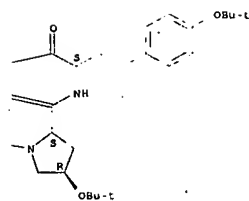


Absolute stereochemistry.

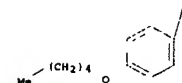
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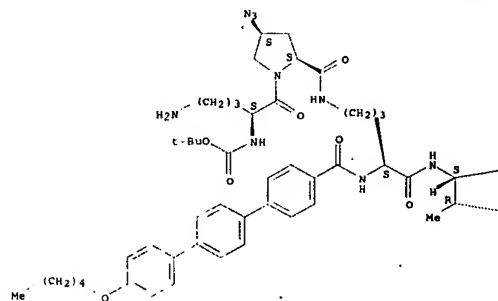
RN 296775-39-8 CAPLUS
CN Benzenebutanoic acid, N5-[N2-[(1,1-dimethylethoxy)carbonyl]-L-arganyl-(4S)-
4-azido-L-prolyl]-N2-[[4'''-(pentyloxy)(1,1':4',1''-terphenyl)-4-
yl]carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-

RN 296775-37-6 CAPLUS

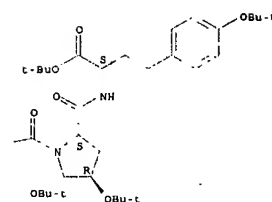
CN Benzenebutanoic acid, N5-[N2-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-
(4S)-4-azido-L-prolyl]-N2-[[4'''-(pentyloxy)(1,1':4',1''-terphenyl)-4-
yl]carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-
dimethylethoxy)-L-prolyl- α -amino-4-(1,1-dimethylethoxy)-,
1,1-dimethylethyl ester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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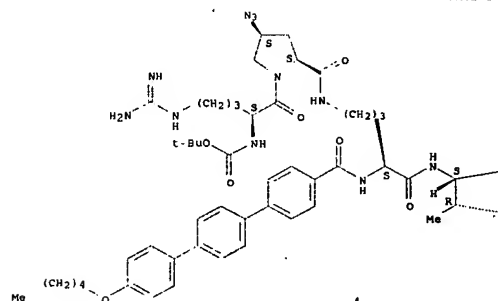
RN 296775-38-7 CAPLUS

CN Benzenebutanoic acid, N5-[3-amino-N-[(1,1-dimethylethoxy)carbonyl]-L-
alanyl-(4S)-4-azido-L-prolyl]-N2-[[4'''-(pentyloxy)(1,1':4',1''-terphenyl)-
4-yl]carbonyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-threonyl-(4R)-4-(1,1-
dimethylethoxy)-L-prolyl- α -amino-4-(1,1-dimethylethoxy)-,
1,1-dimethylethyl ester, (aS)- (9CI) (CA INDEX NAME)

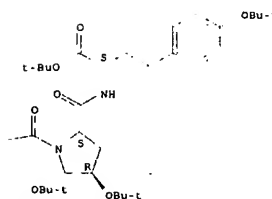
dimethylethoxy)-L-prolyl- α -amino-4-(1,1-dimethylethoxy)-,
1,1-dimethylethyl ester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT:

33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RN FORMAT

L6 ANSWER 219 OF 551
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:

CAPLUS COPYRIGHT 2007 ACS ON STN
2000:464376 CAPLUS
134:61332
Liposome-based formulations for the antibiotic
nonapeptide leucicostatin A: Fourier transform
infrared spectroscopy characterization and in vivo
toxicologic study
Ricci, Maurizio; Sassi, Paola; Nastruzzi, Claudio;

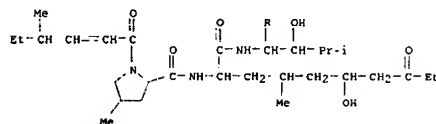
AUTHOR(S):

CORPORATE SOURCE: Rossi, Carlo
Institute of Chimica e Tecnologia del Farmaco, in
Department of Chimica e Tecnologia, Universita degli
Studi, Perugia, 06123, Italy
SOURCE: AAPS PharmSciTech (2000), 1(1), No pp. given
CODEN: AAPSTZ; ISSN: 1522-1059
URL: http://www.pharmscitech.com/volumelissue1/102/man
uscript.htm
PUBLISHER: American Association of Pharmaceutical Scientists
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English

PAGE 1-B

AB Leucinoastatin-A is a nonapeptide isolated from *Paeclomyces marquandii*,
Paeclomyces lilacinus A257, and *Acremonium* sp., exerting remarkable
phytotoxic, antibacterial (especially against Gram-pos.) and antimycotic
activities. With the aim to find alternative formulation for in vivo
administration, a number of Leucinoastatin-A-loaded liposomal formulations
have been prepared and characterized. Both large unilamellar vesicles and
multilamellar vesicles consisting of synthetic and natural lipids were
evaluated. In addition, to determine the nature of peptide-membrane
interactions
and the stability of liposomes loaded with Leucinoastatin-A, a Fourier
Transform IR Spectroscopy study was performed. The results suggest that
the mode of interaction of the peptide is dependent on its concentration, on
bilayer fluidity, and on liposome type. Finally, the LD50 of both free
and liposome-delivered Leucinoastatin-A was determined in mice. These results
suggest that the incorporation of Leucinoastatin-A into liposomes may
result in decreased Leucinoastatin-A toxicity, as the i.p. administration
of Leucinoastatin-A-loaded liposomes reduced the LD50 of Leucinoastatin-A
15-fold.
IT 76600-38-9, Leucinoastatin A 78149-02-7, Leucinoastatin A
hydrochloride
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(FT-IR spectroscopy and in vivo toxicol. studies of liposome-based
formulations for antibiotic nonapeptide leucinoastatin A)
RN 76600-38-9 CAPLUS
CN Leucinoastatin A (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

● x HCl
-CH₂-NMe₂
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

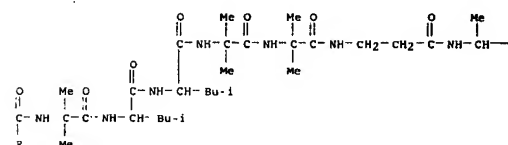
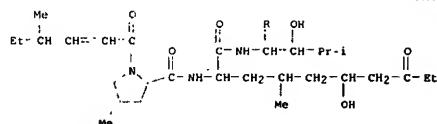
L6 ANSWER 220 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:459074 CAPLUS
DOCUMENT NUMBER: 133:161050
TITLE: Antimicrobial nonapeptide leucinoastatin A-dependent
effects on the physical properties of phospholipid
model membranes
AUTHOR(S): Presta, Massimo; Ricci, Maurizio; Rossi, Carlo;
Furneri, Pio M.; Puglisi, Giovanni
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of
Catania, Catania, I-95125, Italy
SOURCE: Journal of Colloid and Interface Science (2000),
226(2), 222-230
CODEN: JCISA5; ISSN: 0021-9797
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The influence exerted by the antimicrobial and antimycotic non-peptide
Leucinoastatin A (Leu A) on a biol. membrane model made up of
dipalmitoylphosphatidylcholine (DPPC) was investigated. Drug-membrane
interactions, studied by means of differential scanning calorimetry and
Fourier-transform IR spectroscopy, depend on the behavior of the mol.
which positions its hydrophilic part toward the bilayer phospholipid polar
heads, while it inserts its hydrophobic portion into the membrane
phospholipid acyl chain moiety. Calorimetric expts. showed that the
peptide undergoes self-aggregation within the bilayer structure when
present at molar fractions higher than 0.03. Peptide-membrane
interactions as a function of time were analyzed as well. The latter
demonstrated that Leu A inserts rapidly into the outer bilayers of DPPC
membranes. ⁴⁵Ca²⁺ uptake by DPPC vesicles gave a reason for the
ionophoric activity of Leu A against both mouse thymocytes and artificial
membranes, which seems to be correlated to the self-assembling property of
the peptide within the bilayers. (c) 2000 Academic Press.
IT 76600-38-9, Leucinoastatin A
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP
(Properties); BIOL (Biological study); PROC (Process)
(antimicrobial nonapeptide leucinoastatin A-dependent effects on the
phys. properties of phospholipid model membranes)
RN 76600-38-9 CAPLUS
CN Leucinoastatin A (9CI) (CA INDEX NAME)

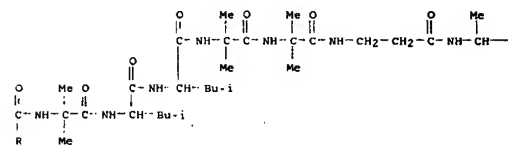
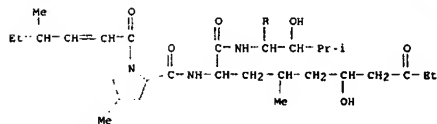
-CH₂-NMe₂

RN 78149-02-7 CAPLUS
CN Leucinoastatin A, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-A



PAGE 1-B

-CH₂-NMe₂
REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L6 ANSWER 221 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:421162 CAPLUS
DOCUMENT NUMBER: 133:53677
TITLE: Halovir, an antiviral marine natural product, and
derivatives thereof
INVENTOR(S): Fenical, William; Jensen, Paul R.; Rowley, David C.
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2000035943 A1 20000622 WO 1999-US28448 19991201

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: OH, OM, KE, LS, MM, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, NG, SN, TD, TO

US 6458766 B1 20021001 US 1998-211877 19981215

CA 2354451 A1 20000622 CA 1999-2354451 19991201

EP 1140988 A1 20011010 EP 1999-962961 19991201

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2002532512 T 20021002 JP 2000-588200 19991201

MX 2001PA06094 A 20020830 MX 2001-PA06094 20010615

PRIORITY APPLN. INFO.: US 1998-211877 A2 19981215

WO 1999-US28448 W 19991201

OTHER SOURCE(S): MARPAT 133:53677

AB The invention provides Halovir compds. (R1a)(R1b)NC(R2a)(R2b)C(O)N (R3)CH(R4)C(O)N(R5)CH(R6)C(O)N(R7)CH(R8)C(O)N(R9)CH(R10)C(O)N(R11)CH(R12)A (R1a, R1b = H, (substituted) alkyl, (substituted) lower-alkyl; R2a, R2b = H, (substituted) lower alkyl; R3 = H, (substituted) lower alkyl, and where R3 and R4 are attached together by a (substituted) lower alkyl; R4 = H, (substituted) lower alkyl, and where R3 and R4 are attached together form (substituted) lower alkyl bridge; R5-R12 = H, (substituted) lower alkyl; A = C(O)R13 (R13 = H, OH, alkyl, (substituted) lower alkyl, O(lower alkyl)), CH2OR14 (R14 = H, C(O)CH3, alkyl, (substituted) lower alkyl), CH2NR15R16 (R15, R16 = H, (substituted) lower alkyl, (substituted) alkyl), and pharmaceutically acceptable salts and derivs. thereof, useful for preventing or treating viral and microbial infections. Isolation and characterization of Halovir A, Halovir B, and Halovir C from marine fungus CHW240, isolated from a sample of the seagrass Halodule wrightii, are included, as are data indicating inhibition of herpes simplex virus 1.

IT 277302-27-9P, Halovir A 277302-28-0P, Halovir B

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

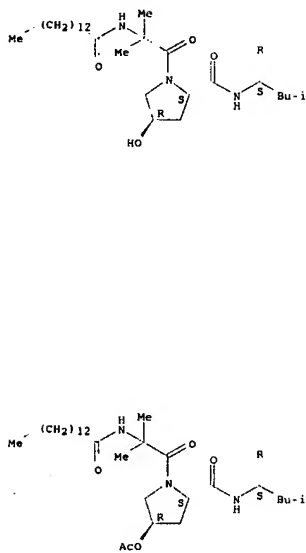
(halovir compound isolation and antiviral activity)

RN 277302-27-9 CAPLUS

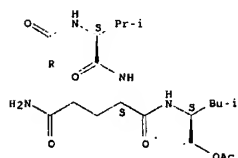
CN L-Glutamide, 2-methyl-N-(1-oxotetradecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-valyl-N1-[(1S)-1-(hydroxymethyl)-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 223 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2000:368424 CAPLUS

DOCUMENT NUMBER: 133:12727

TITLE: Peptidic pharmaceutical compounds for the inhibition of hepatitis C virus NS3 protease

INVENTOR(S): Pessini, Antonello; Ingallinella, Paola; Bianchi, Elisabetta

PATENT ASSIGNER(S): Istituto di Ricerche di Biologia Molecolare p

SOURCE: Angeletti Spa, Italy

DOCUMENT TYPE: PCT Int. Appl., 46 pp.

LANGUAGE: CODEN: PIXXD2

FAMILY ACC. NUM. COUNT: 1 Patent

PATENT INFORMATION: English

PATENT NO. KIND DATE APPLICATION NO. DATE

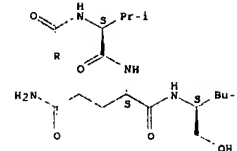
WO 2000031129 A1 20000602 WO 1999-EP9207 19991124

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MM, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, NG, SN, TD, TO

CA 2352493 A1 20000602 CA 1999-2352493 19991124

PAGE 2-A

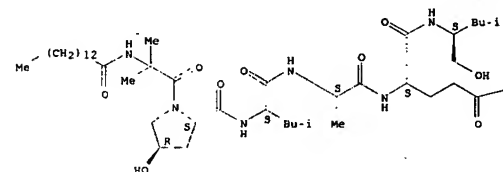


RN 277302-28-0 CAPLUS

CN L-Glutamide, 2-methyl-N-(1-oxotetradecyl)alanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-alanyl-N1-[(1S)-1-(hydroxymethyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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- NH2

IT 277302-30-4, Halovir D

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(halovir compound isolation and antiviral activity)

RN 277302-30-4 CAPLUS

CN L-Glutamide, 2-methyl-N-(1-oxotetradecyl)alanyl-(4R)-4-(acetyloxy)-L-prolyl-L-leucyl-L-valyl-N1-[(1S)-1-(acetyloxy)methyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

EP 1144446 A1 20011017 EP 1999-972641 19991124

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

AU 764589 B2 20030821 AU 2000-13671 19991124

PRIORITY APPLN. INFO.: GB 1998-25946 A 19981126

WO 1999-EP9207 W 19991124

OTHER SOURCE(S): MARPAT 133:12727

AB Peptidic inhibitors of hepatitis C virus NS3 protease are disclosed which are based on the P and P' regions of the natural substrate. The P' part of the inhibitor is optimized to achieve maximum binding energy through interaction with the S' region of the enzyme. By selecting amino acids such that the inhibitor is substantially not cleavable by the NS3 protease, inhibitors having potency in the low nanomolar to sub-nanomolar range can be achieved.

IT 272435-32-2 272435-33-3 272435-34-4

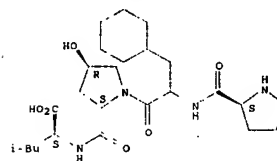
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(peptide containing; peptidic pharmaceutical compds. for inhibition of hepatitis C virus NS3 protease)

RN 272435-32-2 CAPLUS

CN L-Leucine, L-prolyl-3-cyclohexylalanyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

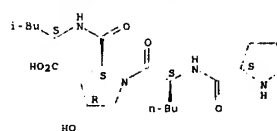
Absolute stereochemistry.



RN 272435-33-3 CAPLUS

CN L-Leucine, L-prolyl-L-norleucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

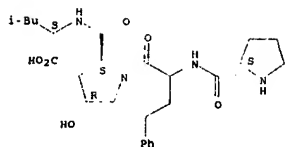
Absolute stereochemistry.



RN 272435-34-4 CAPLUS

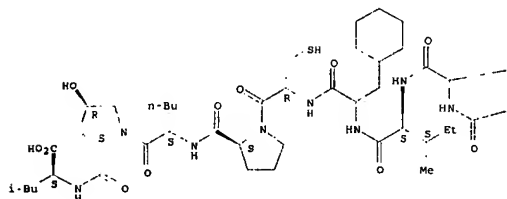
CN L-Leucine, L-prolyl-L-aminobenzenebutanoyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

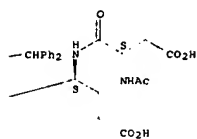


IT 272435-95-7 272784-97-1 272784-98-2
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (peptidic pharmaceutical compds. for inhibition of hepatitis C virus NS3 protease)
 RN 272435-95-7 CAPLUS
 CN L-Leucine, N-acetyl-L- α -aspartyl-L- α -glutamyl- β -phenylphenylalanyl-L-isoleucyl-3-cyclohexylalanyl-L-cysteinyl-L-prolyl-L-norleucyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

PAGE 1-A



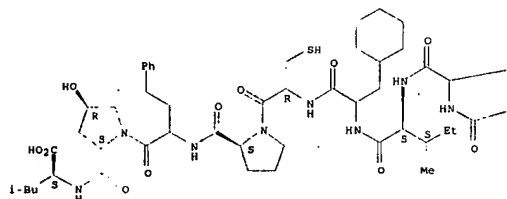
PAGE 1-B



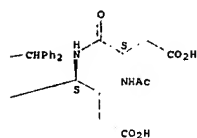
RN 272784-97-1 CAPLUS

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 223 OF 551
 ACCESSION NUMBER: 2000:359176 CAPLUS
 DOCUMENT NUMBER: 133:102035
 TITLE: Channel-forming peptaibols are potent elicitors of plant secondary metabolism and tendrill coiling
 AUTHOR(S): Engelberth, Jürgen; Koch, Thomas; Kuhnemann, Frank; Boland, Wilhelm
 CORPORATE SOURCE: Max-Planck-Institut für Chemische Ökologie, Jena, 07745, Germany
 SOURCE: Angewandte Chemie, International Edition (2000), 39(10), 1860-1862
 CODEN: ACIEP5; ISSN: 1433-7851
 PUBLISHER: Wiley-VCH Verlag GmbH
 DOCUMENT TYPE: Journal
 LANGUAGE: English

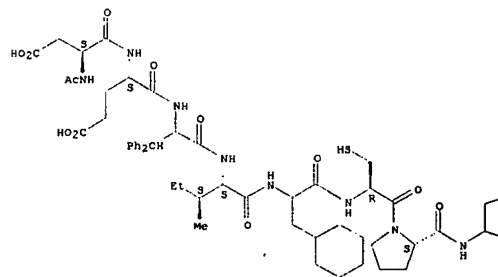
AB The authors demonstrate that fungal peptaibols represent a novel and powerful class of elicitors that can induce multiple metabolic activities, such as ethylene emission, biosynthesis of volatile substances, and tendrill coiling.

IT 181478-82-0, Bergofungin A 245670-50-2, Bergofungin B 245670-52-4, Bergofungin C
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

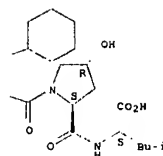
CN L-Leucine, N-acetyl-L- α -aspartyl-L- α -glutamyl- β -phenylphenylalanyl-L-isoleucyl-3-cyclohexylalanyl-L-cysteinyl-L-prolyl-3-cyclohexylalanyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



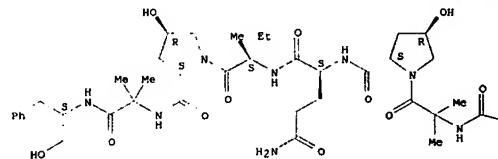
RN 272784-98-2 CAPLUS
 CN L-Leucine, N-acetyl-L- α -aspartyl-L- α -glutamyl- β -phenylphenylalanyl-L-isoleucyl-3-cyclohexylalanyl-L-cysteinyl-L-prolyl- α -aminobenzenebutanoyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

(channel-forming fungal peptaibols are potent elicitors of plant secondary metabolism and tendrill coiling)

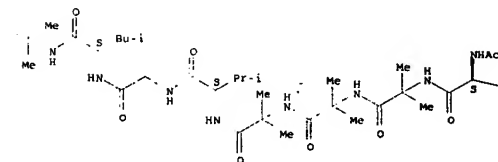
RN 181478-82-0 CAPLUS
 CN Bergofungin A (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A



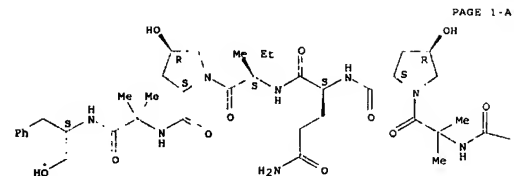
PAGE 1-B



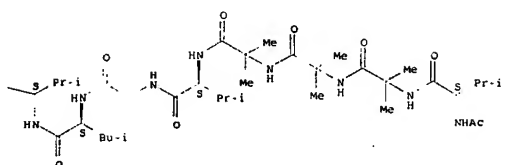
Pr-i

RN 245670-50-2 CAPLUS
CN Bergofungin B (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

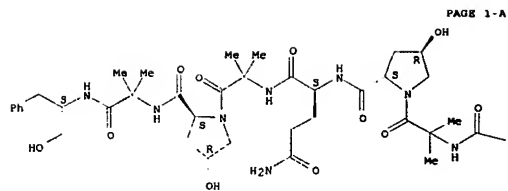


PAGE 1-B



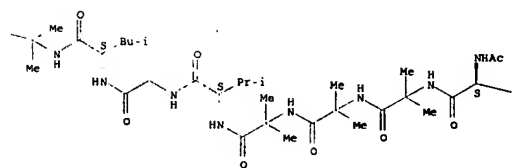
RN 245670-52-4 CAPLUS
CN Bergofungin C (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



PAGE 1-A

PAGE 1-B



PAGE 1-C

Pr-i

REFERENCE COUNT:

27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE-FORMAT

L6 ANSWER 224 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2000:323975 CAPLUS

DOCUMENT NUMBER: 133:46552

TITLE: New sequences and new fungal producers of peptaibol antibiotics antiameobins

AUTHOR(S): Jaworski, Andreas; Bruckner, Hans
CORPORATE SOURCE: Department of Food Sciences, Institute of Nutritional Science, University of Giessen, Giessen, 35390, Germany

SOURCE: Journal of Peptide Science (2000), 6(4), 149-167

PUBLISHER: CODEN: JPSI2I; ISSN: 1075-2617

DOCUMENT TYPE: John Wiley & Sons Ltd.

LANGUAGE: English

AB Mixts. of the microheterogeneous 16-mer peptaibol antibiotics called antiameobins (AAM) have been isolated from the culture broths of strains of the filamentous fungi *Stilbella erythrocephala* ATCC 28144, *Stilbella fimetaria* CBS 548.84 and *Gliocladium catenulatum* CBS 511.66. Sequences were determined using online HPLC together with pos. and neg.-ion electrospray ionization mass spectrometry. Some characteristic features are recognized in the mass spectrometric fragmentation pattern of AAM. From a sample originally used for sequencing AAM (from Hindustan Antibiotics, Ltd., Pimpri, Poona-411018, India), and a sample of AAM com. available (from Sigma Chems., St. Louis, MO, USA) HPLC elution profiles and sequences were assigned. Further, sequences of AAM previously isolated from *Emicellopsis synnematicola* CBS 176.60 and *Emicellopsis salmosynnemata* CBS 382.62 were determined. The peptide designated AAM I was the most abundant in all isolates and its structure could be confirmed. AAM II was detectable as a minor component (1.9%) only in the original sample of AAM, but not in the other isolates. The structures of AAM III, IV and V, which had previously been partly assigned, were definitely established, and the new sequences AAM VI-XVI were elucidated. AAM showing Phe1/Leu1 or Phe1/Val1 exchange, resp., are produced in ams. only by *S. erythrocephala*. Sequences, HPLC elution profiles ("fingerprints") and relative ams. of peptides of all isolates were correlated.

IT 64347-37-1, Antiamebin I 66713-71-1, Antiamebin II

280774-61-0 280774-62-1 280774-63-2

280774-64-3 280774-65-4 280774-66-5

280774-67-6 280774-68-7 280774-69-8

280774-70-1 280774-71-2 280774-72-3

280774-73-4 280774-74-5

RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); OCCU (Occurrence)

(sequences and new fungal producers of peptaibol antibiotics antiameobins)

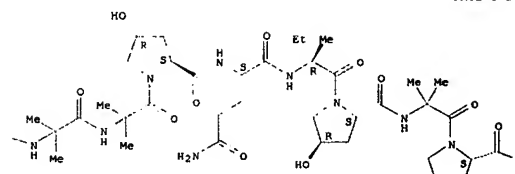
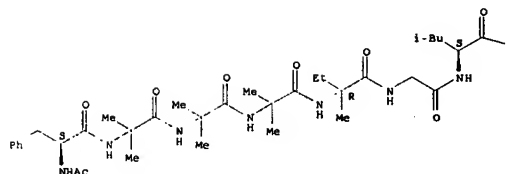
RN 64347-37-1 CAPLUS

CN Antiamebin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.

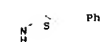
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PAGE 1-B



PAGE 1-C

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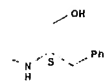
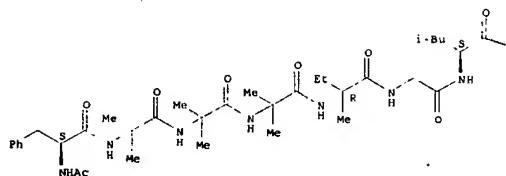


RN 66713-71-1 CAPLUS

Absolute stereochemistry.

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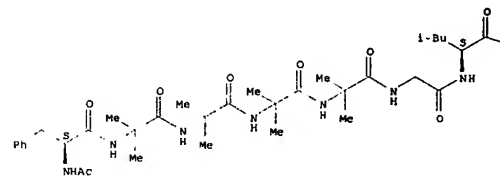
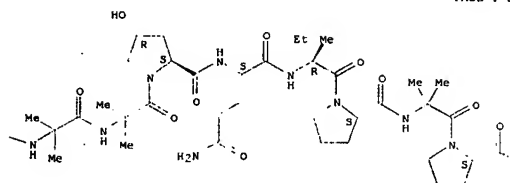


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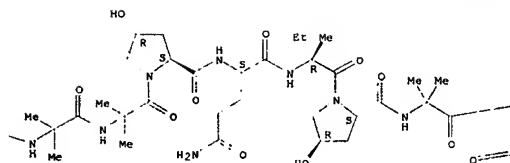
Absolute stereochemistry.

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PAGE 1-B

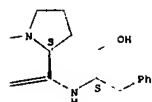


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PAGE 1-A

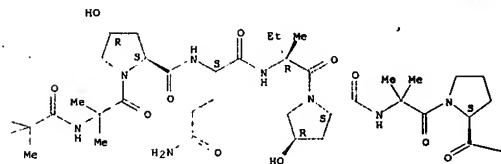
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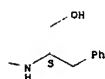
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Absolute stereochemistry.

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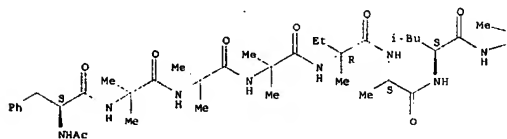


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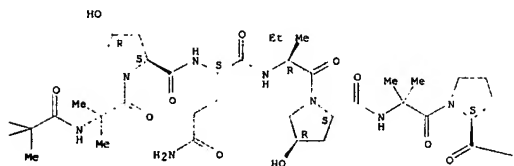
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Absolute stereochemistry.

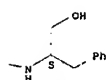
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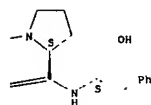


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PAGE 1-C

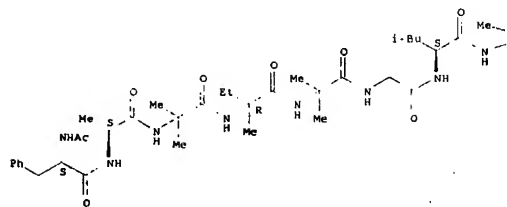


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Absolute stereochemistry.

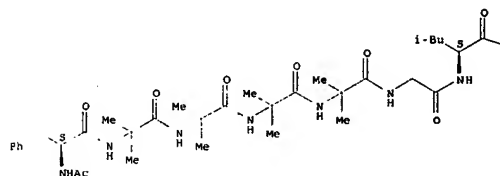
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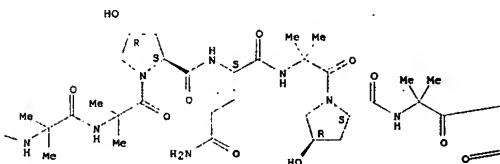
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Absolute stereochemistry.

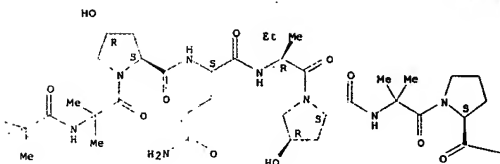
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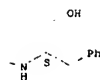
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PAGE 1-B



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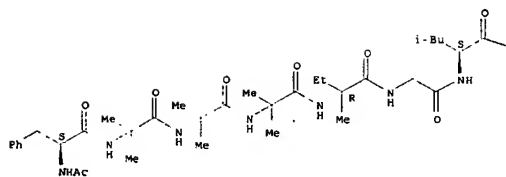


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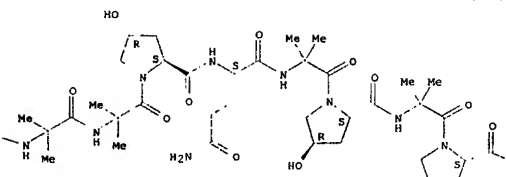
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Absolute stereochemistry.

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RN 280774-67-6 CAPLUS

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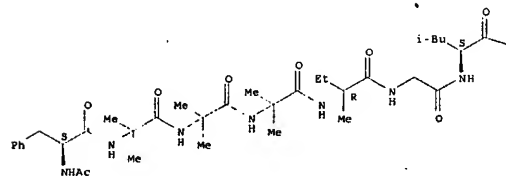


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Absolute stereochemistry.

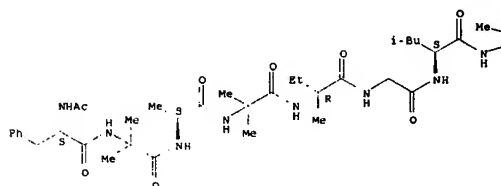
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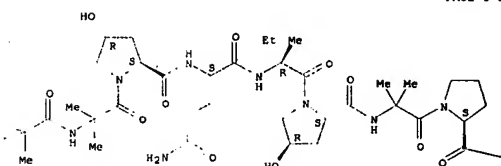
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Absolute stereochemistry.

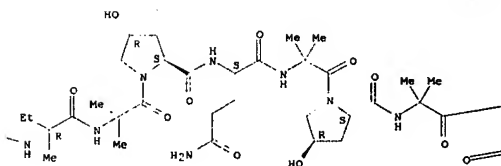
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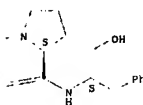
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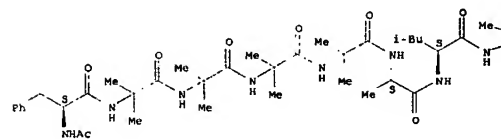


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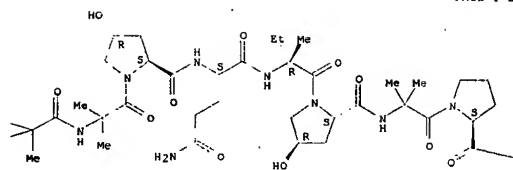
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Absolute stereochemistry.

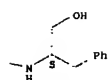
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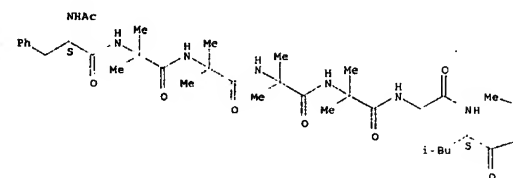
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Absolute stereochemistry.

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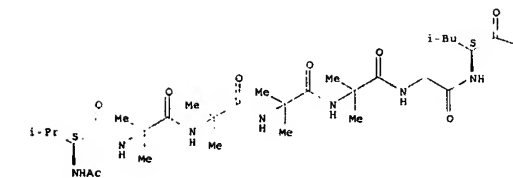


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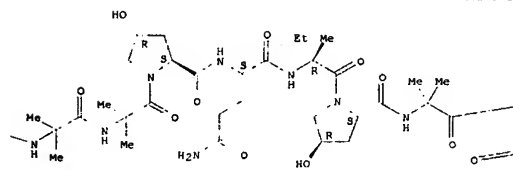
hydroxy-L-prolyl-L-glutaminy-D-isovalyl- (4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

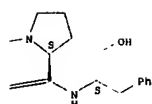
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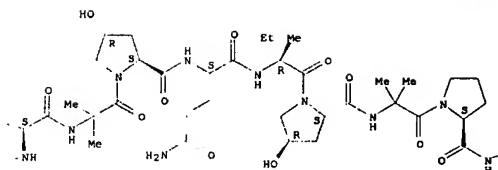


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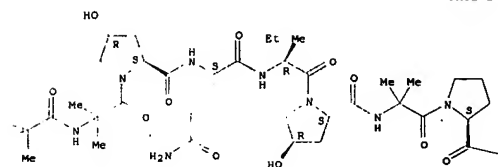
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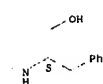
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Absolute stereochemistry.

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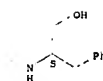
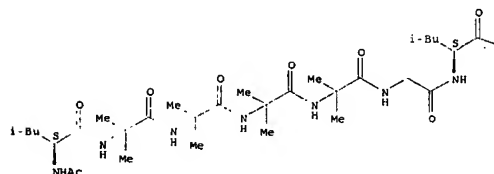


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Absolute stereochemistry.

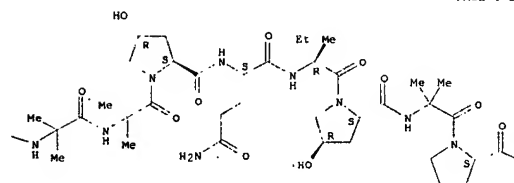
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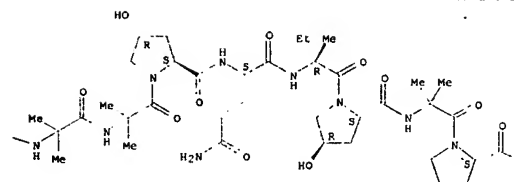
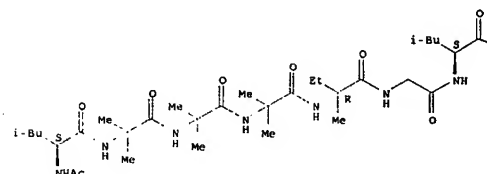
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Absolute stereochemistry.

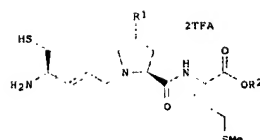
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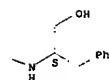
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REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 225 OF 551
 ACCESSION NUMBER: 2000311269 CAPLUS
 DOCUMENT NUMBER: 133105341
 TITLE: Synthesis and evaluation of some hydroxyproline-derived peptidomimetics as isoprenyltransferase inhibitors
 AUTHOR(S): O'Connell, Celeste E.; Rowell, Cheryl A.; Ackermann, Karen; Garcia, Ana Maria; Lewis, Michael D.; Kowalczyk, James J.
 CORPORATE SOURCE: Eisai Research Institute, Andover, MA, 01810, USA
 SOURCE: Chemical & Pharmaceutical Bulletin (2000), 48(5), 740-742
 CODEN: CPBTAL; ISSN: 0009-2363
 PUBLISHER: Pharmaceutical Society of Japan
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

AB CA1A2X peptidomimetics I [R1 = 4-(isopropyl)phenylthio, Ph, 4-(tert-butyl)phenyl, 2-(methoxy)phenyl, 4-(isopropyl)benzyl, 4-(isopropyl)phenethyl; R2 = H, Me] containing a modified proline at position A2 were prepared and evaluated for their ability to inhibit farnesyltransferase (FTase) and geranylgeranyltransferase I (GGTase I) in enzymic and cell-based assays. I inhibited farnesylation of H-ras in vitro in the high nanomolar to low micromolar IC50 range.

IT 245419-52-7 245419-54-9 245419-57-2
 245419-59-4 245420-02-4 245420-04-6
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (synthesis and biol. evaluation of hydroxyproline-derived peptidomimetics as isoprenyltransferase inhibitors)

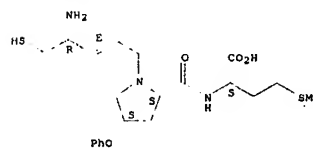
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CM 1

CRN 245419-51-6

CMF C21 H31 N3 O4 S2

Absolute stereochemistry.
 Double bond geometry as shown.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

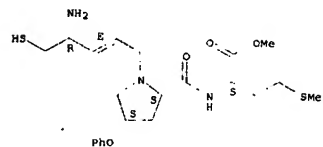


RN 245419-54-9 CAPLUS
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CM 1

CRN 245419-53-8
CMF C22 H33 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

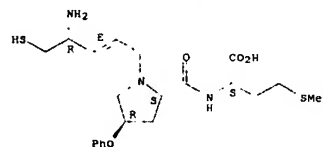


RN 245419-57-2 CAPLUS
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CM 1

CRN 245419-56-1
CMF C21 H31 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

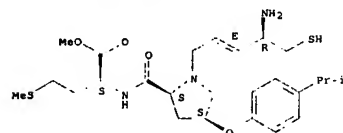


RN 245420-04-6 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[(1-methylethyl)phenoxy]-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245420-03-5
CMF C25 H39 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

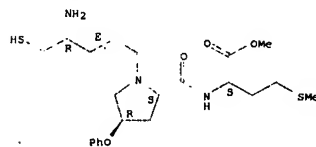


RN 245419-59-4 CAPLUS
CN L-Methionine, (4R)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-phenoxy-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245419-58-3
CMF C22 H33 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 245420-02-4 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[(1-methylethyl)phenoxy]-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245420-01-3
CMF C24 H37 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.

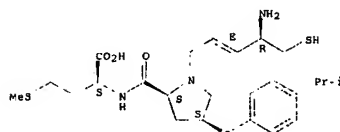
IT 284047-12-7P 284047-20-7P 284047-22-9P
284047-25-2P 284047-33-2P 284047-35-4P
RL: BAC (Biological activity or effector, except adverse), BSU (Biological study, unclassified), SPN (Synthetic preparation), BIOL (Biological study), PREP (Preparation)
(synthesis and biol. evaluation of hydroxyproline-derived peptidomimetics as isoprenyltransferase inhibitors)

RN 284047-12-7 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[(1-methylethyl)phenylthio]-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 284047-11-6
CMF C24 H37 N3 O3 S3

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

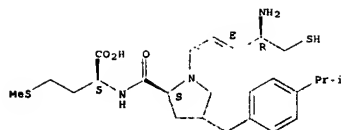


RN 284047-20-7 CAPLUS
CN L-Methionine, 1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[(1-methylethyl)phenylmethyl]-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 284047-19-4
CMF C25 H39 N3 O3 S2

Absolute stereochemistry.
Double bond geometry as shown.



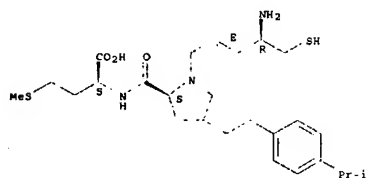
CM 2
CRN 76-05-1
CMP C2 H F3 O2



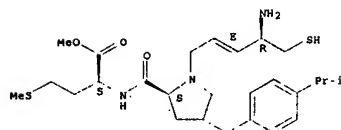
RN 284047-22-9 CAPLUS
CN L-Methionine, 1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[[4-(1-methylethyl)phenyl]ethyl]-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1
CRN 284047-21-8
CMP C26 H41 N3 O3 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2
CRN 76-05-1
CMP C2 H F3 O2



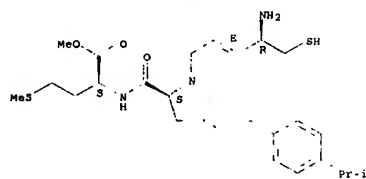
CM 2
CRN 76-05-1
CMP C2 H F3 O2



RN 284047-35-4 CAPLUS
CN L-Methionine, 1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[[4-(1-methylethyl)phenyl]ethyl]-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1
CRN 284047-34-3
CMP C27 H43 N3 O3 S2

Absolute stereochemistry.
Double bond geometry as shown.



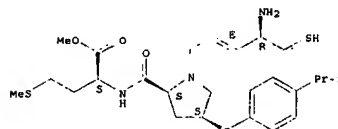
CM 2
CRN 76-05-1
CMP C2 H F3 O2



RN 284047-25-2 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[[4-(1-methylethyl)phenyl]thio]-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1
CRN 284047-24-1
CMP C25 H39 N3 O3 S3

Absolute stereochemistry.
Double bond geometry as shown.



CM 2
CRN 76-05-1
CMP C2 H F3 O2



RN 284047-33-2 CAPLUS
CN L-Methionine, 1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[[4-(1-methylethyl)phenyl]methyl]-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1
CRN 284047-32-1
CMP C26 H41 N3 O3 S2

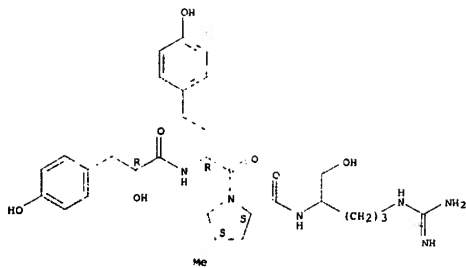
Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

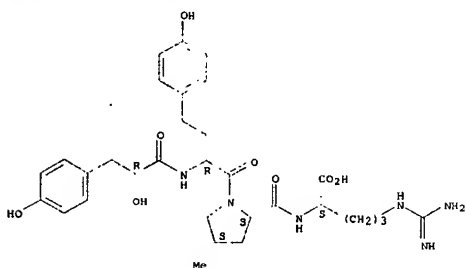
L6 ANSWER 226 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2009:281523 CAPLUS
DOCUMENT NUMBER: 133:89781
TITLE: Mass spectrometric studies of peptides from cyanobacteria under FAB MS/MS conditions
AUTHOR(S): Fujii, Kiyonaga; Mayumi, Tsuyoshi; Noguchi, Kazuyoshi; Kashiwagi, Tatsuki; Akashi, Satoko; Sivonen, Kaarina; Hirayama, Kazuo; Harada, Ken-ichi
CORPORATE SOURCE: Fac. Pharmacy, Meijo Univ., Nagoya, 468-8503, Japan
SOURCE: Journal of the Mass Spectrometry Society of Japan (2000), 48(1), 56-64
CODEN: JMSJEY; ISSN: 1340-8097
PUBLISHER: Nippon Shitsuryo Bunkai Gakkai
DOCUMENT TYPE: Journal
LANGUAGE: English
AB For the elucidation of the biosynthetic relationship between nontoxic peptides and hepatotoxic peptides produced together with hepatotoxic peptides, the authors applied the high-energy collision FAB MS/MS method to the confirmation and the determination of the peptide structure isolated from cyanobacteria. The structures of the cyanobacterial peptides including the cyclic peptides were definitely elucidated by complementary use of the NMR and MS/MS methods. Furthermore, the MS/MS method is very effective for the structural determination of closely related peptides derived from the difference of a part of the constituent amino acids, and their structures were determined by MS/MS expts. and amino acid anal. without NMR techniques. Addnl., the charge-remote fragmentation was observed in the cases of peptides containing Arg and the sulfate group which facilitated the interpretation of the resulting product ion spectrum. From the present study, the MS/MS method was found to be practicable for the confirmation and determination of the structures of cyanobacterial peptides.
IT 184682-38-0, Spumigin A 184682-39-1, Spumigin B 1
184682-40-4, Spumigin B 2
RL: PRP (Properties)
(mass spectrometric studies of peptides from cyanobacteria under FAB MS/MS conditions)
RN 184682-38-0 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[4-[(aminomino)methyl]aminol]-1-(hydroxymethyl)butyl]-1-[(2R)-2-[(1(2R)-2-hydroxy-3-(4-hydroxyphenyl)-1-oxopropyl)amino]-4-(4-hydroxyphenyl)-1-oxobutyl]-4-methyl-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 184682-39-1 CAPLUS
CN L-Arginine, (4R)-α,4-dihydroxybenzenepropanoyl-[(4R)-α-amino-4-hydroxybenzenobutanoyl-(4S)-4-methyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 184682-40-4 CAPLUS
CN D-Arginine, (4R)-α,4-dihydroxybenzenepropanoyl-[(4R)-α-amino-4-hydroxybenzenobutanoyl-(4S)-4-methyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

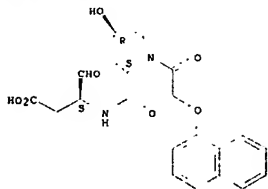
OTHER SOURCE(S): MARPAT 132:308661

AB Comps. of formula R1X(CH2)nCH2CO-A-RHCH(CH2)2CO2R3[CO-B [A is a natural or unnatural amino acid; B = H, D, alkyl, cycloalkyl, (un)substituted Ph or naphthyl, 2-benzoxazolyl, halomethyl, (CH2)mcyloalkyl, (CH2)m(1- or 2-naphthyl), substituted 2-oxazolyl, (un)substituted (CH2)mphenyl, CH2OCO(aryl), or CH2OCO(heteroaryl), etc.; X = CH2, CO, O, S, NH, CONH, CH2OCO, R1 = (un)substituted Ph, naphthyl, or heteroaryl; R2 = H, alkyl, cycloalkyl, (un)substituted Ph, (CH2)mNH2, (un)substituted (CH2)mphenyl, (CH2)mcyloalkyl, (CH2)mheteroaryl, etc.; R3 = H, alkyl, cycloalkyl, (cycloalkyl)alkyl, (un)substituted phenylalkyl; m = 1-4, n = 0-2; q = 1-2] or their pharmaceutically acceptable salts were prepared as inhibitors of ICE/ced-3 family of cysteine proteases (ICE = interleukin-1β converting enzyme). Thus, coupling of (1-naphthylamino)acetic acid with (3S)-3-(leucylamino)-4-oxobutanoic acid tert-Bu ester semicarbazone (preparation given) followed by deprotection of the resulting intermediate with TFA, and treatment with a 3:1:1 solution of MeOH/AcOH/37% HClO4 afforded (3S)-3-[(N-((1-naphthylamino)acetyl)leucylamino)-4-oxobutanoic acid which showed IC50 = 0.03 μM for mICE, 0.013 μM for CPP32, and 0.037 μM for MCH-2 enzyme assays, resp. The invention is also directed to pharmaceutical compns. containing these compds., as well as the use of such compns. in the treatment of patients suffering inflammatory, autoimmune and neurodegenerative diseases, for the prevention of ischemic injury, and for the preservation of organs that are to undergo a transplantation procedure.

IT 265119-14-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of (substituted)acyl dipeptidyl inhibitors of the ice/ced-3 family of cysteine proteases)

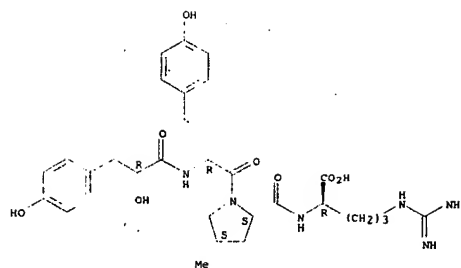
RN 265119-14-0 CAPLUS
CN Butanoic acid, 3-[[[(1S,4R)-4-hydroxy-1-[(1-naphthalenyloxy)acetyl]-2-pyrrolidinyl]carbonylamino]-4-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 228 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2000:144900 CAPLUS
DOCUMENT NUMBER: 132:194661
TITLE: Preparation of ring modified cyclic peptide analogs as antifungal agents
INVENTOR(S): Borromeo, Peter Stanley; Cohen, Jeffrey Daniel; Gregory, George Stuart; Henle, Stacy Kay; Hitchcock, Stephen Andrew; Jungheim, Louis Nickolaus; Mayhugh, Daniel Ray; Shepherd, Timothy Alan; Turner, William Wilson, Jr.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 227 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2000:277960 CAPLUS
DOCUMENT NUMBER: 132:308661
TITLE: Preparation of (substituted)acyl dipeptidyl inhibitors of the ice/ced-3 family of cysteine proteases
INVENTOR(S): Karanewsky, Donald S.; Kalish, Vincent J.; Robinson, Edward D.; Ullman, Brett R.
PATENT ASSIGNEE(S): Idun Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023421	A1	20000427	WO 1999-US24756	19991022
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, ES, ES, FI, GB, OD, OS, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RM: GH, GM, KE, LS, MM, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TO				
US 6242422	B1	20010605	US 1998-177546	19981022
CA 2147792	A	20000619	CA 1999-234792	19991022
EP 1123272	A1	20010816	EP 1999-970657	19991022
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002527504	T	20020827	JP 2000-577149	19991022
US 2002091089	A1	20020711	US 2001-836442	20010416
NO 2001001968	A	20010619	NO 2001-1968	20010420
MX 2001PA03973	A	20020122	MX 2001-PA3973	20010420
US 2004259804	A1	20041223	US 2001-912674	20010720
US 7157430	B2	20070102		
PRIORITY APPLN. INFO.:			US 1998-177546	A 19981022
			WO 1999-US24756	W 19991022

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000011023	A2	20000302	WO 1999-US18908	19990818
WO 2000011023	A3	20000615		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RM: GH, GM, KE, LS, MM, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TO				
CA 2340676	A1	20000302	CA 1999-2340676	19990818
AU 9955726	A1	20000314	AU 1999-55726	19990818
AU 765660	B2	20030925		
EP 1107981	A2	20010620	EP 1999-942321	19990818
EP 1107981	B1	20050126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002528388	T	20020903	JP 2000-566295	19990818
AT 287899	T	20050215	AT 1999-942321	19990818
PT 1107981	T	20050531	PT 1999-942321	19990818
ES 2233070	T3	20050601	EP 1999-942321	19990818
US 6653281	B1	20031125	US 2001-763114	20010524
US 2004068094	A1	20040408	US 2003-676575	20030930
US 693946	B2	20050906		
PRIORITY APPLN. INFO.:			US 1998-97228P	P 19980820
			WO 1999-US18908	W 19990818
			US 2001-763114	A1 20010524

OTHER SOURCE(S): MARPAT 132:194661

G1

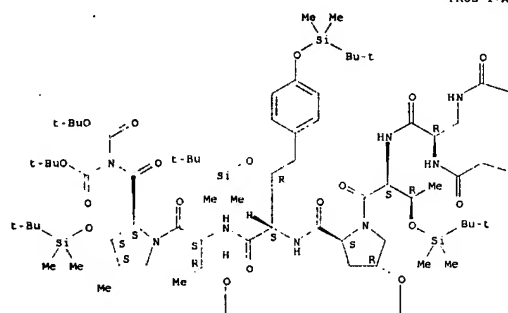
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A method is provided for modifying the cyclic peptide ring system of echinocandin-type compds. to produce new analogs, e.g., 1 (R = alkyl, alkenyl, alkynyl, aryl, heteroaryl; R1, R4 = H, OH; R2 = H, Me; R3 = H, Me, CH2CONH2, CH2, CH2NH2, R5 = OH, OP3H2, OSO3H; R6 = H, OSO3H), having antifungal activity. The process comprises opening the cyclic peptide ring, cleaving the terminal ornithine unit, inserting at least one new amino acid or other synthetic unit and closing the ring to produce a new cyclic peptide ring structure. Thus, cyclic peptide II [R = p-(pentyloxy)-p-terphenyl] was prepared and showed min. inhibitory concns. 0.005-0.156 μg/mL against four fungi.

IT 259825-46-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of ring modified cyclic peptide analogs as antifungal agents)
RN 259825-46-2 CAPLUS
CN L-Prolineamide, N-[(phenylmethoxycarbonyl)glycyl]-3-[[[(1,1-dimethylethoxy)carbonyl]amino]-D-alanyl-O-[[[(1,1-dimethylethoxy)dimethylsilyl]-L-threonyl-(4R)-4-[[[(1,1-dimethylethoxy)dimethylsilyl]oxy]-L-prolyl]-O-[[[(1,1-

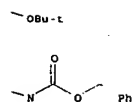
dimethylethyl)dimethylsilyl]-4-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]p
henyl]-L-threonyl-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-N,N-
bis[(1,1-dimethylethoxy)carbonyl]-3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy
1-4-methyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

PAGE 1-B



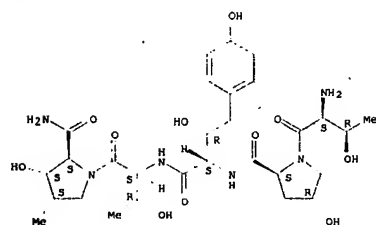
PAGE 2-A



IT 259824-65-2P 259824-67-4P 259824-68-5P
259824-69-6P 259824-70-9P 259824-73-2P
259824-82-3P 259824-83-4P 259825-05-3P

CMF C29 H44 N6 O11

Absolute stereochemistry.



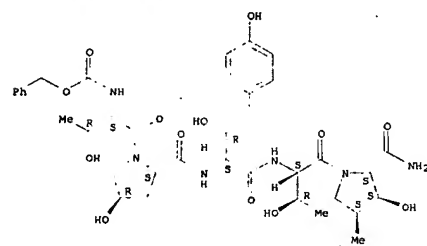
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 259824-68-5 CAPLUS
CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-L-threonyl-(4R)-4-hydroxy-L-
prolyl-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-,
(3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

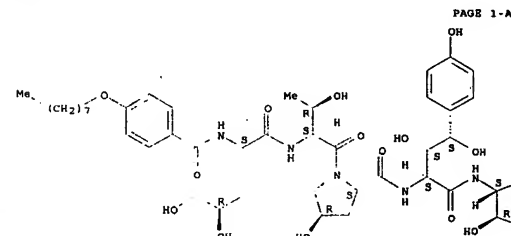


RN 259824-69-6 CAPLUS

259825-10-0P 259825-11-1P 259825-12-2P
259825-20-2P 259825-22-4P 259825-24-6P
259825-25-7P 259825-33-7P 259825-39-3P
259825-54-2P 259825-61-1P

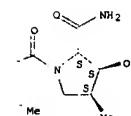
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of ring modified cyclic peptide analogs as antifungal agents)
RN 259824-65-2 CAPLUS
CN L-Prolinamide, (4R)-4,5-dihydroxy-N-[4-(octyloxy)benzoyl]-L-norvalyl-L-
threonyl-(4R)-4-hydroxy-L-prolyl-(4S)-4-hydroxy-4-(4-hydroxyphenyl)-L-
threonyl-L-threonyl-3-hydroxy-4-methyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

PAGE 1-B



RN 259824-67-4 CAPLUS
CN L-Prolinamide, L-threonyl-(4R)-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-
threonyl-L-threonyl-3-hydroxy-4-methyl-, mono(trifluoroacetate) (salt),
(3S,4S)- (9CI) (CA INDEX NAME)

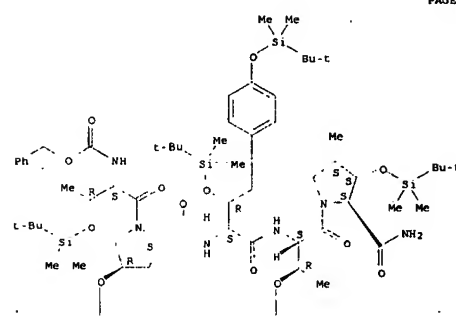
CM 1

CRN 259824-66-3

CN L-Prolinamide, O-[(1,1-dimethylethyl)dimethylsilyl]-N-
[(phenylmethoxy)carbonyl]-L-threonyl-(4R)-4-[[[(1,1-
dimethylethyl)dimethylsilyl]oxy]-L-prolyl-O-[(1,1-
dimethylethyl)dimethylsilyl]-4-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]p
henyl]-L-threonyl-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-3-[[[(1,1-
dimethylethyl)dimethylsilyl]oxy]-4-methyl-, (3S,4S)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

PAGE 1-A



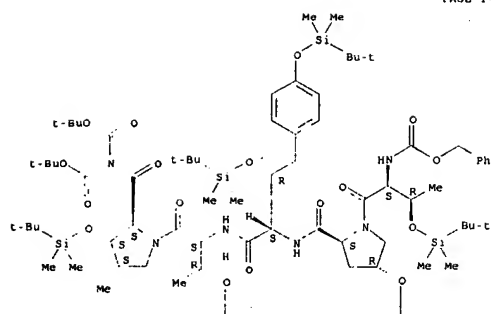
PAGE 2-A



RN 259824-70-9 CAPLUS
CN L-Prolinamide, O-[(1,1-dimethylethyl)dimethylsilyl]-N-
[(phenylmethoxy)carbonyl]-L-threonyl-(4R)-4-[[[(1,1-
dimethylethyl)dimethylsilyl]oxy]-L-prolyl-O-[(1,1-
dimethylethyl)dimethylsilyl]-4-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]p
henyl]-L-threonyl-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-N,N-
bis[(1,1-dimethylethoxy)carbonyl]-3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy
1-4-methyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

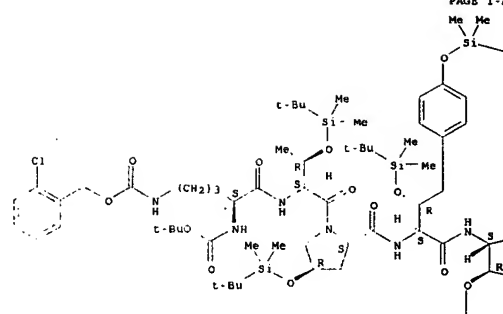


RN 259824-73-2 CAPLUS

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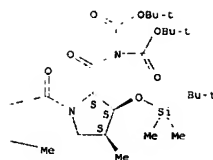
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

-Bu-t



PAGE 2-A



PAGE 2-B

-Bu-t

RN 259824-82-3 CAPLUS

CN L-Prolinamide, N-[[[(1,1-dimethylethoxy)carbonyl]-4-methoxy-O-methyl-L-homoseryl]-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-(4R)-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-L-prolyl]-O-[(1,1-dimethylethyl)dimethylsilyl]-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]-L-threonyl]-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-N,N-bis[(1,1-dimethylethoxy)carbonyl]-3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-4-methyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-A

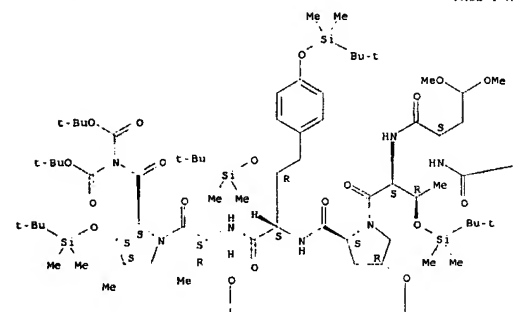


RN 259824-83-4 CAPLUS

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Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

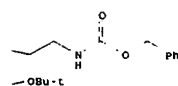
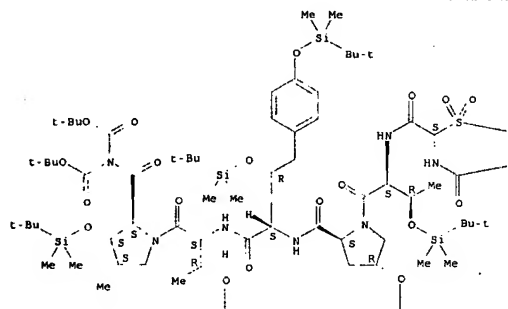
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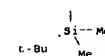
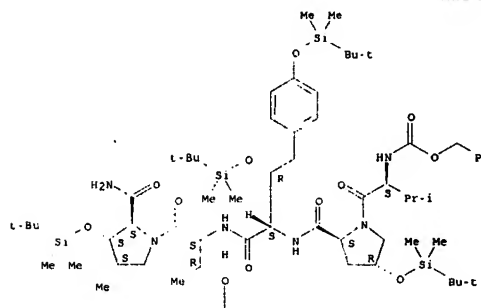
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Absolute stereochemistry.



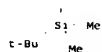
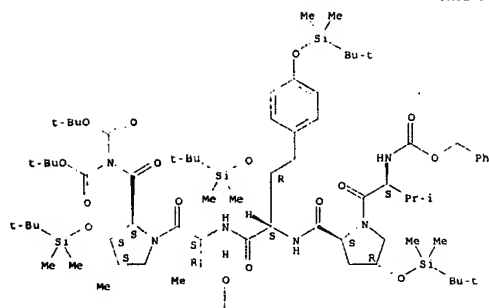
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Absolute stereochemistry.



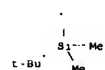
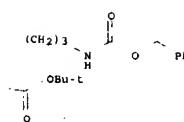
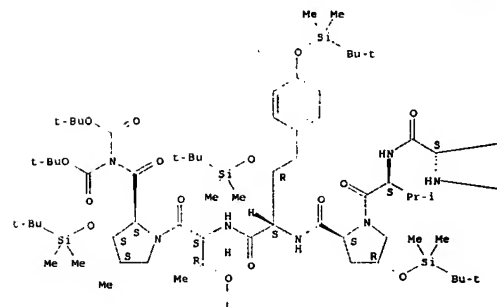
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Absolute stereochemistry.



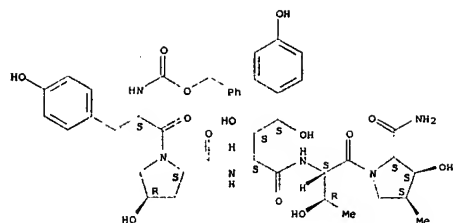
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Absolute stereochemistry.



RN 259825-20-2 CAPLUS
CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-(4S)-4-hydroxy-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, (3S,4S)- (9CI) (CA INDEX NAME)

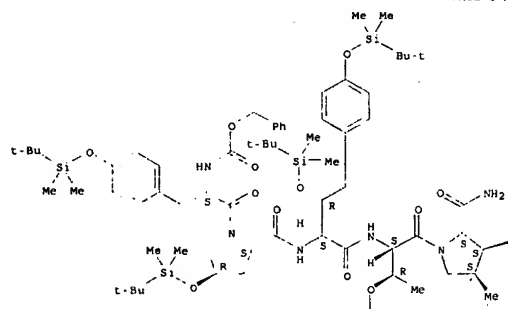
Absolute stereochemistry.



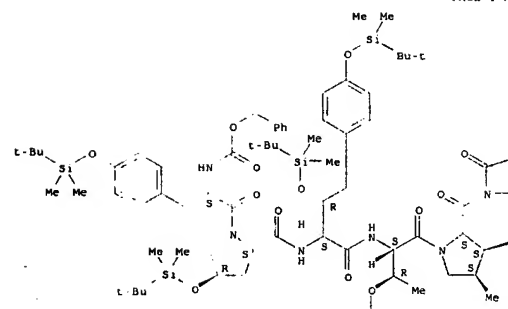
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CN L-Prolineamide, O-[(1,1-dimethylethyl)dimethylsilyl]-N-
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dimethylethyl)dimethylsilyloxy]-L-prolyl-O-[(1,1-
dimethylethyl)dimethylsilyl]-4-[4-[(1,1-dimethylethyl)dimethylsilyloxy]p
henyl]-L-threonyl-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-3-[(1,1-
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NAME)

Absolute stereochemistry.

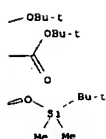
PAGE 1-A



PAGE 1-A



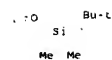
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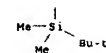
PAGE 2-A



RN 259825-25-7 CAPLUS
CN L-Prolineamide, N2-[(1,1-dimethylethoxy)carbonyl]-N5-



PAGE 2-A



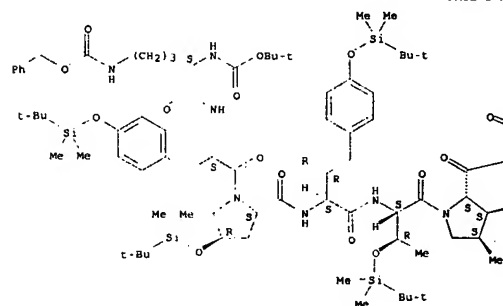
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dimethylethyl)dimethylsilyloxy]-L-prolyl-O-[(1,1-
dimethylethyl)dimethylsilyl]-4-[4-[(1,1-dimethylethyl)dimethylsilyloxy]p
henyl]-L-threonyl-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-N,N-
bis[(1,1-dimethylethoxy)carbonyl]-3-[(1,1-dimethylethyl)dimethylsilyloxy
]-4-methyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

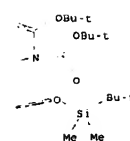
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dimethylethyl)dimethylsilyl]-4-[4-[(1,1-dimethylethyl)dimethylsilyloxy]p
henyl]-L-threonyl-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-N,N-
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]-4-methyl-, (3S,4S)- (9CI) (CA INDEX NAME)

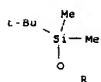
Absolute stereochemistry.

PAGE 1-A



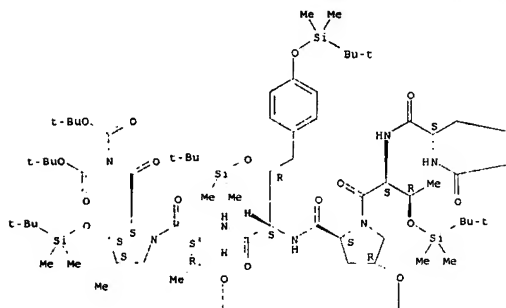
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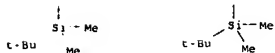
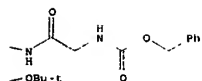
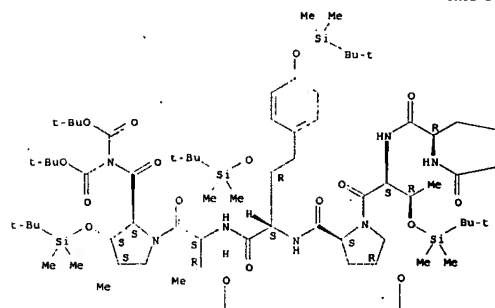
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 dimethylethyl)dimethylsilyl]oxy]-L-prolyl-O-[(1,1-
 dimethylethyl)dimethylsilyl]-4-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]p
 henyl]-L-threonyl-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-N,N-
 bis[(1,1-dimethylethoxy)carbonyl]-3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy
]-4-methyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



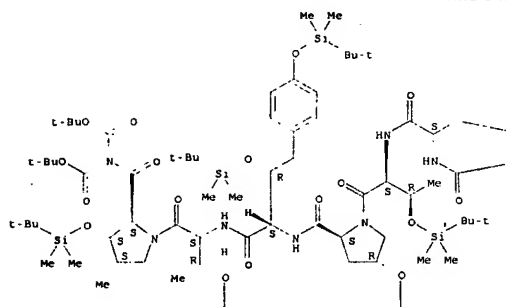
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 dimethylethyl)dimethylsilyl]oxy]-L-prolyl-O-[(1,1-
 dimethylethyl)dimethylsilyl]-4-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]p
 henyl]-L-threonyl-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-N,N-
 bis[(1,1-dimethylethoxy)carbonyl]-3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy
]-4-methyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



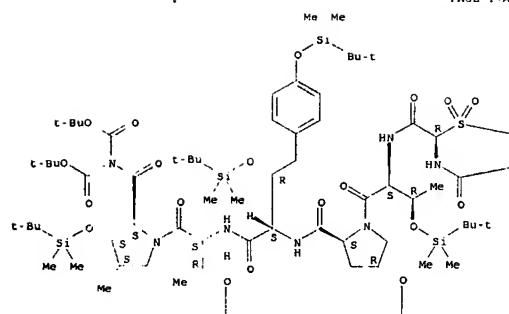
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 dimethylethyl)dimethylsilyl]oxy]-L-prolyl-O-[(1,1-
 dimethylethyl)dimethylsilyl]-4-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]p
 henyl]-L-threonyl-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-N,N-
 bis[(1,1-dimethylethoxy)carbonyl]-3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy
]-4-methyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

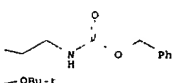


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 dimethylethyl)dimethylsilyl]-4-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]p
 henyl]-L-threonyl-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-N,N-
 bis[(1,1-dimethylethoxy)carbonyl]-3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy
]-4-methyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B



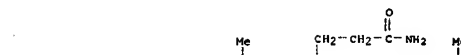
PAGE 2-A



L6 ANSWER 229 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
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 DOCUMENT NUMBER: 132:137293
 TITLE: NMR structure of the channel-former zervamicin IIB in isotropic solvents
 AUTHOR(S): Balashova, T. A.; Shenkarev, Z. O.; Tagaev, A. A.; Ovchinnikova, T. V.; Raap, J.; Arseniev, A. S.; Shemyakin-Ovchinnikov Institute of Bioorganic Chemistry, Russian Academy of Sciences, Moscow,
 CORPORATE SOURCE:

117871, Russia
 SOURCE: FEBS Letters (2000), 466(2,3), 333-336
 CODEN: FEBLAL; ISSN: 0014-5793
 PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Spatial structure of the membrane channel-forming hexadecapeptide, zervamicin IIB, was studied by NMR spectroscopy in mixed solvents of different polarity ranging from CDCl₃/CD₃OH (9:1, volume/volume) to CD₃OH/H₂O (1:1, volume/volume). The results show that in all solvents used the peptide has a very similar structure that is a bent amphiphilic helix with a mean backbone root mean square deviation (rmsd) value of approx. 0.3 Å. Side chains of Trp1, Ile2, Gln3, Ile5 and Thr6 are mobile. The results are discussed in relation to the validity of the obtained structure to serve as a building block of zervamicin IIB ion channels.
 IT 79395-85-0, Zervamicin IIB
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 RN 79395-85-0 CAPLUS
 CN L-Prolineamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-D-isovaleryl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

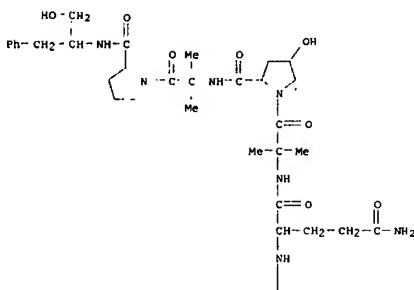
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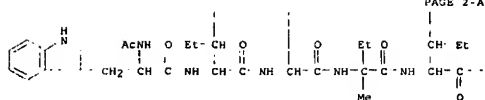
Okada, Takehiro; Sakaitani, Masahiro; Shima, Nobuo; Matanabe, Takahide; Yanagisawa, Miko; Yasuda, Yuri
 SOURCE: PCT Int. Appl., 111 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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RM: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GR, GN, GW, ML, MR, NE, SN, TD, TO				
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AU 9951630	A	20000214	AU 1999-51630	19990722
AU 754285	B2	20021114		
BR 9912367	A	20010502	BR 1999-12367	19990722
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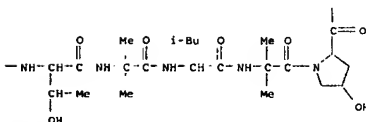
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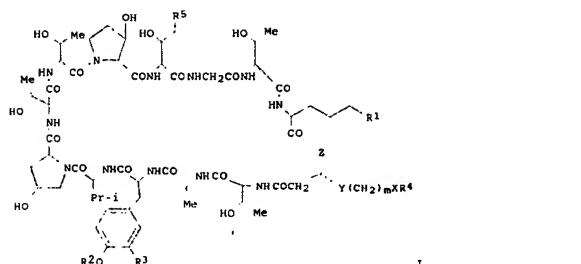


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REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 230 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 2000:84834 CAPLUS
 DOCUMENT NUMBER: 132:137733
 TITLE: Preparation of new antifungal agents, cyclic aerothricin analogs, for treatment of infectious diseases caused by pathogenic microorganisms
 INVENTOR(S): Aoki, Masahiro; Kohchi, Masami; Masubuchi, Kazunao; Mizuguchi, Eisaku; Murata, Takeshi; Ohkuma, Hiroaki;



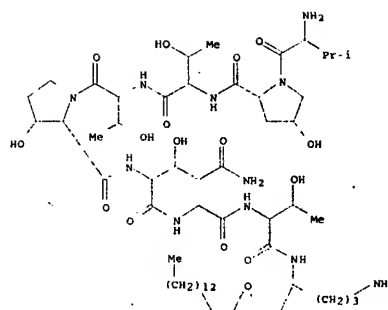
I

AB Novel antitungal aerothricins I (R1 = guanidino, trialkylammonio, NR10R11, NR15COR14, NR15COCH(NR10R11)R13 (O), NHCOCHR13NHCOCH(NH2)R13, N[(CH2)n]2, N[(CH2)n]O[COCH(NR10R11)R13], or NR15COR12, where n = 2-5, R10, R11 = H, heteroaryl or mono- or diaminoheteroaryl, alkyl optionally substituted with one or more amino groups, aminoalkyl, cyano, guanidino, nitrogen-containing heterocycle(s) or Ph group(s) containing an amino, amidino

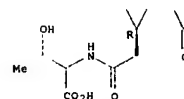
or guanidino group, R12 is tetrahydro-2-pyrrolyl optionally substituted at N by R10 and by an amino group, R13 is a residue from natural or unnatural amino acids, R14 is alkyl substituted with one or more amino, guanidino, nitrogen containing heterocycle or Ph group containing an amino, amidino, or guanidino group, and R15 = H or R14-like group; R2 = H, HOSO2, alkyl or alkenyl optionally substituted with acyl, carbamoyl, amino, mono- or dialkylamino; R3 = H, OH, NO2, NH2, acylamino, (alkylcarbamoyl)amino, carbonyl, alkoxy, alkoxycarbonyl, (unsubstituted alkyl, alkenyl, or alkynyl, R4 = alkyl, alkenyl, alkoxy or alkenyloxy optionally substituted with alkyl, aryl, cycloalkyl or F, R5 = CONH2, CN, CH2NH2; X is a single bond, aryl, biphenyl, terphenyl optionally containing one or more heteroatom(s) and/or substituted with halo or alkyl; Y is a single bond, CH2, CH(alkyl), CONH, CON(alkyl); Z = O, NH, alkylamino; m = 0-4 (with proviso(s)) and pharmaceutically acceptable salts were prepared. Numerous processes for the preparation of aerothricins of formula I are described. Thus, aerothricin 3 [1; R1 = NH2, R2 = R3 = H, R5 = CONH2, Z = O, Y-(CH2)m-X-R4 = (CH2)12Me] (WF11243), produced by cultivating a microorganism belonging to Deuteromycotina under aerobic conditions in aqueous medium, was treated with (2-oxoethyl)carbamic acid tert-Bu ester in MeOH in the presence of sodium cyanoborohydride and acetic acid to afford aerothricin 11 [1; R1 = N(CH2CH2NH2)2, R2 = R3 = H, R5 = CONH2, Z = O, Y-(CH2)m-X-R4 = (CH2)12Me]. The aerothricins of formula I as well as pharmaceutically acceptable salts exhibit potent antifungal activity against various fungal infections, including Aspergillosis, in mice over a wide range of dosages. The synthesized aerothricins are less cytotoxic to hepatocytes than the known cyclic peptide deriva... e.g., WF11243.

IT 256947-07-6P
 RN 256947-07-6 CAPLUS
 CN Threonine, valyl-4-hydroxypropylthreonylthreonyl-3-hydroxypropyl-3-hydroxyglutamylglycylthreonylornithyl- (3R)-3-hydroxyhexadecanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Currently available stereo shown.



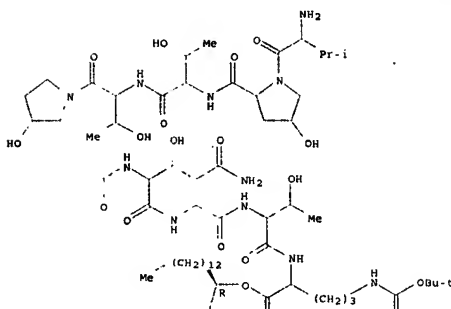
PAGE 1-A



PAGE 2-A

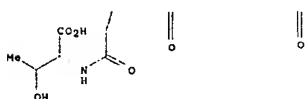
IT 256947-11-2P 256947-13-4P 256947-14-5P
 256947-21-4P 256947-22-5P 256947-23-6P
 RN 256947-11-2 CAPLUS
 CN Threonine, valyl-4-hydroxypropylthreonylthreonyl-3-hydroxypropyl-3-hydroxyglutamylglycylthreonyl- (3R)-3-hydroxyhexadecanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Currently available stereo shown.



PAGE 1-A

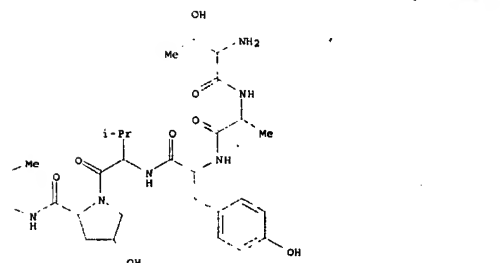
PAGE 1-A



PAGE 2-A

RN 256947-13-4 CAPLUS
 CN Ornithine, N-[(3R)-3-amino-1-oxo-7-[(4-(pentyloxy)phenyl)heptyl]threonyl]valyl-4-hydroxypropyl-3-hydroxyglutamylglycylthreonyl- (3R)-3-hydroxyhexadecanoyl- (9CI) (CA INDEX NAME)

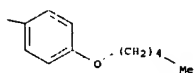
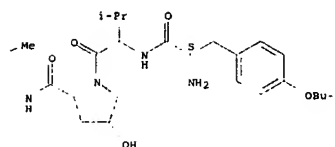
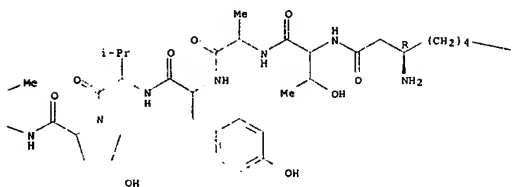
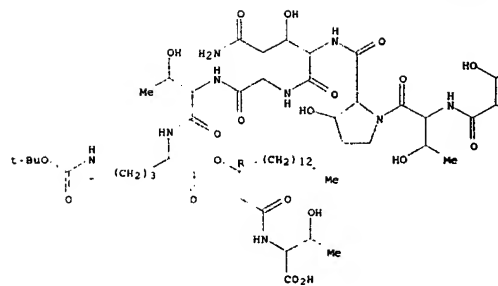
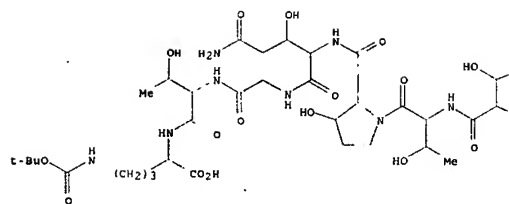
Currently available stereo shown.



PAGE 1-B

RN 256947-14-5 CAPLUS
 CN Ornithine, N-[(3R)-3-amino-1-oxo-7-[(4-(pentyloxy)phenyl)heptyl]threonyl]valyl-4-hydroxypropyl-3-hydroxyglutamylglycylthreonyl- (3R)-3-hydroxyhexadecanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Currently available stereo shown.



RN 256947-21-4 CAPLUS

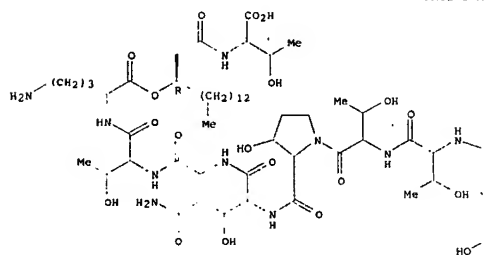
CN Threonine, O-[(1,1-dimethylethyl)-L-tyrosylvalyl-4-hydroxypropylthreonylthreonyl-3-hydroxypropyl-3-hydroxyglutaminyglycylthreonyl-N5-[(1,1-dimethylethoxy)carbonyl]ornithyl-(3R)-3-hydroxyhexadecanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.

RN 256947-22-5 CAPLUS

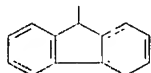
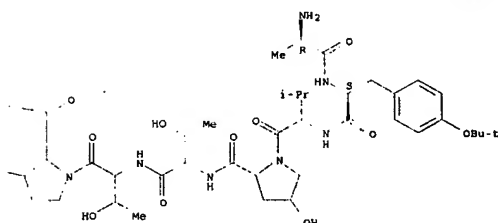
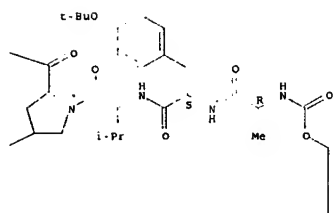
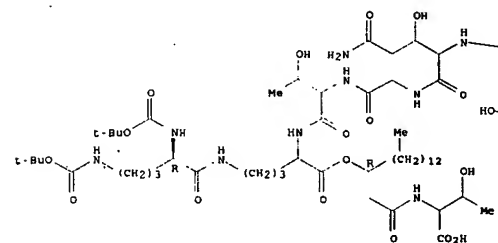
CN Threonine, N-[(19H-fluoren-9-ylmethoxy)carbonyl]-D-alanyl-O-[(1,1-dimethylethyl)-L-tyrosylvalyl-4-hydroxypropylthreonylthreonyl-3-hydroxypropyl-3-hydroxyglutaminyglycylthreonylornithyl-(3R)-3-hydroxyhexadecanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.



hydroxypropylthreonylthreonyl-3-hydroxypropyl-3-hydroxyglutaminyglycylthreonyl-N5-[N2,N5-bis[(1,1-dimethylethoxy)carbonyl]-D-ornithyl]ornithyl-(3R)-3-hydroxyhexadecanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.



RN 256947-23-6 CAPLUS

CN Threonine, D-alanyl-O-[(1,1-dimethylethyl)-L-tyrosylvalyl-4-

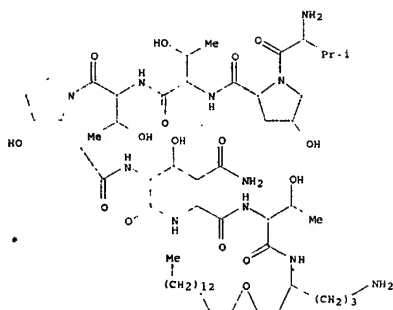
IT 256947-10-1P 256947-12-3P
RL: SPH (Synthetic preparation); PREP (Preparation)
(preparation of cyclic peptide aerithricin analogs for treatment of infectious diseases)
RN 256947-10-1 CAPLUS
CN Threonine, valyl-4-hydroxypropylthreonylthreonyl-3-hydroxypropyl-3-hydroxyglutaminyglycylthreonylornithyl-(3R)-3-hydroxyhexadecanoyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

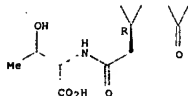
CRN 256947-07-6
CMP C59 H104 N12 O21

Absolute stereochemistry.
Currently available stereo shown.

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PAGE 2-A



CM 2

CRN 76-05-1
CMP C2 H F3 O2

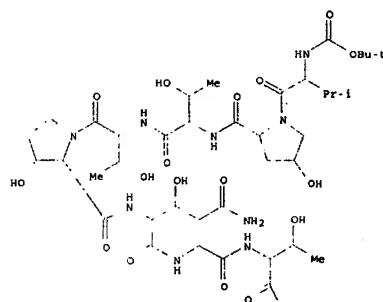


RN 256947-12-3 CAPLUS
CN Threonine, N-[(1,1-dimethylethoxy)carbonyl]valyl-4-

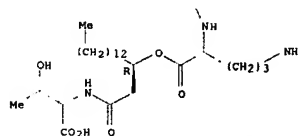
hydroxypropylthreonylthreonyl-3-hydroxypropyl-3-
hydroxyglutaminylglycylthreonylornithyl-3(R)-3-hydroxyhexadecanoyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.

PAGE 1-A



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REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

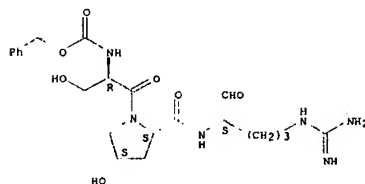
L6 ANSWER 231 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2008:84824 CAPLUS
DOCUMENT NUMBER: 132:137731
TITLE: Preparation of peptides as inhibitors of urokinase and blood vessel formation
INVENTOR(S): Brunck, Terence K.; Tamura, Susan Y.
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NO 2000005245	A2	20000203	NO 1999-US16577	19990722
NO 2000005245	A3	20000420		
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GR, HU, IL, IN, IS, JP, KE, KR, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RM:	OH, OM, KE, LB, MH, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6576613	B1	20030610	US 1998-121921	19990724
CA 2338524	A1	20000203	CA 1999-2338524	19990722
AU 9950058	A1	20000214	AU 1999-50058	19990722
AU 772024	B2	20040408		
EP 1100814	A2	20010523	EP 1999-934173	19990722
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002521386	T	20020716	JP 2000-561201	19990722
NZ 509400	A	20031219	NZ 1999-509400	19990722
PRIORITY APPLN. INFO.:			US 1998-121921	A 19980724
			WO 1999-US16577	W 19990722
OTHER SOURCE(S):			MARPAT 132:137731	
GI				

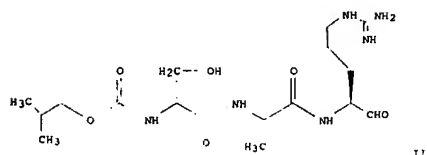
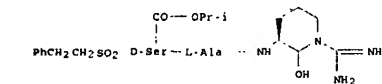
in vitro for monitoring plasminogen activator levels and in vivo in treatment of conditions which are ameliorated by inhibition of or decreased activity of urokinase and in treating pathol. conditions wherein blood vessel formation is related to a pathol. condition. The title compds. I and II was prepared
IT 256665-93-7P
RL: SAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPW (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
[preparation of peptides as inhibitors of urokinase and blood vessel formation]
RN 256665-93-7 CAPLUS
CN L-Prolineamide, N-[(phenylmethoxy)carbonyl]-D-seryl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-4-hydroxy-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 232 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2008:12681 CAPLUS
DOCUMENT NUMBER: 132:61297
TITLE: Opiate-like or opioid-derived peptide diagnostic markers for human disorders
INVENTOR(S): Shanahan, Michelle R.; Venturini, Albert J.; Daisa, John L.; Friedman, Alan E.
PATENT ASSIGNEE(S): Ortho-Clinical Diagnostics, Inc., USA
SOURCE: Eur. Pat. Appl., 44 pp.
CODEN: EPXDXW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 969015	A2	20000105	EP 1999-304636	19990615
EP 969015	A3	20021009		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
CA 2273683	A1	19991215	CA 1999-2273683	19990608
AU 9934984	A	19990610	AU 1999-34984	19990610
NO 9902902	A	19991216	NO 1999-2902	19990614
KR 2000006190	A	20000125	KR 1999-22247	19990615
CN 1247984	A	20000322	CN 1999-108478	19990615
JP 200221191	A	20000811	JP 1999-168555	19990615
PRIORITY APPLN. INFO.:			US 1998-89237P	P 19980615
			US 1998-89238P	P 19980615
			US 1999-317702	A 19990524



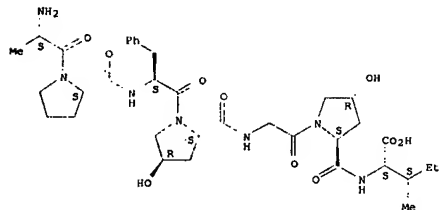
AB Title compds. RXNHCH(R1)CON(R2)CH(R4)CONH(R3) [X = SO2, CO, OCO, NHCO; R = alkyl, cycloalkyl, heterocycloalkyl; R1 = HOCH2, CH3SCH2, side-chain or ring of amino acid; R2 = CH3, CH3CH2, side-chain or ring of amino acid; R3 = CH3, propargyl; R4 = H; R3R4 = propyl, 4-hydroxypropyl, 3-hydroxypropyl, 3,4-dehydropropyl; I and stereoisomers are prepared having activities as inhibitors of urokinase and in reducing or inhibiting blood vessel formations. These compds. have an arginine or arginine mimic aldehyde or an arginine ketoamide group at P1. These compds. are useful

AB The present invention broadly relates to human disorders including, but not limited to, metabolic and nutritional disorders, gastrointestinal disorders, central nervous system disorders, and autoimmunity or reduced immunity disorders. The present invention more specifically relates to diagnostic markers comprising isolated peptides originally found in human tissue and body fluids and derivs thereof. These peptides consistently exhibit opiate-like activity and/or are derivs. of peptides that exhibit opiate-like activity. Immunoassays for the marker peptides are provided.

IT 253316-60-8 253316-64-2
 RL: AMT (Analyte); BOC (Biological occurrence); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
 (opiate-like or opiate-derived peptide diagnostic markers for human disorders)

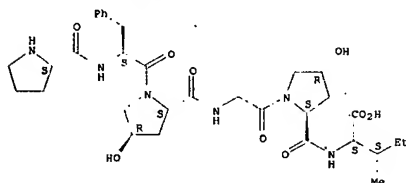
RN 253316-60-8 CAPLUS
 CN L-Isoleucine, L-alanyl-L-prolyl-L-phenylalanyl-(4R)-4-hydroxy-L-prolylglycyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253316-64-2 CAPLUS
 CN L-Isoleucine, L-prolyl-L-phenylalanyl-(4R)-4-hydroxy-L-prolylglycyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 233 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1999:775928 CAPLUS

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5994306	A	19991130	US 1996-752852	19961121
US 5464823	A	19951107	US 1993-95769	19930726
US 5693486	A	19971202	US 1994-182483	19940113
US 5708145	A	19980113	US 1994-243879	19940517
WO 9503125	A1	19950202	WO 1994-US8305	19940720
W: AU, BB, BG, BR, BY, CA, CH, CN, CZ, PT, HU, JP, KP, KR, KZ, LX, LV, MG, MN, MM, NO, NZ, PL, RO, RU, SD, SK, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5804558	A	19980908	US 1995-499523	19950707
CA 2218610	A1	19970529	CA 1996-2238610	19961122
BR 9611565	A	19991228	BR 1996-11565	19961122
CN 1251041	A	20000419	CN 1996-199632	19961122
JP 2001520639	T	20011030	JP 1997-519847	19961122
HU 2001004431	A2	20020328	HU 2001-4431	19961122
PRIORITY APPLN. INFO.:				
			US 1993-93926	B2 19930720
			US 1993-95769	A2 19930726
			US 1994-182483	A2 19940113
			US 1994-243879	A2 19940517
			WO 1994-US8305	A2 19940720
			US 1995-451832	B2 19950526
			US 1995-499523	A2 19950707
			US 1995-562346	B2 19951122
			US 1996-649811	B2 19960517
			US 1996-690921	B2 19960801
			US 1996-752852	A 19961121
			WO 1996-US18544	W 19961122

OTHER SOURCE(S): MARPAT 132:2807

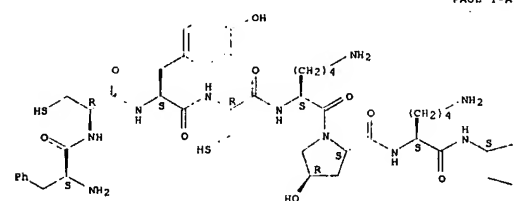
AB The invention is directed to antimicrobial peptides related to naturally-occurring protegrin peptides, and methods of using the peptides in a variety of contexts, including the treatment or prevention of infections.

IT 191735-28-1 191735-35-0
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (fine-tuned protegrins)

RN 191735-28-1 CAPLUS
 CN L-Valine, L-phenylalanyl-L-cysteinyll-L-tyrosyl-L-cysteinyll-L-lysyl-(4R)-4-hydroxy-L-prolyl-L-lysyl-L-phenylalanyl-L-cysteinyll-L-tyrosyl-L-cysteinyll-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



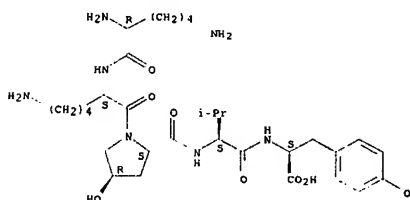
DOCUMENT NUMBER: 132:103146
 TITLE: Stimulation of nonspecific resistance by thymopentin and its analogs against Leishmania donovani infection in hamsters
 AUTHOR(S): Sharma Anuradha, P.; Rohatgi, A.; Haq, W.; Mathur, K. B.; Katiyar, J. C.
 CORPORATE SOURCE: Divisions of Parasitology and Biopolymers, Central Drug Research Institute, Lucknow, India
 SOURCE: Peptides (New York) (1999), 20(11), 1381-1383
 CODEN: PPTDD5; ISSN: 0196-9781
 PUBLISHER: Elsevier Science Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Thymopentin and its analogs have been synthesized by the solution phase method of peptide synthesis and evaluated for their prophylactic efficacy against L. donovani infection in hamsters. Thymopentin and some of the analogs were found to stimulate nonspecific resistance of the host against Leishmania donovani infection in hamsters.

IT RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (thymopentin and thymopentin analog stimulation of nonspecific resistance against Leishmania donovani infection in hamsters)

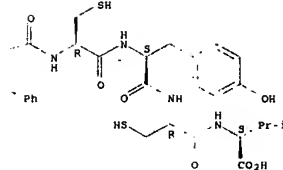
RN 255908-70-4 CAPLUS
 CN L-Tyrosine, D-lysyl-L-lysyl-(4R)-4-hydroxy-L-prolyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 234 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1999:761509 CAPLUS
 DOCUMENT NUMBER: 132:2807
 TITLE: Fine-tuned protegrins
 INVENTOR(S): Chang, Conway C.; Gu, Chee Liang; Chen, Jie; Steinberg, Deborah A.; Lehrer, Robert I.; Harwig, Sylvia S. L.
 PATENT ASSIGNEE(S): Intrabiotics Pharmaceuticals, Inc., USA
 SOURCE: U.S., 108 pp., Cont.-in-part of U.S. Ser. No. 690,921
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 11
 PATENT INFORMATION:

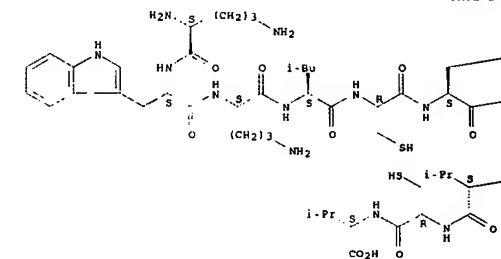


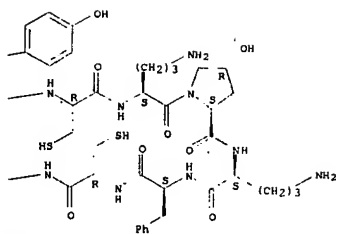
RN 191735-35-0 CAPLUS
 CN L-Valine, L-ornithyl-L-tryptophyl-L-ornithyl-L-leucyl-L-cysteinyll-L-tyrosyl-L-cysteinyll-L-ornithyl-(4R)-4-hydroxy-L-prolyl-L-ornithyl-L-phenylalanyl-L-cysteinyll-L-valyl-L-cysteinyll-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

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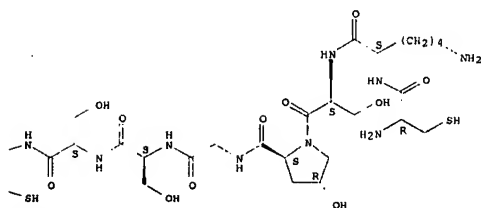
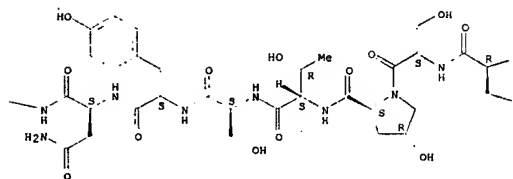
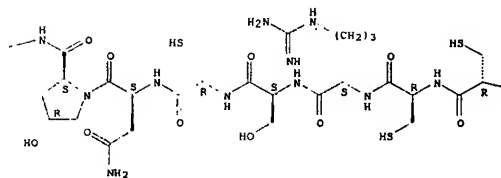
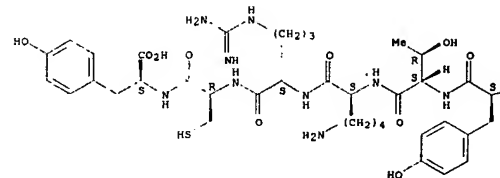
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 235 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1999:691117 CAPLUS
 DOCUMENT NUMBER: 131:332121
 TITLE: α -Conotoxin peptides and use as therapeutic calcium channel blockers
 INVENTOR(S): Drinkwater, Roger Desmond; Lewis, Richard James; Alwood, Paul Francis; Nielsen, Katherine Justine
 PATENT ASSIGNER(S): The University of Queensland, Australia
 SOURCE: PCT Int. Appl., 81 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9554350	A1	19991028	WO 1999-AU288	19990416
W: AB, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, MY, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZM				
RW: QH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2325803	A1	19991028	CA 1999-2325803	19990416
AU 9913227	A	19991108	AU 1999-33227	19990416
AU 749617	B2	20020627		
BP 1071707	A1	20010131	EP 1999-914368	19990416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002512254	T	20020423	JP 2000-544688	19990416
US 7101849	B1	20060905	US 2000-673490	20001211
PRIORITY APPLN. INFO.: AU 1998-2989 A 19980416				
AU 1999-8419 A 19990201				
WO 1999-AU288 W 19990416				

AB An isolated, synthetic or recombinant α -conotoxin peptide is provided in which the fourth loop between cysteine residues 5 and 6 comprises SGTGVR or such a sequence which has undergone \pm 1 amino

acid substitutions or side chain modifications. The peptides of the invention are useful in the treatment of conditions benefiting from calcium channel blockade.
 IT 182804-17-7
 RL: PRP (Properties)
 (unclaimed protein sequence: α -Conotoxin peptides and use as therapeutic calcium channel blockers)
 RN 182804-17-7 CAPLUS
 CN α -Conotoxin G VIA (reduced), 27-L-tyrosine- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



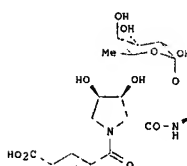
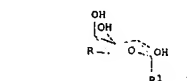
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 236 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1999:640161 CAPLUS
 DOCUMENT NUMBER: 131:272180
 TITLE: Preparation of fucopeptides and amidodexoygalactose derivatives as sialyl Lewis x mimetics
 INVENTOR(S): Wong, Chi Huey; Lin, Chun Cheng; Kajimoto, Tetsuya
 PATENT ASSIGNER(S): The Scripps Research Institute, USA
 SOURCE: U.S., 56 pp., Cont.-in-part of U.S. Ser. No. 519,203.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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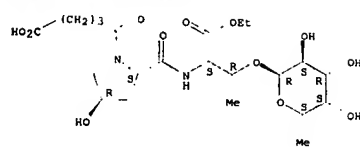
US 5962660	A	19991005	US 1997-933775	19970919
US 5599915	A	19970204	US 1995-407912	19950321
US 5614615	A	19970125	US 1995-519203	19950825
WO 9629339	A1	19960926	WO 1996-EP1244	19960321
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 611084	A	20000829	US 1998-88411	19980601
PRIORITY APPLN. INFO.: US 1995-407912 A2 19950321				
US 1995-519203 A2 19950825				
WO 1996-EP1244 A2 19960321				
US 1997-933775 A2 19970919				

OTHER SOURCE(S): MARPAT 131:272180
 OI



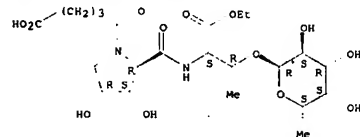
AB Glycopeptides I (R = Me, R1 = peptidic residue; or R1 = OH, R = peptidic residue) or their pharmaceutically acceptable salts, were prepared and shown to mimic the configuration and essential functional groups of sialyl Lewis x in space. The glycopeptides exhibit substantially the same biol. activity as sialyl Lewis x in the E-selectin binding assay and can be employed for blocking neutrophil inflammatory conditions. Prepared compts. I showed IC50 = 0.05 to 10 mM in a HL-60/E-selectin adhesion binding assay., with II being the most active.
 IT 178271-76-6P 178271-77-7P 184005-79-6P
 184005-82-1P 184005-92-3P 184005-93-4P
 184005-94-5P 245343-41-3P 245343-42-4P
 245343-43-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of fucopeptides and amidodexoygalactose derivs. as sialyl Lewis x mimetics)
 RN 178271-76-6 CAPLUS
 CN L-Threonine, (4R)-1-(4-carboxy-1-oxobutyl)-4-hydroxy-L-prolyl-O-(6-deoxy- α -L-galactopyranosyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



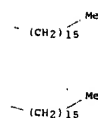
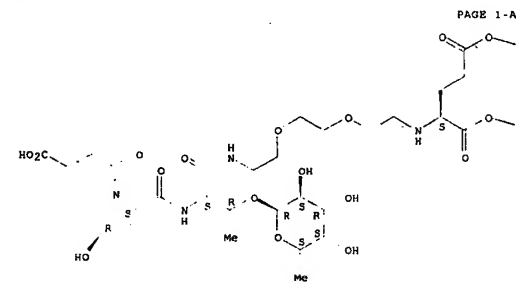
RN 178271-77-7 CAPLUS
CN L-Threonine, (3S,4R)-1-(4-carboxy-1-oxobutyl)-3,4-dihydroxy-D-prolyl-O-(6-deoxy- α -L-galactopyranosyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



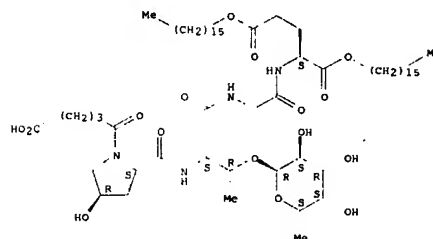
RN 184005-79-6 CAPLUS
CN L-Threoninamide, (4R)-N-[(3-carboxy-1-oxopropyl)-4-hydroxy-L-prolyl-O-(6-deoxy- α -L-galactopyranosyl)-N-[(10S)-10-[(hexadecyloxy)carbonyl]-11-oxo-3,6,14-trioxo-9-azatriacont-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



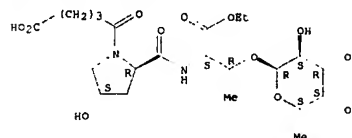
RN 184005-92-3 CAPLUS
CN L-Threonine, 1-(4-carboxy-1-oxobutyl)-(4S)-4-hydroxy-D-prolyl-O-(6-deoxy- α -L-galactopyranosyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



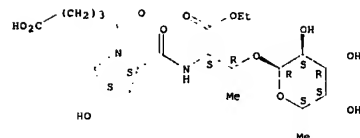
RN 184005-93-4 CAPLUS
CN L-Threonine, 1-(4-carboxy-1-oxobutyl)-(4S)-4-hydroxy-L-prolyl-O-(6-deoxy- α -L-galactopyranosyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



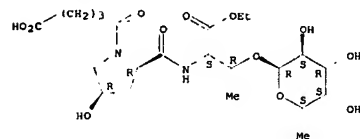
RN 245343-43-5 CAPLUS
CN L-Threonine, (4R)-1-(6-carboxy-1-oxohexyl)-4-hydroxy-L-prolyl-O-(6-deoxy- α -L-galactopyranosyl)-, 1-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



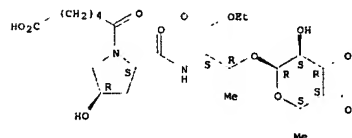
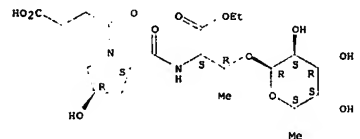
RN 245343-41-3 CAPLUS
CN L-Threonine, (4R)-1-(3-carboxy-1-oxopropyl)-4-hydroxy-L-prolyl-O-(6-deoxy- α -L-galactopyranosyl)-, 1-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



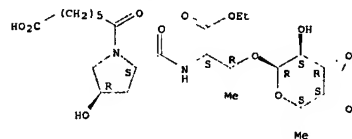
RN 245343-42-4 CAPLUS
CN L-Threonine, (4R)-1-(5-carboxy-1-oxopentyl)-4-hydroxy-L-prolyl-O-(6-deoxy- α -L-galactopyranosyl)-, 1-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 178271-95-9 CAPLUS
CN L-Threonine, (4R)-1-[(1,5-dioxo-5-(phenylmethoxy)pentyl)-4-hydroxy-L-prolyl-O-(6-deoxy-2,3,4-tris-O-(phenylmethyl)- α -L-galactopyranosyl)-, ethyl ester (9CI) (CA INDEX NAME)

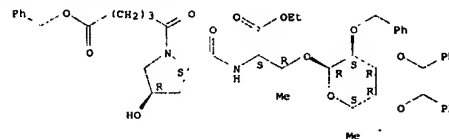
Absolute stereochemistry.



IT 178271-95-9P 178271-97-1P 184005-76-3P
185753-14-4P 245343-24-2P 245343-28-6P
245343-30-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Preparation of lipocephides and amidodeoxygalactose derivs. as sialyl Lewis x mimetics)

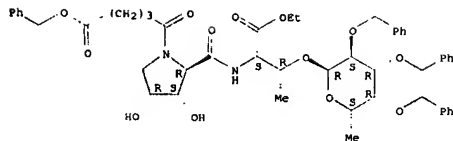
RN 178271-95-9 CAPLUS
CN L-Threonine, (4R)-1-[(1,5-dioxo-5-(phenylmethoxy)pentyl)-4-hydroxy-L-prolyl-O-(6-deoxy-2,3,4-tris-O-(phenylmethyl)- α -L-galactopyranosyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 178271-97-1 CAPLUS
CN L-Threonine, (3S,4R)-3,4-dihydroxy-1-[(1,5-dioxo-5-(phenylmethoxy)pentyl)-4-hydroxy-L-prolyl-O-(6-deoxy-2,3,4-tris-O-(phenylmethyl)- α -L-galactopyranosyl)-, ethyl ester (9CI) (CA INDEX NAME)

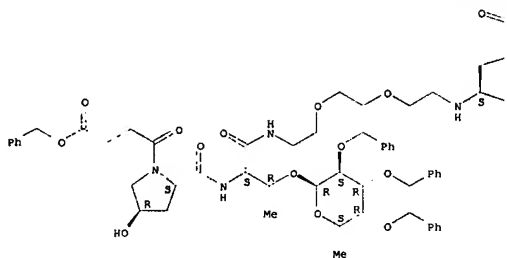
Absolute stereochemistry.



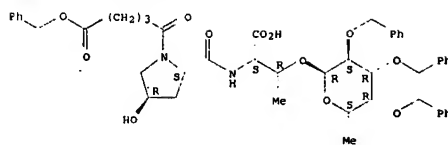
RN 184005-76-3 CAPLUS
CN L-Threoninamide, (4R)-N-[1,4-dioxo-4-(phenylmethoxy)butyl]-4-hydroxy-L-prolyl-O-[6-deoxy-2,3,4-tris-O-(phenylmethyl)-α-L-galactopyranosyl]-N-[1,10,15,20-tetraoxa-10-(hexadecyloxy)carbonyl]-13-oxo-3,6,14-trioxo-9-azatriaccont-1-yl]-19CI1 (CA INDEX NAME)

Absolute stereochemistry.

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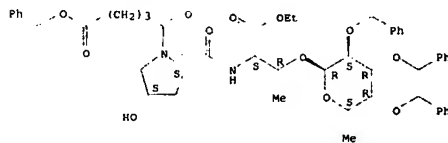


Absolute stereochemistry.



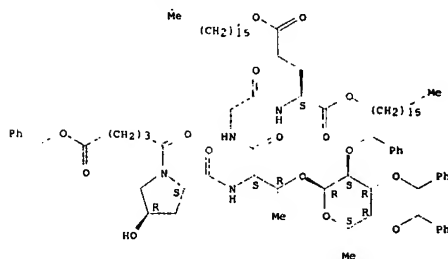
RN 245343-24-2 CAPLUS
CN L-Threonine, (4R)-1-[1,5-dioxo-5-(phenylmethoxy)pentyl]-4-hydroxy-L-prolyl-O-[6-deoxy-2,3,4-tris-O-(phenylmethyl)-α-L-galactopyranosyl]-L-threonylglycyl-, dihexadecyl ester (9CI1) (CA INDEX NAME)

Absolute stereochemistry.



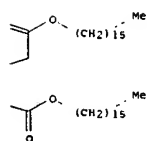
RN 245343-28-6 CAPLUS
CN L-Threonine, (4R)-1-[1,5-dioxo-5-(phenylmethoxy)pentyl]-4-hydroxy-L-prolyl-O-[6-deoxy-2,3,4-tris-O-(phenylmethyl)-α-L-galactopyranosyl]-L-threonylglycyl-, dihexadecyl ester (9CI1) (CA INDEX NAME)

Absolute stereochemistry.



RN 245343-30-0 CAPLUS
CN L-Threonine, (4R)-1-[1,5-dioxo-5-(phenylmethoxy)pentyl]-4-hydroxy-D-prolyl-O-[6-deoxy-2,3,4-tris-O-(phenylmethyl)-α-L-galactopyranosyl]-, ethyl ester (9CI1) (CA INDEX NAME)

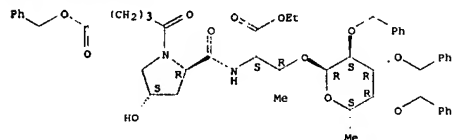
Absolute stereochemistry.



RN 185753-14-4 CAPLUS
CN L-Threonine, (4R)-1-[1,5-dioxo-5-(phenylmethoxy)pentyl]-4-hydroxy-L-prolyl-O-[6-deoxy-2,3,4-tris-O-(phenylmethyl)-α-L-galactopyranosyl]-19CI1 (CA INDEX NAME)

ester (9CI1) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

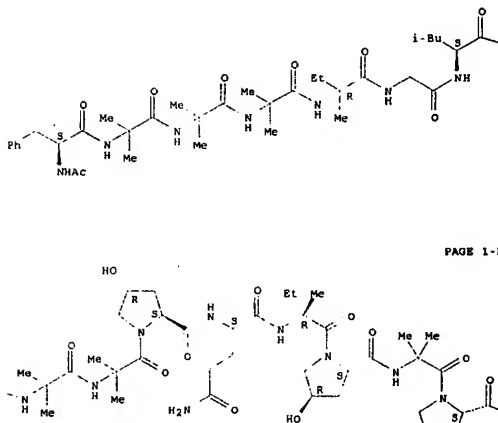
L6 ANSWER 237 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1999:614579 CAPLUS
DOCUMENT NUMBER: 131:319782
TITLE: The molecular-replacement solution of an intermediate-sized helical polypeptide, antiameobin I
AUTHOR(S): Snook, C. F.; Wallace, S. A.
CORPORATE SOURCE: Department of Crystallography, Birkbeck College, University of London, London, WC1E 7HX, UK
SOURCE: Acta Crystallographica, Section D: Biological Crystallography (1999), D55(9), 1519-1545
CODEN: ABCRE6; ISSN: 0907-4449
PUBLISHER: Munksgaard International Publishers Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The successful use of mol.-replacement methods for the solution of the intermediate-sized helical polypeptide antiameobin I required the careful consideration of a number of parameters and exhibited some unusual characteristics when compared with mol. replacement solns. of globular proteins. High-resolution data were required owing to several features, including the comma-like shape of the mol. (which results in a pseudo-sym. structure at low resolution), the relative uniformity of the structure in the direction along the helix axis and the small differences between the two independent mols. in the P1 asym. unit. Other parameters which were important for the solution of this relatively low solvent content closely packed cell included the radius of integration, the use of normalized structure factors and especially the choice of starting model.

IT 64347-37-1, Antiameobin I
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
mol.-replacement solution of an intermediate-sized helical polypeptide, antiameobin I
RN 64347-37-1 CAPLUS
CN Antiameobin I (9CI1) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B

PAGE 1-C



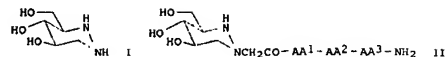
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PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OI

CODEN: BMECEP; ISSN: 0968-0896

30-Dec. 5, 1997 (1999), Meeting Date 1997, 714-715.
Editor(s): Shimonishi, Yasutsugu. Kluwer: Dordrecht, Neth.

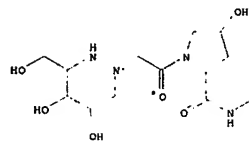
DOCUMENT TYPE: Conference
LANGUAGE: English



AB A combinatorial library of 125 compds. with a structure consisting of 1-azafagomine (1) linked at N-1 via an acetic acid linker to a variable tripeptide was synthesized. The library was synthesized by Merrifield split and mix synthesis of the peptide, followed by capping with chloroacetate, regioselective nucleophilic substitution with 1-azafagomine and cleavage from the polymeric support. The azafagomine-peptide library II [AA1, AA2, AA3 = Ala, Phe, Ser, Thr, Hyp; Hyp = 4-hydroxyproline] was screened for inhibition of β -glucosidase, α -glucosidase and glycogen phosphorylase and found to display β -glucosidase inhibition. Deconvolution of the library revealed that some inhibition was caused by all library members but the strongest inhibitor was clearly a compound having three Hyp residues in the peptide fragment. This compound was a weaker but more selective inhibitor than 1-azafagomine itself.

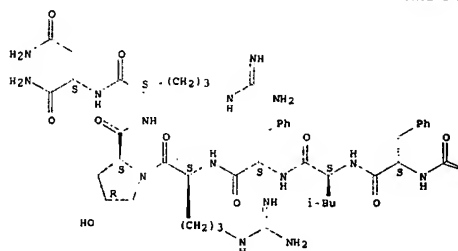
IT 251471-48-4DP, combinatorial tripeptide library containing
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of a combinatorial library of azafagomine-containing tripeptides as glucosidase inhibitors)

RN 251471-48-4 CAPLUS
CN L-Threonine, (4R)-4-hydroxy-1-[[[3,4,5a]-tetrahydro-4,5-dihydroxy-3-(hydroxymethyl)-1(2H)-pyridazinyl]acetyl]-L-prolyl- (9CI) (CA INDEX NAME)



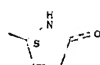
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 240 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:578879 CAPLUS
DOCUMENT NUMBER: 131:346697
TITLE: Absolute importance of arginine residue at position 7 of dog neuromedin U-8 for contractile activity
AUTHOR(S): Sakurai, N.; Kurosawa, K.; Hashimoto, T.
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Hokuriku University, Kanazawa, 920-1181, Japan
SOURCE: Peptide Science: Present and Future, Proceedings of the International Peptide Symposium, 1st, Kyoto, Nov.



PAGE 1-A

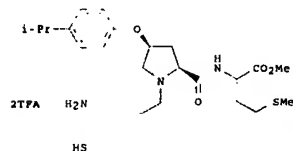
PAGE 1-B



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 241 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:504289 CAPLUS
DOCUMENT NUMBER: 131:272167
TITLE: Synthesis and evaluation of hydroxyproline-derived isoprenyltransferase inhibitors
AUTHOR(S): O'Connell, Celeste E.; Ackermann, Karen; Rowell, Cheryl A.; Garcia, Ana Maria; Lewis, Michael D.; Schwartz, C. Eric
CORPORATE SOURCE: Eisai Research Institute, Andover, MA, 01810, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(14), 2095-2100
CODEN: BMECEP; ISSN: 0968-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OI



AB A series of peptidomimetics based on a hydroxyproline scaffold was prepared and evaluated for inhibition of farnesyltransferase (FTase) and geranylgeranyltransferase I (GGTase I) in both enzymic and cell-based assays. A number of analogs were potent and selective inhibitors of FTase, while one peptidomimetic, hydroxyprolylmethionine 1, was nonselective in the enzymic assays but eight-fold selective for inhibition of GGTase in the cellular assay (IC50 = 0.39 μ M). Thus, 1 should be a useful tool to help elucidate the contribution of protein farnesylation or geranylgeranylation to growth regulation.

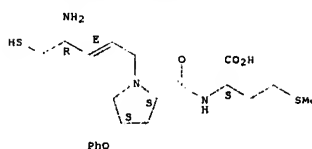
IT 245419-52-7P 245419-54-9P 245419-57-2P
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245420-04-6P 245420-06-8P 245420-08-0P
245420-10-4P 245420-12-6P 245420-14-8P
245420-17-1P 245420-19-3P 245420-21-7P
245420-23-9P 245420-25-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and evaluation of hydroxyprolylmethionine-based peptidomimetic inhibitors of FTase and GGTase-I)

RN 245419-52-7 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-phenoxy-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245419-51-6
CMF C21 H31 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



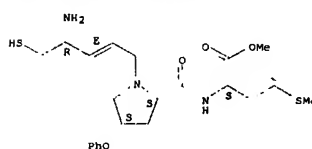
CM 2
CRN 76-05-1
CMF C2 H F3 O2



RN 245419-54-9 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-phenoxy-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1
CRN 245419-53-8
CMF C22 H33 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2
CRN 76-05-1
CMF C2 H F3 O2

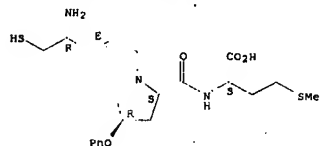


RN 245419-57-2 CAPLUS
CN L-Methionine, (4R)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-phenoxy-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245419-56-1
CMP C21 H31 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMP C2 H F3 O2



RN 245419-59-4 CAPLUS
CN L-Methionine, (4R)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-phenoxy-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245419-58-3
CMP C22 H33 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.

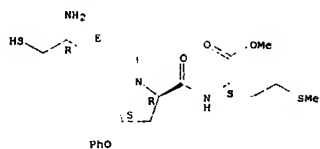


RN 245419-64-1 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-phenoxy-D-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245419-63-0
CMP C22 H33 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMP C2 H F3 O2

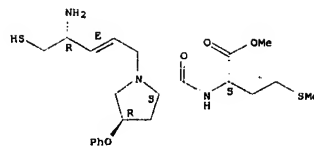


RN 245419-67-3 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[(5,6,7,8-tetrahydro-1-naphthalenyl)oxyl]-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245419-66-3
CMP C25 H37 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMP C2 H F3 O2

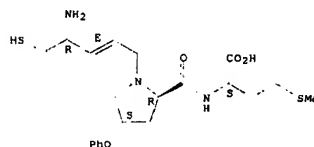


RN 245419-61-8 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-phenoxy-D-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

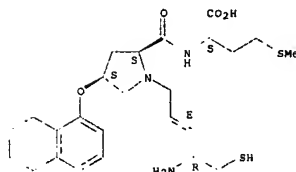
CRN 245419-60-7
CMP C21 H31 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMP C2 H F3 O2



CM 2

CRN 76-05-1
CMP C2 H F3 O2

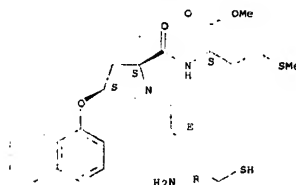


RN 245419-71-0 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[(5,6,7,8-tetrahydro-1-naphthalenyl)oxyl]-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245419-70-9
CMP C26 H39 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMP C2 H F3 O2

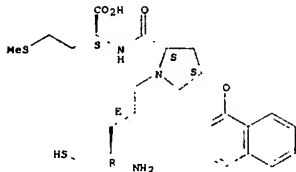


RN 245419-74-3 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[(1-naphthalenyloxy)-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245419-73-2
CMP C25 H33 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMP C2 H F3 O2



RN 245419-77-6 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[(1,1'-naphthalenyloxy)-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245419-76-5
CMP C26 H35 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.

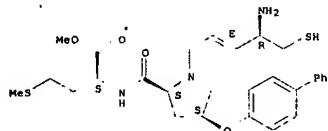


RN 245419-83-4 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[(1,1'-biphenyl)-4-yloxy]-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245419-82-3
CMP C28 H37 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMP C2 H F3 O2

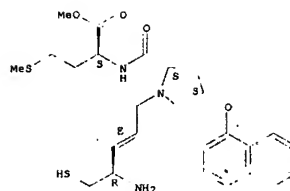


RN 245419-85-6 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[(4-(trifluoromethyl)phenoxy)-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245419-84-5
CMP C22 H30 F3 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMP C2 H F3 O2

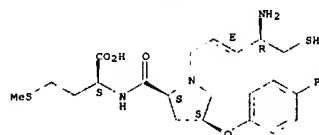


RN 245419-80-1 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[(1,1'-biphenyl)-4-yloxy]-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

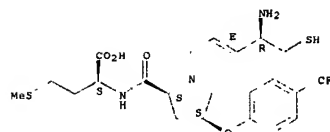
CRN 245419-79-8
CMP C27 H35 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMP C2 H F3 O2



CM 2

CRN 76-05-1
CMP C2 H F3 O2

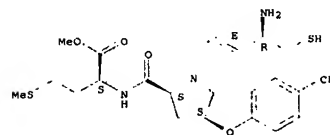


RN 245419-87-8 CAPLUS
CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[(4-(trifluoromethyl)phenoxy)-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245419-86-7
CMP C23 H32 F3 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



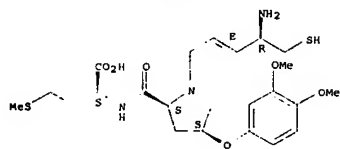
CM 2

CRN 76-05-1
CMP C2 H F3 O2



RN 245419-89-0 CAPLUS
 CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-(3,4-dimethoxyphenoxy)-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 245419-88-9
 CMP C23 H35 N3 O6 S2

Absolute stereochemistry.
 Double bond geometry as shown.



CM 2
 CRN 76-05-1
 CMP C2 H F3 O2



RN 245419-91-4 CAPLUS
 CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-(3,4-dimethoxyphenoxy)-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 245419-90-3
 CMP C24 H37 N3 O6 S2

Absolute stereochemistry.
 Double bond geometry as shown.

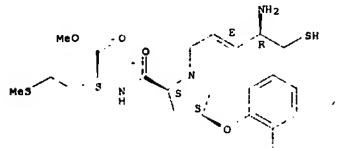


CM 2
 CRN 76-05-1
 CMP C2 H F3 O2



RN 245419-95-8 CAPLUS
 CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-(2-propylphenoxy)-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 245419-94-7
 CMP C25 H39 N3 O4 S2

Absolute stereochemistry.
 Double bond geometry as shown.

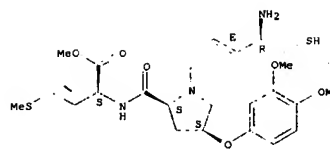


CM 2
 CRN 76-05-1
 CMP C2 H F3 O2



RN 245419-98-1 CAPLUS
 CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-(4-butylphenoxy)-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 245419-97-0
 CMP C25 H39 N3 O4 S2

Absolute stereochemistry.
 Double bond geometry as shown.

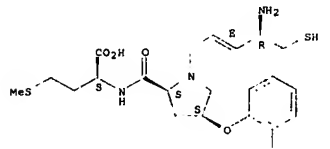


CM 2
 CRN 76-05-1
 CMP C2 H F3 O2

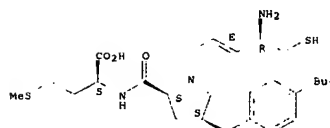


RN 245419-93-6 CAPLUS
 CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-(2-propylphenoxy)-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 245419-92-5
 CMP C24 H37 N3 O4 S2

Absolute stereochemistry.
 Double bond geometry as shown.



CM 2
 CRN 76-05-1
 CMP C2 H F3 O2

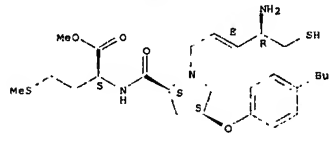


CM 2
 CRN 76-05-1
 CMP C2 H F3 O2



RN 245420-00-2 CAPLUS
 CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-(4-butylphenoxy)-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 245419-99-2
 CMP C26 H41 N3 O4 S2

Absolute stereochemistry.
 Double bond geometry as shown.



CM 2
 CRN 76-05-1
 CMP C2 H F3 O2

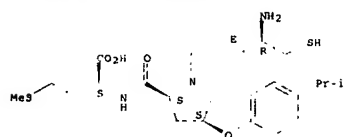


RN 245420-02-4 CAPLUS
 CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[(1-methylethyl)phenoxy]-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245420-01-3
 CMP C24 H37 N3 O4 S2

Absolute stereochemistry.
 Double bond geometry as shown.



CM 2

CRN 76-05-1
 CMP C2 H F3 O2

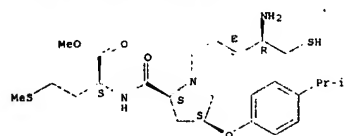


RN 245420-04-6 CAPLUS
 CN L-Methionine, (4S)-1-[(2E,4R)-4-amino-5-mercapto-2-pentenyl]-4-[(1-methylethyl)phenoxy]-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245420-03-5
 CMP C25 H39 N3 O4 S2

Absolute stereochemistry.
 Double bond geometry as shown.



CM 2

CRN 76-05-1
 CMP C2 H F3 O2

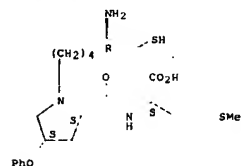


RN 245420-10-4 CAPLUS
 CN L-Methionine, (4S)-1-[(5R)-5-amino-6-mercaptohexyl]-4-phenoxy-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245420-09-1
 CMP C22 H35 N3 O4 S2

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMP C2 H F3 O2



CM 2

CRN 76-05-1
 CMP C2 H F3 O2

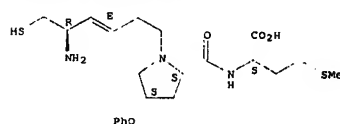


RN 245420-06-8 CAPLUS
 CN L-Methionine, (4S)-1-[(3E,5R)-5-amino-6-mercapto-3-hexenyl]-4-phenoxy-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245420-05-7
 CMP C22 H33 N3 O4 S2

Absolute stereochemistry.
 Double bond geometry as shown.



CM 2

CRN 76-05-1
 CMP C2 H F3 O2



RN 245420-08-0 CAPLUS
 CN L-Methionine, (4S)-1-[(3E,5R)-5-amino-6-mercapto-3-hexenyl]-4-phenoxy-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245420-07-9
 CMP C23 H35 N3 O4 S2

Absolute stereochemistry.
 Double bond geometry as shown.

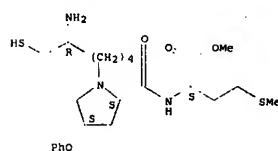


RN 245420-12-6 CAPLUS
 CN L-Methionine, (4R)-1-[(5R)-5-amino-6-mercaptohexyl]-4-phenoxy-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245420-11-5
 CMP C23 H37 N3 O4 S2

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMP C2 H F3 O2

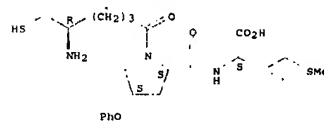


RN 245420-14-8 CAPLUS
 CN L-Methionine, (4R)-1-[(5R)-5-amino-6-mercapto-1-oxohexyl]-4-phenoxy-L-prolyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245420-13-7
 CMP C22 H33 N3 O5 S2

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMP C2 H F3 O2

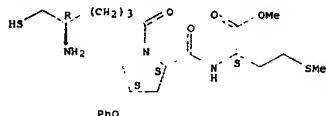


RN 245420-17-1 CAPLUS
CN L-Methionine, (4S)-1-[(2R,3E,5R)-5-amino-6-mercapto-1-oxohexyl]-4-phenoxy-L-prolyl-, methyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245420-16-0
CMF C23 H35 N3 O5 S2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 245420-19-3 CAPLUS
CN L-Methionine, (4S)-1-[(2R,3E,5R)-5-amino-6-mercapto-2-(1-methylethyl)-3-hexenyl]-4-phenoxy-L-prolyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245420-18-2
CMF C25 H39 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.

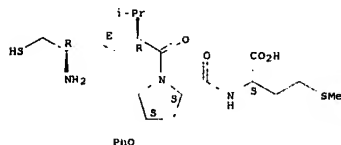


RN 245420-23-9 CAPLUS
CN L-Methionine, (4S)-1-[(2R,3E,5R)-5-amino-6-mercapto-2-(1-methylethyl)-1-oxo-3-hexenyl]-4-phenoxy-L-prolyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245420-22-8
CMF C25 H37 N3 O5 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

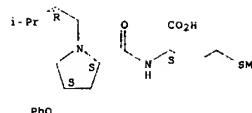
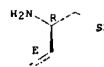


RN 245420-25-1 CAPLUS
CN L-Methionine, (4S)-1-[(2R,3E,5R)-5-amino-6-mercapto-2-(1-methylethyl)-1-oxo-3-hexenyl]-4-phenoxy-L-prolyl-, methyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 245420-24-0
CMF C26 H39 N3 O5 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

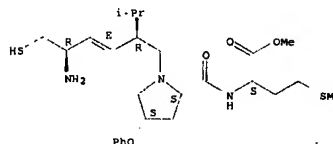


RN 245420-21-7 CAPLUS
CN L-Methionine, (4S)-1-[(2R,3E,5R)-5-amino-6-mercapto-2-(1-methylethyl)-3-hexenyl]-4-phenoxy-L-prolyl-, methyl ester, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

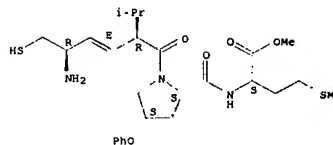
CRN 245420-20-6
CMF C26 H41 N3 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



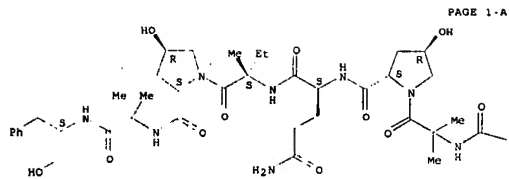
CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

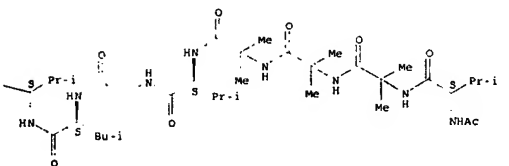
L6 ANSWER 242 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STM
ACCESSION NUMBER: 1999:492330 CAPLUS
DOCUMENT NUMBER: 131:269319
TITLE: Isolation and structural elucidation of new peptides, bergofungins B, C and D, from *Emericellopsa doneskii* HKI 0059
AUTHOR(S): Berg, Albrecht; Schlegel, Brigitte; Ihn, Wolfgang; Demuth, Ulrich; Grafe, Udo
CORPORATE SOURCE: Hans-Knoll-Institute of Natural Products Research, Jena, D-07745, Germany
SOURCE: Journal of Antibiotics (1999), 52(7), 666-669
CODEN: JAMTJ; ISSN: 0021-8920
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The isolation and structures of 3 bergofungins homologous to bergofungin A, newly found in *E. doneskii*, are described. The 3 peptides display antibacterial and antifungal activities at concns. 250 µg/mL.
IT 245670-50-2P, Bergofungin B 245670-52-4P, Bergofungin C 245670-53-5P, Bergofungin D
RL: BAC (Biological activity or effector, except adverse); BDC (Biological occurrence); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation) (new peptides bergofungins B, C and D from *Emericellopsa doneskii* HKI 0059)
RN 245670-50-2 CAPLUS
CN Bergofungin B (9CI) (CA INDEX NAME)
Absolute stereochemistry. Rotation (+).



PAGE 1-A

PAGE 1-B

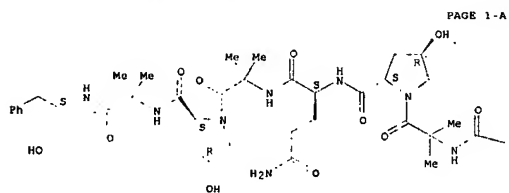
PAGE 1-B



PAGE 1-C

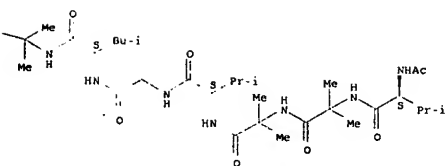
RN 245670-52-4 CAPLUS
CN Bergofungin C (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



PAGE 1-A

PAGE 1-B

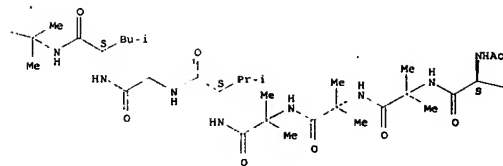


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 243 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1999:469771 CAPLUS
DOCUMENT NUMBER: 131:257847
TITLE: Pseudopeptide structures for reversible holographic storage and combinatorial applications
AUTHOR(S): Rasmussen, Palle H.
CORPORATE SOURCE: Risoe National Laboratory, Roskilde, Den.
SOURCE: Risoe National Laboratory, [Report] Risoe-R (1999).
CODEN: RNL RDP; ISSN: 0106-2840
DOCUMENT TYPE: Report
LANGUAGE: English

AB This PhD thesis is divided in two sep. parts. Part 1 deals with design and synthesis of new peptide-based structures for holog. data storage. It has previously been shown that holograms can be recorded in oligomers (DNO; diamino acid N₂-substituted oligopeptides) consisting of peptide-like backbones with azobenzene side chains. The holograms recorded in the original DNO had a number of favorable properties such as high diffraction efficiency and high resolution. They could be recorded, read, and erased with light, and they had an excellent thermal stability. However, the original DNO also had some serious shortcomings with respect to response time and solubility. By performing rational modifications in the mol. geometry, a new generation of DNO has been developed, and these are improved by more than a factor 350 when compared to the original DNO dimer. Furthermore, the new DNOs are soluble in common organic solvents and

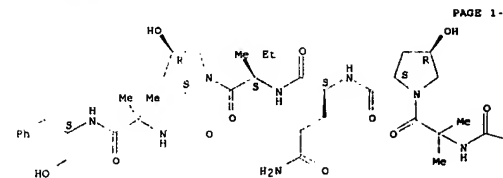
can be assembled by solution-phase synthesis, which is mandatory for large-scale fabrication. The new generation of DNO has maintained all of the good properties, e.g., retained reversibility, stability, resolution, and the high diffraction efficiency, of the original DNOs. Part 2 describes the synthesis of new functionalized C₂ sym. scaffolds with nanoscale dimensions. The cyclic scaffolds are synthesized in solution from unnatural amino acids. The structures are intended to serve as core mols. for solution phase combinatorial chemical, and as templates for synthetic receptors. The combinatorial solution-phase approach (or the activated core approach) for the synthesis of libraries generally has a limitation due to lack of addressability on the functional groups in the core. This impedes the deconvolution of the libraries and the subsequent synthesis of specific target mols. The lack of addressability has been overcome for the new



Pr-i

RN 245670-53-5 CAPLUS
CN Bergofungin D (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



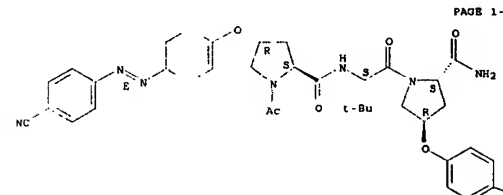
PAGE 1-A

scaffolds in the synthesis of platforms with selectively removable protecting groups on their side chains. The platforms were synthesized on a gram scale and their use as core mols. for combinatorial chemical was demonstrated.

IT 244785-90-8P 244785-92-0P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation of azobenzene-containing pseudopeptide oligomers, DNO, for reversible holog. storage)

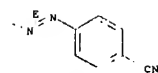
RN 244785-90-8 CAPLUS
CN L-Prolineamide, (4R)-1-acetyl-4-[4-[(1E)-(4-cyanophenyl)azo]phenoxy]-L-prolyl-3-methyl-L-valyl-4-[4-[(1E)-(4-cyanophenyl)azo]phenoxy]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



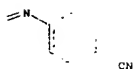
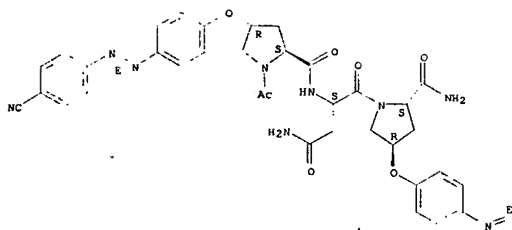
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PAGE 1-B



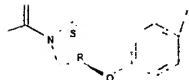
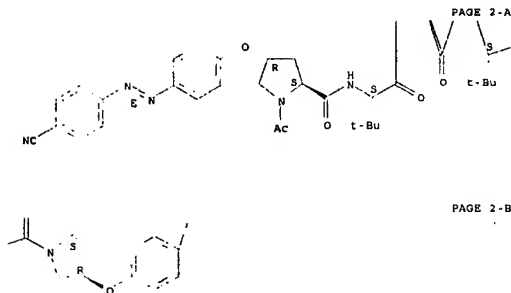
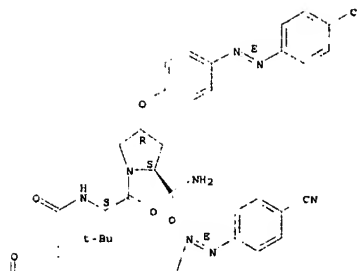
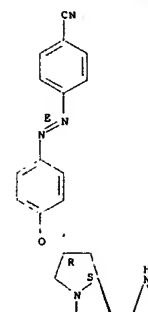
RN 244785-92-0 CAPLUS
CN L-Prolineamide, (4R)-1-acetyl-4-[4-[(1E)-(4-cyanophenyl)azo]phenoxy]-L-prolyl-3-methyl-L-valyl-4-[4-[(1E)-(4-cyanophenyl)azo]phenoxy]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



```
IT      244786-68-3P
       RL: SPN (Synthetic preparation); PREP (Preparation)
          (preparation of azobenzene-containing pseudopeptide oligomers, DMD, two
          reversible holog storage)
RN      244786-68-3 CNMUS
CN      L-Prolinamide, (4R)-1-acetyl-4-{4-[1-(E)-(4-cyanophenyl)azobiphenoxyl]-L-
        prolyl-3-methyl-L-valyl(4R)-4-{4-[1-(E)-(4-cyanophenyl)azobiphenoxyl]-L-
        prolyl-3-methyl-L-valyl(4R)-4-{4-[1-(E)-(4-cyanophenyl)azobiphenoxyl]-L-
        prolyl-3-methyl-L-valyl(4R)-4-[1-(E)-(4-cyanophenyl)azobiphenoxyl]}-4R)}-
        19C1}, [CA], [INDEX_NAME]
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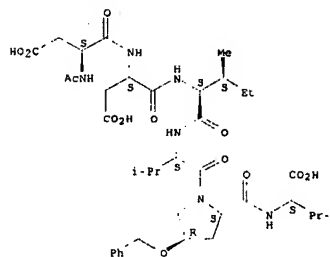
Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

16	ANSWER 244 OF 551	CAPLUS COPYRIGHT 2007 ACS on STN
	ACCESSION NUMBER.	1999;419961 CAPLUS
	DOCUMENT NUMBER:	131:210793
	TITLE:	Solution structure of substrate-based ligands when bound to hepatitis C virus NS3 protease domain
	AUTHOR(S):	Laplante, Steven R.; Cameron, Dale R.; Aubry, Norman; Lefebvre, Sylvain; Kukolj, George; Maurice, Roger; Thibeault, Diane; Lamarre, Daniel; Llinas-Bruno, Montce
	CORPORATE SOURCE:	Departments of Chemistry and Biological Sciences, Bio-Mega Res. Div., Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.
	SOURCE:	Journal of Biological Chemistry (1999), 274 (26), 18618-18624
		CODEN: JBCIAJ; ISSN: 0021-9258
	PUBLISHER:	American Society for Biochemistry and Molecular Biology
	DOCUMENT TYPE:	Journal
	LANGUAGE:	English
AB	<p>The interactions of the NS3 protease domain with inhibitors that are based on N-terminal cleavage products of peptide substrates were studied by NMR methods. Transfer of the protons of the substrates in these experiments showed that these inhibitors bind the protease in a well defined, extended conformation. Protease-induced line-broadening studies helped identify the segments of inhibitors which come into contact with the protease. A comparison of the NMR data of the free and protease-bound states suggests that these ligands undergo rigidification upon complexation. This work provides the first structure of an inhibitor when bound to NS3 protease and should be valuable for designing more potent inhibitors.</p>	
IT	220425-44-5	
	RL: SAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)	
	(solution structure of substrate-based ligands when bound to hepatitis C virus NS3 protease domain)	
RN	220425-44-5	CAPLUS
CN	<p>L-Norvaline, N-acetyl-L-α-aspartyl-L-L-aspartyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)</p>	

Absolute stereochemistry.



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 245 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:404983 CAPLUS
DOCUMENT NUMBER: 131:45107
TITLE: Preparation of peptidyl antipicornaviral compounds
INVENTOR(S): Wettler, Stephen E.; Dragovich, Peter S.; Prins, Thomas
J.; Littlefield, Ethel S.; Marakovits, Joseph T.;
Babine, Robert E.
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 93/1122	A1	19906624	WO 1998-US26583	19981215
W: AL, AM, AT, AU, A2, BA, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, KE, KG, KP, KR, KZ, LC, LK, LU, LS, LT, LV, LY, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TR, TT, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LU, MW, SD, SZ, UZ, ZM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CO, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 5962487	A	19991005	US 1997-991739	19971216
CA 2312940	A1	19990624	CA 1998-2312940	19981215
AU 9316262	A	19990505	AU 1999-18262	19981215
AU 562682	B2	20030703		
EP 1078905	A1	20000927	EP 1998-036184	19981215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9813651	A	20001003	BR 1998-13651	19981215
HU 200100149	A2	20010628	HU 2001-149	19981215
HU 2001000149	A3	20011128		
JP 2003050839	T	20020119	JP 2000-539045	19981215
MX 2000054586	A	20010123	MX 2000-PA5586	20000606

NO 2000003067 A 20000815 NO 2000-3067 20000615
PRIORITY APPLN. INFO.: US 1997-991739 A 19971216
NO 1998-US26583 W 19981215

OTHER SOURCE(S): MARPAT 131:45107

AB Picornaviral 3C protease inhibitors R8R4NCR3R6C1:M1NR7CR2R5CR1:CZZ1 (M = O, S; R1 = H, F, alkyl, OH, SH, O-alkyl group; R2, R5 = H, alkyl, X-Y1-A1(B1)D1, X-Y2-A2(B2)D2 (X = :CH, :CP, CH2, CHF, S; Y1, Y2 = :CH, :CP; or X and Y1 or Y2 may form a ring; A1, A2 = C, CH, CP, S, P, Se, N, etc.; D1 and D2 are moieties with a lone pair of electrons capable of forming a hydrogen bond; B1, B2 = H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.); R3, R6 = H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, CHO, OH, SH, etc.; R4 is any suitable organic moiety or R4 and R3 or R6 may form a ring; R7, R8 = H, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc. or R4 and R8 may form a ring; Z, Z1 are H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.) were prepared. Thus, Et 3-(Cbz-L-N-Me-Phe-L-Gln)-E-propionate (Cbz = benzyloxycarbonyl) was prepared and showed Ki >100 µM for inhibition of Rhinovirus protease.

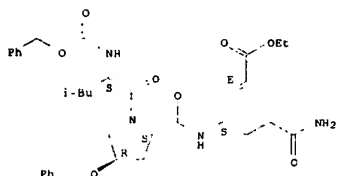
IT 227613-10-7P 227613-13-0P

RU: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of peptidyl anticoronaviral compds.)

RN 227613-10-7 CAPLUS

CN L-Prolineamide, N-[(phenylmethoxy)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

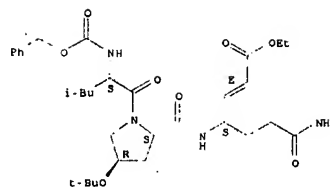
Absolute stereochemistry.
Double bond geometry as shown.



RN 227613-13-0 CAPLUS

CN L-Prolineamide, N-[(phenylmethoxy)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-4-(1,1-dimethylethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 227616-42-4P

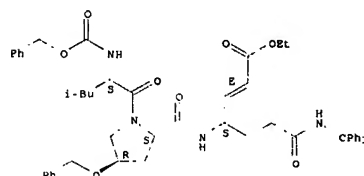
RU: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of peptidyl anticoronaviral compds.)

RN 227616-42-4 CAPLUS

CN L-Prolineamide, N-[(phenylmethoxy)carbonyl]-L-leucyl-N-[(1S,2E)-4-ethoxy-4-oxo-1-13-oxo-3-[(triphenylmethyl)amino]propyl]-2-butenyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 246 OF 551

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

CAPLUS COPYRIGHT 2007 ACS ON STM
1999:317193 CAPLUS
130:33360
Melanocyte stimulating inhibitory factor tri-, tetra-, penta-, and polypeptides and their therapeutic use as an antidepressant agent
Abajian, Henry B.; Noble, John F.; Hlavka, Joseph J.
Innapharma, Inc., USA
PCT Int. Appl., 145 pp.
CODEN: PIXXD2
Patent
English
5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9922758	A1	19990514	WO 1998-US23478	19981104

M: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GR, GM, GU, HK, HU, ID, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TO
US 6093797 A 20000725 US 1997-962962 19971104
AU 9913058 A 19990524 AU 1999-13058 19981104
IN 191479 A1 20031206 IN 2001-CA198 20010404
PRIORITY APPLN. INFO.: US 1997-962962 A 19971104
US 1994-238089 A2 19940504
US 1995-432651 A2 19950502
IN 1996-CA786 A3 19960501
WO 1998-US23478 W 19981104

OTHER SOURCE(S): MARPAT 130:33360

AB The present invention discloses novel peptides utilized to treat patients suffering from depression. These novel peptides are modifications of the tripeptide hormone MIF, including modification of amino terminus residues, carboxyl terminus residues and internal residues, including addition and substitution of amino acid residues and modification of the peptide bonds and functional side groups of resp. amino acid residues. The tri-, tetra-, penta-, peptides and polypeptides of the present invention may be utilized alone or in combination to treat patients suffering from depression.

IT 173072-12-3P 173240-11-4P 173240-12-5P

173240-13-6P 173240-14-7P 173240-15-8P

173240-16-9P 173240-17-0P 173240-18-1P

173240-19-2P 173240-21-6P 173240-22-7P

173240-27-2P 173240-28-3P 224187-63-7P

224187-65-9P 224187-66-0P 224187-67-1P

224187-68-2P 224187-69-7P 224187-90-0P

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224187-98-8P 224187-99-9P 224188-00-5P

224188-01-6P

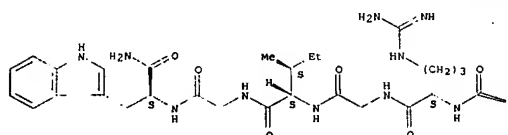
RU: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (melanocyte stimulating inhibitory factor tri-, tetra-, penta-, and polypeptides and therapeutic use as antidepressant agent)

RN 173072-12-3 CAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

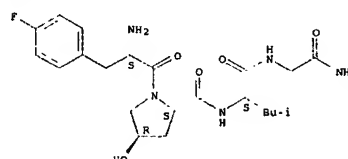
PAGE 1-A



RN 173240-11-4 CAPLUS

CN Glycinamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

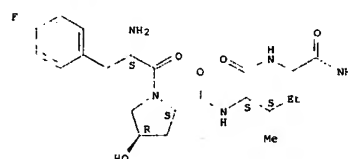
Absolute stereochemistry.



RN 173240-12-5 CAPLUS

CN Glycinamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl- (9CI) (CA INDEX NAME)

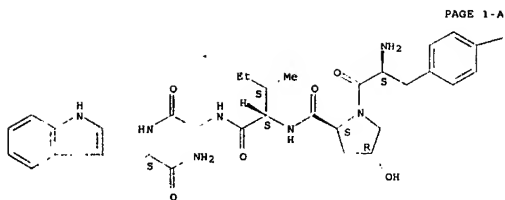
Absolute stereochemistry.



RN 173240-13-6 CAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

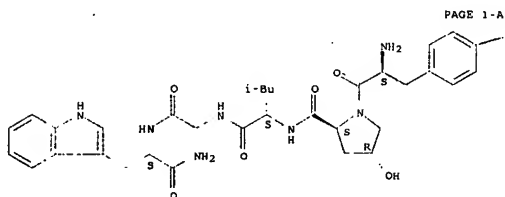


PAGE 1-A

PAGE 1-B

RN 173240-14-7 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



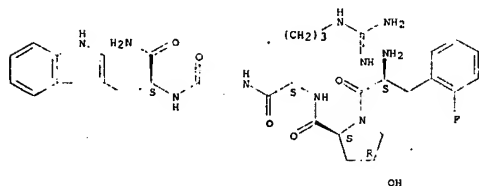
PAGE 1-A

PAGE 1-B

RN 173240-15-8 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (CA INDEX NAME)

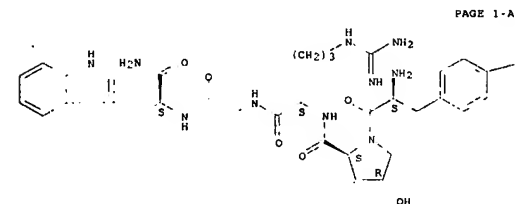
Absolute stereochemistry. Rotation (-).

Absolute stereochemistry.



RN 173240-18-1 CAPLUS
CN L-Tryptophanamide, 4-chloro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

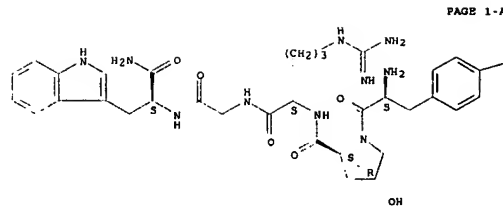


PAGE 1-A

PAGE 1-B

RN 173240-19-2 CAPLUS
CN L-Tryptophanamide, 4-amino-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

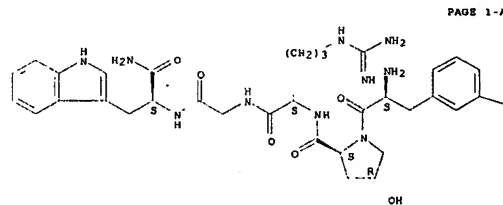


PAGE 1-A

PAGE 1-B

RN 173240-16-9 CAPLUS
CN L-Tryptophanamide, 3-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

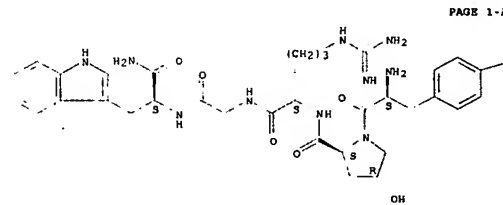
PAGE 1-B

RN 173240-17-0 CAPLUS
CN L-Tryptophanamide, 2-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

NH₂

RN 173240-21-6 CAPLUS
CN L-Tryptophanamide, 4-nitro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

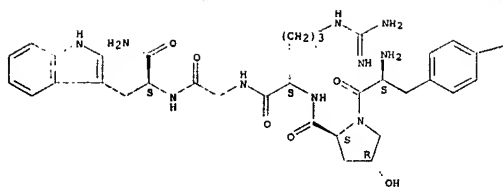
PAGE 1-B

NO₂

RN 173240-22-7 CAPLUS
CN L-Tryptophanamide, 0-methyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

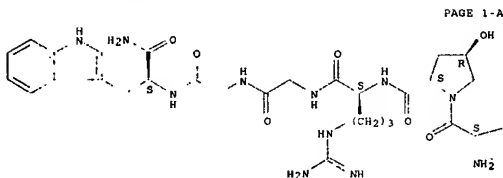


PAGE 1-B

-OMe

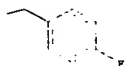
RN 173240-27-2 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



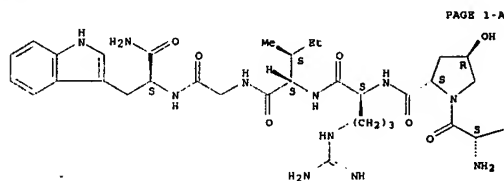
PAGE 1-A

PAGE 1-B



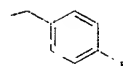
RN 173240-28-3 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



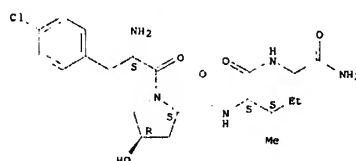
PAGE 1-A

PAGE 1-B



RN 224187-63-7 CAPLUS
CN Glycinamide, 4-chloro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl- (9CI) (CA INDEX NAME)

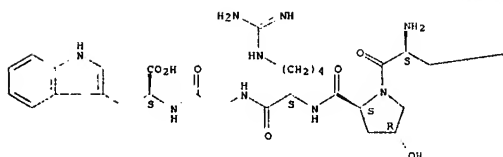
Absolute stereochemistry.



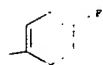
RN 224187-65-9 CAPLUS
CN L-Tryptophan, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-N6-(aminoiminomethyl)-L-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

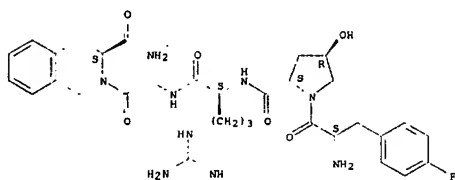


PAGE 1-B



RN 224187-66-8 CAPLUS
CN 3-Isoquinolinecarboxamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

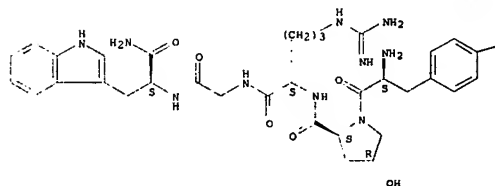
Absolute stereochemistry.



RN 224187-67-1 CAPLUS
CN L-Tryptophanamide, 4-cyano-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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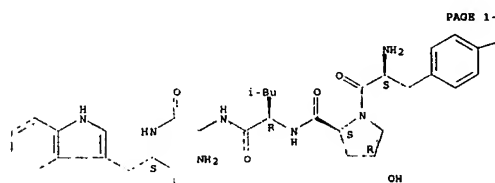


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-CN

RN 224187-68-2 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-D-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

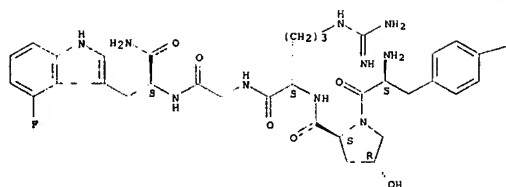
PAGE 1-B

-F

RN 224187-89-7 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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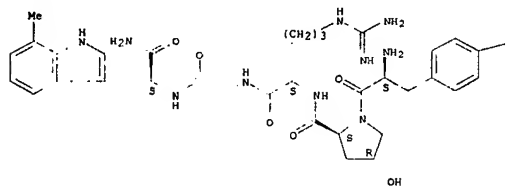
PAGE 1-B

-F

RN 224187-90-0 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-7-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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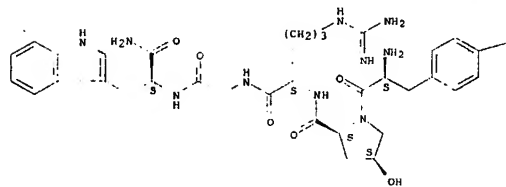
PAGE 1-B

-F

RN 224187-91-1 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-5,5,5-trifluoro-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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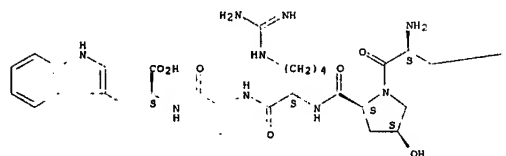
PAGE 1-B

-CN

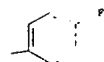
RN 224187-98-8 CAPLUS
CN L-Tryptophan, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-N6-(aminoiminomethyl)-L-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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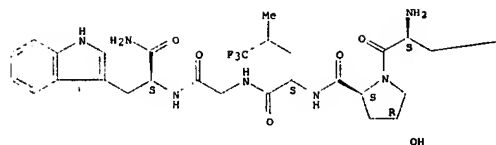
PAGE 1-B



RN 224187-99-9 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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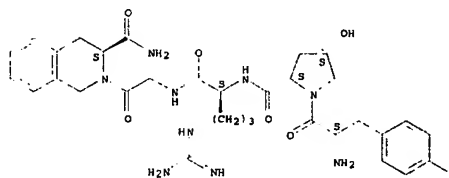


PAGE 1-B



RN 224187-96-6 CAPLUS
CN 3-Isoquinolinecarboxamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

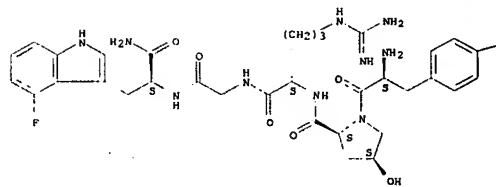
Absolute stereochemistry.



RN 224187-97-7 CAPLUS
CN L-Tryptophanamide, 4-cyano-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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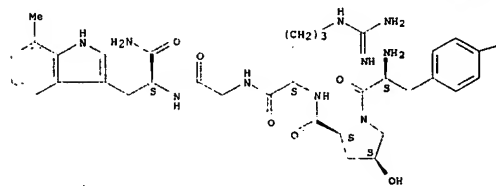
PAGE 1-B

-F

RN 224188-00-5 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl-7-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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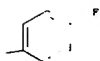
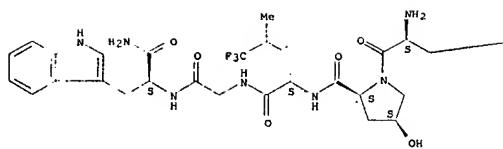


PAGE 1-B

-F

RN 224188-01-6 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-5,5,5-trifluoro-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



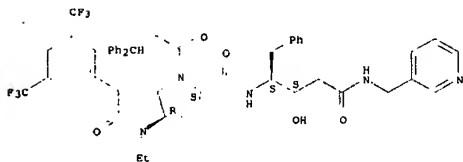
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L6 ANSWER 247 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1999-227951 CAPLUS
 DOCUMENT NUMBER: 130:252683
 TITLE: Preparation of hydroxy amino acid amides as plasmepsin and cathepsin D inhibitors
 INVENTOR(S): Dolle, Roland Ellwood, III; Patel, Hitesh K.
 PATENT ASSIGNEE(S): Pharmacoceia, Inc., USA
 SOURCE: U.S., 15 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5892038	A	19990406	US 1997-986545	19971208
PRIORITY APPLN. INFO:			US 1997-986545	19971208
OTHER SOURCE(S):		MARPAT 130:252683		

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I (R1, R2 = independently alkyl, alkoxyalkyl, arylalkyl; R2 = H, S-CO-L; S = solid support; L = linker; Y = AA-COR4, COR5; AA = amino acid residue; R4 = (un)substituted alkyl, aryl, (un)substituted cycloalkyl, 2-naphthylmethyl, 2-naphthylloxymethyl, CH2-p-C6H4OCH(CO2H)2, (un)substituted heterocycloalkyl, group O, group O1; n = 0-1; R6, R7 = independently substituted alkyl, (un)substituted alkylcarbonyl; R8 = alkyl) are disclosed as inhibitors of plasmepsin and cathepsin D. The compds. are therefore useful to treat diseases such as malaria. In preferred compds. I, Y = N-acylated amino acid residue, a substituted 4-aminoproline, or a substituted piperidinecarboxylic acid. Intermediates in the solid phase synthesis of I, in which the compds. are attached to a



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L6 ANSWER 248 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1999-131654 CAPLUS
 DOCUMENT NUMBER: 130:196957
 TITLE: Preparation of bicyclic peptide derivatives as interleukin-1β converting enzyme inhibitors
 INVENTOR(S): Batchelor, Mark James; Bebbington, David; Bemis, Guy W.; Fridman, Wolf Herman; Gillespie, Roger John; Golec, Julian M. C.; Lauffer, David J.; Livingston, David J.; Matharu, Saroop Singh; Mullican, Michael D.; Murcko, Mark A.; Murdoch, Robert; Zelle, Robert E.
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: U.S., 189 pp., Cont.-in-part of U.S. Ser. No. 575,641.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

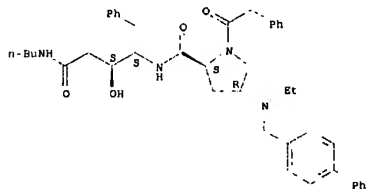
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5874424	A	19990223	US 1996-598332	19960208
US 6008217	A	19991228	US 1995-575641	19951220
US 6204261	B1	20010320	US 1996-761483	19961206
IN 182290	A1	19990306	IN 1996-CA2188	19961218
IN 1996CA02189	A	20050304	IN 1996-CA2189	19961218
CA 2239004	A1	19970626	CA 1996-2239004	19961220
WO 9722619	A2	19970626	WO 1996-US20843	19961220
WO 9722619	A3	19971016		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, MO, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, RW: KE, LS, MM, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GL, MR, NE, SN, TD, TG				
ZA 9610798	A	19970707	ZA 1996-10798	19961220
AU 9715222	A	19970714	AU 1997-15222	19961220
AU 735075	B2	20010628		
EP 865967	A2	19981014	EP 1996-945318	19961220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9612258	A	19990713	BR 1996-12258	19961220
CN 1229412	A	19990922	CN 1996-199828	19961220
HU 9502707	A2	19991129	HU 1999-2707	19961220
HU 9902707	A3	20010428		
NZ 326610	A	20000825	NZ 1996-326610	19961220
JP 2002607961	T	20020312	JP 1997-523098	19961220

solid support, are also disclosed. Thus, Boc-Lys(Boc)-OH was attached to Tentagel resin (0.029 mmol/g, 180-220 μm) using diisopropylcarbodiimide (DIC) and HOBT in CH2Cl2. The Boc protecting groups were removed and photocleavable linker 4-bromomethyl-3-nitrobenzoic acid attached using HOBT and DIC in CH2Cl2. Sequential couplings of BuNH2, phenylalanine-derived, Boc-protected statine, Fmoc-Val-OH, and 2,4-dimethoxybenzoic acid gave the desired resin-bound title derivative, which was cleaved from the resin by photolysis (365 nm) in MeOH at 50° for 3-4 h to give II. Prepared compds., including II and III, typically show greater than 2-fold selectivity for either plasmepsin or cathepsin D with IC50 values less than 10 μM.

IT 221523-99-5P 221524-01-2P 221524-02-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of hydroxy amino acid amides as plasmepsin and cathepsin D inhibitors)

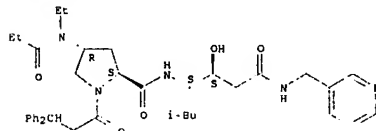
RN 221523-99-5 CAPLUS
 CN L-threo-Pentonamide, 4-[[[(2S,4R)-4-[[[(1,1'-biphenyl)-4-ylmethyl]ethylamino]-1-(phenylacetyl)-2-pyrrolidinyl]carbonylamino]-N-butyl-2,4,5-trideoxy-5-phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221524-01-2 CAPLUS
 CN L-threo-Pentonamide, 4-[[[(2S,4R)-4-[[[(1-oxo-3,3-diphenylpropyl)-1-(1-oxo-3,3-diphenylpropyl)- (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

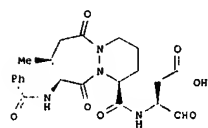
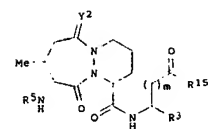


RN 221524-02-3 CAPLUS
 CN L-threo-Pentonamide, 4-[[[(2S,4R)-4-[[[(3,5-bis(trifluoromethyl)phenyl)acetyl]ethylamino]-1-(1-oxo-3,3-diphenylpropyl)-2-pyrrolidinyl]carbonylamino]-N-butyl-2,4,5-trideoxy-5-phenyl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

TR 200201218	T2	20020821	TR 2002-1218	19961220
TR 200201216	T2	20020923	TR 2002-1216	19961220
TR 200201217	T2	20021223	TR 2002-1217	19961220
JP 2003137896	A	20030514	JP 2002-306094	19961220
NZ 518094	A	20040130	NZ 1996-518094	19961220
TW 235157	B	20050701	TW 2002-91132804	19961220
PL 190736	B1	20051230	PL 1996-328527	19961220
CN 1740173	A	20060301	CN 2005-10104021	19961220
CZ 298171	B6	20070711	CZ 1998-1906	19961220
NO 9802597	A	19980812	NO 1998-2597	19980605
BG 64465	B1	20050331	BG 1998-102624	19980713
BO 108927	A	20060630	BO 1998-108927	19980713
US 6258948	B1	20010710	US 1999-400639	19990921
US 6423840	B3	20020723	US 2001-773477	20010131
AU 756253	B2	20030109	AU 2001-76122	20010928
US 2003225269	A1	20031204	US 2002-58522	20020128
US 2005143436	A1	20050630	US 2004-999865	20041129
PRIORITY APPLN. INFO:			US 1995-575641	A2 19951220
			US 1995-575647	A 19951220
			US 1996-598332	A2 19960208
			US 1996-712878	A2 19960912
			US 1996-31495P	P 19961126
			US 1996-761483	A 19961206
			AU 1997-15222	A3 19961220
			CN 1996-199828	A3 19961220
			JP 1997-523098	A3 19961220
			WO 1996-US20843	W 19961220
			US 1999-400639	A3 19990921
			US 2001-773477	A3 20010131
			US 2002-58522	B3 20020128

OTHER SOURCE(S): MARPAT, 130:196957
 GI



AB Title compds. I (m = 1-2; R3 = CN, CHO, COCH2-T1-R11, COCH2P, C1NOR9, COAR2, R5 = COR10, CO2R9, CONH102, SO2R9, SO2NR10, COCH2OR9, COCOR10, R9, H, COCOR210, COCONR9R10; Y = O, H2; T1 = O, S, S(O), SO2; R9 = Ar3, (un)branched C1-6 alkyl optionally unsatd. and optionally substituted with Ar3; R10 = H, Ar3, C3-6 cycloalkyl, any group R9; R11 = Ar4, (CH2)1-3Ar4, H, COAR4, R15 = OH, OAR3, NHOH, (un)branched C1-6 alkoxy optionally unsatd. and optionally substituted with Ar3, CONH2, OR5, OH, OR3, CO2H,

Ar2 = (un)substituted 2-oxazolyl, 2-benzoxazolyl, 2-thiazolyl, 2-benzothiazolyl; Ar3, Ar4 = optionally substituted, nitrogen-containing heteroatom, or heterocyclic group containing 1-3 rings were prepared as inhibitors of interleukin-1 β converting enzyme. Thus, bicyclic peptide derivative II was prepared and shown to have $K_i = 13$ nM in a UV-visible assay and $IC_{50} = 11000$ nM in a peripheral blood mononuclear cell (PBMC) assay.

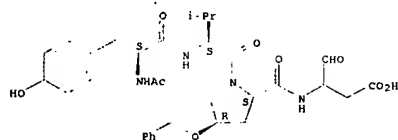
IT 192753-27-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BTOL (Biological study); PREP (Preparation); USES (Uses) (preparation of bicyclic peptide derivs. as interleukin-1 β converting enzyme inhibitors)

RN 192753-27-8 CAPLUS

CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-(2-carboxy-1-formylethyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 192753-26-7P

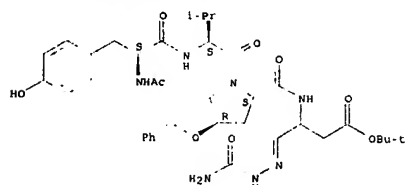
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of bicyclic peptide derivs. as interleukin-1 β converting enzyme inhibitors)

RN 192753-26-7 CAPLUS

CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[[1-[(aminocarbonyl)hydrazono]methyl]-3-(1,1-dimethylethoxy)-3-oxopropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



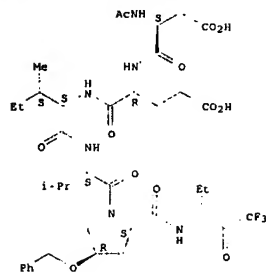
REFERENCE COUNT:

48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 249 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:126925 CAPLUS

(9CI) (CA INDEX NAME)

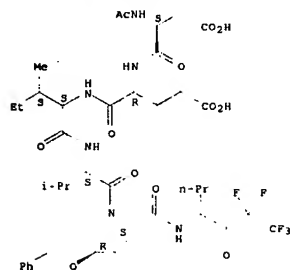
Absolute stereochemistry.



RN 220440-35-7 CAPLUS

CN L-Prolinamide, N-acetyl-L- α -aspartyl-D- α -glutamyl-L-isoleucyl-L-valyl-N-(1,3,4,4,4-pentafluoro-2-oxo-1-propylbutyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220440-36-8 CAPLUS

CN L-Prolinamide, N-acetyl-L- α -aspartyl-D- α -glutamyl-L-isoleucyl-L-valyl-N-[1-oxo[(phenylmethyl)amino]acetyl]butyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

DOCUMENT NUMBER:

130:168666

TITLE:

Preparation of peptide analogs as hepatitis C inhibitors

INVENTOR(S):

Llinas-Brunet, Montse; Bailey, Murray Douglas; Halmos, Teddy; Poupart, Marc-Andre; Tsantrizos, Youla
Boehringer Ingelheim (Canada) Ltd., Can.
PCT Int. Appl., 122 pp.

PATENT ASSIGNEE(S):

SOURCE:

CODEN: PIXK22

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907734	A2	19990218	WO 1998-CA764	19980810
WO 9907734	A3	19990520		
M: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GR, GU, HK, HU, ID, IL, IS, JP, KE, KR, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RM: GH, GM, KE, LS, MM, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CO, CI, CM, GA, GN, GW, ML, MR, NE, NG, SN, TD, TG				
CA 2294562	A1	19990218	CA 1998-2294562	19980810
CA 2294562	C	20050726		
AU 9888466	A	19990301	AU 1998-88466	19980810
AU 757072	B2	20030130		
EP 1012180	A2	20000628	EP 1998-93997	19980810
EP 1012180	B1	20041201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6143715	A	20001107	US 1998-131433	19980810
JP 2001512744	T	20010828	JP 2000-506236	19980810
HU 2001000100	A2	20011128	HU 2001-100	19980810
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NZ 503263	A	20021025	NZ 1998-503263	19980810
AT 283865	T	20041215	AT 1998-93997	19980810
PT 1012180	T	20050429	PT 1998-93997	19980810
ES 2234144	T3	20050616	ES 1998-93997	19980810
MX 200001491	A	20001110	MX 2000-1491	20000211
PRIORITY APPLN. INFO.:				
			US 1997-55247P	P 19970811
			WO 1998-CA764	M 19980810

OTHER SOURCE(S):

MARPAT 130:168666

AB Peptides B[NHCHR6CO]a[NHCHR5CO]bNYCHR4CONHCHR3CONHMO [B = acyl group; a and b are 0 or 1; R6 = carboxyalkyl; R5 = alkyl or carboxyalkyl; Y = H, alkyl; R3, R4 = alkyl, cycloalkyl; W is an amino acid residue such as proline; O = ZR(C)(X)R1], where Z = CH, R; X = O, S; R1 = H, alkyl or alkenyl, both optionally substituted with thio or halo; R3 = H, CF3, CF2CF3, etc.] were prepared as hepatitis C virus inhibitors. Thus, Ac-Asp-D-Glu-Ile-Val-Pro[(4R)OBN]-NHPrCOCP2CF3, prepared by step-wise couplings in solution, showed $IC_{50} = 0.21$ μ M in the NS3 protease/NS4A cofactor peptide radiometric assay.

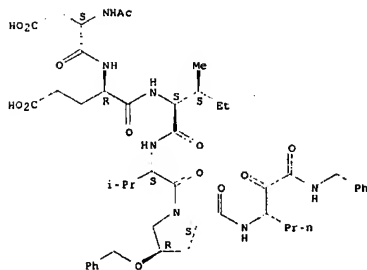
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220440-34-6P 220440-35-7P 220440-36-8P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BTOL (Biological study); PREP (Preparation); USES (Uses) (preparation of peptide analogs as hepatitis C inhibitors)

RN 220440-34-6 CAPLUS

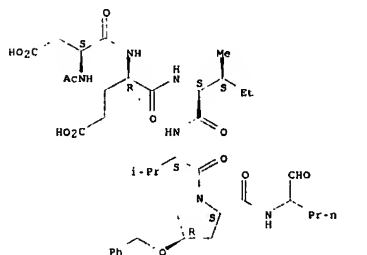
CN L-Prolinamide, N-acetyl-L- α -aspartyl-D- α -glutamyl-L-isoleucyl-L-valyl-N-(1-ethyl-3,3,3-trifluoro-2-oxopropyl)-4-(phenylmethoxy)-, (4R)-



RN 220440-37-9 CAPLUS

CN L-Prolinamide, N-acetyl-L- α -aspartyl-D- α -glutamyl-L-isoleucyl-L-valyl-N-(1-formylbutyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

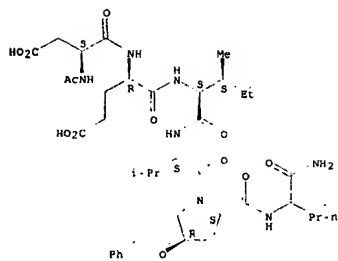
Absolute stereochemistry.



RN 220440-38-0 CAPLUS

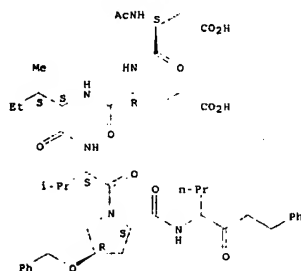
CN Norvalinamide, N-acetyl-L- α -aspartyl-D- α -glutamyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



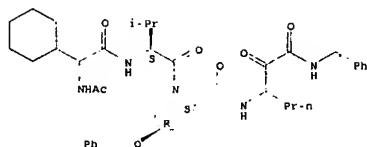
RN 220440-39-1 CAPLUS
CN L-Prolinamide, N-acetyl-L- α -aspartyl-D- α -glutamyl-L-isoleucyl-L-valyl-N-(2-oxo-4-phenyl-1-propylbutyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



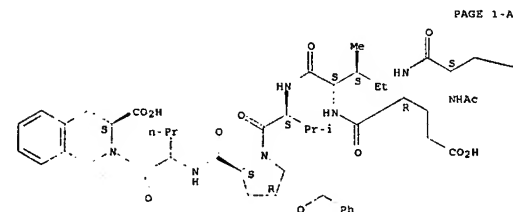
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CN Norvalinamide, N-acetyl-L- α -aspartyl-D- α -glutamyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



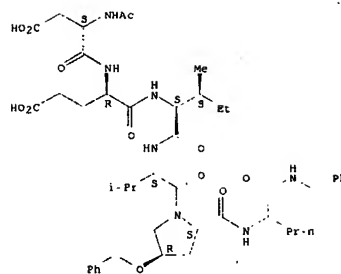
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CN 3-Isouquinolinecarboxylic acid, N-acetyl-L- α -aspartyl-D- α -glutamyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-norvalyl-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



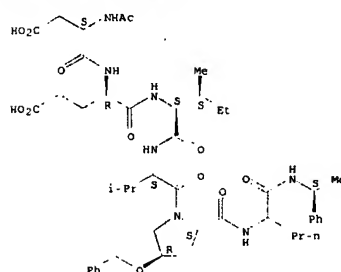
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Absolute stereochemistry.



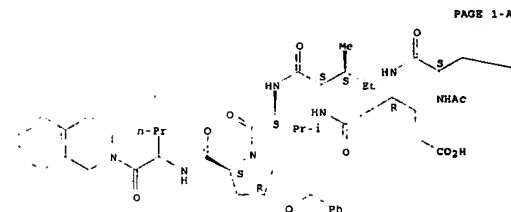
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CN Norvalinamide, N-acetyl-L- α -aspartyl-D- α -glutamyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



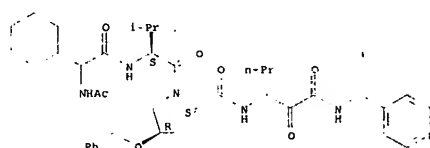
RN 220440-42-6 CAPLUS
CN L-Prolinamide, N-acetyl-2-cyclohexylglycyl-L-valyl-N-[1-oxo[(phenylmethyl)amino]acetyl]butyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



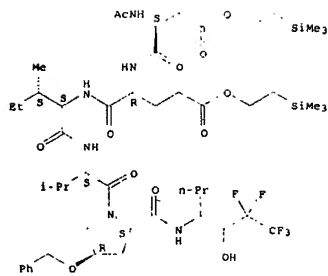
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CN L-Prolinamide, N-acetyl-2-cyclohexylglycyl-L-valyl-N-[1-oxo[(4-pyridinylmethyl)amino]acetyl]butyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



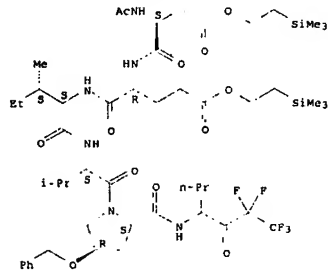
IT 220440-21-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of peptide analogs as hepatitis C inhibitors)
RN 220440-21-1 CAPLUS
CN L-Prolinamide, N-acetyl-L- α -aspartyl-D- α -glutamyl-L-isoleucyl-L-valyl-N-[3,3,4,4,4-pentafluoro-2-hydroxy-1-propylbutyl]-4-(phenylmethoxy)-, bis[2-(trimethylsilyl)ethyl] ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 220440-22-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of peptide analogs as hepatitis C inhibitors)
 RN 220440-22-2 CAPLUS
 CN L-Norvaline, N-acetyl-L-α-aspartyl-D-α-glutamyl-L-isoleucyl-L-valyl-N-(3,3,4,4,4-pentafluoro-2-oxo-1-propylbutyl)-4-(phenylmethoxy)-bis[2-(trimethylsilyl)ethyl] ester, (4R)- (9CI) (CA INDEX NAME)

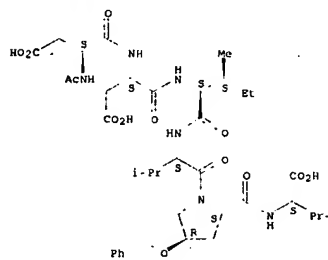
Absolute stereochemistry.



L6 ANSWER 250 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1999-126924 CAPLUS
 DOCUMENT NUMBER: 130:168665
 TITLE: Preparation of hepatitis C inhibitory peptides
 INVENTOR(S): Llinas-Brunet, Montse; Poupart, Marc-Andre; Rancourt, Jean; Simoneau, Bruno; Tsantrizos, Youla; Wernic, Dominik
 PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.

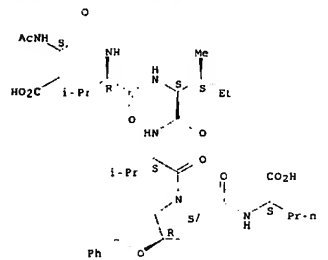
CN L-Norvaline, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220425-45-6 CAPLUS
 CN L-Norvaline, N-acetyl-L-α-aspartyl-D-valyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220425-46-7 CAPLUS
 CN L-Norvaline, N-acetyl-L-α-aspartyl-D-α-glutamyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

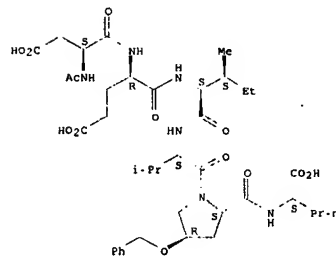
Absolute stereochemistry.

SOURCE: PCT Int. Appl., 158 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907733	A2	19990218	WO 1998-CA765	19980810
WO 9907733	A3	19990520		
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, MO, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW			
RM:	GH, OM, KE, LS, MM, SD, SZ, UO, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LJ, MC, NL, PT, SE, BF, BJ, CF, CO, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TO			
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AU 9887956	A	19990301	AU 1998-87956	19980810
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EP 1003775	A2	20000531	EP 1998-939450	19980810
EP 1003775	B1	20050316		
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JP 2001512743	T	20010828	JP 2000-506235	19980810
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AT 291032	T	20050415	AT 1998-939450	19980810
PT 1003775	T	20050729	PT 1998-939450	19980810
ES 2241157	T3	20051016	ES 1998-939450	19980810
ES 6767991	B1	20040727	US 1999-368670	19990805
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			WO 1998-CA765	W 19980810
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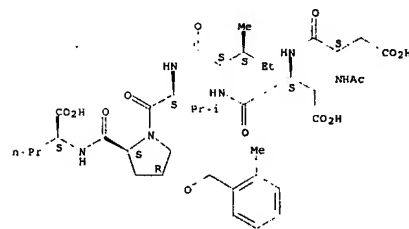
OTHER SOURCE(S): MARPAT 130:168665
 AB Peptides B[NHCHR6CO]a[NHCHR5CO]bOCHRA[C(1:2)NHCHR3CO]NHCHR1R1COA (when O is CH2 and a and b are 0, B is an amide derivative or when O is NH or alkylimino and a and b are 0 or 1, B is an acyl derivative; R6 = carboxyalkyl; R5 = alkyl or carboxyalkyl; R4 = alkyl, cycloalkyl, alkylcycloalkyl; Z = oxo or thioxo; R3 = alkyl, carboxyalkyl, cycloalkyl, alkylcycloalkyl; W is an amino acid residue such as proline; R1 = H and R1 = alkyl, mercapto- or haloalkyl or R1 and R1 together form a 3- to 6-membered ring; A is hydroxy or a pharmaceutically acceptable salt or ester) were prepared as hepatitis C virus inhibitors. Thus, Ac-Asp-D-Glu-Chg-Val-X-Nva-OH (Chg = cyclohexylglycine, X = 4(R)-(2-naphthylmethoxy)proline, and Nva = norvaline residue), prepared by step-wise couplings in solution, showed IC50 = 0.028 μM in the NS3 protease/NS4A cofactor peptide radiometric assay.

IT 220425-44-5P 220425-45-6P 220425-46-7P
 220425-47-8P 220425-48-9P 220425-49-0P
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 220425-78-5P 220425-91-2P 220425-96-7P
 RL: BAC (Biological activity or effector, except adverse), BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of hepatitis C inhibitory peptides)
 RN 220425-44-5 CAPLUS



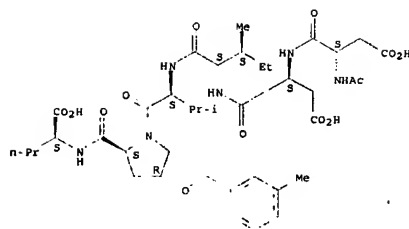
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 CN L-Norvaline, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-[(2-methylphenyl)methoxy]-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



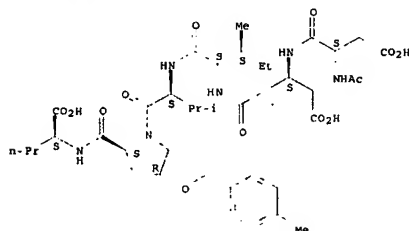
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 CN L-Norvaline, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-[(3-methylphenyl)methoxy]-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



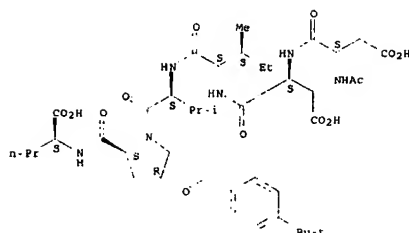
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Absolute stereochemistry.



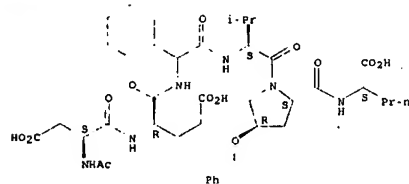
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Absolute stereochemistry.



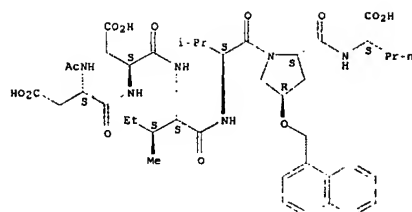
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CN L-Norvaline, N-acetyl-L-α-aspartyl-D-α-glutamyl-2-cyclohexylglycyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



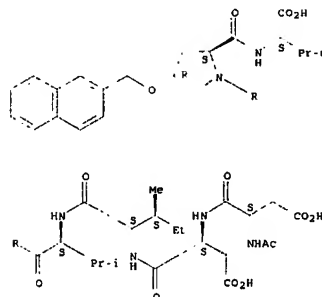
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Absolute stereochemistry.



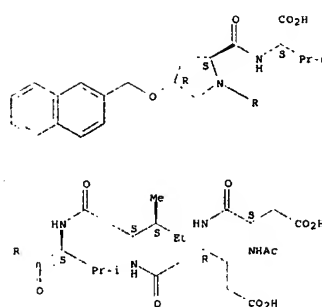
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Absolute stereochemistry.



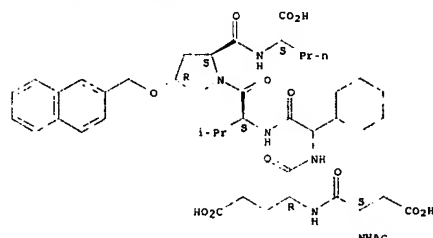
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Absolute stereochemistry.



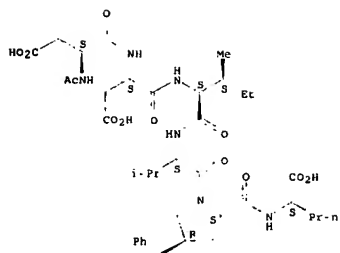
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Absolute stereochemistry.



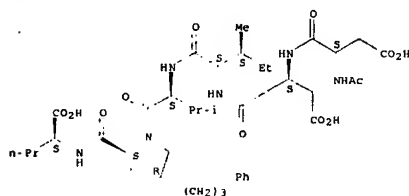
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Absolute stereochemistry.



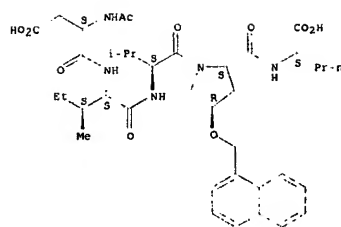
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Absolute stereochemistry.



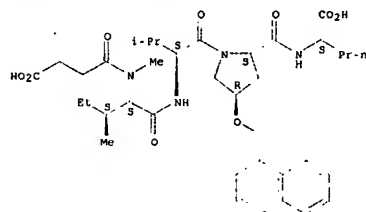
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Absolute stereochemistry.



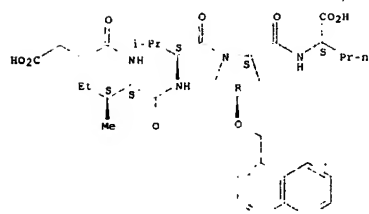
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Absolute stereochemistry.



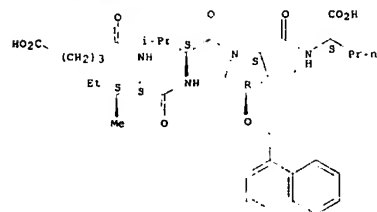
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Absolute stereochemistry.



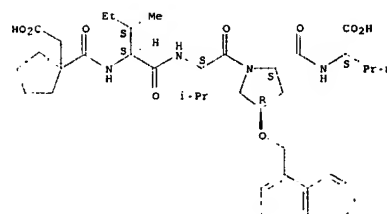
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CN L-Norvaline, N-(4-carboxy-1-oxobutyl)-L-isoleucyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



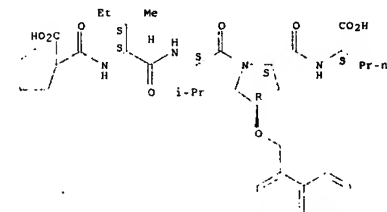
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Absolute stereochemistry.



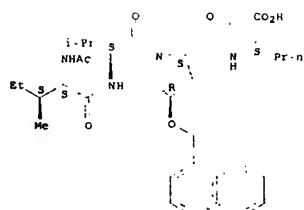
RN 220425-68-3 CAPLUS
CN L-Norvaline, N-[(1-carboxycyclopentyl)carbonyl]-L-isoleucyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



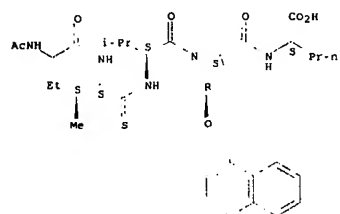
RN 220425-69-4 CAPLUS
CN L-Norvaline, N-acetyl-L-isoleucyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



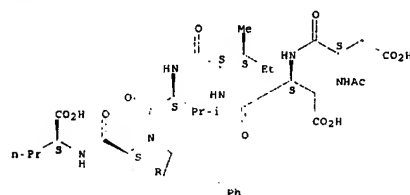
RN 220425-70-5 CAPLUS
CN L-Norvaline, N-acetylthioglycyl-L-isoleucyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220425-91-2 CAPLUS
CN L-Norvaline, N-acetyl-L-n-aspartyl-L-n-aspartyl-L-isoleucyl-L-valyl-(4R)-4-(2-phenylethyl)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19732944	A1	19990204	DE 1997-19732944	19970731
DE 199732944			DE 1997-19732944	19970731

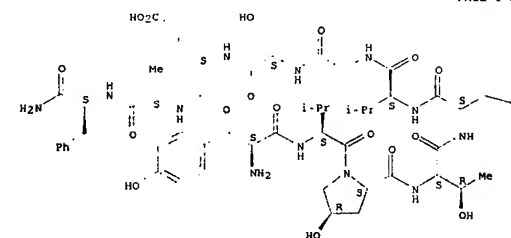
PRIORITY APPLN. INFO.: MARPAT 130:153978
AB Variations on the r-CORP-alpha-27-37 partial sequence H-P27-V28-P29-T30-N31-V32-G33-E34-E35-A36-P37-NM2 (see text for specifications) were prepared using solid-phase peptide synthesis techniques, for use in acute and prophylactic treatment of headache, non-insulin-dependent diabetes mellitus, cardiovascular disease, skin disease, inflammatory disease, allergic rhinitis, asthma, clotting disorders, and morphine tolerance (no data).

IT 201613-22-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of via solid-phase synthesis as CORP-antagonists for use as medicaments)

RN 201613-22-1 CAPLUS
CN L-Phenylalaninamide, L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-asparaginyl-L-valylglycyl-L-seryl-L-n-glutamyl-L-alanyl- (9CI) (CA INDEX NAME)

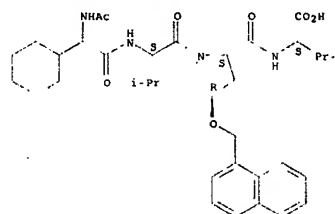
Absolute stereochemistry.

PAGE 1-A



RN 220425-96-7 CAPLUS
CN L-Norvaline, N-acetyl-2-cyclohexylglycyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

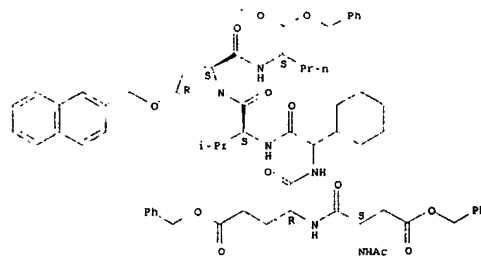
Absolute stereochemistry.



IT 220425-01-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

RN 220425-01-4 CAPLUS
CN L-Norvaline, N-acetyl-L-n-aspartyl-L-n-glutamyl-2-cyclohexylglycyl-L-valyl-(4R)-4-(2-naphthalenylmethoxy)-L-prolyl-, tris(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 251 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:96518 CAPLUS
DOCUMENT NUMBER: 130:153978
TITLE: Solid-phase synthesis of peptide CGRP-antagonists for use as medicaments
INVENTOR(S): Beck-Sickinger, Annette; Rist, Beate; Entzeroth, Michael
PATENT ASSIGNEE(S): Dr. Karl Thomae G.m.b.H., Germany

PAGE 1-B

L6 ANSWER 252 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:77666 CAPLUS
DOCUMENT NUMBER: 130:149550
TITLE: Synthetic genes for plant gums containing repeat motifs
INVENTOR(S): Kieliszewski, Marcia J.
PATENT ASSIGNEE(S): Ohio University, USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

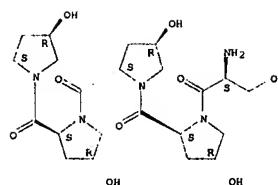
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9903978	A1	19990128	WO 1998-US15083	19980721
US 6870062	B1	20030527	US 1997-097556	19970721
CA 2296813	A1	19990128	CA 1998-2296813	19980721
AU 9891969	A	19990210	AU 1998-91969	19980721
AU 748910	B2	20020613		
EP 1003840	A1	20000531	EP 1998-944431	19980721
JP 2003524365	T	20030819	JP 2000-503184	19980721
AU 2002301020	A1	20030220	AU 2002-301020	20020913
US 2007039073	A1	20070215	US 2005-173811	20050701
US 2006252120	A1	20061109	US 2005-243295	20050930
			US 1997-097556	A 19970721
			US 1998-119507	A 19980720
			AU 1998-91969	A3 19980721
			WO 1998-US15083	W 19980721
			US 2003-395402	B1 20030324
			US 2003-257199	B1 20030509

AB A new approach in the field of plant gums is described which presents a new solution to the production of hydroxyproline (Hyp)-rich glycoproteins (HRGPs), repetitive proline-rich proteins (RPRPs), arabinogalactan proteins (AGPs), and gum arabic glycoprotein (GAGP). The expression of synthetic genes designed from repetitive peptide sequences of such glycoproteins, including the peptide sequences of gum arabic glycoprotein (GAGP), is taught in host cells, including plant host cells. Partial peptide sequences of Acacia GAGP allow the synthesis of encoding oligonucleotides which are multimerized in plant expression vectors containing the 35S and 19S promoters of cauliflower mosaic virus, the extensin signal sequence, and the green fluorescent protein (GFP) gene as a reporter gene. Agrobacterium-mediated transformation of tobacco and tomato with

pB121-derived plasmids allowed the expression and isolation of GAGP-GFP constructs.
 IT 220185-72-8 220185-73-9
 RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); OCCU (Occurrence)
 (Acacia gum arabic glycoprotein repeat motif; synthetic genes for plant gums containing repeat motifs)
 RN 220185-72-8 CAPLUS
 CN L-Histidine, L-seryl-(4R)-4-hydroxy-L-prolyl-(4R)-4-hydroxy-L-prolyl-(4R)-4-hydroxy-L-prolyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-(4R)-4-hydroxy-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(9CI) (CA INDEX NAME)

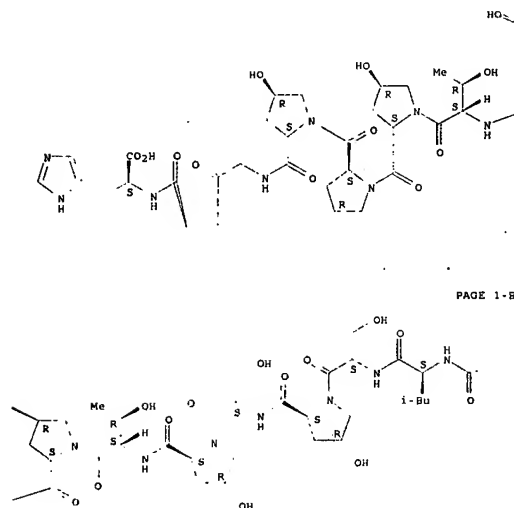
Absolute stereochemistry.

PAGE 1-A



PAGE 1-C

PAGE 2-A

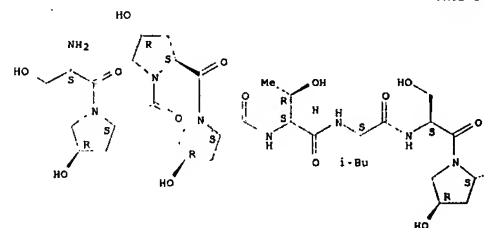


PAGE 1-B

RN 220185-73-9 CAPLUS
 CN L-Histidine, L-seryl-(4R)-4-hydroxy-L-prolyl-(4R)-4-hydroxy-L-prolyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-leucyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-seryl-(4R)-4-hydroxy-L-prolyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-(4R)-4-hydroxy-L-prolyl-(4R)-4-hydroxy-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



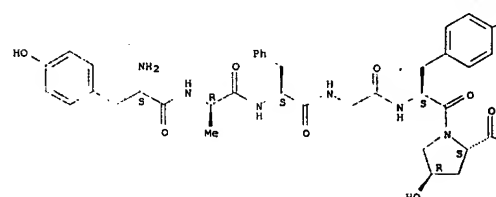
PAGE 1-B

and deltorphin analogs β -O- and u-C-glycosylated on the C-terminal amino acid residue and report their opioid receptor affinity and selectivity as well as their analgesic potency after s.c. injection in mice.

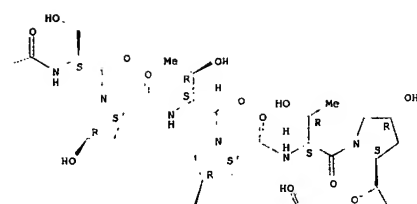
IT 220713-64-4P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (Preparation and antinociceptive activity of dermorphin and deltorphin glycosylated analogs)
 RN 220713-64-4 CAPLUS
 CN L-Tyrosinamide, L-tyrosyl-D-alanyl-L-phenylalanylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

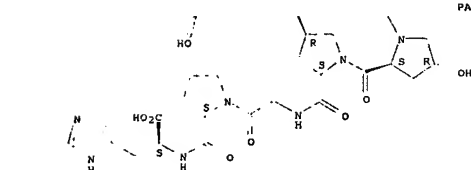
PAGE 1-A



PAGE 1-B



PAGE 2-B



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 253 OF 551
 ACCESSION NUMBER: 1999:32812 CAPLUS
 DOCUMENT NUMBER: 130:196942
 TITLE: Dermorphin and Deltorphin Glycosylated Analogs: Synthesis and Antinociceptive Activity after Systemic Administration
 AUTHOR(S): Negri, Lucia; Lattanzi, Roberto; Tabacco, Fabio; Orru, Luigi; Severini, Cinzia; Scolaro, Barbara; Rocchi, Reniero
 CORPORATE SOURCE: Institute of Medical Pharmacology, University La Sapienza of Rome, Rome, I-00185, Italy
 SOURCE: Journal of Medicinal Chemistry (1999), 42(3), 400-404
 CODEN: JMCMAH; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB In the present paper the authors describe the synthesis of some dermorphin

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 254 OF 551
 ACCESSION NUMBER: 1998:788773 CAPLUS
 DOCUMENT NUMBER: 130:66805
 TITLE: Preparation of peptide inhibitors of interleukin-1 β converting enzyme
 INVENTOR(S): Bemis, Guy W.; Golec, Julian M. C.; Lauffer, David J.; Mullican, Michael D.; Murcko, Mark A.; Livingston, David J.
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA
 SOURCE: U.S., 106 pp., Cont.-in-part of U.S. 5,656,627.

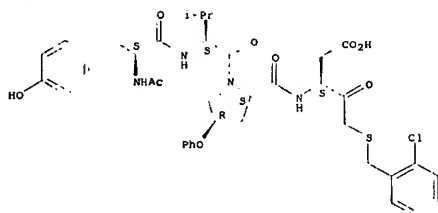
DOCUMENT TYPE: USXXAM
LANGUAGE: Patent
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5847135	A	19981208	US 1995-440898	19950525
US 5756466	A	19980526	US 1994-261452	19940617
US 5656627	A	19970812	US 1995-405581	19950317
US 5716929	A	19980210	US 1995-464964	19950605
US 6103711	A	20000815	US 1995-465216	19950605
TW 509698	B	20021111	TW 1995-84105903	19950609
IN 181338	A1	19980516	IN 1995-CA659	19950612
CA 2192089	A1	19951228	CA 1995-2192089	19950616
WO 9535708	A1	19951228	WO 1995-057617	19950616
W: AM, AT, AU, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT, RW: KE, MM, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9529446	A	19960115	AU 1995-29446	19950616
AU 709114	B2	19990819		19950616
EP 746268	A1	19970723	EP 1995-925257	19950616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1159196	A	19970910	CN 1995-194381	19950616
BR 9508051	A	19971021	BR 1995-8051	19950616
HU 76222	A2	19971028	HU 1996-3475	19950616
JP 10504285	T	19980428	JP 1996-502478	19950616
AP 797	A	20000107	AP 1997-960	19950616
W: KE, MM, SD, SZ, UG				
PL 145693	B1	20030731	PL 1995-318220	19950616
EP 1194175	A1	20040303	EP 2003-22215	19950616
H: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
RU 2242480	C2	20041220	RU 1997-100937	19950616
NO 9605365	A	19970217	NO 1996-5365	19961213
NO 117947	B1	20050110		
FI 9605036	A	19970214	FI 1996-5036	19961216
BG 63634	B1	20020731	BO 1997-101130	19970114
US 5973111	A	19991026	US 1997-828941	19970328
IN 181119	A1	19990911	IN 1997-CA778	19970430
US 6420522	B1	20020716	US 1999-430822	19991029
US 2002099042	A1	20020725	US 2001-886773	20010621
PRIORITY APPLN. INFO.:			US 1994-261452	A2 19940617
			US 1995-405581	A2 19950317
			US 1995-440898	A3 19950525
			US 1995-465216	A3 19950605
			IN 1995-CA659	A1 19950612
			EP 1995-925257	A3 19950616
			WO 1995-057617	W 19950616
			US 1999-430822	A3 19991029

OTHER SOURCE(S): MARPAT 130:66805
AB Interleukin-1 β converting enzyme inhibitors R1NHX1[(CH2)mT](CH2)gr
(X1 = CH, N; g = 0, 1; m = 0-2; T = a cyclic group, OH, CF3, COCO2H, CO2H;
R1 = R42NR5CR6R7CO or substituted derivs., where R4 represents certain
ring systems; R5 = H, a cyclic group, alkyl, arylcarbonyl, arylsulfonyl,
etc.; CR6R7 form a saturated carbocyclic or heterocyclic ring; R3 = CN,
1-alkenyl, alkoxyiminomethyl) were prepared. Thus, N-(N-
acetyltyrosinylvalinyl)peicolyl)-3-amino-4-oxobutanoic acid was prepared and
showed IC50 = 6.11 μ M for inhibition of interleukin-1 β converting
enzyme.
IT 175208-91-0P 175208-92-1P 175208-93-2P

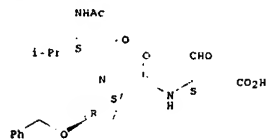
chlorophenylmethylthio]-2-oxopropyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



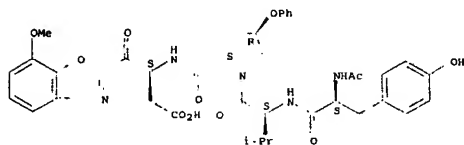
RN 175209-45-7 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175209-50-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-(7-methoxy-2-benzoxazolyl)-2-oxoethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

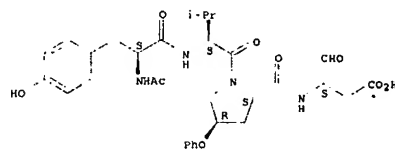


RN 175209-51-5 CAPLUS
CN L-Prolinamide, N-acetyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-[5-(2,6-dichlorophenyl)-2-oxazolyl]-2-oxoethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

175209-40-2P 175209-45-7P 175209-50-4P
175209-51-5P 175209-52-6P 175209-60-6P
175209-68-4P 175209-69-5P 175209-70-8P

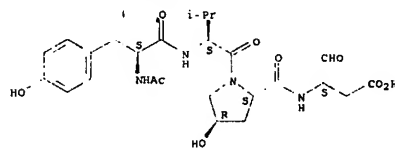
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide inhibitors of interleukin-1 β converting enzyme)
RN 175208-91-0 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



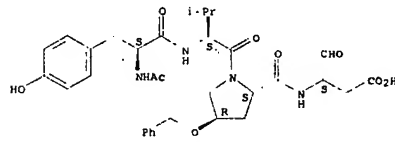
RN 175208-92-1 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



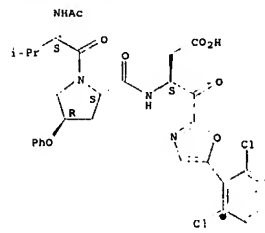
RN 175208-93-2 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



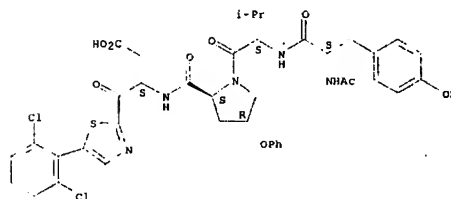
RN 175209-40-2 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-3-[(2-

Absolute stereochemistry.



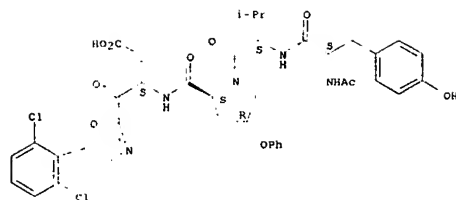
RN 175209-52-6 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-[5-(2,6-dichlorophenyl)-2-thiazolyl]-2-oxoethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



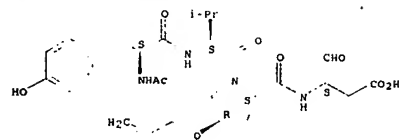
RN 175209-60-6 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-[5-(2,6-dichlorophenyl)-2-oxazolyl]-2-oxoethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



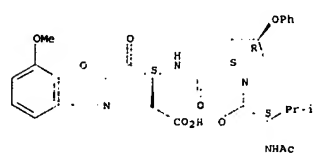
RN 175209-68-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-(2-propenyl)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



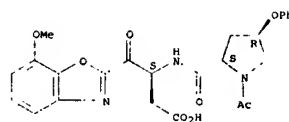
RN 175209-69-5 CAPLUS
CN L-Prolinamide, N-acetyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-(7-methoxy-2-benzoxazolyl)-2-oxoethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



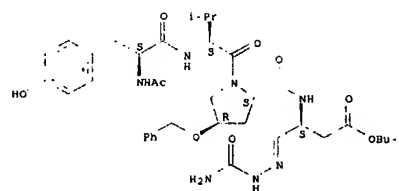
RN 175209-70-8 CAPLUS
CN 2-Benzoxazolebutanoic acid, N-[(1S,4R)-1-acetyl-4-phenoxy-2-pyrrolidinyl]carbonylamino-7-methoxy-γ-oxo-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



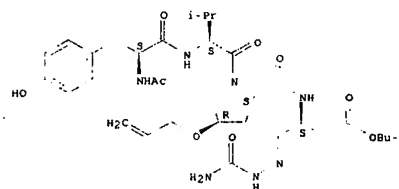
IT 175210-03-4P 175211-26-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
RN 175210-03-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-[[[aminocarbonyl]hydrazono]methyl]-3-(1,1-dimethylethoxy)-3-oxopropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 175211-26-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-[[[aminocarbonyl]hydrazono]methyl]-3-(1,1-dimethylethoxy)-3-oxopropyl]-4-(2-propenyl)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS

RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 255 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1998:716221 CAPLUS
DOCUMENT NUMBER: 129:314971
TITLE: Polyvalent presenter combinatorial libraries and their uses
INVENTOR(S): Choi, Seok-ki; Mammen, Mathai; Whitesides, George M.; Griffin, John
PATENT ASSIGNEE(S): Advanced Medicine, Inc., USA; President and Fellows of Harvard College
SOURCE: PCT Int. Appl., 132 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

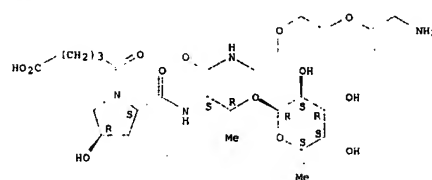
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9847002	A2	19981022	WO 1998-US5963	19980409
WO 9847002	A3	19990304		
W.	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RN:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9869570	A	19981111	AU 1998-69570	19980409
PRIORITY APPLN. INFO.:			US 1997-43288P	P 19970411
			US 1997-43918P	P 19970415
			WO 1998-US5963	W 19980409

AB The methods of the present invention provide for the synthesis and screening of combinatorial libraries of polyvalent presenters. The polyvalent presenters formed using the methods of the present invention generally have the formula (I): R1-R3)m, wherein R1 is a framework component, R2 is a functional group component, and m is an integer having a value greater than ten and which is selected such that the presented functional groups can interact with a collection of greater than ten target binding sites. The framework component must be at least 10 KDa MW of sufficient means hydrodynamic radius to span the distance between adjacent receptors of the target, (i.e., about 100A or greater). These dimensions permit the plurality of functional groups attached to the framework to simultaneously bind to the target receptors (e.g., cell surface receptors). In some embodiments, the polyvalent presenters have the formula (II): R1(R2(R3)m)n, wherein R1 and R3 are as defined above, m is an integer having a value greater than ten and which is selected such that the presented functional groups can interact with a collection of greater than ten target binding sites. In other embodiments, ancillary groups are present in the polyvalent presenters of the present invention, the ancillary group imparting or latering a characteristic(s) of the polyvalent presenter. Properties which can be imparted and/or modified include, for example, solubility (in water, fats, lipids, biol. fluids, etc.), hydrophobicity, hydrophilicity, charge, framework flexibility, antigenicity, mol. size, mol. weight, biocompatibility, immunogenicity, stability, in vivo half-life, in vivo distribution, strength of binding to the polyvalent target, etc.

IT 214913-60-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(polyvalent receptors or ligands or antigens or immunogens and their uses)
RN 214913-60-7 CAPLUS

CN L-Threoninamide, (4R)-1-(4-carboxy-1-oxobutyl)-4-hydroxy-L-prolyl-N-[2-(2-(2-aminomethoxyethoxy)ethyl)-O-(6-deoxy-α-L-galactopyranosyl)]-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

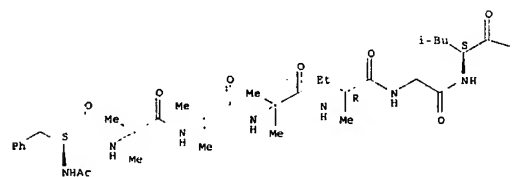


L6 ANSWER 256 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1998:597818 CAPLUS
DOCUMENT NUMBER: 130:4062
TITLE: Antiamebin I. Synthesis via enzymic segment condensation and its solution structure
AUTHOR(S): Iepaw, M. T.; Beusen, D. D.; Slomczynska, U.; Zabrocki, J.; Olejniczak, B.; Hutton, M. C.; Marshall, G. R.
CORPORATE SOURCE: Institute of Organic Chemistry, Technical University, Lodz, 90-924, Pol.
SOURCE: Peptides 1996, Proceedings of the European Peptide Symposium, 24th, Edinburgh, Sept. 8-13, 1996 (1996), Meeting Date 1996, 579-580. Editor(s): Ramage, Robert; Epton, Roger. Mayflower Scientific: Kingswinford, UK.
CODEN: 66PCAS
DOCUMENT TYPE: Conference
LANGUAGE: English
AB A symposium report. The 1H NMR data for antiamebin I in DMSO are consistent with a helical conformation.
IT 64347-37-1P, Antiamebin I
RL: BPN (Biosynthetic preparation); PRP (Properties); BIOL (Biological study); PREP (Preparation)
(synthesis and structure of antiamebin I)
RN 64347-37-1 CAPLUS
CN Antiamebin I (9CI) (CA INDEX NAME)

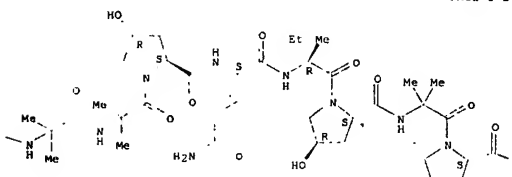
Absolute stereochemistry.

PAGE 1-A

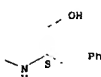
L6 ANSWER 257 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1998:507691 CAPLUS
 DOCUMENT NUMBER: 129:245473
 TITLE: Synthesis of the 15N-Gln11 labeled peptide
 antibiotic zervamicin-11B
 AUTHOR(S): Ogrel, Andrei; Ogrel, Alexei; Ogrel, Svetlana; Shvets, Vitaliy; Raap, Jan
 CORPORATE SOURCE: M.V. Lomonosov State Academy of Fine Chemical Technology, Moscow, 117571, Russia
 SOURCE: Letters in Peptide Science (1998), 5(2-3), 175-178
 CODEN: LPSCDM; ISSN: 0929-5666
 PUBLISHER: Kluwer Academic Publishers
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Synthesis of zervamicin 11B, specifically labeled at the α -position of glutamine-11 with ^{15}N , was achieved by the Fmoc/tert.-Bu strategy in solution using a fragment condensation approach. Three fragments of zervamicin 11B were obtained by stepwise elongation with Fmoc amino acids using BOP as a coupling reagent. For the introduction of the highly sterically hindered α -aminoisobutyric acid residues, BOP/DMAAP activation was applied. Peptide fragments were coupled by means of the coupling reagent, CP3-PyBOP. Using the strategy developed, specifically ^{15}N labeled zervamicin 11B has been synthesized in 30% overall yield based on the isotopically labeled amino acid. The position of the ^{15}N -label was clearly detected from 600 MHz NMR spectroscopy. The isotope enrichment ($98 \pm 2\%$) was determined by FAB-mass spectrometry.
 IT 213247-23-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (Synthesis of the ^{15}N -Gln11 labeled zervamicin-11B)
 RN 213247-23-5 CAPLUS
 CN L-Prolineamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-N2-15N-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-1-(15S)-1-(hydroxymethyl)-2-phenylethyl- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



PAGE 1-B

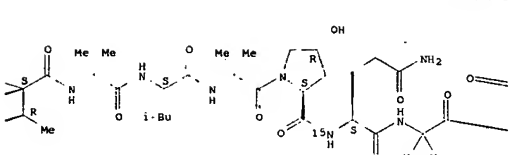


PAGE 1-C

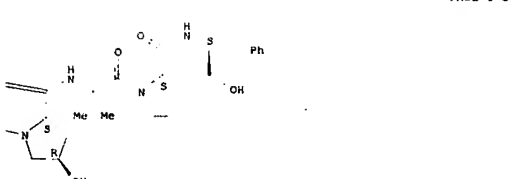


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

PAGE 1-B



PAGE 1-C

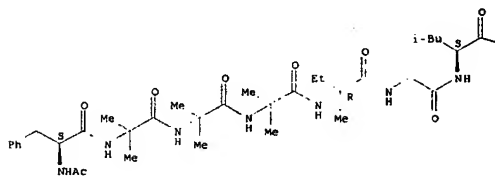


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

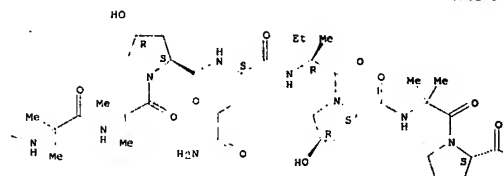
L6 ANSWER 258 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1998:482623 CAPLUS
 DOCUMENT NUMBER: 129:211291
 TITLE: The structure and function of antiameobin I, a proline-rich membrane-active polypeptide
 AUTHOR(S): Snook, C. P.; Woolley, G. A.; Oliva, G.; Pattabhi, Vasantha; Wood, S. P.; Blundell, T. L.; Wallace, B. A.
 CORPORATE SOURCE: Department of Crystallography, Birkbeck College, University of London, London, WC1E 7HX, UK
 SOURCE: Structure (London) (1998), 6(6), 783-792
 CODEN: STRUD6; ISSN: 0969-2126
 PUBLISHER: Current Biology Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Antiameobin is a member of the peptaibol family of polypeptides and has a unique antibiotic activity: it acts as an antiameobin agent, but does not effectively hemolyse erythrocytes even though it does exhibit membrane-modifying activity. The structure of antiameobin I has been determined by X-ray crystallog. at 1.4 Å resolution. The mol. forms a helical structure, which, as a result of the presence of a number of proline and hydroxyproline residues, has a deep bend in the middle. CD spectroscopy, single-channel conductance studies and fluorescence diffusion studies suggest a mode of ion transport that is entirely different from that of the other two members of the peptaibol family (alamethicin and zervamicin) whose structures and functions have been examined in detail. The structure of the polypeptide has been determined and a functional model for its mode of

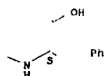
action in membranes is presented. Although under some conditions antiameobin may form ion channels, unlike the closely related alamethicin and zervamicin polypeptides, its major membrane-modifying activity appears to be as an ion carrier.
 IT 64347-37-1, Antiameobin I
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); SIOU (Biological study); USES (Uses)
 (Structure and function of antiameobin I, a proline-rich membrane-active polypeptide)
 RN 64347-37-1 CAPLUS
 CN Antiameobin I (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

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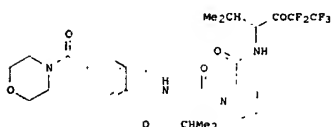
PAGE 1-B



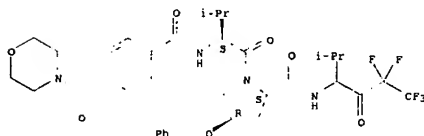


REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 259 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1998:430286 CAPLUS
 DOCUMENT NUMBER:
 TITLE:
 Inhibition of Human Neutrophil Elastase. 4. Design, Synthesis, X-ray Crystallographic Analysis, and Structure-Activity Relationships for a Series of P2-Modified, Orally Active Peptidyl Pentafluoroethyl Ketones
 AUTHOR(S): Cregge, Robert J.; Durham, Sherrie L.; Parr, Robert A.; Gallion, Steven L.; Hare, C. Michelle; Hoffman, Robert V.; Janusz, Michael J.; Kim, Hwa-Ok; Koehl, Jack R.; Mehdi, Shujaath; Metz, William A.; Peet, Norton P.; Pelton, John T.; Schreuder, Herman A.; Sunder, Shyam; Tardif, Chantal
 CORPORATE SOURCE: Hoechst Marion Roussel Inc., Cincinnati, OH, 45215, USA
 SOURCE: Journal of Medicinal Chemistry (1998), 41(14), 2461-2480
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

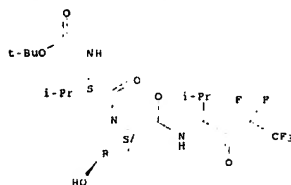


AB A series of P2-modified, orally active peptidic inhibitors of human neutrophil elastase (HNE) are reported. These pentafluoroethyl ketone based inhibitors were designed using pentafluoroethyl ketone I (MDL 101,146) as a model. Rational structural modifications were made at the P3, P2, and activating group (AG) portions of I based on structure-activity relationships (SAR) developed from in vitro (measured Ki) data and information provided by modeling studies that docked



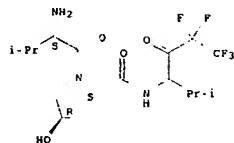
IT 175012-16-5P 175012-17-6P 175012-18-7P
 175012-20-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of peptidyl pentafluoroethyl ketones as inhibitors of human neutrophil elastase)
 RN 175012-16-5 CAPLUS
 CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-4-hydroxy-N-[3,3,4,4,4-pentafluoro-1-(1-methylethyl)-2-oxobutyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175012-17-6 CAPLUS
 CN L-Prolinamide, L-valyl-4-hydroxy-N-[3,3,4,4,4-pentafluoro-1-(1-methylethyl)-2-oxobutyl]-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

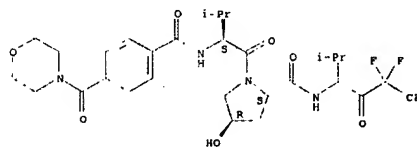


● HCl

inhibitor I into the active site of HNE. The modeling-based design was corroborated with X-ray crystallog. anal. of the complex between I and porcine pancreatic elastase (PPE) and subsequently the complex between I and HNE.

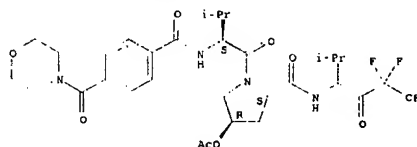
IT 175012-06-3P 175012-07-4P 175012-08-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of peptidyl pentafluoroethyl ketones as inhibitors of human neutrophil elastase)
 RN 175012-06-3 CAPLUS
 CN L-Prolinamide, N-[4-(4-morpholinylcarbonyl)benzoyl]-L-valyl-4-hydroxy-N-[3,3,4,4,4-pentafluoro-1-(1-methylethyl)-2-oxobutyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175012-07-4 CAPLUS
 CN L-Prolinamide, N-[4-(4-morpholinylcarbonyl)benzoyl]-L-valyl-4-(acetyloxy)-N-[3,3,4,4,4-pentafluoro-1-(1-methylethyl)-2-oxobutyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

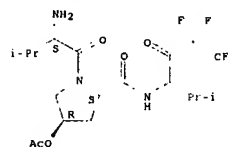


RN 175012-08-5 CAPLUS
 CN L-Prolinamide, N-[4-(4-morpholinylcarbonyl)benzoyl]-L-valyl-N-[3,3,4,4,4-pentafluoro-1-(1-methylethyl)-2-oxobutyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 175012-18-7 CAPLUS
 CN L-Prolinamide, L-valyl-4-(acetyloxy)-N-[3,3,4,4,4-pentafluoro-1-(1-methylethyl)-2-oxobutyl]-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

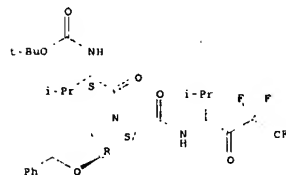
Absolute stereochemistry.



● HCl

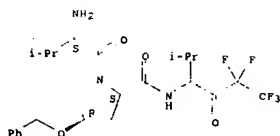
RN 175012-20-1 CAPLUS
 CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[3,3,4,4,4-pentafluoro-1-(1-methylethyl)-2-oxobutyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175012-21-2 CAPLUS
 CN L-Prolinamide, L-valyl-N-[3,3,4,4,4-pentafluoro-1-(1-methylethyl)-2-oxobutyl]-4-(phenylmethoxy)-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

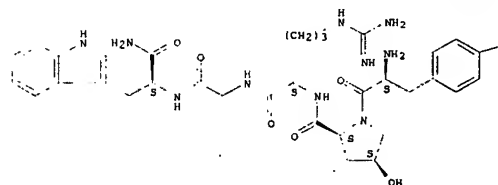
L6 ANSWER 260 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1998:414724 CAPLUS
 DOCUMENT NUMBER: 129:62986
 TITLE: Melanocyte stimulating inhibitory factor analog tri-, tetra-, penta-, and polypeptides and their therapeutic use as an antidepressant agent
 INVENTOR(S): Abajian, Henry B.; Noble, John F.; Hlavka, Joseph J.
 PATENT ASSIGNEE(S): Innapharma, Inc., USA
 SOURCE: U.S., 56 pp., Cont.-in-part of U.S. 5,589,460.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5767083	A	19980616	US 1995-432651	19950502
US 5584460	A	19961231	US 1994-238089	19940504
CA 2149145	A1	19951116	CA 1995-2189145	19950502
CN 1131700	A	19970611	CN 1995-193685	19950502
PT 759772	T	20040630	PT 1995-923659	19950502
ES 2215175	T3	20041001	ES 1995-923659	19950502
IN 186890	A1	20011201	IN 1996-CA786	19960501
US 6091747	A	20000725	US 1997-962962	19971104
IN 191479	A1	20031206	IN 2001-CA198	20010404
IN 2001CA00237	A	20050311	IN 2001-CA237	20010420
IN 2001CA00508	A	20060113	IN 2001-CA508	20010906
US 2003176354	A1	20030919	US 2002-122246	20020411
US 6767897	B2	20040727		
IN 2002CA00483	A	20060113	IN 2002-CA483	20020816
PRIORITY APPLN. INFO.:			US 1994-238089	A2 19940504
			US 1995-432651	A 19950502
			IN 1996-CA786	A3 19960501
			US 1997-962962	A2 19971104
			US 2000-625103	B2 20000725
			IN 2001-CA198	A3 20010404

OTHER SOURCE(S): MARPAT 129:62986
 AB The present invention discloses novel peptides utilized to treat patients suffering from depression. These novel peptides are modifications of the tripeptide hormone MIP (melanocyte stimulating inhibitory factor), including modification of amino terminus residues, carboxyl terminus residues and internal residues, including addition and substitution of amino acid residues and modification of the peptide bonds and functional side groups of resp. amino acid residues. The tri-, tetra-, penta-, and

polypeptides of the present invention may be utilized alone or in combination to treat patients suffering from depression. In a modified Porcini swim test, an average of 11 out of twelve rats responded to 4-F-Phe-3,4-dehydro-Pro-Arg-Gly-Trp-NH₂.
 IT 173071-94-8P 173071-97-1P 173071-98-2P
 173071-99-3P 173072-02-1P 173072-04-3P
 173072-05-4P 173072-10-1P 173072-11-2P
 173072-12-3P 173240-11-4P 173240-12-5P
 173240-13-6P 173240-14-7P 173240-15-8P
 173240-16-9P 173240-17-0P 173240-18-1P
 173240-19-2P 173240-21-6P 173240-22-7P
 173240-27-2P 173240-28-3P 173240-36-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (melanocyte stimulating inhibitory factor analog peptides and their use as antidepressants)
 RN 173071-94-8 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



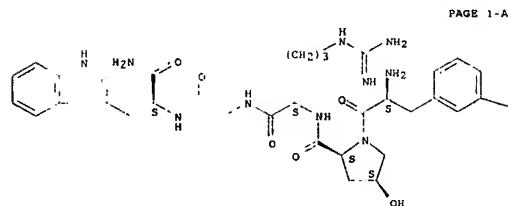
PAGE 1-A

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- F

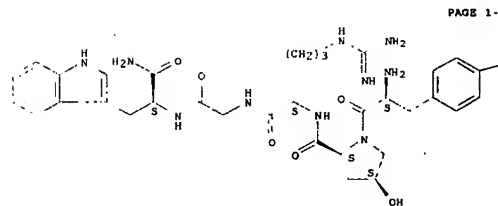
RN 173071-97-1 CAPLUS
 CN L-Tryptophanamide, 3-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



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PAGE 1-B

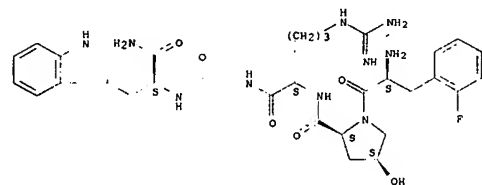
- Cl

RN 173072-02-1 CAPLUS
 CN L-Tryptophanamide, 4-amino-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry

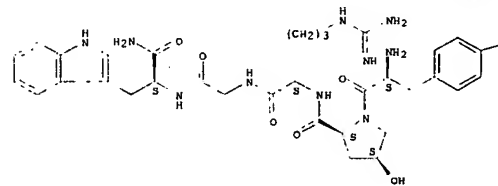
RN 173071-98-2 CAPLUS
 CN L-Tryptophanamide, 2-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



RN 173071-99-3 CAPLUS
 CN L-Tryptophanamide, 4-chloro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



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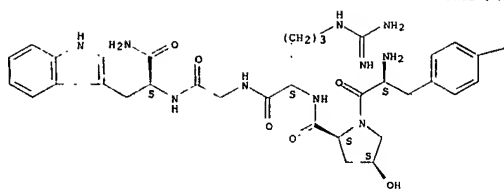
PAGE 1-B

- NH2

RN 173072-04-3 CAPLUS
 CN L-Tryptophanamide, 4-nitro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



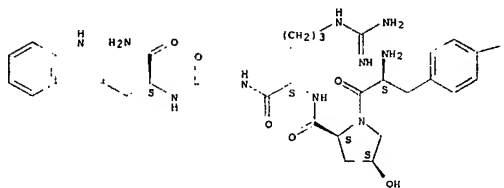
PAGE 1-B

-NO₂

RN 173072-05-4 CAPLUS
 CN L-Tryptophanamide, O-methyl-L-tyrosyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



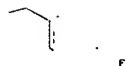
PAGE 1-B

-OMe

RN 173072-10-1 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

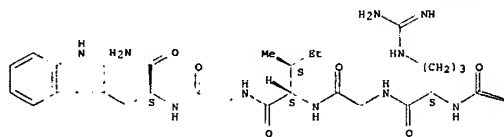
PAGE 1-B



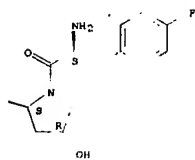
RN 173072-12-3 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



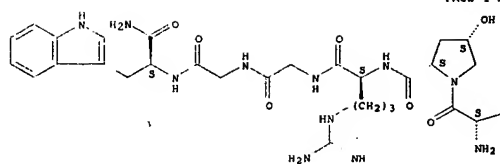
PAGE 1-B



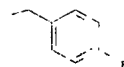
RN 173240-11-4 CAPLUS
 CN Glycinamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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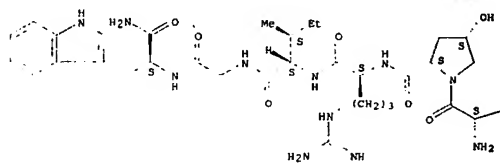
PAGE 1-B



RN 173072-11-2 CAPLUS
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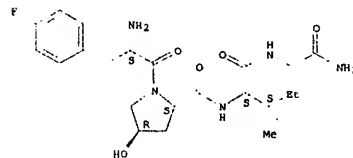
Absolute stereochemistry.

PAGE 1-A



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 CN Glycinamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl- (9CI) (CA INDEX NAME)

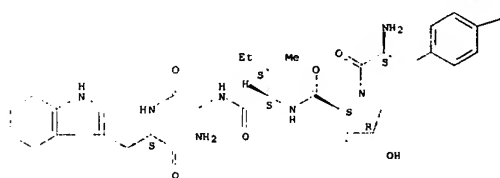
Absolute stereochemistry.



RN 173240-13-6 CAPLUS
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Absolute stereochemistry.

PAGE 1-A

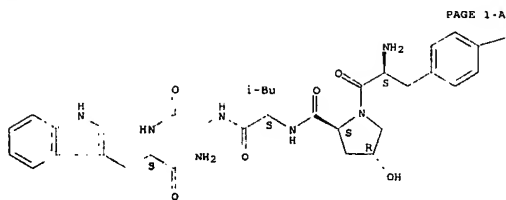


PAGE 1-B

RN 173240-14-7 CAPLUS

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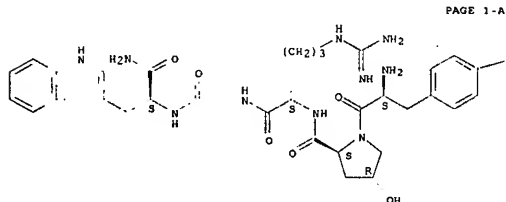
Absolute stereochemistry.



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RN 173240-15-8 CAPLUS
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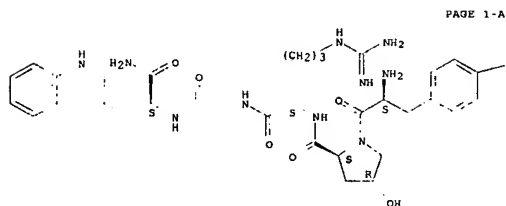
Absolute stereochemistry. Rotation (-).



PAGE 1-B

RN 173240-16-9 CAPLUS
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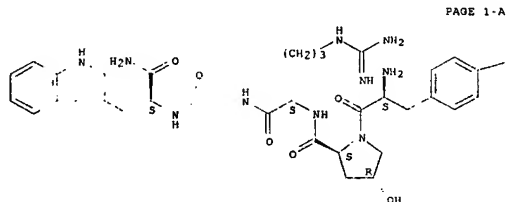
Absolute stereochemistry.



PAGE 1-B

RN 173240-19-2 CAPLUS
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Absolute stereochemistry.



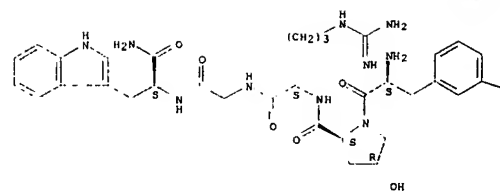
PAGE 1-B

RN * 173240-21-6 CAPLUS
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Absolute stereochemistry.



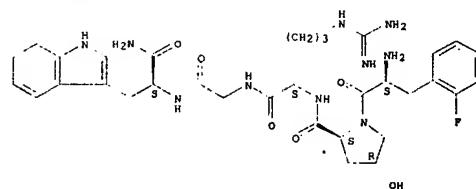
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PAGE 1-B

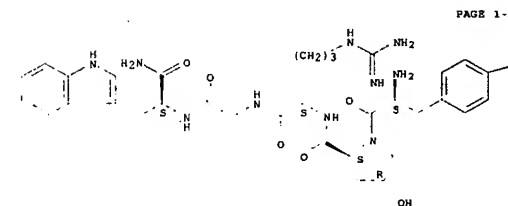
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CN L-Tryptophanamide, 2-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



RN 173240-18-1 CAPLUS
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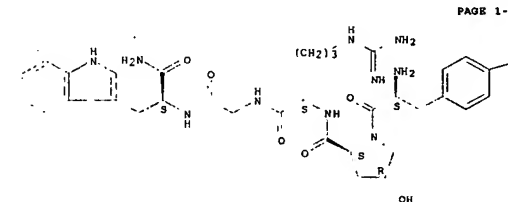
Absolute stereochemistry.



PAGE 1-B

RN 173240-22-7 CAPLUS
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Absolute stereochemistry.

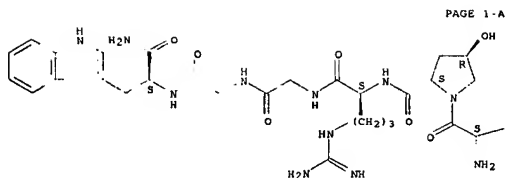


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RN 173240-27-2 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycylglycyl- (9C1) (CA INDEX NAME)

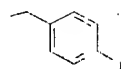
Absolute stereochemistry.





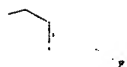
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PAGE 1-B



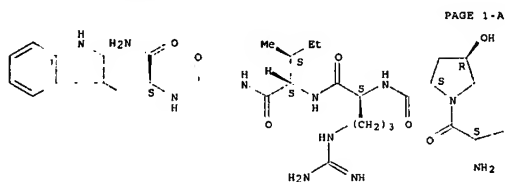
RN 173240-36-3 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



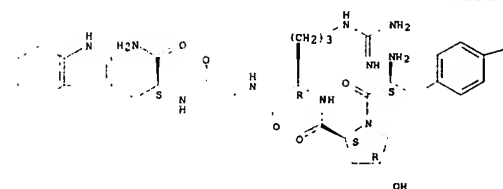
RN 173240-28-3 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginyl-L-isoleucylglycyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



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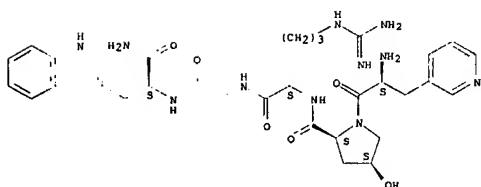
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PAGE 1-B

IT 173072-09-8 173240-24-9 173240-25-0
173240-26-1 208999-95-5 208999-96-6
RL THU (Therapeutic use); BICL (Biological study); USES (Uses)
(melanocyte stimulating inhibitory factor analog peptides and their use
as antidepressants)

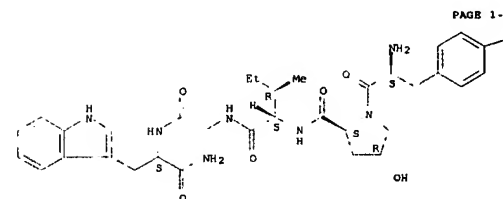
RN 173072-09-8 CAPLUS
CN L-Tryptophanamide, 3-(3-pyridinyl)-L-alanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



RN 173240-24-9 CAPLUS
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Absolute stereochemistry.

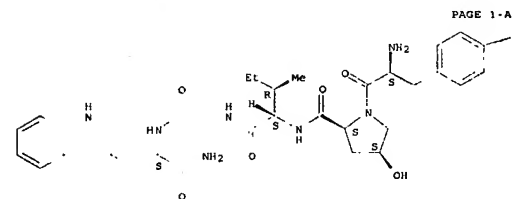


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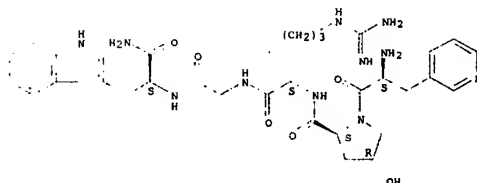
RN 173240-26-1 CAPLUS
CN L-Tryptophanamide, 3-(3-pyridinyl)-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry



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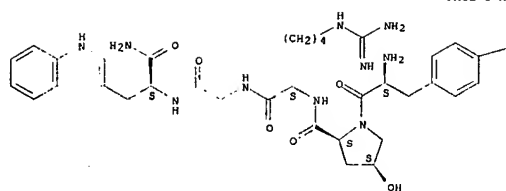
OH

RN 173240-25-0 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-alloisoleucylglycyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 208999-95-5 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-N6-(aminomimomethyl)-L-lysylglycyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

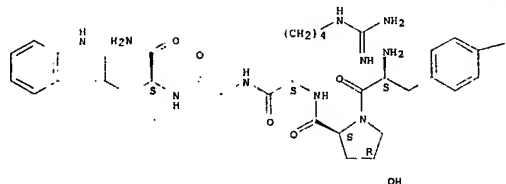


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RN 208999-96-6 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-N6-(aminomethyl)-L-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

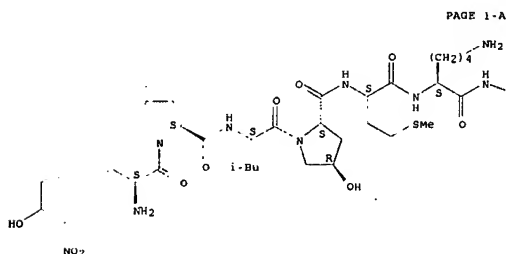


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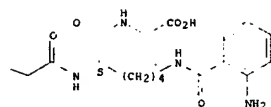
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 261 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1998:166719 CAPLUS
DOCUMENT NUMBER: 129:116475
TITLE: PEGA supports for combinatorial peptide synthesis and solid-phase enzymic library assays



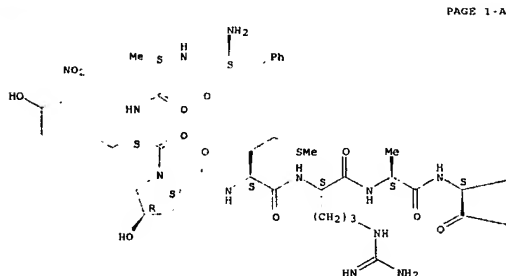
PAGE 1-A

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RN 210541-31-4 CAPLUS
CN Glycine, L-phenylalanyl-L-alanyl-3-nitro-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-methionyl-L-lysyl-L-methionyl-(4R)-4-hydroxy-L-prolyl-N6-(2-aminobenzoyl)-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

AUTHOR(S): Renil, Manet; Ferreras, Mercedes; Delaisse, Jean M.; Foged, Niels T.; Meldal, Morten
CORPORATE SOURCE: Department of Chemistry, Carlsberg Laboratory, Valby, Den.
SOURCE: Journal of Peptide Science (1998), 4(3), 195-210
CODEN: JPSEI1; ISSN: 1075-2617
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Permeable resins cross-linked with long poly(ethylene glycol) (PEG) chains were synthesized for use in solid-phase enzyme library assays. High mol. weight bis-amino-PEG 4000, 6000, 8000 were synthesized by a three-step reaction starting from PEG-bis-OH. Macromonomers were synthesized by partial or diacryloylation of bis-amino-PEG derivs. Bis/mono-acrylamido-PEG were copolyd. along with acrylamide by inverse suspension copolyd. to yield a less cross-linked resin (Type I). Furthermore, acryloyl-sarcosine Et ester was co-polymerized along with bis-acrylamido PEG to obtain more crosslinked capacity resin (Type II). N,N-Dimethylacrylamide was used as a comonomer in some cases. The polymer was usually obtained in a well-defined beaded form and was easy to handle under both wet and dry conditions. The supports showed good mech. properties and were characterized by studying the swelling properties, size distribution of beads, and by estimating the amino group capacity. Depending on the PEG chain length, the monomer composition and the degree of crosslinking the PEGA supports showed a high degree of swelling in a broad range of solvents, including water, dichloromethane, DMF, MeCN, THF and toluene: no swelling was observed in di-Et ether. The PEGA resins (Type I) with an amino acid group capacity between 0.07 and 1.0 mmol/g could be obtained by variation of the monomer composition in the polymerization mixture. Fluorescent quenched peptide libraries were synthesized on the new polymer using a multiple column library synthesizer and incubated with the matrix metalloproteinase MMP-9 after it had been activated by 4-aminophenyl mercuric acetate resulting in 67/83 kDa active enzyme. The bright beads were separated manually under a fluorescence microscope and sequenced to obtain peptide substrates for MMP-9. After treatment with ethylenediamine, high-loaded resins (Type II) have been employed in continuous flow peptide synthesis to yield peptides in excellent yield and purity.

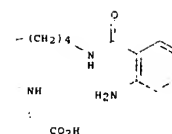
IT 210541-30-3P 210541-31-4P 210541-37-0P
210541-42-7P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process) (preparation of amino-poly(ethylene glycol) supports for combinatorial peptide synthesis and solid-phase enzymic library assays)

RN 210541-30-3 CAPLUS

CN Glycine, 3-nitro-L-tyrosyl-L-alanyl-L-prolyl-L-leucyl-(4R)-4-hydroxy-L-prolyl-L-methionyl-L-lysylglycyl-N6-(2-aminobenzoyl)-L-lysyl- (9CI) (CA INDEX NAME)

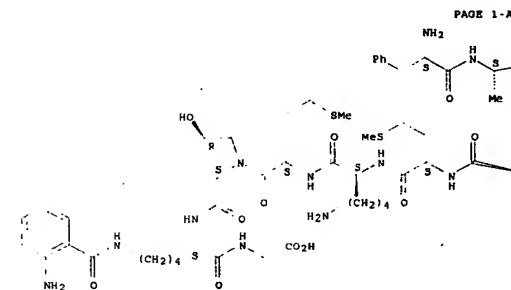
Absolute stereochemistry.



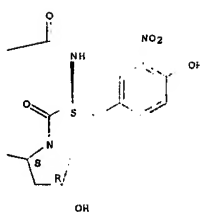
PAGE 1-B

RN 210541-37-0 CAPLUS
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Absolute stereochemistry.

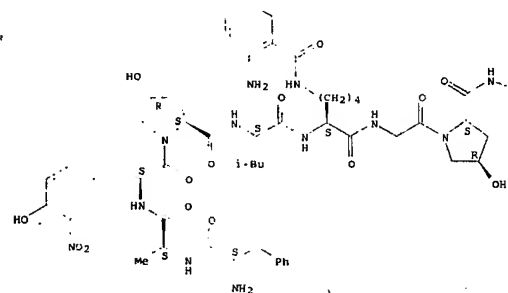


PAGE 1-A



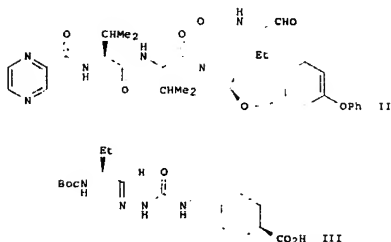
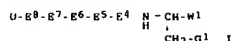
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CN Glycine, L-phenylalanyl-L-alanyl-3-nitro-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-N6-(2-aminobenzoyl)-L-lysylglycyl-(4R)-4-hydroxy-L-prolyl- (9CT) (CA INDEX NAME)

Absolute stereochemistry.



AT 212037	T	20020215	AT 1997-946273	19971017
ES 2109880	T3	20020716	ES 1997-946273	19971017
EE 4023	B1	20010415	EE 1999-161	19971017
PL 197240	B1	20060929	PL 1997-332872	19971017
IN 1397CA01952	A	20061229	IN 1997-CA1952	19971017
PL 134025	B1	20070430	PL 1997-372333	19971017
TW 530065	B	20030501	TW 1997-86115382	19971018
NO 9901832	A	19990617	NO 1999-1832	19990416
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KR 2000049263	A	20000725	KR 1999-703372	19990417
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US 2002032175	A1	20020314	US 2001-875390	20010606
US 6617309	B2	20030909		
US 2004266731	A1	20041230	US 2003-607716	20030627
PRIORITY APPLN. INFO.:			US 1996-282909	P 19961018
			EP 1997-946273	A3 19971017
			WO 1997-US18968	W 19971017
			US 1999-293247	A 19990416
			US 2001-875390	A3 20010606

OTHER SOURCE(S): MARPAT 128:321945
OI



AB The present invention relates to compds. I [O1 = SH, OH, SMe, alkenyl, alkynyl, CF3, C1-2 alkoxy, C1-2 alkylthio, (un)substituted C1-3 alkyl; W1 = COCF2CH2N(G4)U, CHO, COG2, COCF2CF3, COCOO2, COCOG2, B(O1)2; G2 = alkyl, aryl, aralkyl, (un)substituted mono-, bi-, or tricyclic heterocycle; G4 = alky, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, aryl, aralkyl, aralkenyl, etc.; O1 = OH, alkoxy, aryloxy, or O1-O1 form a 5-7 membered ring; U = H, OSO2, OSO2O, OSO2CO, (O9)2NCOO, (O9)2NCO2, (O9)2NCO, OSO2C; G9 = H, alkyl, carboxyalkyl, alkenyl, aryl, aralkyl, aralkenyl, cycloalkyl, heterocycloalkyl, etc; or O9-G9 form a ring; E4 = bond, α-amino acid residue, heterocyclic amino acid; E5-E8 = independently bond, amino acid residue; 1-2 peptide



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 262 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:268513 CAPLUS
DOCUMENT NUMBER: 128:321945
TITLE: Preparation of peptide analogs as inhibitors of serine proteases, particularly hepatitis C virus NS3 protease
INVENTOR(S): Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA; Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817679	A1	19980430	WO 1997-US18968	19971017
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MP, MX, NA, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RM: GH, KE, LS, MM, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, NL, PT, SE, SP, SJ, CF, CO, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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ZA 9709327	A	19980511	ZA 1997-9327	19971017
AU 9851477	A	19980515	AU 1998-51477	19971017
AU 719984	B2	20000514		
EP 932617	A1	19980404	EP 1997-946273	19971017
EP 932617	B1	20020116		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
IN 181120	A1	19990911	IN 1997-CA1951	19971017
BN 9712544	A	19991019	BR 1997-12544	19971017
CN 1238780	A	19991215	CN 1997-180151	19971017
CN 1133649	B	20040107		
HU 2000000152	A2	20000728	HU 2000-152	19971017
HU 2000000152	A3	20000928		
NZ 335276	A	20000929	NZ 1997-335276	19971017
JP 2001502694	T	20010227	JP 1998-519568	19971017
EP 1136498	A1	20010926	EP 2001-108433	19971017
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AP 1019	A	20011016	AP 1999-1012	19971017
W: GH, KE, LS, MM, SD, SZ, UG, ZW				

bonds between E5-E8 may be reduced), methods and pharmaceutical compns. for inhibiting proteases, particularly serine proteases, and more particularly HCV NS3 protease. The compds., and the compns. and methods that utilize them, can be used, either alone or in combination to inhibit viruses, particularly HCV virus. Thus, peptide aldehyde II was prepared using solid-phase methods on a benzhydrylamine resin and tert-butoxycarbonyl (Boc) and 9-fluorenylmethoxycarbonyl (Fmoc) protection starting from protected hydrazine III. Nearly 200 compds. I were prepared and tested for hepatitis C virus NS3 protease inhibitory activity, with II exhibiting Ki < 1 μM in an in vitro assay.

IT 207000-78-OP 207000-81-5P 207000-83-7P 207000-85-9P 207000-87-1P 207000-89-3P 207000-90-6P 207000-91-7P 207000-92-8P 207000-93-9P 207000-94-0P 207000-95-1P 207000-96-2P 207000-97-3P 207000-98-4P 207000-99-5P 207001-00-1P 207001-01-2P 207001-02-3P 207001-03-4P 207001-04-5P 207001-05-6P 207001-06-7P 207001-07-8P 207001-08-9P 207001-09-0P 207001-10-1P 207001-11-4P 207001-12-5P 207001-13-6P 207001-14-7P 207001-15-8P 207001-16-9P 207001-17-0P 207001-18-1P 207001-19-2P 207001-20-5P 207001-21-6P 207001-22-7P 207001-23-8P 207001-24-9P 207001-25-0P 207001-26-1P 207001-27-2P 207001-28-3P 207001-29-4P 207001-30-7P 207001-31-8P 207001-32-9P 207001-33-0P 207001-34-1P 207001-35-2P 207001-36-3P 207001-37-4P 207001-38-5P 207001-39-6P 207001-40-9P 207001-41-0P 207001-42-1P 207001-43-2P 207001-44-3P 207001-45-4P 207001-46-5P 207001-47-6P 207001-48-7P 207001-49-8P 207001-50-1P 207001-51-2P 207001-52-3P 207001-56-7P 207001-57-8P 207001-59-0P 207001-60-3P 207001-61-4P 207001-62-5P 207001-63-6P 207001-64-7P 207001-65-8P 207001-66-9P 207001-67-0P 207001-68-1P 207001-69-2P 207002-08-2P 207002-09-3P 207002-10-4P 207002-11-7P 207002-12-8P 207002-13-9P 207002-14-0P 207002-15-1P 207002-16-2P 207002-17-3P 207002-18-4P 207002-19-5P 207002-20-8P 207002-21-9P 207002-22-0P 207002-23-1P 207002-24-2P 207002-25-3P 207002-26-4P 207002-27-5P 207002-28-6P 207002-29-7P 207002-30-0P 207002-31-1P 207002-32-2P 207002-33-3P 207002-34-4P 207002-35-5P 207002-36-6P 207002-37-7P 207002-38-8P 207002-39-9P 207002-40-2P 207002-41-3P 207002-42-4P 207002-43-5P 207002-44-6P 207002-45-7P 207002-46-8P 207002-47-9P 207002-48-0P 207002-49-1P 207002-50-4P 207002-51-5P 207002-52-6P 207002-53-7P 207002-54-8P 207002-55-9P 207002-56-0P 207002-57-1P 207002-58-2P 207002-59-3P 207002-60-6P 207002-61-7P 207002-62-8P 207002-63-9P 207002-64-0P 207002-65-1P 207002-66-2P 207002-67-3P 207002-68-4P 207002-69-5P 207002-70-6P 207002-71-9P 207002-72-0P 207002-73-1P 207002-74-2P 207002-75-3P 207002-76-4P 207002-76-8P

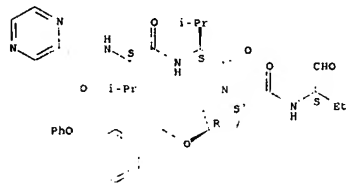
EL: BAC (Biological activity or effector, except adverse), BSU (Biological study, unclassified), RCT (Reactant), SPN (Synthetic preparation), THU (Therapeutic use), BTOL (Biological study), PREP (Preparation), RACT (Reactant or reagent), USBS (Uses)

(preparation of peptide analogs as hepatitis C virus NS3 protease inhibitors)

RN 207000-78-0 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(3-phenoxyphenyl)methoxy]-, (4R)- (9CI) (CA INDEX NAME)

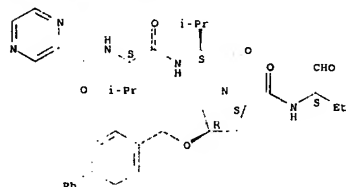
Absolute stereochemistry.



RN 207000-81-5 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(1,1'-biphenyl)-4-ylmethoxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

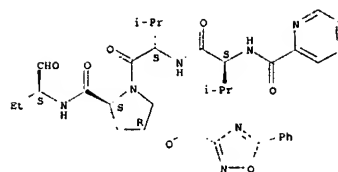
Absolute stereochemistry.



RN 207000-83-7 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(5-phenyl-1,2,4-oxadiazol-3-yl)methoxy]-, (4R)- (9CI) (CA INDEX NAME)

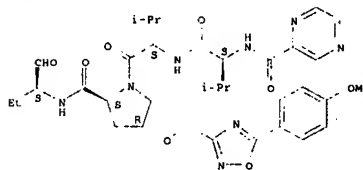
Absolute stereochemistry.



RN 207000-85-9 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(5-(4-methoxyphenyl)-1,2,4-oxadiazol-3-yl)methoxy]-, (4R)- (9CI) (CA INDEX NAME)

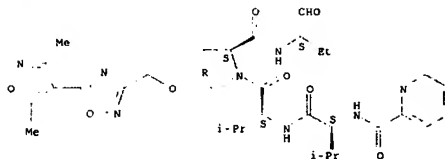
Absolute stereochemistry.



RN 207000-87-1 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(5-(3,5-dimethyl-4-isoxazolyl)-1,2,4-oxadiazol-3-yl)methoxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



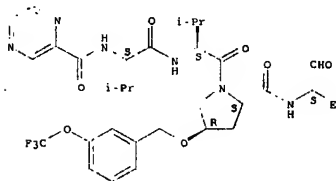
RN 207000-89-3 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(5-(1,1-dimethylethyl)-1,2,4-oxadiazol-3-yl)methoxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

INDEX NAME)

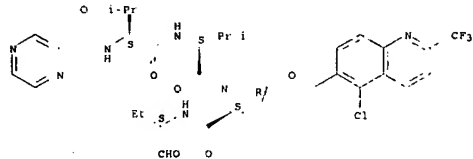
Absolute stereochemistry.



RN 207000-90-6 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(5-chloro-2-(trifluoromethyl)-6-quinolinyloxy)methoxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

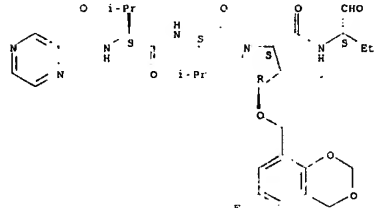
Absolute stereochemistry.



RN 207000-91-7 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(6-fluoro-4H-1,3-benzodioxin-8-yl)methoxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry



RN 207000-92-8 CAPLUS

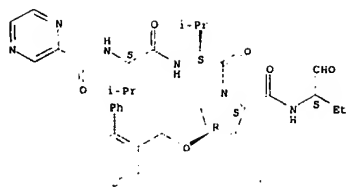
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(3-(trifluoromethoxy)phenyl)methoxy]-, (4R)- (9CI) (CA INDEX NAME)

RN 207000-94-0 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(1,1'-biphenyl)-2-ylmethoxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

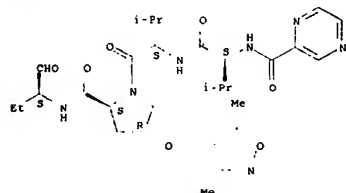
Absolute stereochemistry.





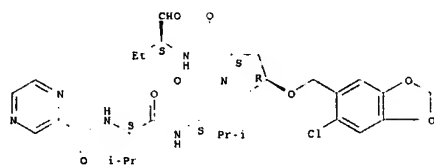
RN 207000-95-1 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(3,5-dimethyl-4-isoxazoly)methoxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry



RN 207000-96-2 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(6-chloro-1,3-benzodioxol-5-yl)methoxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

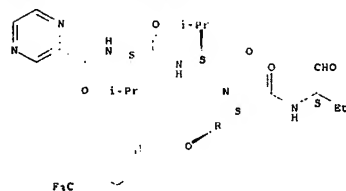
Absolute stereochemistry.



RN 207000-97-3 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(5-(2-methoxyphenyl)-1,2,4-oxadiazol-3-yl)methoxy]-, (4R) (9CI) (CA INDEX NAME)

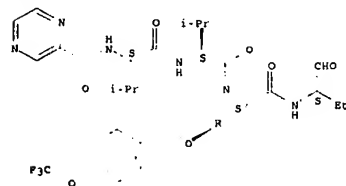
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(4-(trifluoromethyl)phenyl)methoxy]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



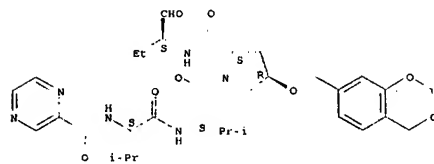
RN 207001-01-2 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(4-(trifluoromethoxy)phenyl)methoxy]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

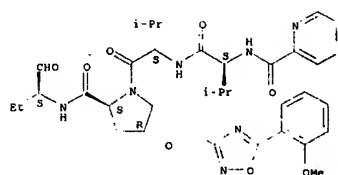


RN 207001-02-3 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(4H-1,3-benzodioxin-7-yl)methoxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

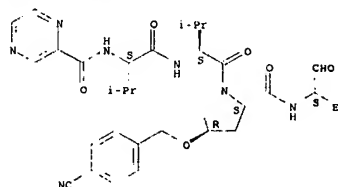


Absolute stereochemistry.



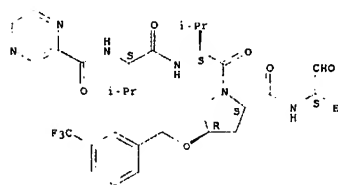
RN 207000-98-4 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(4-cyanophenyl)methoxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207000-99-5 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(3-(trifluoromethyl)phenyl)methoxy]-, (4R)- (9CI) (CA INDEX NAME)

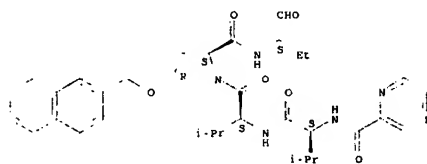
Absolute stereochemistry.



RN 207001-00-1 CAPLUS

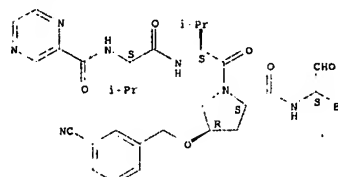
RN 207001-03-4 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(2-naphthalenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



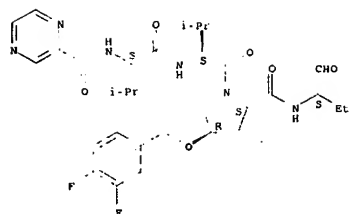
RN 207001-04-5 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(3,4-difluorophenyl)methoxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



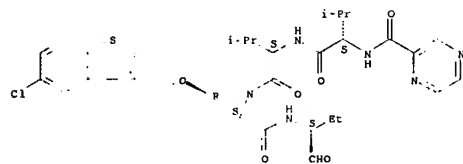
RN 207001-05-6 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(3,4-difluorophenyl)methoxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry



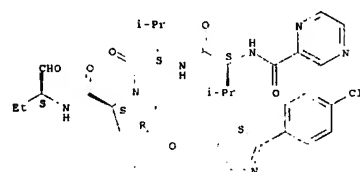
RN 207001-06-7 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[5-chlorobenzo[b]thien-3-yl)methoxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

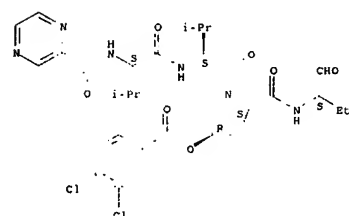


RN 207001-07-8 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[2-(4-chlorophenyl)-5-thiazolyl)methoxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

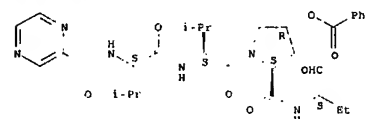


RN 207001-08-9 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[3,4-bis(phenylmethoxy)phenyl)methoxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI)



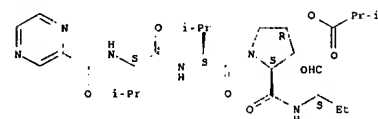
RN 207001-11-4 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-(benzoyloxy)-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207001-12-5 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(2-methyl-1-oxopropoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

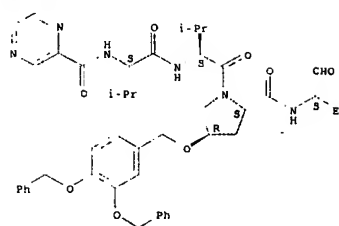


RN 207001-13-6 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(2,4-dichlorophenyl)amino]carbonyloxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

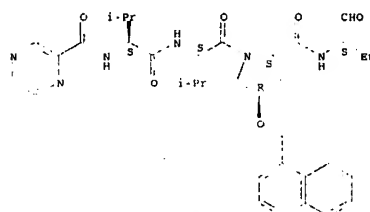
(CA INDEX NAME)

Absolute stereochemistry.



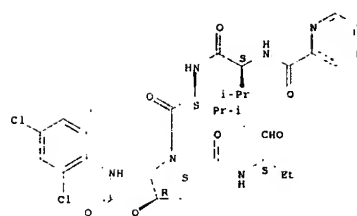
RN 207001-09-0 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(1-naphthalenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



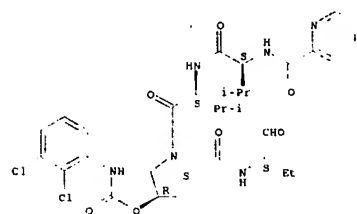
RN 207001-10-3 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[3,4-dichlorobenzoyloxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



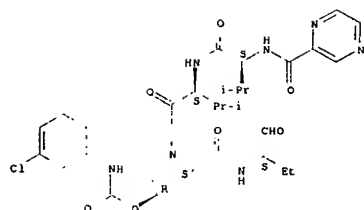
RN 207001-14-7 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(2,3-dichlorophenyl)amino]carbonyloxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



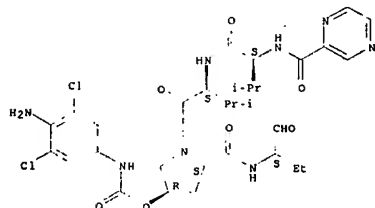
RN 207001-15-8 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(3-chlorophenyl)amino]carbonyloxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207001-16-9 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(4-amino-3,5-dichlorophenyl)amino]carbonyloxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

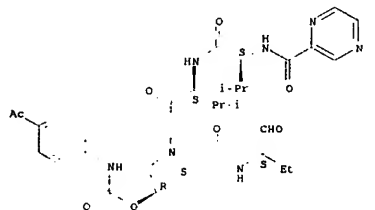


RN 207001-17-0 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(3-nitro-4-(1-piperidinyl)phenyl)amino]carbonyloxy]-, (4R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

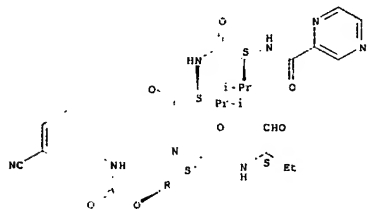
RN 207001-20-5 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(4-acetylphenyl)amino]carbonyloxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



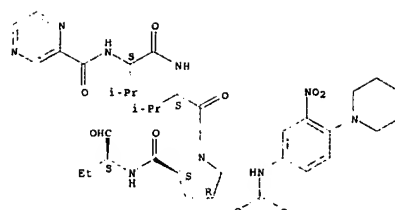
RN 207001-21-6 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(3-cyanophenyl)amino]carbonyloxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



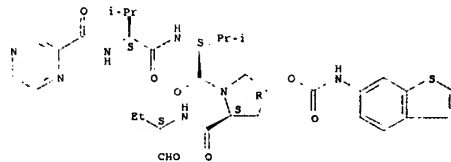
RN 207001-22-7 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(4-nitrophenyl)amino]carbonyloxy]-, (4R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



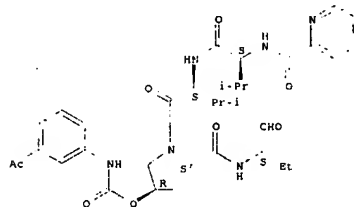
RN 207001-18-1 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(6-benzothiazolylamino)carbonyloxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



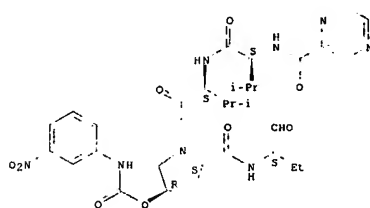
RN 207001-19-2 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(3-acetylphenyl)amino]carbonyloxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



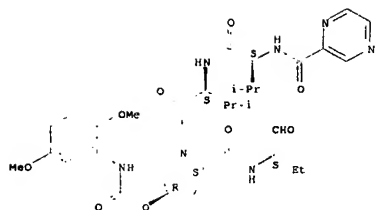
RN 207001-23-8 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(3-nitrophenyl)amino]carbonyloxy]-, (4R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



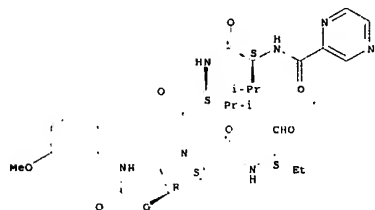
RN 207001-24-9 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(2,5-dimethoxyphenyl)amino]carbonyloxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 207001-25-0 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(3-methoxyphenyl)amino]carbonyloxy]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



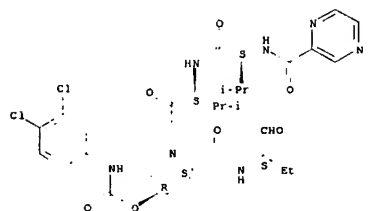
RN 207001-26-1 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(2-methoxyphenyl)amino]carbonyloxy]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



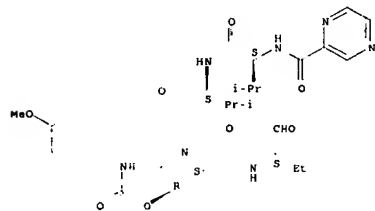
RN 207001-29-4 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(3,4-dichlorophenyl)amino]carbonyloxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



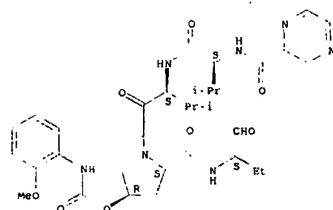
RN 207001-30-7 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(4-methoxyphenyl)amino]carbonyloxy]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



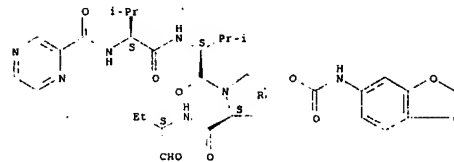
RN 207001-31-8 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(1-naphthalenylamino)carbonyloxy]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



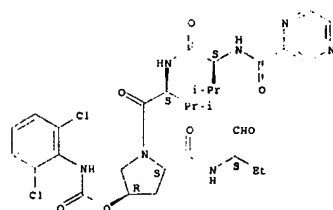
RN 207001-27-2 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(1,3-benzodioxol-5-ylamino)carbonyloxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



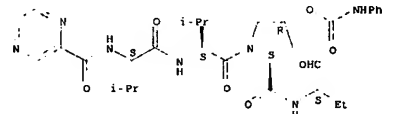
RN 207001-28-3 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(2,6-dichlorophenyl)amino]carbonyloxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



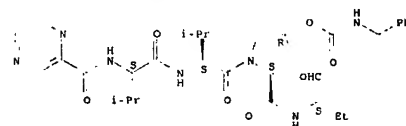
RN 207001-32-9 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(phenylamino)carbonyloxy]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



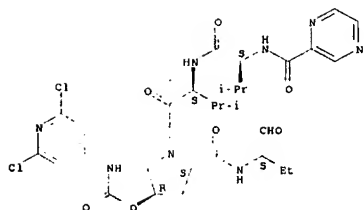
RN 207001-33-0 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(phenylmethylamino)carbonyloxy]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207001-34-1 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(2,6-dichloro-4-pyridinyl)amino]carbonyloxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

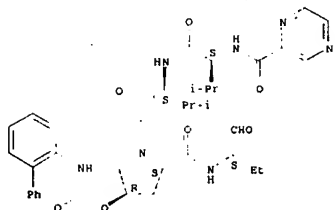
Absolute stereochemistry.



RN 207001-35-2 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(1,1'-biphenyl)-2-ylamino]carbonyl]oxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

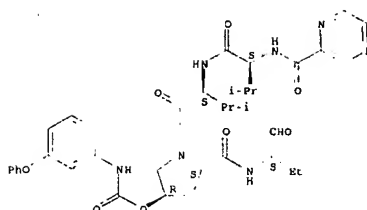
Absolute stereochemistry.



RN 207001-36-3 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(3-phenoxyphenyl)amino]carbonyl]oxy]-, (4R)- (9CI) (CA INDEX NAME)

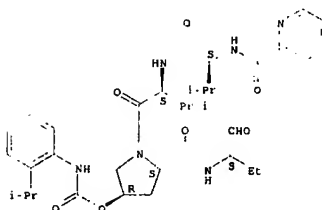
Absolute stereochemistry.



RN 207001-37-4 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(2-(1-methylethyl)phenyl)amino]carbonyl]oxy]-, (4R)- (9CI) (CA INDEX NAME)

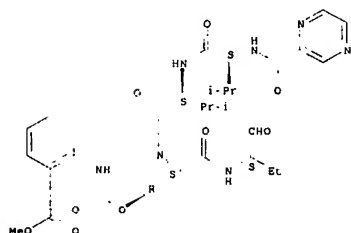
Absolute stereochemistry.



RN 207001-38-5 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(2-methoxyphenyl)amino]carbonyl]oxy]-, (4R)- (9CI) (CA INDEX NAME)

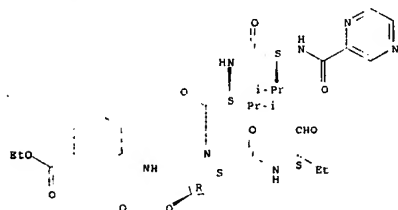
Absolute stereochemistry.



RN 207001-39-6 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(3-ethoxycarbonyl)phenylamino]carbonyl]oxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

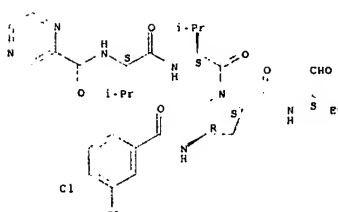
Absolute stereochemistry.



RN 207001-40-9 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(3,4-dichlorobenzoyl)amino]carbonyl]oxy]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

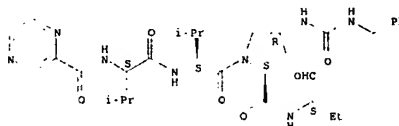
Absolute stereochemistry.



RN 207001-41-0 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(phenylmethyl)amino]carbonyl]amino]-, (4R)- (9CI) (CA INDEX NAME)

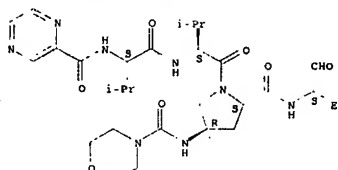
Absolute stereochemistry.



RN 207001-42-1 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(4-morpholinyl)carbonyl]amino]-, (4R)- (9CI) (CA INDEX NAME)

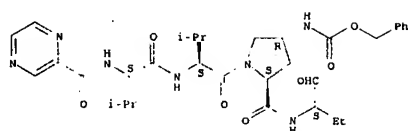
Absolute stereochemistry.



RN 207001-43-2 CAPLUS

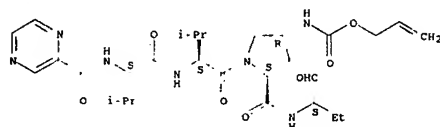
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(phenylmethoxy)carbonyl]amino]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



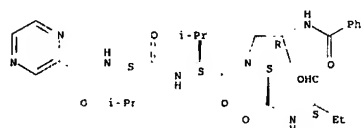
RN 207001-44-3 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[2-propenyloxy]carbonyl]amino]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



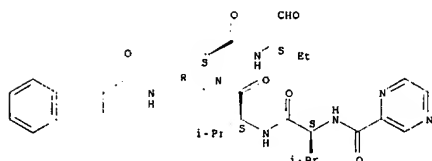
RN 207001-45-4 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-(benzoylamino)-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



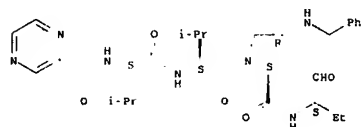
RN 207001-46-5 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(1-oxo-3-phenylpropyl)amino]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



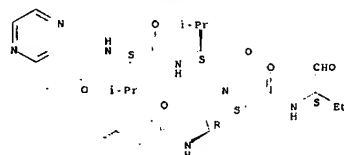
RN 207001-50-1 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(phenylmethyl)amino]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



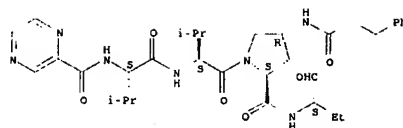
RN 207001-51-2 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(cyclohexylcarbonyl)amino]-N-[(1S)-1-formylpropyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



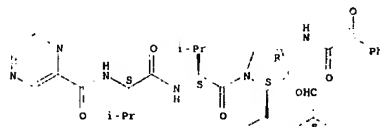
RN 207001-52-3 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



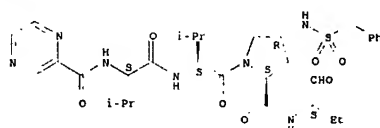
RN 207001-47-6 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(oxophenylacetyl)amino]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



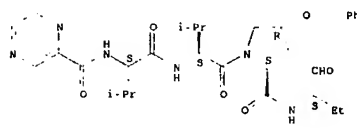
RN 207001-48-7 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[phenylmethylsulfonyl]amino]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



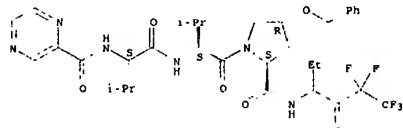
RN 207001-49-8 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(2-naphthalenylcarbonyl)amino]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



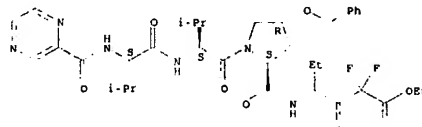
RN 207001-56-7 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1-ethyl-3,3,4,4,4-pentafluoro-2-oxobutyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



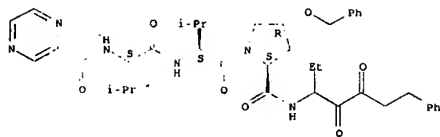
RN 207001-57-8 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(4-ethoxy-1-ethyl-3,3-difluoro-2,4-dioxobutyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



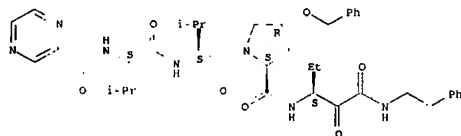
RN 207001-59-0 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1-ethyl-2,3-dioxo-5-phenylpentyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207001-60-3 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-ethyl-2,3-dioxo-3-[(2-phenylethyl)amino]propyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

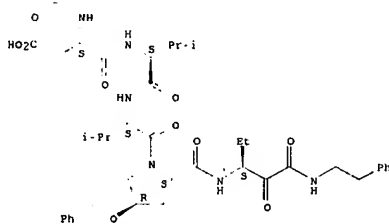
Absolute stereochemistry.



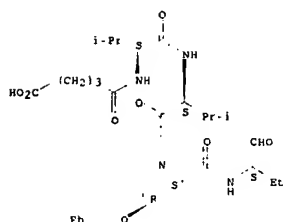
RN 207001-61-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-α-glutamyl-L-α-aspartyl-L-valyl-L-valyl-N-[(1S)-1-ethyl-2,3-dioxo-3-[(2-phenylethyl)amino]propyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HO₂C s, NIAC

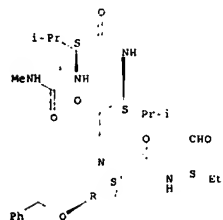


RN 207001-62-5 CAPLUS
CN L-Prolinamide, N-acetyl-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)



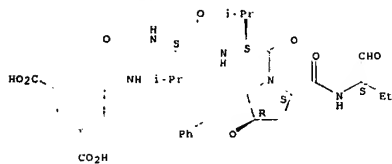
RN 207001-66-9 CAPLUS
CN L-Prolinamide, N-[(1-methylamino)carbonyl]-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



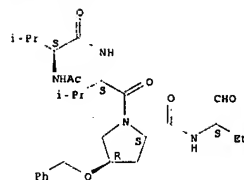
RN 207001-67-0 CAPLUS
CN L-Prolinamide, N-[(1,5-dicarboxyphenylamino)carbonyl]-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



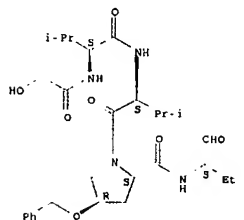
RN 207001-68-1 CAPLUS
CN L-Prolinamide, N-[(2-carboxyphenylamino)carbonyl]-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



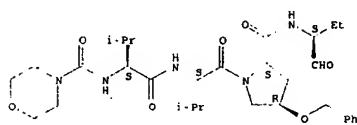
RN 207001-63-6 CAPLUS
CN L-Prolinamide, hydroxyacetyl-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry



RN 207001-64-7 CAPLUS
CN L-Prolinamide, N-(4-morpholinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

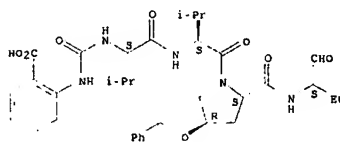


RN 207001-65-8 CAPLUS
CN L-Prolinamide, N-(4-carboxy-1-oxobutyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

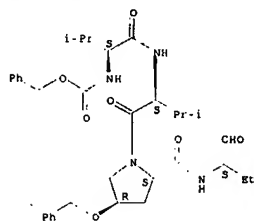
[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



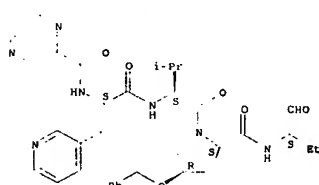
RN 207001-69-2 CAPLUS
CN L-Prolinamide, N-[(phenylmethoxycarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



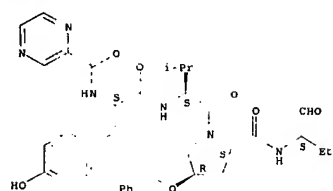
RN 207002-08-2 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-3-(3-pyridinyl)-L-alanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



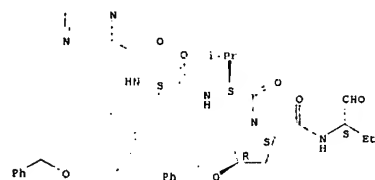
RN 207002-09-3 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-tyrosyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



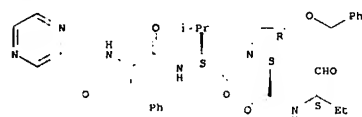
RN 207002-10-6 CAPLUS
CN L-Prolineamide, O-(phenylmethyl)-N-(pyrazinylcarbonyl)-L-tyrosyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



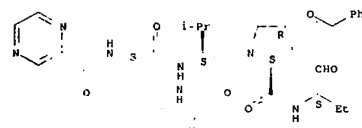
RN 207002-13-7 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)phenylalanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



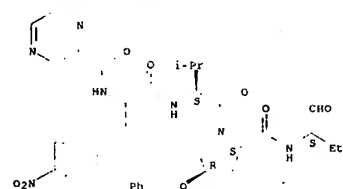
RN 207002-12-8 CAPLUS
CN L-Prolineamide, 3-(1-naphthalenyl)-N-(pyrazinylcarbonyl)alanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



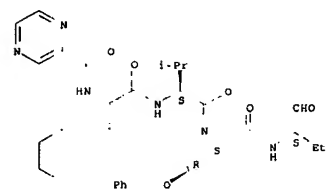
RN 207002-16-2 CAPLUS
CN L-Prolineamide, 4-nitro-N-(pyrazinylcarbonyl)phenylalanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



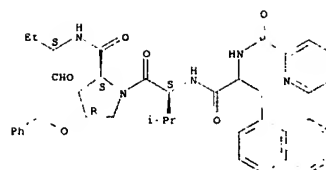
RN 207002-17-3 CAPLUS
CN L-Prolineamide, 3-cyclohexyl-N-(pyrazinylcarbonyl)alanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



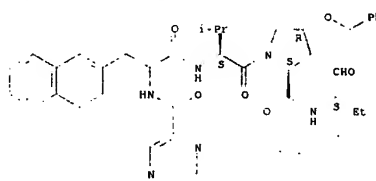
RN 207002-18-4 CAPLUS
CN L-Prolineamide, alpha-[(pyrazinylcarbonyl)amino]benzenebutanoyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



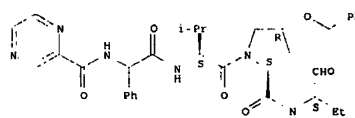
RN 207002-13-9 CAPLUS
CN L-Prolineamide, 3-(2-naphthalenyl)-N-(pyrazinylcarbonyl)alanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



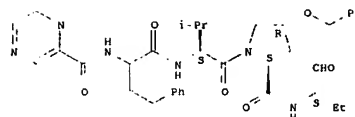
RN 207002-14-0 CAPLUS
CN L-Prolineamide, 2-phenyl-N-(pyrazinylcarbonyl)glycyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



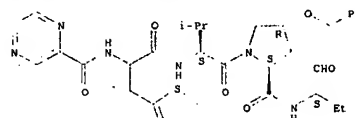
RN 207002-15-1 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-histidyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



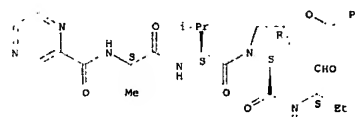
RN 207002-19-5 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-3-(2-thienyl)alanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



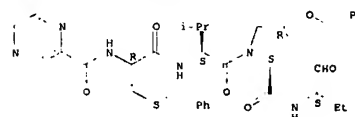
RN 207002-20-8 CAPLUS
CN L-Prolineamide, S-(phenylmethyl)-N-(pyrazinylcarbonyl)-L-alanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207002-21-9 CAPLUS
CN L-Prolineamide, S-(phenylmethyl)-N-(pyrazinylcarbonyl)-L-cysteinyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

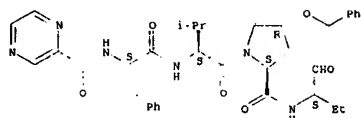
Absolute stereochemistry.



RN 207002-22-0 CAPLUS
CN L-Prolineamide, N-(pyrazinylcarbonyl)-L-phenylalanyl-L-valyl-N-[(1S)-1-

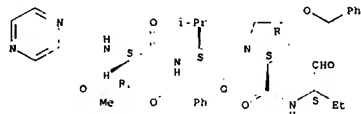
formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



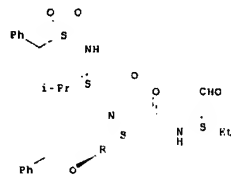
RN 207002-23-1 CAPLUS
CN L-Prolinamide, O-(phenylmethyl)-N-(pyrazinylcarbonyl)-L-threonyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



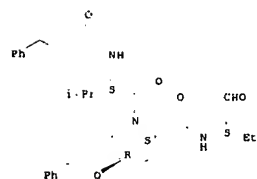
RN 207002-24-2 CAPLUS
CN L-Prolinamide, N-[(1-phenylmethyl)sulfonyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



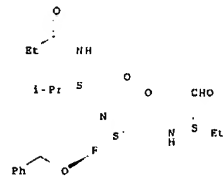
RN 207002-25-3 CAPLUS
CN L-Prolinamide, N-(phenylsulfonyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



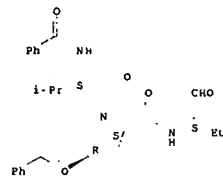
RN 207002-29-7 CAPLUS
CN L-Prolinamide, N-(1-oxopropyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



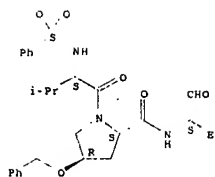
RN 207002-30-0 CAPLUS
CN L-Prolinamide, N-benzoyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



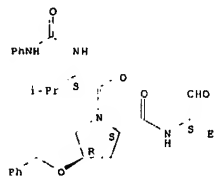
RN 207002-31-1 CAPLUS
CN L-Prolinamide, N-[[4-[(phenylacetyl)amino]phenyl]acetyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



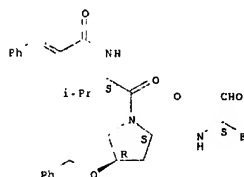
RN 207002-26-4 CAPLUS
CN L-Prolinamide, N-[(phenylamino)carbonyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



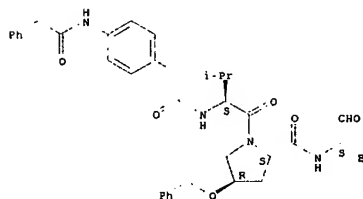
RN 207002-27-5 CAPLUS
CN L-Prolinamide, N-(1-oxo-3-phenyl-2-propenyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



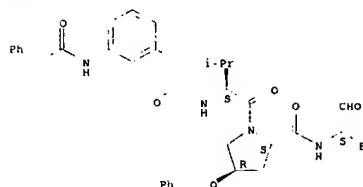
RN 207002-28-6 CAPLUS
CN L-Prolinamide, N-(1-oxo-3-phenylpropyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



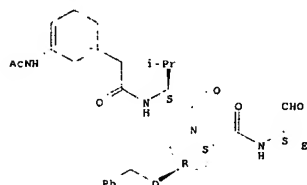
RN 207002-32-2 CAPLUS
CN L-Prolinamide, N-[[3-[(phenylacetyl)amino]phenyl]acetyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



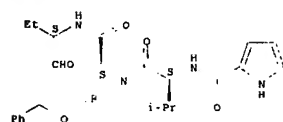
RN 207002-33-3 CAPLUS
CN L-Prolinamide, N-[[3-(acetylamino)phenyl]acetyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



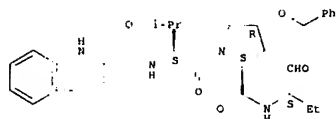
RN 207002-34-4 CAPLUS
CN L-Prolinamide, 2,3,4,5-tetrahydropropyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



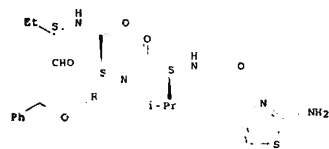
RN 207002-35-5 CAPLUS
CN L-Prolinamide, 1H-indole-2-carbonyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



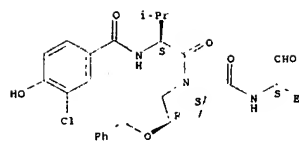
RN 207002-36-6 CAPLUS
CN L-Prolinamide, N-[(2-amino-4-thiazolyl)acetyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



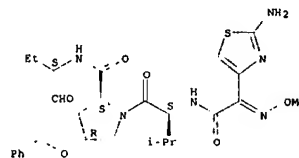
RN 207002-37-7 CAPLUS
CN L-Prolinamide, N-(3-chloro-4-hydroxybenzoyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



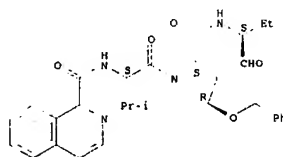
RN 207002-38-8 CAPLUS
CN L-Prolinamide, N-[(2-amino-4-thiazolyl)(methoxyimino)acetyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



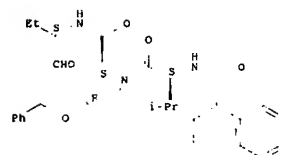
RN 207002-39-9 CAPLUS
CN L-Prolinamide, N-[(isoquinolinylcarbonyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



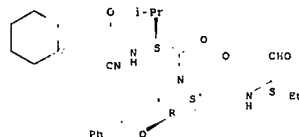
RN 207002-40-2 CAPLUS
CN L-Prolinamide, N-(1-naphthalenylcarbonyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



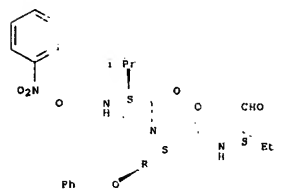
RN 207002-41-3 CAPLUS
CN L-Prolinamide, N-(cyanocyclohexylideneacetyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



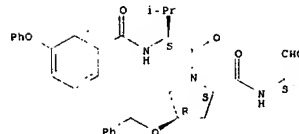
RN 207002-42-4 CAPLUS
CN L-Prolinamide, N-[(2-nitrophenyl)acetyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



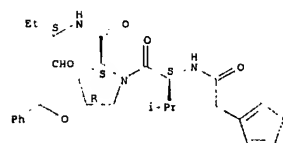
RN 207002-43-5 CAPLUS
CN L-Prolinamide, N-(3-phenoxybenzoyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



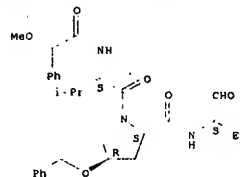
RN 207002-44-6 CAPLUS
CN L-Prolinamide, N-(3-thienylacetyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



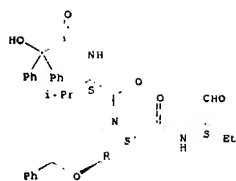
RN 207002-45-7 CAPLUS
CN L-Prolinamide, N-(methoxyphenylacetyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



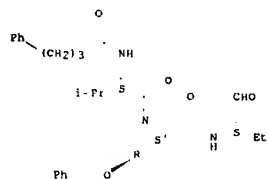
RN 207002-46-8 CAPLUS
CN L-Prolinamide, N-(hydroxydiphenylacetyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



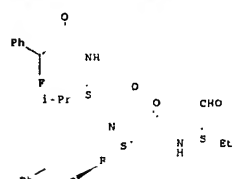
RN 207002-47-9 CAPLUS
CN L-Prolinamide, N-[(1-oxo-4-phenylbutyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-], (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



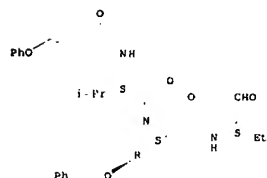
RN 207002-48-0 CAPLUS
CN L-Prolinamide, N-[(1-fluorophenylacetyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-], (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



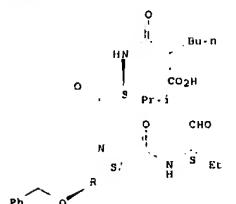
RN 207002-49-1 CAPLUS
CN L-Prolinamide, N-[(1,2-hydroxy-1-naphthalenyl)carbonyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



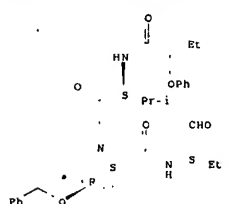
RN 207002-53-7 CAPLUS
CN L-Prolinamide, N-[(2-carboxy-1-oxohexyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-], (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

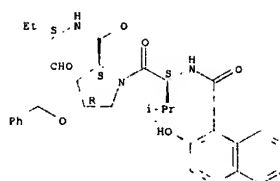


RN 207002-54-8 CAPLUS
CN L-Prolinamide, N-[(1-oxo-2-phenoxybutyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-], (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

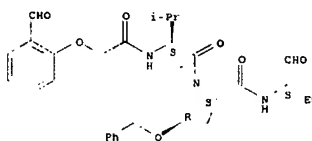


RN 207002-55-9 CAPLUS
CN L-Prolinamide, N-[(1-oxo-2-phenylpentyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-], (4R)- (9CI) (CA INDEX NAME)



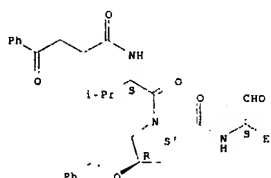
RN 207002-50-4 CAPLUS
CN L-Prolinamide, N-[(2-formylphenoxy)acetyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207002-51-5 CAPLUS
CN L-Prolinamide, N-[(1,4-dioxo-4-phenylbutyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-], (4R)- (9CI) (CA INDEX NAME)

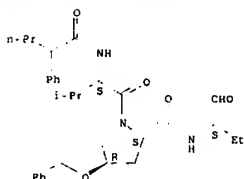
Absolute stereochemistry.



RN 207002-52-6 CAPLUS
CN L-Prolinamide, N-[(1-oxo-3-phenoxypropyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-], (4R)- (9CI) (CA INDEX NAME)

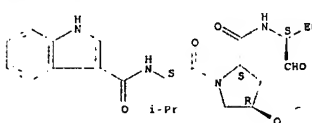
Absolute stereochemistry.

Absolute stereochemistry.



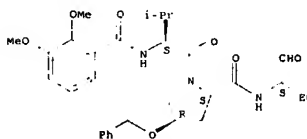
RN 207002-56-0 CAPLUS
CN L-Prolinamide, N-[(1H-indol-3-ylcarbonyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-], (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



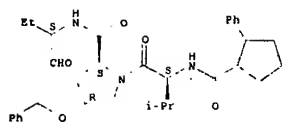
RN 207002-57-1 CAPLUS
CN L-Prolinamide, N-[(2,3-dimethoxybenzoyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-], (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



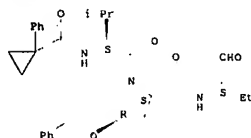
RN 207002-58-2 CAPLUS
CN L-Prolinamide, N-[(2-phenylcyclopentyl)carbonyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



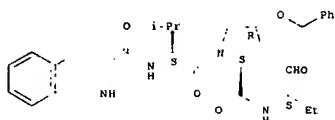
RN 207002-59-3 CAPLUS
CN L-Prolinamide, N-[(1-phenylcyclopropyl)carbonyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



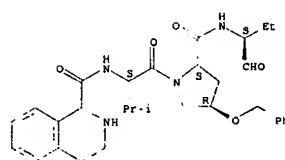
RN 207002-60-6 CAPLUS
CN L-Prolinamide, 1,2,3,4-tetrahydro-3-isoguinolinecarbonyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



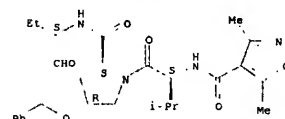
RN 207002-61-7 CAPLUS
CN L-Prolinamide, 1,2,3,4-tetrahydro-1-isoguinolinecarbonyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry



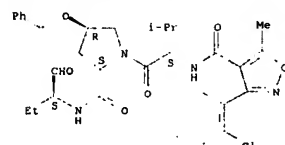
RN 207002-62-8 CAPLUS
CN L-Prolinamide, N-[(3,5-dimethyl-4-isoxazolyl)carbonyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry



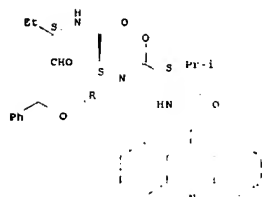
RN 207002-63-9 CAPLUS
CN L-Prolinamide, N-[(3-(2-chlorophenyl)-5-methyl-4-isoxazolyl)carbonyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



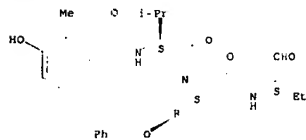
RN 207002-64-0 CAPLUS
CN L-Prolinamide, N-[(1,2,3,4-tetrahydro-9-acridinyl)carbonyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



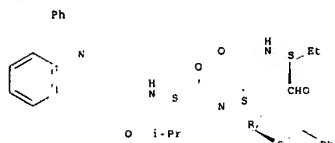
RN 207002-65-1 CAPLUS
CN L-Prolinamide, N-(3-hydroxy-2-methylbenzoyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry



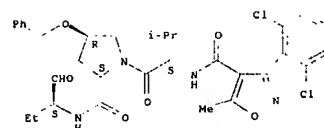
RN 207002-66-2 CAPLUS
CN L-Prolinamide, N-[(1-(phenylmethyl)-1H-indol-3-yl)carbonyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



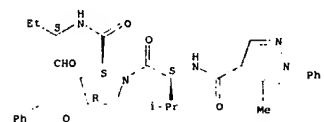
RN 207002-67-3 CAPLUS
CN L-Prolinamide, N-[(3-(2,4-dichlorophenyl)-5-methyl-4-isoxazolyl)carbonyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



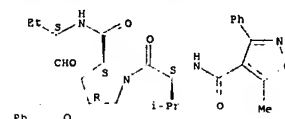
RN 207002-68-4 CAPLUS
CN L-Prolinamide, N-[(5-methyl-1-phenyl-1H-pyrazol-4-yl)carbonyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



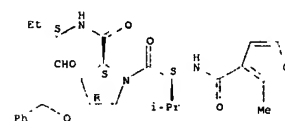
RN 207002-69-5 CAPLUS
CN L-Prolinamide, N-[(5-methyl-3-phenyl-4-isoxazolyl)carbonyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207002-70-8 CAPLUS
CN L-Prolinamide, N-[(2,5-dimethyl-3-furanyl)carbonyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

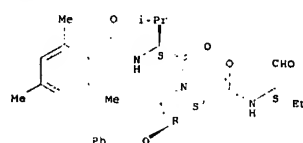
Absolute stereochemistry.



RN 207002-71-9 CAPLUS

CN L-Prolinamide, N-(2,4,6-trimethylbenzoyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

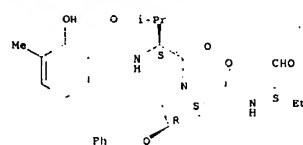
Absolute stereochemistry.



RN 207002-72-0 CAPLUS

CN L-Prolinamide, N-(2-hydroxy-3-methylbenzoyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

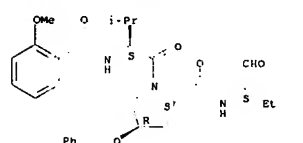
Absolute stereochemistry.



RN 207002-73-1 CAPLUS

CN L-Prolinamide, N-(2-methoxybenzoyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

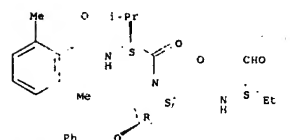
Absolute stereochemistry.



RN 207002-74-2 CAPLUS

CN L-Prolinamide, N-(2-bromobenzoyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 463 OF 551

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

WO 9816502

A1

19980423

WO 1997-051854

19971009

W. AL, AU, BA, BB, BO, BR, CA, CN, CZ, DE, EE, HU, IL, IS, JP, KR, LC, LF, LR, LT, LV, MD, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW, GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2268098

A1

19980423

CA 1997-2268098

19971009

AU 9749023

A

19980511

AU 1997-49023

19971009

AU 738141

B2

20010913

EP 932598

A1

19990804

EP 1997-911715

19971009

P. AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, FI, RO

BR 9711530

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19991019

BR 1997-12530

19971009

JP 2001506974

T

20010529

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19990609

NO 1999-1677

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19990410

US 1996-28322P

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WO 1997-051854

W

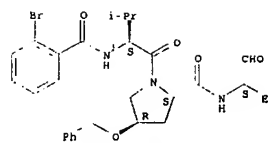
19971009

PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

MARPAT 128:321926

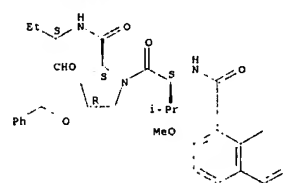
GI



RN 207002-75-3 CAPLUS

CN L-Prolinamide, N-[(2-methoxy-1-naphthalenyl)carbonyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

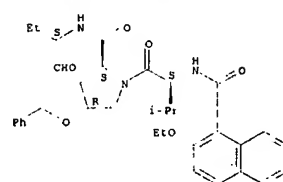
Absolute stereochemistry.



RN 207002-76-4 CAPLUS

CN L-Prolinamide, N-[(2-ethoxy-1-naphthalenyl)carbonyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207002-96-8 CAPLUS

CN L-Prolinamide, N-(2,6-dimethylbenzoyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



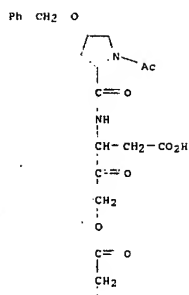
AB The present invention relates to compdu. I (R1 = carboxy, acyl, amino acid residue, etc.; R2 = (CR2)n-X-R3; each R = independently H, C1-6 alkyl, OH; R3 = (unsubstituted aryl), (unsubstituted heteroaryl), (unsubstituted heterocyclyl, cycloalkyl, etc.; X = bond, O, S; n = 0-3; and the pharmaceutically acceptable salts, esters, amides, and prodrugs thereof) as inhibitors of interleukin-1 β converting enzyme (ICE). This invention also relates to a method of treatment of stroke, inflammatory diseases, reperfusion injury, Alzheimer's disease, and shigellosis, and to a pharmaceutically acceptable composition that contains a compound that is an inhibitor of interleukin-1 β converting enzyme. Thus, substitution of 2-Asp(OCMe3)-CH2Br (Z = PhCH2O2C) with 1-naphthylacetic acid, followed by acidic deprotection, gave desired aspartate ester derivative II. II inhibited ICE with Ki = 0.460 μ M and IC50 = 3.100 μ M, and inhibited Ich-2 (caspase-4) with IC50 = 3.60 μ M, as determined using in vitro assays. Related prepared compds. I (196 examples) were also tested for ICE inhibition (Ki values of 0.00008 to 76 μ M and IC50 values of 0.013 to 32 μ M), and Ich-2 inhibition (IC50 = 0.021 to 76 μ M).

IT 206863-69-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aspartate ester inhibitors of interleukin-1 β converting enzyme)

RN 206863-69-6 CAPLUS

CN 1-Naphthaleneacetic acid, 3-[[[1-acetyl-4-(phenylmethoxy)-2-pyrrolidinyl]carbonyl]amino]-4-carboxy-2-oxobutyl ester (9CI) (CA INDEX NAME)



RNA, using a monolabeled oligoribonucleotide. The screening process revealed a high structure-affinity relationship in the successful products. Only six out of the twelve unnatural amino acids were selected, with the repeated appearance of R-(uracil-1-yl)-D-alanine (AlaU), Sar and the secondary amino acids Hyp and isonipecotic acid (Imp). The affinity and selectivity for the target was determined using a DNase I protection assay.

IT 206005-97-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 [Preparation and identification of DNA-binding ligands from peptide libraries containing unnatural amino acids]
 RN 206005-97-2 CAPLUS
 CN L-Lysinamide, N2-acetyl-L-arginyl-3-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-D-alanyl-N-methylglycyl-(4R)-4-hydroxy-L-prolyl-N5-(2-thienylcarbonyl)-L-ornithyl-(4R)-4-hydroxy-L-prolyl-β-alanylglycyl-4-aminobutanoyl-N6-(L-alanyl-L-tyrosyl-L-alanyl-L-seryl-L-threonyl)- (9CI) (CA INDEX NAME)

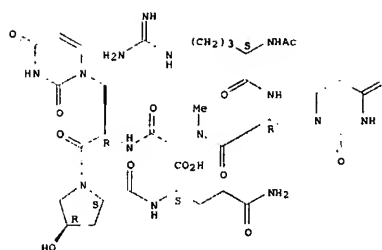
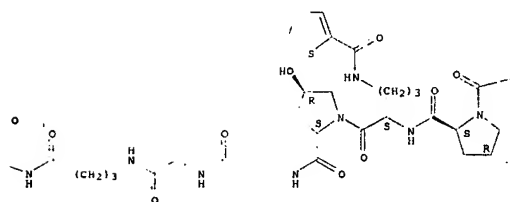
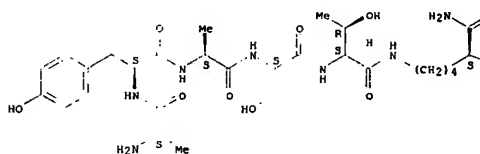
Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

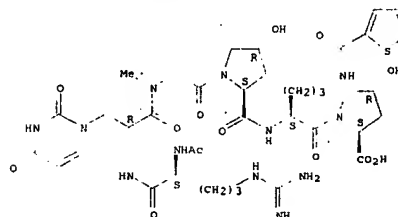
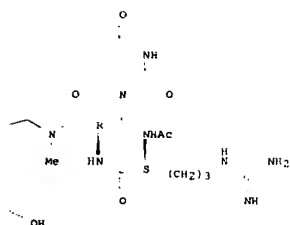
L6 ANSWER 264 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1998:223268 CAPLUS
 DOCUMENT NUMBER: 128:295041
 TITLE: DNA-binding ligands from peptide libraries containing unnatural amino acids
 AUTHOR(S): Lescrinier, Theo; Hendrix, Chris; Kerremans, Luc; Rozanski, Jef; Link, Andreas; Samyn, Bart; Van Aerschot, Arthur; Lescrinier, Eveline; Eritja, Ramon; Van Beeumen, Jozef; Herdewijn, Piet
 CORPORATE SOURCE: Laboratory of Medicinal Chemistry, Rega Institute for Medical Research, Katholieke Universiteit Leuven, Louvain, B-3000, Belg.
 SOURCE: Chemistry--A European Journal (1998), 4(3), 425-433
 CODEN: CEUJED; ISSN: 0947-6539
 PUBLISHER: Wiley-VCH Verlag GmbH
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB An unnatural peptide-based library, bound on a solid support, was screened for double-stranded-DNA (dsDNA)-binding ligands. For this purpose, fluorescein and rhodamine were used to label the single-stranded oligodeoxynucleotides. Beads containing products with affinity to dsDNA turned red in visible light and fluoresced yellow in UV light. A similar technique can be used for the selection of ligands which bind to a hairpin



RN 206005-90-5 CAPLUS
 CN L-Proline, N2-acetyl-L-arginyl-3-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-D-alanyl-N-methylglycyl-(4R)-4-hydroxy-L-prolyl-N5-(2-thienylcarbonyl)-L-ornithyl-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

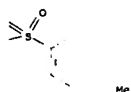
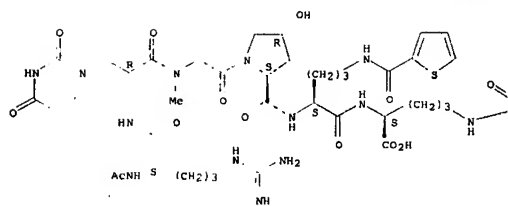


RN 206005-91-6 CAPLUS
 CN L-Ornithine, N2-acetyl-L-arginyl-3-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-D-alanyl-N-methylglycyl-(4R)-4-hydroxy-L-prolyl-N5-(2-thienylcarbonyl)-L-ornithyl-N5-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

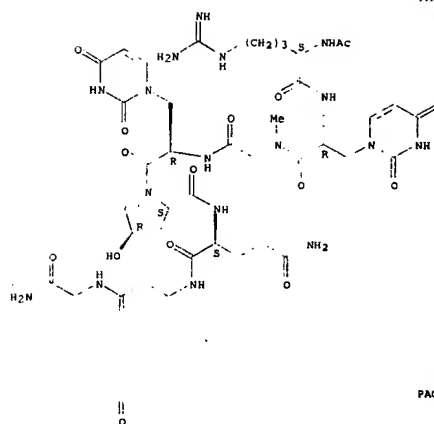
IT 206005-86-9P 206005-90-5P 206005-91-6P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 [Preparation and identification of DNA-binding ligands from peptide libraries containing unnatural amino acids]
 RN 206005-86-9 CAPLUS
 CN L-Glutamine, N2-acetyl-L-arginyl-3-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-D-alanyl-N-methylglycyl-3-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-D-alanyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



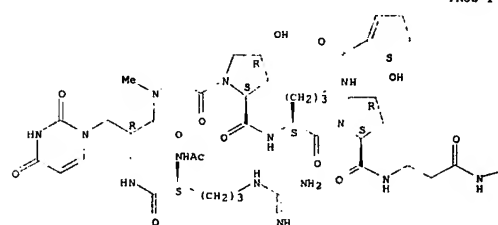
IT 206006-03-3P 206006-07-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and identification of DNA-binding ligands from peptide
 libraries containing unnatural amino acids)
 RN 206006-03-3 CAPLUS
 CN Glycinamide, N2-acetyl-L-arginyl-3-(3,4-dihydro-2,4-dioxo-1(2H)-
 pyrimidinyl)-D-alanyl-N-methylglycyl-3-(3,4-dihydro-2,4-dioxo-1(2H)-
 pyrimidinyl)-D-alanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-β-alanyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 206006-07-7 CAPLUS
 CN Glycinamide, N2-acetyl-L-arginyl-3-(3,4-dihydro-2,4-dioxo-1(2H)-
 pyrimidinyl)-D-alanyl-N-methylglycyl-(4R)-4-hydroxy-L-prolyl-N5-(2-
 thienylcarbonyl)-L-ornithyl-(4R)-4-hydroxy-L-prolyl-β-alanyl- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L6 ANSWER 265 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1998:161079 CAPLUS
 DOCUMENT NUMBER: 128.217636
 TITLE: Preparation of peptidyl thrombin inhibitors.
 INVENTOR(S): Van Boeckel, Constant Adriaan Anton; Adang, Anton
 Egbert Peter; Peters, Jacobus Albertus Maria
 PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
 SOURCE: PCT Int. Appl., 74 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

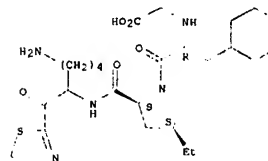
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9807308	A2	19980226	WO 1997-EP4579	19970819
WO 9807308	A3	20000824		
W: AU, BR, CA, CN, CZ, HU, JP, KR, MK, NO, NZ, PL, RU, SG, TR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
IN 1997/MA01784	A	20050304	IN 1997-MA1784	19970811
ZA 5707209	A	19980220	ZA 1997-7209	19970812
AU 9746181	A	19980306	AU 1997-46181	19970819
EP 956293	A1	19991117	EP 1997-944781	19970819
EP 956293	B1	20041117		
P AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 242629	T	20041215	AT 1997-944781	19970819
TW 515403	B	20030101	TW 1997-86112645	19970830
PRIORITY APPLN. INFO.:				
EP 1996-202336 A 19960823				
WO 1997-EP4579 W 19970819				

OTHER SOURCE(S): MARPAT 128.217636
 AB Title compds. of formula R1R2NCH(R3)CO-A-B-X and RICH[NH-(SO2)m-R3]CO-A-B-X
 [R1 = (SO2)n-C1-6alkylene-COOH or an ester derivative thereof; n = 0, 1; m =
 0, 1; and m and n are not 1 at the same time; R2 = H, alkyl, alkenyl,
 cycloalkyl, alkenylcycloalkyl, aryl, etc.; R3 = a hydrophobic moiety; and
 R2 and R3 are a 5- or 6-membered ring together with the N and C to which
 they are bound, which ring may be fused with an aliphatic or aromatic
 6-membered ring; A = (un)substituted proline optionally containing a second O, N, or S
 heteroatom; 3,4-dehydropyrrolidine, 2-azetidine carboxylic acid, pipercolinic

acid, octahydroindole-2-carboxylic acid, 2-aminoisobutyric acid, valine; B
 = lysine, 4-aminocyclohexylglycine; X = CHF2, CF3, COOR4, CONRSR6,
 2-thiazole, (un)substituted heterocycle; R4 = H, alkyl; R5 and R6 =
 independently H, alkyl, alkenyl, cycloalkyl; R5 and R6 together = C3-6 alkylene
 or a pharmaceutically acceptable salt thereof, were prepared as thrombin
 inhibitors. Thus, HO2CCH2-D-(p-methoxy-phenylalanyl)-Pro-Lys-CO2H was
 prepared an assayed for anti-thrombin activity (IC50 = 0.044 μM). The
 compds. of the invention have anticoagulant activity and can be used in
 treating or preventing thrombin-related diseases.

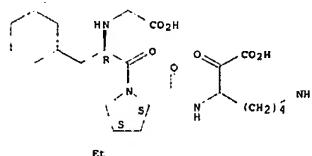
IT 204265-47-4P 204265-73-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of peptidyl thrombin inhibitors)
 RN 204265-47-4 CAPLUS
 CN L-Prolinamide, N-(carboxymethyl)-3-cyclohexyl-D-alanyl-N-(5-amino-1-(2-
 thiazolylcarbonyl)pentyl)-4-ethyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

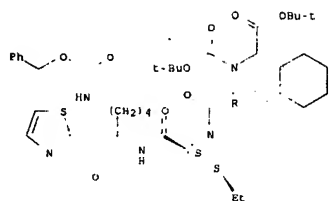


RN 204265-73-6 CAPLUS
 CN L-Prolinamide, N-(carboxymethyl)-3-cyclohexyl-D-alanyl-N-(5-amino-1-(2-
 thiazolylcarbonyl)pentyl)-4-ethyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 204266-55-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of peptidyl thrombin inhibitors)
 RN 204266-55-7 CAPLUS
 CN L-Prolinamide, 3-cyclohexyl-N-[(1,1-dimethylethoxy)carbonyl]-N-[2-(1,1-
 dimethylethoxy)-2-oxoethyl]-D-alanyl-4-ethyl-N-[5-
 [(1-phenylmethoxy)carbonyl]amino]-1-(2-thiazolylcarbonyl)pentyl]-, (4S)-
 (9CI) (CA INDEX NAME)



- F

RN 204992-09-6 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 173240-15-8
 CMF C33 H43 F N10 O6

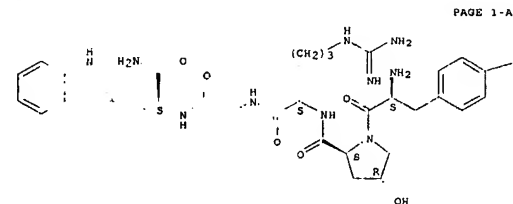
Absolute stereochemistry. Rotation (-).

L6 ANSWER 266 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1998:128790 CAPLUS
 DOCUMENT NUMBER: 128:239354
 TITLE: INN 00835: antidepressant
 AUTHOR(S): Hlavka, Joseph J.; Nicolau, Gabriela; Noble, John F.; Ahaian, Henry
 CORPORATE SOURCE: Innapharma, Inc., Upper Saddle River, NJ, 07458, USA
 SOURCE: Drugs of the Future (1997), 22(12), 1314-1318
 CODEN: DRFUD4; ISSN: 0377-8282
 PUBLISHER: J. R. Prous, S.A.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB INN 00835 is a highly active antidepressant with rapid onset of action (3-5 days). There is currently little or no evidence of drug-related adverse events associated with the administration of INN 00835.
 IT 173240 15-8 CAPLUS
 RL: ADY (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (INN 00835 as antidepressant)

RN 173240 15-8 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (CA INDEX NAME)

Absolute stereochemistry Rotation (-).



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CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

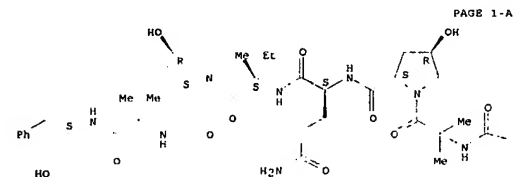
L6 ANSWER 267 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1998:126429 CAPLUS
 DOCUMENT NUMBER: 128:166428
 TITLE: Bergofungin, procedure for its production and use
 INVENTOR(S): Berg, Albrecht; Schlegel, Brigitte; Graefe, Udo;

Ritzau, Michael; Heinze, Stephan; Demuth, Hans-Ulrich;
 Haerzl, Albert
 PATENT ASSIGNEE(S): Hans-Knoell-Institut fuer Naturstoff-Forschung e.V.,
 Germany
 SOURCE: Ger. Offen., 8 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19642564	A1	19980219	DE 1996-19632564	19960813

PRIORITY APPLN. INFO.: DE 1996-19632564 19960813
 AB Bergofungin (Ac-L-Val-Aib-Aib-Aib-L-Val-Gly-L-Leu-Aib-Aib-L-Hyp-L-Gln-L-Iva-L-Hyp-Aib-L-phenylalaninol; Aib = α -aminoisobutyryl; Iva = isovaline), an antibacterial and antifungal antibiotic, is obtained from the culture medium of Emericelopsis DSM 11079 by EtOAc extraction and purified by chromatog. on Sephadex LH-20 and silica gel followed by reversed-phase HPLC. Bergofungin was active in vitro against Bacillus subtilis, Sporobolomyces salmonicolor, and Penicillium notatum.
 IT 181478 82-0P, Bergofungin
 RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation) (Bergofungin production and use)
 RN 181478 82-0 CAPLUS
 CN Bergofungin A (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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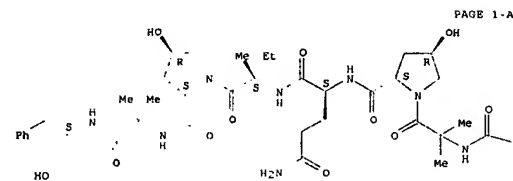
Pr-i

L6 ANSWER 268 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1998:82611 CAPLUS
 DOCUMENT NUMBER: 128:212684
 TITLE: Differences in ion permeability of an artificial bilayer membrane caused by ampuosporin and bergofungin, new 15-membered peptaibol-type antibiotics
 AUTHOR(S): Grigoriev, P. A.; Berg, A.; Schlegel, R.; Grafe, U.
 CORPORATE SOURCE: Russian Academy Sciences, Inst. Cell Biophysics, Moscow, Russia
 SOURCE: Bioelectrochemistry and Bioenergetics (1997), 44(1), 155-158
 CODEN: BEBERP; ISSN: 0302-4598
 PUBLISHER: Elsevier Science S.A.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The membrane activity of new 15-membered peptaibol-type antibiotics, ampuosporin from Sepedonium ampuosporum and bergofungin from Emericelopsis donezkii, was tested by use of an artificial bilayer membrane. Bergofungin conveys protons more efficiently than ampuosporin suggesting that the nature and the sequence of the constituting amino

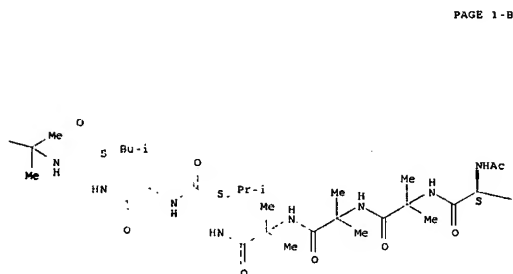
acids determine their special effect on ion penetration.
 IT 181478-82-0, Bergofungin
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (ampullosporin and bergofungin effect on ion permeability in artificial bilayer membrane: structure relation)
 RN 181478-82-0 CAPLUS
 CN Bergofungin A (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

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PAGE 1-A



PAGE 1-B

Pr-i

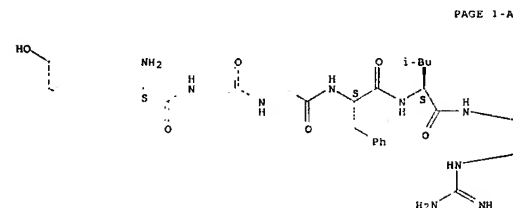
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 269 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1998:45528 CAPLUS
 DOCUMENT NUMBER: 128:149767
 TITLE: Prodynorphin processing by proprotein convertase 2. Cleavage at single basic residues and enhanced processing in the presence of carboxypeptidase activity
 AUTHOR(S): Day, Robert; Lazure, Claude; Sasak, Ajay; Boudreault, Alain; Limperis, Paul; Dong, Weijia; Lindberg, Iris
 CORPORATE SOURCE: Dep. Pharmacol., Univ. Sherbrooke, Sherbrooke, QC, J1H 5M4, Can.
 SOURCE: Journal of Biological Chemistry (1998), 273(2), 829-836
 CODEN: JBCHA; ISSN: 0021-9258
 PUBLISHER: American Society for Biochemistry and Molecular Biology
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Endoproteolytic processing of the 26-kDa protein precursor prodynorphin (proDYN) at paired and single basic residues is most likely carried out by the proprotein convertases (PCs); however, the role of PCs at single basic residues is unclear. In previous studies we showed that limited proDYN processing by PC1/PC3 at both paired and single basic residues resulted in the formation of 8- and 10-kDa intermediates. Because PC2 is colocalized with proDYN, we examined the potential role of this convertase in cleaving proDYN. PC2 cleaved proDYN to produce dynorphin (DYN) A 1-17, DYN B 1-13, and α -neo-endorphin, without a previous requirement for PC1/PC3. PC2 also cleaved at single basic residues, resulting in the formation of the C-peptide and DYN A 1-8. Only PC2, but not furin or PC1/PC3, could cleave the Arg-Pro bond to yield DYN 1-8. Structure-activity studies with DYN A 1-17 showed that a P4 Arg residue is important for single basic cleavage by PC2 and that the P1' Pro residue impedes processing. Conversion of DYN A 1-17 or DYN B 1-13 into leucine-enkephalin (Leu-Enk) by PC2 was never observed; however, DYN A 1-22 cleavage yielded small amounts of Leu-Enk, suggesting that Leu-Enk can be generated from the proDYN precursor only through a specific pathway. Finally, PC2 cleavages at single and paired basic residues were enhanced when carried out in the presence of carboxypeptidase (CP) E. Enhancement was blocked by GEMSA, a specific inhibitor of CPE activity, and could be duplicated by other carboxypeptidases, including CPD, CPB, or CPM. Our data suggest that carboxypeptidase activity enhances PC2 processing by the elimination of product inhibition caused by basic residue-extended peptides.
 IT 202812-46-2
 RL: BPR (Biological process); BSU (Biological study, unclassified); PRP

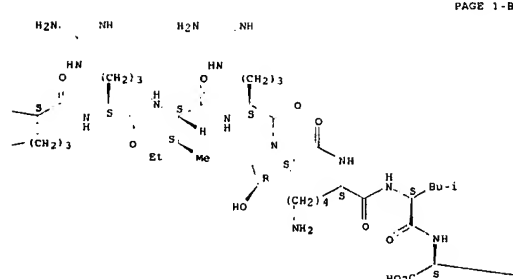
(Properties); BIOL (Biological study); PROC (Process)
 (prodynorphin processing by proprotein convertase 2 and cleavage at single basic residues and enhanced processing in carboxypeptidase presence)
 RN 202812-46-2 CAPLUS
 CN 1-13-Dynorphin A (swine), 10-[(4R)-4-hydroxy-L-proline]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B

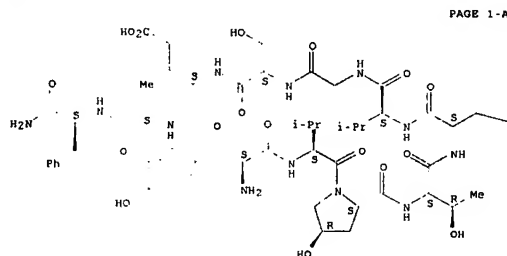
(CH₂)₄NH₂

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 270 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1998:118 CAPLUS
 DOCUMENT NUMBER: 128:110919
 TITLE: From Micromolar to Nanomolar Affinity: A Systematic Approach To Identify The Binding Site of CGRP at the Human Calcitonin Gene-Related Peptide 1 Receptor
 AUTHOR(S): Rist, Beate; Entzeroth, Michael; Beck-Sickingher, Annette G.
 CORPORATE SOURCE: Department of Pharmacy, ETH Zuerich, Zurich, Switz.
 SOURCE: Journal of Medicinal Chemistry (1998), 41(1), 117-123
 CODEN: JMCMAH; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB CGRP Y0-28-37 is known as a selective CGRP1 receptor antagonist. To elucidate the essential requirements for its receptor interaction, the authors performed a variety of systematic approaches by modifying the C-terminal segments CGRP Y0-28-37 and CGRP 27-37. N-Terminal and C-terminal segments have been synthesized, as well as chimeras which combine segments of CGRP, adrenomedullin, and amylin. Furthermore, the authors carried out an Ala scan, a Phe scan, a D-amino acid scan and a Pro scan of CGRP 27-37. Additionally, single amino acids were replaced by those with similar biophys. properties. Receptor binding studies of all analogs were performed at human neuroblastoma cells SK-N-MC, which selectively express the hCGRP1 receptor. On the basis of the obtained results, the authors synthesized a series of ligands with multiple amino acid replacements to optimize the exchange at each position. This approach yielded a series of high affinity ligands, including [D31,P4,P35] CGRP 27-37 which exhibits a 100-fold increased affinity compared to the unmodified segment. So far, this is the smallest CGRP analog that shows affinity in the nanomolar range.
 IT 201613-22-1
 RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
 (from micromolar to nanomolar affinity; a systematic approach to identify the binding site of CGRP at the human calcitonin gene-related peptide 1 receptor)

RN 201613 22-1 CAPLUS
CN L-Phenylalaninamide, L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-asparaginyl-L-valylglycyl-L-seryl-L- α -glutamyl-L-alanyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B

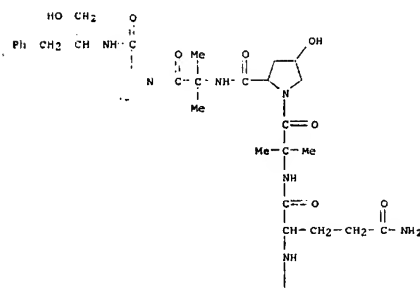


REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

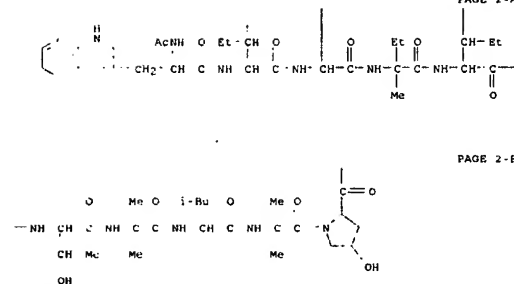
L6 ANSWER 271 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:601030 CAPLUS
DOCUMENT NUMBER: 128:137773
TITLE: Mass spectrometric analysis of site specific deuterated zervamicins
AUTHOR(S): Ogrel, Alexei; Weerna, Wigger; Versluis, Kees; Lugtenburg, Johan; Raap, Jan
CORPORATE SOURCE: Leiden Institute of Chemistry, Leiden University, Leiden, Neth.
SOURCE: Analytical Letters (1997), 30(15), 2827-2846
CODEN: ANALBP; ISSN: 0003-2719
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Zervamicin is a 16-residue antibiotic peptide produced by *Em. salmosynnemata*. It belongs to a broad class of α -helical peptides which interact directly with the lipid bilayer. In our strategy to

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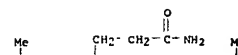
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 272 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:689439 CAPLUS
DOCUMENT NUMBER: 128:231119
TITLE: Biosynthetic incorporation and chemical modification of alkene functionality in genetically engineered polymers
AUTHOR(S): Deming, Timothy J.; Fournier, Maurille J.; Mason, Thomas L.; Tirrell, David A.

investigate the structure and dynamics of membrane-associated peptides we follow an approach based on site-specific isotope labeling and measurement by means of stable isotope sensitive techniques. We have accurately determined the exact position of deuterium atoms at Gln-11 of the antibiotic zervamicin-IIB from the FAB collision-induced dissociation FAB-mass spectra of the sodium cationized moles.

IT 79395-65-00, Zervamicin IIB, deuterated
RL: PRP (Properties)
(mass spectrometric anal. of site specific deuterated zervamicins)
RN 79395-65-0 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-((1S)-1-(hydroxymethyl)-2-phenylethyl)- (CA INDEX NAME)

PAGE 1-A



CORPORATE SOURCE: Departments Polymer Sci. and Eng., Univ. Massachusetts, Amherst, MA, 01003, USA
SOURCE: Journal of Macromolecular Science, Pure and Applied Chemistry (1997), A34(10), 2143-2150
CODEN: JSPCR6; ISSN: 1060-1325

PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English

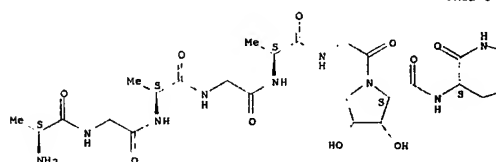
AB Repetitive polypeptides of sequence (AlaGly)3ProGluGly16, 3a, have been prepared in *Escherichia coli* as overexpressed recombinant proteins. Replacement of more than 90% of the naturally occurring proline (Pro) residues with 3,4-dihydroxyproline (Dhp) in sequence 3a was achieved by in vivo expression of the target protein in medium containing Dhp and lacking Pro. The resulting material (3b) was treated with H2O2 or Br2 to yield polymers containing 3,4-dihydroxyproline (Dhy) and 3,4-dibromoproline (Dbr), resp., in place of the Dhp residue. These results represent the first demonstration of the incorporation and modification of alkene functionality in recombinant proteins.

IT 199276-64-7P
RL: BPM (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(repeating unit; biosynthetic incorporation and chemical modification of alkene functionality in prolyl peptide)

RN 199276-64-7 CAPLUS
CN Glycine, L-alanylglycyl-L-alanylglycyl-L-alanylglycyl-3,4-dihydroxy-L-prolyl-L- α -glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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CO2H

CO2H

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 273 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:595152 CAPLUS
DOCUMENT NUMBER: 127:304221
TITLE: Differential targeting of nicotinic acetylcholine receptors by novel α -conotoxins
AUTHOR(S): Jacobsen, Richard; Yoshikami, Doju; Ellison, Michael;

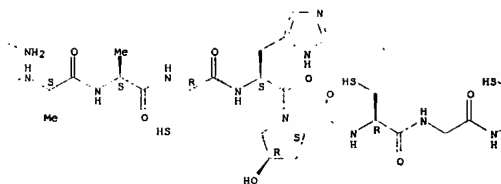
Martinez, Jennifer; Gray, William R.; Cartier, G.
Edward; Shon, Ki-Joon; Groebe, Duncan R.; Abramson,
Stewart N.; et al.
CORPORATE SOURCE: Departments Biology, University Utah, Salt Lake City,
UT, 84112, USA
SOURCE: Journal of Biological Chemistry (1997), 272(36),
22531-22537
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER American Society for Biochemistry and Molecular
Biology
DOCUMENT TYPE: Journal
LANGUAGE: English

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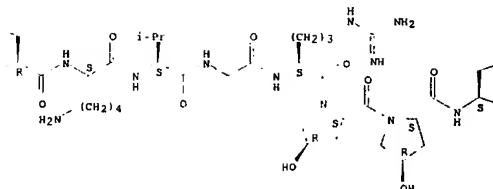
AB We describe the isolation and characterization of two peptide toxins from
Conus ermineus venom targeted to nicotinic acetylcholine receptors
(nAChRs). The peptide structures have been confirmed by mass spectrometry
and chemical synthesis. In contrast to the 12-18 residue, 4 Cys-containing
 α -conotoxins, the new toxins have 30 residues and 6 Cys residues.
The toxins, named α A-conotoxins EIVA and EIVB, block both Torpedo
and mouse α 1-containing muscle subtype nAChRs expressed in *Xenopus*
oocytes at low nanomolar concns. In contrast to α -bungarotoxin,
 α A-EIVA is inactive at α 7-containing nAChRs even at micromolar
concns. In this regard, α A-EIVA is similar to the previously
described α -conotoxins (e.g. α -MI and α -GI) which also
selectively target α 1- vs. α 7-containing nAChRs. However,
 α -MI and α -GI discriminate between the α 3 vs.
 α 4 subunit interfaces of the mouse muscle nAChR with
10,000-fold selectivity. In contrast, α A-conotoxin EIVA blocks both
the α 3 site and α 4 site with equally high
affinity but with distinct kinetics. The α A-conotoxins thus
represent novel probes for the α 3 as well as the
 α 4 binding sites of the nAChR.

IT 197502-64-0P, α A-Conotoxin E IVA (reduced)
197502-65-1P, α A-Conotoxin E IVB (reduced)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); PUR (Purification or recovery);
BIOL (Biological study); PREP (Preparation)
(nicotinic acetylcholine receptors targeting by novel
 α A-conotoxins)
RN 197502-64-0 CAPLUS
CN α A-Conotoxin E IVA (reduced) (9CI) (CA INDEX NAME)

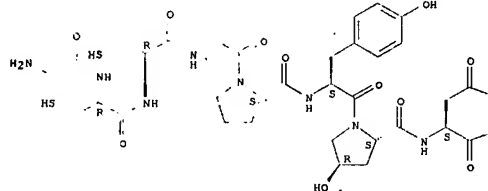
Absolute stereochemistry.



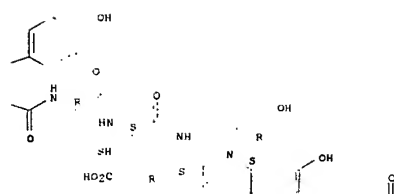
PAGE 1-C



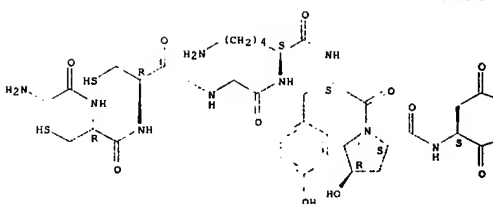
PAGE 1-A



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PAGE 1-A

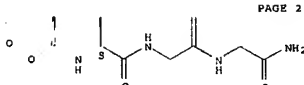


PAGE 1-B

PAGE 2-A

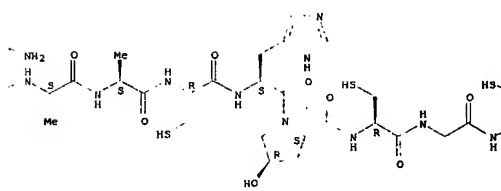


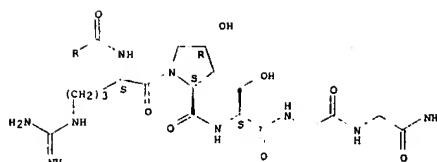
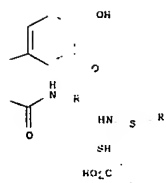
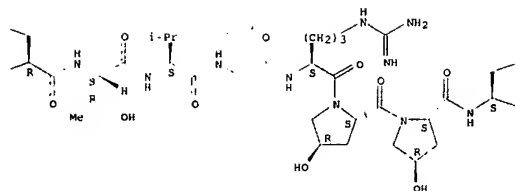
PAGE 2-D



RN 197502-65-1 CAPLUS
CN α A-Conotoxin E IVB (reduced) (9CI) (CA INDEX NAME)

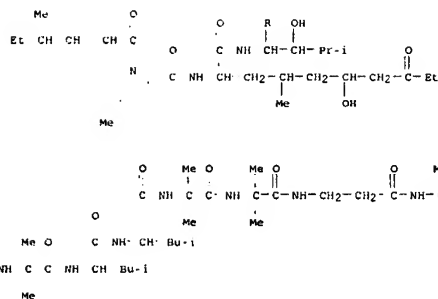
Absolute stereochemistry.





REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 274 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1997:571136 CAPLUS
 DOCUMENT NUMBER: 127:260295
 TITLE: Acremonium sp.-a leucinostatin A producing endophyte of European yew (Taxus baccata)
 AUTHOR(S): Strobil, Gary A.; Torczynski, Richard; Bollon, Arthur
 CORPORATE SOURCE: Department of Plant Pathology, Montana State University, Bozeman, MT, 59717, USA
 SOURCE: Plant Science (Shannon, Ireland) (1997), 128(1), 97-108
 CODEN: PLSC4; ISSN: 0168-9452
 PUBLISHER: Elsevier
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Acremonium sp. occurs as an endophyte in European yew (Taxus baccata). It produces a series of peptide antifungal-anticancer agents known as the leucinostatins. Leucinostatin A is especially active against the oomycetous pathogenic fungus Pythium ultimum with an effective 1 day 50% inhibitory concentration of <1 μmol. Leucinostatin A also possesses activity against certain human cancer cell lines, for instance, its IC50 value is 2.3 nM for breast cancer cell line BT-20 contrasted with 640 nM for a normal mammary cell line. Leucinostatin A can be effectively prepared radiolabeled via the administration of [14C]leucine or [14C]pyruvate to standing cultures of Acremonium sp. The data point to a biol. role of antifungal agents being produced by endophytic fungi as a means to allow for their survival. Also, since antifungal agents such as taxol and leucinostatin A are produced by some endophytic fungi, oomycetes such as P. ultimum may serve as initial screening tools for anticancer agents.
 IT 76600-38-SP, Leucinostatin A
 RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); MPN (Metabolic formation); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); OCCU (Occurrence); PREP (Preparation); USES (Uses) (Leucinostatin A producing endophyte of European yew; Acremonium)
 RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

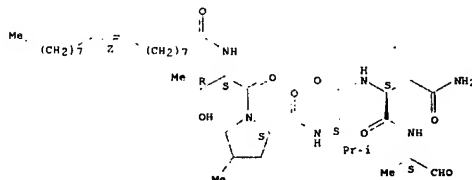
L6 ANSWER 275 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1997:568819 CAPLUS
 DOCUMENT NUMBER: 127:247190
 TITLE: Methylproline derivatives as calpain inhibitors, its manufacture with Spirosphaera, drug compositions containing them, and Spirosphaera floriformis 10225
 INVENTOR(S): Fujie, Keiko; Sato, Tomoko; Nishikawa, Motoaki; Ratanaka, Hiroshi; Hashimoto, Masaharu
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09221497	A	19970826	JP 1996-25449	19960213
JP 1996-25449			JP 1996-25449	19960213

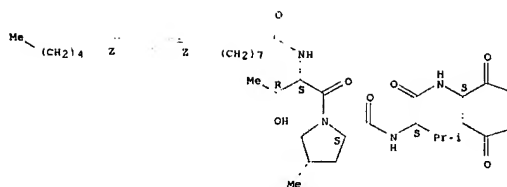
PRIORITY APPLN. INFO.:
 AB Methylproline-containing decapeptides with N-terminal fatty acids are manufactured by culturing Spirosphaera sp. Also claimed are drug compns. containing the peptides or their salts, calpain inhibitors containing the peptide
 derivs. their salts, and pure cultures of S. floriformis 10225. The peptide derivs. inhibit calpain and are useful for treatment of ischemic diseases, e.g. acute nephrotic infarction, myocardial infarction, and cerebral infarction. Thus, S. floriformis was cultured in a medium containing modified starch, chicken meat bone meal, wheat bran, and salts at 25° under aeration for 5 days to give the decapeptide oleic and linoleic derivs. IC50 values of the oleic derivative WF10225A and linoleic derivative WF10225B against swine calpain I were 0.78 and 0.82 μg/mL, resp.
 IT 195831-11-9P, WF 10225B 195831-12-OP, WF 10225A
 RL: BAC (Biological activity or effector, except adverse); BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Manufacture of methylproline derivs as calpain inhibitors with Spirosphaera)
 RN 195831-11-9 CAPLUS
 CN L-Aspartamide, N-[(9Z)-1-oxo-9-octadeceny]-L-threonyl-4-methyl-L-prolyl-L-valyl-L-N-[(1S)-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
 Double bond geometry as shown.
 Currently available stereo shown.



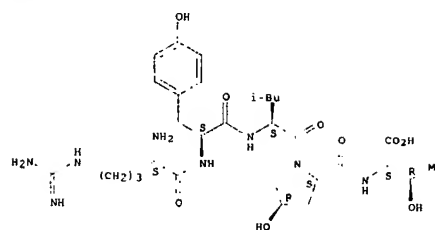
RN 195831-12-0 CAPLUS
 CN L-Aspartamide, N-[(9Z,12Z)-1-oxo-9,12-octadecadienyl]-L-threonyl-4-methyl-L-prolyl-L-valyl-L-N-[(1S)-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
 Double bond geometry as shown.
 Currently available stereo shown.



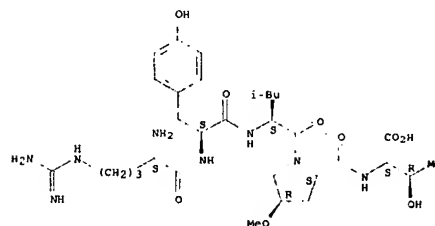
L6 ANSWER 276 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1597556550 CAPLUS
 DOCUMENT NUMBER: 127218102
 TITLE: Proctolin, a natural insect neuropeptide
 AUTHOR(S): Konopinska, Danuta
 CORPORATE SOURCE: Wydział Chemii Univ. Wrocławskiego, Wrocław, 50-383, Pol.
 SOURCE: Wiadomości Chemiczne (1997), 51(3-4), 145-162
 CODEN: WICHAP; ISSN: 0043-5104
 PUBLISHED: Polskie Towarzystwo Chemiczne
 DOCUMENT TYPE: Journal
 LANGUAGE: Polish
 AB In the present paper the literature data on the synthetic, biol., and conformational studies on insect neuropeptide proctolin (Arg Tyr-Leu-Pro-Thr) and its analogs are summarized. The paper covers proctolin and its 40 analogs modified in positions 1-5, cycloanalogue as well as analogs with the truncated or elongated peptide chain. The presented peptides were bioassayed by different methods, e.g. by studies of myotropic activities in several insect species in vitro and by behavior in rats in vivo. Basing on these data structure-activity relation is discussed.
 IT 158396-69-1 158396-70-4
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (proctolin insect neuropeptide analog biol. and conformational studies and myotropic activity)
 RN 158396-69-1 CAPLUS
 CN L-Threonine, N-[1-[N-(N-L-arginyl-L-tyrosyl)-L-leucyl]-trans-4-hydroxy-L-prolyl] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158396-70-4 CAPLUS
 CN L-Threonine, N-[1-[N-(N-L-arginyl-L-tyrosyl)-L-leucyl]-trans-4-methoxy-L-prolyl] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



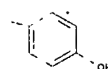
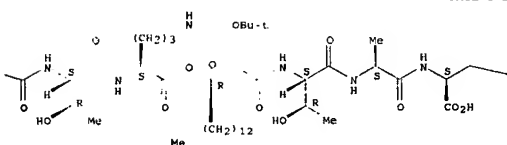
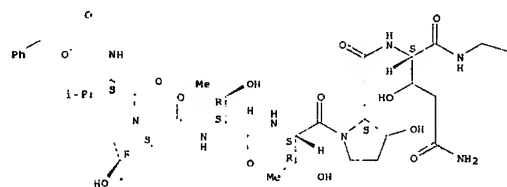
L6 ANSWER 277 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1597547285 CAPLUS
 DOCUMENT NUMBER: 127176721
 TITLE: Preparation of polypeptide FR176596 from cyclopeptide FR901469
 INVENTOR(S): Fujie, Akihiko; Shigematsu, Shinji; Hayashi, Kenichi; Hatanaka, Hiroshi; Hashimoto, Masaharu
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09176189	A	19970708	JP 1995-350764	19951226

PRIORITY APPLN. INFO.: JP 1995-350764 19951226

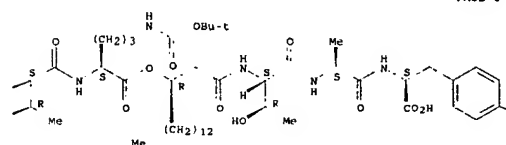
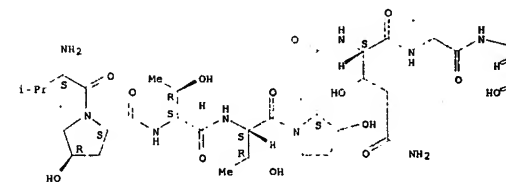
OTHER SOURCE(S): MARPAT 127176721
 AB The polypeptide FR176596 of formula H-Thr-Thr-(3-HO-Pro)-(3-HO-Gln)-Gly-Thr-Orn(A)-OCH[(CH2)12Me]CH2CO-Thr-Ala-Tyr-OH (I; A = aliphatic, aromatic, heterocyclic, or alicyclic acyl), which is useful as an intermediate for drugs or agrochemicals, is prepared. Thus, cyclopeptide FR901469 of formula cyclo[Thr-Thr-(3-HO-Pro)-(3-HO-Gln)-Gly-Thr-Orn(A)-OCH[(CH2)12Me]CH2CO-Thr-Ala-Tyr-Val-Hyp].HCl (II; A = H) was protected by Boc using di-tert-butyl dicarbonate in the presence of Et3N in aqueous dioxane to give II (A = Boc), which underwent ring-cleavage in the presence of proline specific endopeptidase in 0.2 M phosphate buffer at 38° for 115 h to give H-Thr-Thr-(3-HO-Pro)-(3-HO-Gln)-Gly-Thr-Orn(Boc)-OCH[(CH2)12Me]CH2CO-Thr-Ala-Tyr-Val-Hyp-OH. The later compound was further treated with carboxy peptidase Y in 50 mM phosphate buffer at 25° for 15 h to give the title compound I (A = Boc).
 IT 194033-07-3P 194033-09-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of polypeptide FR176596 from cyclopeptide FR901469)
 RN 194033-07-3 CAPLUS
 CN L-Tyrosine, N-[(3R)-1-oxo-3-[[N-[(phenylmethoxy)carbonyl]-L-valyl-(4R)-4-hydroxy-L-prolyl]-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutamylglycyl]-L-threonyl-Ns-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl]oxyhexadecyl]-L-threonyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 194033-09-5 CAPLUS
 CN L-Tyrosine, N-[(3R)-1-oxo-3-[[L-valyl-(4R)-4-hydroxy-L-prolyl]-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutamylglycyl]-L-threonyl-Ns-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl]oxyhexadecyl]-L-threonyl-L-alanyl- (9CI) (CA INDEX NAME)

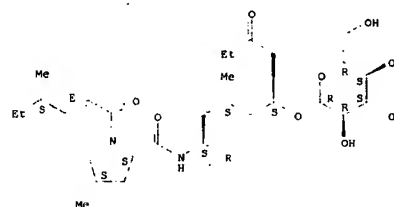
Absolute stereochemistry.



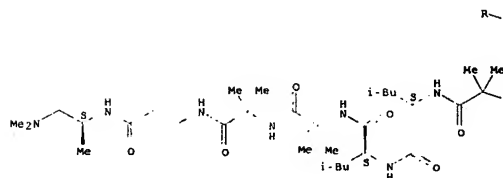
RN 195382-56-0 CAPLUS
 CN Leucinoatatin A, 2-[(2S,4S,6S)-2-amino-6-(β -D-glucopyranosyloxy)-4-methyl-8-oxodecanoic acid]-3-[(3R)-3-(β -D-glucopyranosyloxy)-L-leucine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

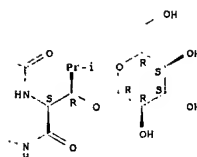
PAGE 1-A



PAGE 2-A



PAGE 2-B



OH

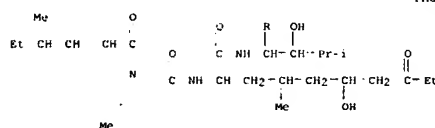
L6 ANSWER 278 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1997:545551 CAPLUS
 DOCUMENT NUMBER: 127:231727
 TITLE: Glucosylation of the peptide leucinoatatin A, produced by an endophytic fungus of European yew, may protect the host from leucinoatatin toxicity
 AUTHOR(S): Strobel, Gary A.; Hess, W. M.
 CORPORATE SOURCE: Department of Plant Pathology, Montana State University, Bozeman, MT, 59717, USA
 SOURCE: Chemistry & Biology (1997), 4(7), 529-536
 CODEN: CHOLE2; ISSN: 1074-5521
 PUBLISHER: Current Biology
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Yew species (*Taxus* spp.) throughout the world are hosts to hundreds, or perhaps thousands, of endophytic organisms. Most commonly, these organisms are fungi, living in a commensal or a symbiotic relationship with their host plant, so the plants exhibit little or no outward evidence that they are supporting these microorganisms. Little is known about any of the biochemical mechanisms that mediate the interactions between the yew host and its associated microbes. Such information may not only contribute to the understanding of endophyte-tree biology, but also may provide novel pharmaceutical leads, because some of the compounds produced by these endophytes have demonstrated pharmacological activities. *Acremonium* sp. was isolated as an endophytic fungus of the European yew, *Taxus baccata*. Entry of *Acremonium* sp. into the plant may proceed via invasion of natural openings such as stomata. The relationship between *Acremonium* sp. and *T. baccata* may be a symbiotic one, because no symptoms are seen when *Taxus media* p.v. Hicksii is inoculated with this fungus. In culture, the fungus makes leucinoatatin A, a peptide with phytotoxic, anticancer and antifungal properties. Although this peptide causes necrotic symptoms in many non-host plants and other cell types, it causes no visible symptoms in the host plant. *T. baccata* and several other plants have a UDP-glucose:leucinoatatin A glucosyl transferase that catalyzes the production of leucinoatatin A β -D-glucoside from leucinoatatin A. This glucoside, also made by the fungus, has a lower bioactivity against plants, fungi and a breast cancer cell line, BT-20, than leucinoatatin A. Leucinoatatin A may be one of several potentially toxic peptides produced by *Acremonium* sp. that contribute to the defense of the host, thereby preserving the fungus' own biological niche. The host plant is relatively immune to leucinoatatin A because it has an enzyme which transfers two glucosyl residues to leucinoatatin A, markedly reducing the peptide's bioactivity. Results suggest that glucosylation reactions may play a more general role in plant defenses, especially against toxin-mediated disease development.

IT 195382-56-0, Leucinoatatin A β -D-glucoside
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); MFH (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
 (glucosylation of leucinoatatin A produced by endophytic fungus of European yew and host protection from leucinoatatin toxicity)

IT 76600-38-9, Leucinoatatin A
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (glucosylation of leucinoatatin A produced by endophytic fungus of European yew and host protection from leucinoatatin toxicity)
 RN 76600-38-9 CAPLUS
 CN Leucinoatatin A (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

CH₂ NMe₂

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

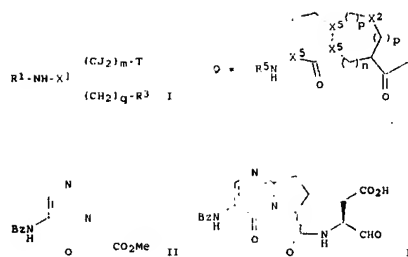
L6 ANSWER 279 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1997:541852 CAPLUS
 DOCUMENT NUMBER: 127:234612
 TITLE: Preparation of heterocyclyl aspartaldehyde peptide derivatives as interleukin-1 β converting enzyme

INVENTOR(S): Benis, Guy W.; Golec, Julian M. C.; Lauffer, David J.; Mullican, Michael D.; Murcko, Mark A.; Livingston, David J.
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA
 SOURCE: U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 261,452.
 CODEN: USXXAM

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5656627	A	19970812	US 1995-405581	19950317
US 5756466	A	19980526	US 1994-261452	19940617
US 5847135	A	19981208	US 1995-440898	19950525
US 5716929	A	19980210	US 1995-464964	19950605
US 6025147	A	20000215	US 1995-460973	19950605
TW 509698	B	20021111	TW 1995-64105903	19950609
IN 181338	A1	19980516	IN 1995-CA659	19950612
ZA 9504988	A	19961217	ZA 1995-4988	19950615
CA 2192089	A1	19951228	CA 1995-2192089	19950616
WO 9535308	A1	19951228	WO 1995-US7617	19950616
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TT				
RM: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9529446	A	19960115	AU 1995-29446	19950616
AU 709114	B2	19990819		
EP 784628	A1	19970723	EP 1995-925257	19950616
CN 1159196	A	19970910	CN 1995-194381	19950616
BR 9508051	A	19971021	BR 1995-8051	19950616
HU 76622	A2	19971028	HU 1996-2475	19950616
JP 10504285	T	19980428	JP 1996-502478	19950616
AP 797	A	20000107	AP 1997-960	19950616
W: KE, MW, SD, SZ, UG				
PL 185693	B1	20030731	PL 1995-318220	19950616
EP 1394175	A1	20040303	EP 2001-22215	19950616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
NO 9605365	A	19970217	NO 1996-5365	19961213
NO 317947	B1	20050110		
FI 9605036	A	19970214	FI 1996-5036	19961216
BO 63634	B1	20030731	BO 1997-101110	19970314
US 5973111	A	19991026	US 1997-828941	19970328
IN 183119	A1	19990911	IN 1997-CA778	19970430
US 6420522	B1	20020716	US 1999-430822	19991029
US 2002099042	A1	20020725	US 2001-886773	20010621
PRIORITY APPLN. INFO.:				
US 1994-261452	A2	19940617		
US 1995-405581	A2	19950317		
US 1995-440898	A3	19950525		
US 1995-465216	A1	19950605		
IN 1995-CA659	A1	19950612		
EP 1995-925257	A1	19950616		
WO 1995-US7617	M	19950616		
US 1999-430822	A3	19991029		

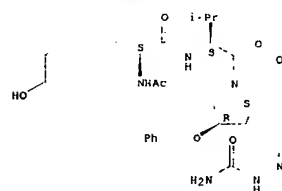
OTHER SOURCE(S): MARPAT 127:234612
 GI



AB The present invention relates to novel classes of compds. I (X) = CH, N; q = 0, 1; J = independently H, OH, F, m = 0-2; T = Ar1, OH, CF3, COC2H, CO2H, COCH2OH, CONHOH, SO2NH2, SO3H, P(O)(OH)NH2, CONCN, OSO3H, CONHSO2R16, PO3H2, P(O)(OH)OR16, P(O)(OH)R16, OPO3H2, OP(O)(OH)OR16, OP(O)(OH)R16, NHPO3H2, NHP(O)(OH)OR16, NHP(O)(OH)R16, COCH2C(OH)CO2H, 5- or 6 membered heterocyclic ring; R16 = C1-6 alkyl; K1 = optionally substituted fragment Q; X2 = O, CH2, NH, S, S(O), SO2; X5 = CH, N; n = 0-1, d = 0-2, such that n + d + d = 2; R3 = CN, CH:CHR9, CH:NOR9, (CH2)1-3TIR9, CJ2R9, COR13, COCONR5R10; each R4 = H, Ar1, R9, TIR9, (CH2)1-3TIR9; each T1 = CH:CH, O, S, S(O), SO2, NR10, NR10CO, CO, O2C, CO2, CONR10, O2CONR10, NR10CONR10, SO2NR10, NR10SO2, NR10SO2NR10; R5 = H, Ar1, COAr1, SO2Ar1, R9, CONR9, CO2R9, SO2R9, COMAr1R10, SO2NAr1R10, CONR9R10, SO2NR9R10; R5 = Ar1, SO2Ar1, COR9, COMAr1R10, SO2NAr1R10, CONR9R10, SO2NR9R10; R9 = optionally substituted, straight or branched C1-6 alkyl; R10 = H, C1-6 straight or branched alkyl; R13 = N, Ar1, Ar2, R9, TIR9, (CH2)1-3TIR9; Ar1 = aryl, cycloalkyl, or heterocyclyl group containing 1-3 rings and 3-15 ring atoms; Ar2 = optionally benzo-fused 5-membered heterocyclyl; Ar3 = optionally substituted Ph or 5-membered heterocyclic ring which are inhibitors of interleukin-1 β converting enzyme. The ICE inhibitors of this invention are characterized by specific structural and physicochem. features. This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of this invention are particularly well suited for inhibiting ICE activity and consequently, may be advantageously used as agents against interleukin-1 mediated diseases, including inflammatory diseases, autoimmune diseases and neurodegenerative diseases. This invention also relates to methods for inhibiting ICE activity and methods for treating interleukin-1 mediated diseases using the compds. and compns. of this invention. Thus, cyclocondensation of Et 2-aminopyrrolidine-5-carboxylate with 4-ethoxymethylene-2-phenyl-2-oxazolidin-2-one gave 32% pyrrolopyrimidine II. Saponification of II, followed by coupling with tert-Bu (1S) amino-4-oxobutanate semicarbazone, diastereomer separation, and deprotection, gave ICE inhibitors III. III and related compds. inhibited ICE with Ki = 0.01 to 35 μ M in a UV-visible assay and IC50 = 0.50 to >35 μ M in a cell assay.

IT 175208-91-0P 175208-92-1P 175208-93-2P
 RL: BOC (Biological occurrence); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (Preparation of heterocyclyl aspartaldehyde peptide deriva. as interleukin-1 β converting enzyme inhibitors)

Absolute stereochemistry.
 Double bond geometry unknown.



L6 ANSWER 280 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:530929 CAPLUS
DOCUMENT NUMBER: 127:217551

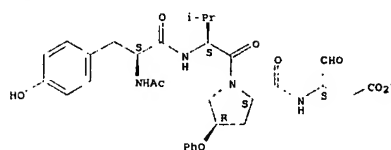
TITLE: Comparative study of toxic and non-toxic cyanobacterial products: novel peptides from toxic Nodularia spumigena AV1
AUTHOR(S): Fujii, Fuyonaga; Sivonen, Kaarina; Adachi, Kyoko; Nozuchi, Kazuyoshi; Sano, Hiroshi; Hirayama, Kazuo; Suzuki, Makoto; Harada, Ken-ichi
CORPORATE SOURCE: Faculty of Pharmacy, Meijo University, Tempaku, 468, Japan
SOURCE: Tetrahedron Letters (1997), 38(31), 5525-5528
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Two types of novel cyclic peptides, nodulapeptins A and B, and linear peptides, spumigins A-C, were isolated together with nodularin from toxic Nodularia spumigena AV1. Their structures were determined by 2D-NMR techniques, the advanced Marletta's method, and MS/MS expts.
IT 184682-38-0P, Spumigin A 184682-39-1P, Spumigin B 184682-40-4P, Spumigin B2
 RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Preparation); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)
 (novel peptides from toxic Nodularia spumigena)
RN 184682-38-0 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[4-[(aminoiminomethyl)amino]-1-hydroxymethyl]butyl]-1-[(2R)-2-[(1,2R)-2-hydroxy-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-4-(4-hydroxyphenyl)-1-oxobutyl]-4-methyl-, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

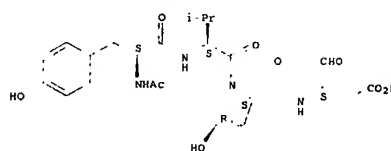
RN 175208-91-0 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



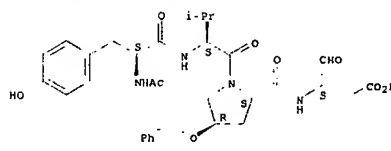
RN 175208-92-1 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



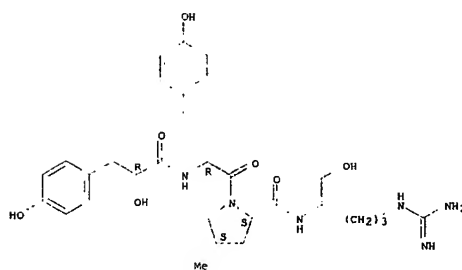
RN 175208-93-2 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



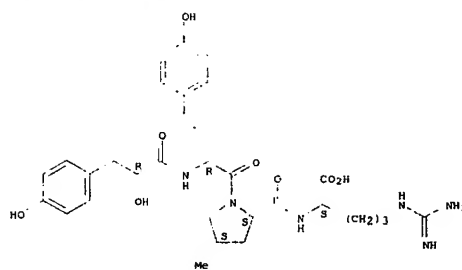
IT 175210-03-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (Preparation of heterocyclyl aspartaldehyde peptide deriva. as interleukin-1 β converting enzyme inhibitors)

RN 175210-03-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-[(aminocarbonyl)hydrazono]methyl]-3-(1,1-dimethylethoxy)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)



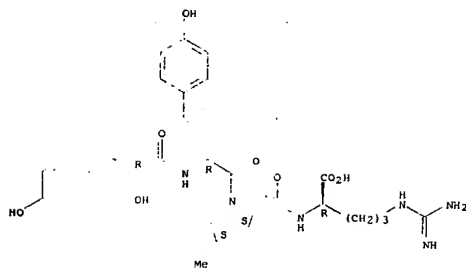
RN 184682-39-1 CAPLUS
CN L-Arginine, ((R)-n,4-dihydroxybenzenepropanoyl)-((R)-n-amino-4-hydroxybenzenebutanoyl)-4-(4-methyl-L-prolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 184682-40-4 CAPLUS
CN D-Arginine, ((R)-n,4-dihydroxybenzenepropanoyl)-((R)-n-amino-4-hydroxybenzenebutanoyl)-4-(4-methyl-L-prolyl)- (9CI) (CA INDEX NAME)

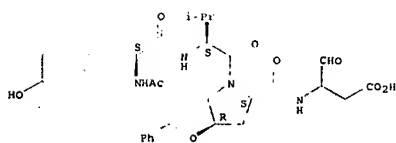
Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 281 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1997:502830 CAPLUS
 DOCUMENT NUMBER: 127:122000
 TITLE: Inhibitors of interleukin-1 β converting enzyme
 INVENTOR(S): Batchelor, Mark J.; Bebbington, David; Bemis, Guy W.; Fridman, Wolf Herman; Gillespie, Roger J.; Golec, Julian M. C.; Gu, Yong; Laufer, David J.; Livingston, David J.; Matharu, Saroop S.; Mullican, Michael D.; Murcko, Mark A.; Murdoch, Robert; Nyce, Philip L.; Robidoux, Andrea L. C.; et al.
 PATENT ASSIGNEE(S): USA
 SOURCE: PCT Int. Appl., 946 pp.
 DOCUMENT TYPE: CODEN: PIXXD2
 LANGUAGE: Patent
 FAMILY ACC NUM COUNT: English
 PATENT INFORMATION: 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9722619	A2	19970626	WO 1996-US20843	19961220
WO 9722619	A3	19971016		
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, RW, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
US 6008217	A	19951228	US 1995-575641	19951220
US 5874424	A	19990223	US 1996-598332	19960208
US 5985863	A	19991116	US 1996-712878	19960912
US 6204261	B1	20010320	US 1996-761483	19961206
CA 2239904	A1	19970626	CA 1996-2239904	19961220
AU 715222	A	19970714	AU 1997-15222	19961220
AU 715075	B2	20010628		
EP 869667	A2	19981014	EP 1996-945318	19961220
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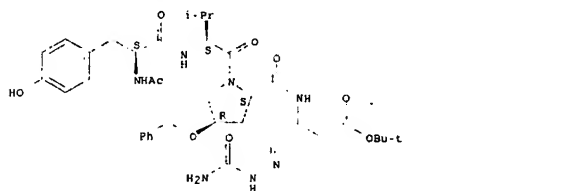
L6 ANSWER 282 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1997:473712 CAPLUS
 DOCUMENT NUMBER: 127:81793
 TITLE: Preparation of hydroxyethylamine core structures as HIV and FIV protease inhibitors
 INVENTOR(S): Wong, Chi-Huey; Slee, Deborah H.; Laslo, Karen
 PATENT ASSIGNEE(S): Scripps Research Institute, USA; Wong, Chi-Huey; Slee, Deborah H.; Laslo, Karen
 SOURCE: PCT Int. Appl., 202 pp.
 DOCUMENT TYPE: CODEN: PIXXD2
 LANGUAGE: Patent
 FAMILY ACC NUM COUNT: English
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9721100	A1	19970612	WO 1996-US19571	19961209
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
CA 2238337	A1	19970612	CA 1996-2238337	19961209
AU 9717844	A	19970627	AU 1997-12844	19961209
AU 728173	B2	20010111		
EP 873919	A1	19981028	EP 1996-943657	19961209
R	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2000502332	T	20000229	JP 1997-521485	19961209
US 6400238	B1	20050531	US 1998-77712	19961209
PRIORITY APPLN. INFO.:			US 1995-568532	A2 19951207
OTHER SOURCE(S):			WO 1996-US19571	W 19961209
GI				

BR 9612258	A	19990713	BR 1996-12258	19961220
NZ 326610	A	20000625	NZ 1996-326610	19961220
JP 2002507961	T	20020312	JP 1997-523098	19961220
TW 541309	B	20030711	TW 1996-85115799	19961220
RU 2249598	C2	20050410	RU 1998-113931	19961220
PL 190736	B1	20051230	PL 1996-328527	19961220
NO 9802597	A	19980812	NO 1998-2597	19980605
AU 756253	B2	20031019	AU 2001-76122	20010928
PRIORITY APPLN. INFO.:			US 1995-575641	A 19951220
			US 1996-598332	A 19960208
			US 1996-712878	A 19960912
			US 1996-31495P	P 19961126
			US 1996-761483	A 19961206
			AU 1997-15222	AJ 19961220
			WO 1996-US20843	W 19961220

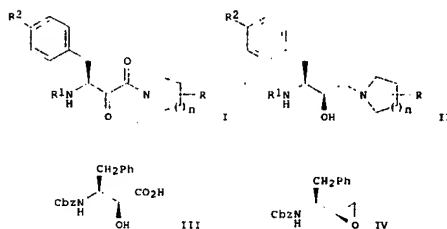
OTHER SOURCE(S): MARPAT 127:122000
 AB Compds. R(CH₂)_nCH(NHR₁)(CR₂)_mR₃ [R = NC, R₄CH:CH, R₄ON:CH, R₄CR₂, etc. where R₂ is independently selected from H, OH, F and R₄ is (un)substituted alkyl; R₁ = R₅NHCH₂CONHCH₂CH₂CO, where CH₂CONH is a 2-oxazepine ring substituted by benzo, pyrido, thieno, or related rings at the 6,7-position and optionally may have O, NH, S, SO, or SO₂ at the 5-position, R₅ and R₈ are H, cyclic group, etc.; R₃ = OH, COCOC₂H₅, CO₂H, or any bioisosteric replacement for CO₂H; m = 0, 1, 2; n = 0, 1] were prepared as inhibitors of interleukin-1 β converting enzyme. Thus, [18,98(2R,3S)]-9-benzoylamino-6,10-dioxo-1,2,3,4,7,8,9,10-octahydro-N-(2-benzoyloxy-5-oxotetrahydrofuran-3-yl)-4H-pyridazinol[1,2-a][1,2]diazepine-1-carboxamide was prepared and shown to have IC₅₀ values of 900 and 600 nM, resp., in the peripheral blood mononuclear cell (PBMC) and whole human blood assays.
 IT 192753-26-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (inhibitors of interleukin-1 β converting enzyme)
 RN 192753-26-7 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(2-oxocarbonyl)hydrazono]methyl-3-[(1,1-dimethylethoxy)-3-oxopropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



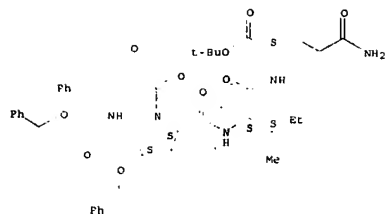
IT 192753-27-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (inhibitors of interleukin-1 β converting enzyme)
 RN 192753-27-8 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(2-carboxy-1-formylethyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



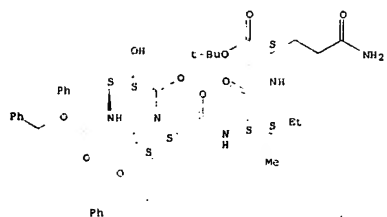
AB Combinatorial libraries of HIV and FIV protease inhibitors are characterized by α -keto amide or hydroxyethylamine core structures I and II [n = 1, 2; R = one or more groups CONHMe₃, CH₂OH, CH₂OMe, CH₂OCH₂Ph, OH, OCH₂Ph, Cl-4 alkoxy, optionally nitro-substituted 2-, 3-, or 4-MeOC₆H₄CH₂O, 2,3-, or 3,4-methylenedioxyphenylmethoxy, etc.; R₁ = PhCH₂O₂C (Cbz), Me₃CO₂C (Boc), acyl; R₂ = H, NO, PhCH₂O, Cl-4 alkoxy, optionally nitro-substituted 2-, 3-, or 4-MeOC₆H₄CH₂O, 2,3- or 3,4-methylenedioxyphenylmethoxy] flanked by on one side by substituted pyrrolidines, piperidines, or azasugars and on the other side by Phe, Tyr, or substituted tyrosines. The libraries are synthesized via coupling of the nitrogen heterocycles with hydroxy acids, e.g. III, followed by oxidation to the keto amide, or a one-step coupling with epoxides, e.g. IV. Highly efficacious drug candidates are identified by screening the libraries for binding and inhibitory activity against both HIV and FIV protease. Drug candidates displaying clin. useful activity against both HIV and FIV protease are identified as being potentially resistive against a loss of inhibitory activity due to development of resistant strains of HIV.
 IT 191851-38-4P
 RL: BAC (Biological activity or effector, except adverse); BEU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of hydroxyethylamine core structures as HIV and FIV protease inhibitors)
 RN 191851-38-4 CAPLUS
 CN L-Glutamine, (4S)-1-[1,2-dioxo-4-phenyl-3-[(phenylmethoxy)carbonyl]amino]butyl]-4-(phenylmethoxy)-L-prolyl-L-isoleucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 191851-67-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of hydroxyethylamine core structures as HIV and FIV protease inhibitors)
 RN 191851-67-9 CAPLUS
 CN L-Glutamine, (4S)-1-[(2S,3S)-2-hydroxy-1-oxo-4-phenyl-3-[[[phenylmethoxy]carbonyl]amino]butyl]-4-(phenylmethoxy)-L-prolyl-L-isoleucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

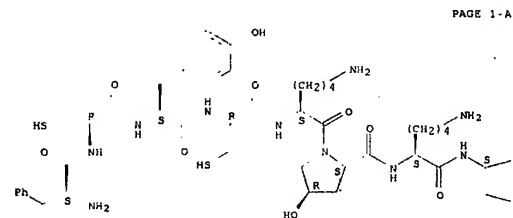


L6 ANSWER 283 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1997:450124 CAPLUS
 DOCUMENT NUMBER: 127:92608
 TITLE: Fine-tuned protegrins
 INVENTOR(S): Chang, Conway C.; Gu, Chee Liang; Chen, Jie;
 Steinberg, Deborah A.; Lehrer, Robert I.
 PATENT ASSIGNEE(S): Intrabiotics Pharmaceuticals, Inc., USA; Regents of the University of California
 SOURCE: PCT Int. Appl., 126 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 11
 PATENT INFORMATION.

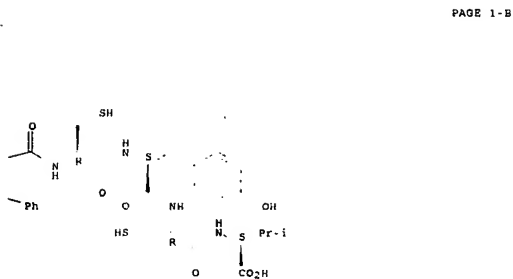
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9718826	A1	19970529	WO 1996-US18544	19961122
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, FI, GE, HU, IL, IS, JP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN				
RW: KE, LS, MW, SD, SZ, UK, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GN, ML, MR, NE, SN, TD, TG				
CA 2238610	A1	19970529	CA 1996-2238610	19961122
AU 9677394	A	19970611	AU 1996-77394	19961122
AU 720467	B2	20000601		
EP 862448	A1	19980909	EP 1996-940515	19961122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IS, FI				
BR 9611565	A	19991228	BR 1996-11565	19961122
JP 2001520639	T	20011030	JP 1997-519847	19961122
HU 2001004431	A2	20020328	HU 2001-4431	19961122
NO 9802310	A	19980722	NO 1998-2310	19960520
PRIORITY APPLN. INFO.:				
			US 1995-562346	A 19951122
			US 1996-649811	A 19960517
			US 1996-690921	A 19960801
			US 1996-752852	A 19961121
			US 1996-752853	A 19961121
			WO 1996-US18544	N 19961122

OTHER SOURCE(S): MARPAT 127:92608
 AB Broad-spectrum antimicrobial peptides related to naturally occurring protegrin peptides are prepared which are useful in treatment or prevention of infections in plants and animals. The peptides are effective against gram-pos. and gram-neg. bacteria, yeasts, fungi, protozoa, and some viruses, and are also useful as disinfectants and preservatives. Expression systems for in vivo production of these peptides in plants and animals are also provided. Thus, the protegrin PG-1 gene was amplified by PCR from pig leukocyte genomic DNA, sequenced, and cloned. Peptide congeners were prepared by the solid-phase method.
 IT 191735-28-1P 191735-35-0P 191739-16-9P 191739-30-7P
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (fine-tuned protegrins)
 RN 191735-28-1 CAPLUS
 CN L-Valine, L-phenylalanyl-L-cysteinyll-L-tyrosyl-L-cysteinyll-L-lysyl-(4R)-4-hydroxy-L-prolyl-L-lysyl-L-phenylalanyl-L-cysteinyll-L-tyrosyl-L-cysteinyll-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



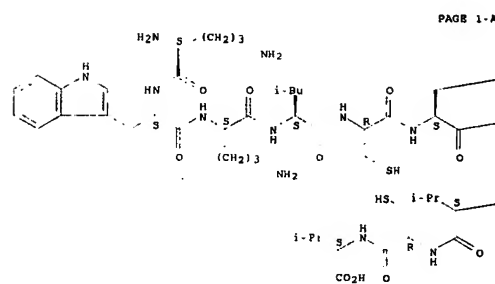
PAGE 1-A



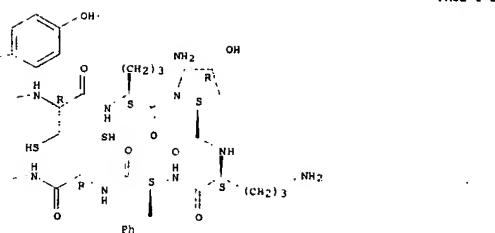
PAGE 1-B

RN 191735-35-0 CAPLUS
 CN L-Valine, L-ornithyl-L-tryptophyl-L-ornithyl-L-leucyl-L-cysteinyll-L-tyrosyl-L-cysteinyll-L-ornithyl-(4R)-4-hydroxy-L-prolyl-L-ornithyl-L-phenylalanyl-L-cysteinyll-L-lysyl-L-cysteinyll-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



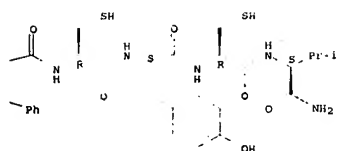
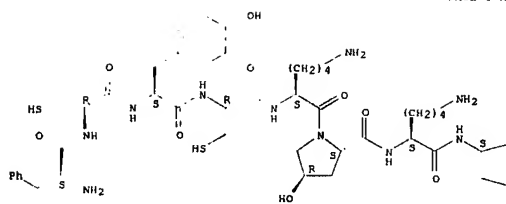
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PAGE 1-B

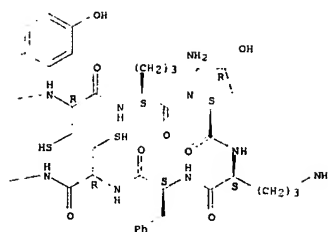
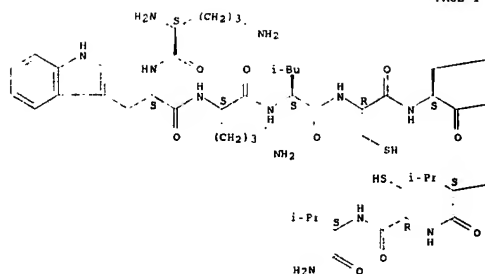
RN 191739-16-9 CAPLUS
 CN L-Valinamide, L-phenylalanyl-L-cysteinyll-L-tyrosyl-L-cysteinyll-L-lysyl-(4R)-4-hydroxy-L-prolyl-L-lysyl-L-phenylalanyl-L-cysteinyll-L-tyrosyl-L-cysteinyll-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

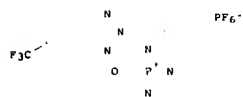


RN 191739 30-7 CAPLUS
 CN L-Valinamide, L-ornithyl-L-tryptophyl-L-ornithyl-L-leucyl-L-cysteinyl-L-tyrosyl-L-cysteinyl-L-ornithyl-(4R)-4-hydroxy-L-prolyl-L-ornithyl-L-phenylalanyl-L-cysteinyl-L-valyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 284 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1997:432908 CAPLUS
 DOCUMENT NUMBER: 127:136066
 TITLE: Total synthesis of zervamicin IIB and its deuterium-labeled analogs
 AUTHOR(S): Ogrel, Alexei; Bloemhoff, Wim; Lugtenburg, Johan; Raap, Jan
 CORPORATE SOURCE: Leiden Institute of Chemistry, Leiden University, Leiden, 2300 RA, Meth.
 SOURCE: Journal of Peptide Science (1997), 3(3), 193-208
 CODEN: JPSIEI; ISSN: 1075-2617
 PUBLISHER: Wiley
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

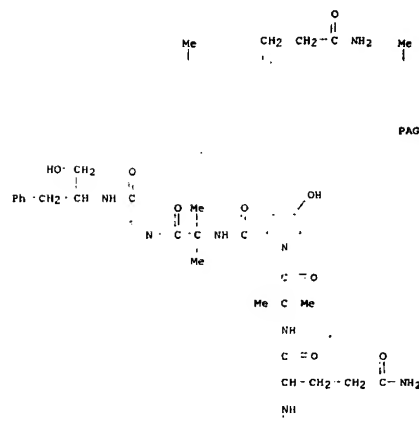


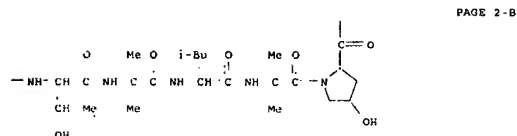
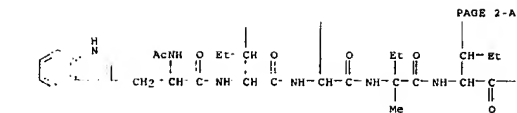
1

AB For the first time the total synthesis of the peptaibol antibiotic zervamicin IIB is described. Synthesis of this peptaibol was achieved by the 9-fluorenylmethoxycarbonyl (Fmoc)/tert-Bu strategy in solution using a fragment condensation approach. Three fragments of zervamicin IIB were obtained by stepwise elongation with Fmoc amino acids using BOP as a coupling reagent. For the introduction of the highly sterically hindered α -aminoisobutyric acid (Aib) residues BOP/DMAP activation was applied. The Fmoc group was removed by reaction with 0.1 M NaOH in dioxane/methanol/water (30/9/1, volume/volume/v). Peptide fragments were coupled by means of new coupling reagent CF3-PyBOP (1). Using the strategy developed, zervamicin IIB and two analogs specifically deuterium-labeled at different positions of Glu11 were prepared in 40% overall yield based on the isotopically labeled amino acid and with 58.2% of isotope enrichment. FAB mass spectroscopy, 600 MHz ¹H-NMR spectroscopy and HPLC provided convincing evidence that the synthetic products, zervamicin IIB and its deuterium-labeled analogs, fully correspond to the naturally occurring zervamicin IIB.

IT 79345-85-0P, Zervamicin IIB 193007-38-4P
 193007-49-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (total synthesis of zervamicin IIB and deuterium-labeled analogs)

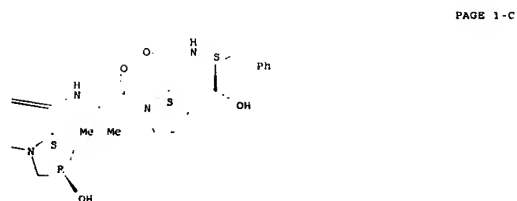
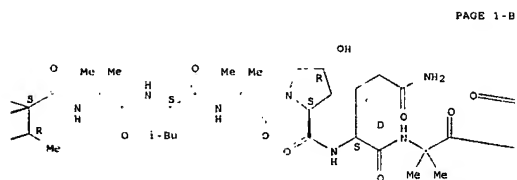
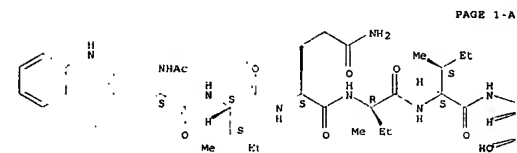
RN 79345-85-0 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)





RN 193007-38-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-d-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

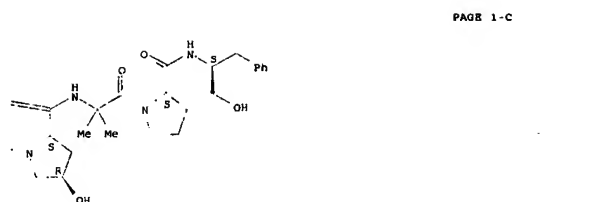


L6 ANSWER 385 OF 551
ACCESSION NUMBER: 1997:372569 CAPLUS
DOCUMENT NUMBER: 127:30675
TITLE: Kappa conotoxin peptides
INVENTOR(S): Olivera, Baldomero M.; Cruz, Lourdes J.; Hillyard, David R.; McIntosh, J. Michael; Santos, Amelino O.
PATENT ASSIGNMENT(S): University of Utah Research Foundation, USA
SOURCE: U.S. 77 pp., Cont.-in-part of U.S. 5,514,774.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5633347	A	19970527	US 1995-480750	19950607
US 5432155	A	19950711	US 1993-84848	19930629
US 5514774	A	19960507	US 1993-137800	19931019
CA 2420184	A1	19950112	CA 1994-2420184	19940627
CA 2420184	C	20040921		
EP 1336617	A2	20030820	EP 2003-75795	19940627
EP 1336617	A3	20031210		
EP 1336617	B1	20041229		

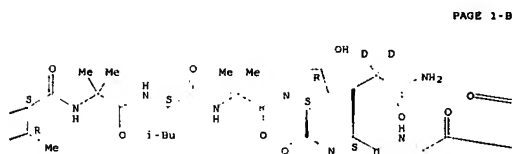
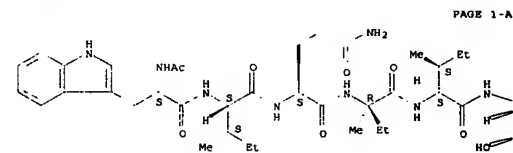
PRIORITY APPLN. INFO.:
K, AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE
US 1993-84848 A2 19930629
US 1993-137800 A2 19931019
CA 1994-216556 A3 19940627
EP 1994-920316 A3 19940627

AB The invention is directed to A-lineage conotoxin peptides, which are conotoxin peptides that have strong homol. in the signal sequence and the 3'-untranslated region of the genes coding for these peptides to the sequences in the A-conotoxin peptides. The A-lineage conotoxin peptides include the A-conotoxin peptides, the A-conotoxin-like peptides and the K-conotoxin peptides, described further below. The A-conotoxin peptides generally share a "core" sequence motif. This core sequence is termed the u/s core and is represented as Cys-Cys-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Cys. The A-conotoxin like peptides generally share a core sequence termed the u/s core and is represented as Cys-Cys-Xaa-Xaa-Xaa-Xaa-Cys-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Cys. The K-conotoxin peptides generally have a core sequence termed the k/2/1/3 core and is represented as Cys-Cys-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Cys. A number of new conotoxin peptides were identified by amplification of cDNA using PCR primers based on signal sequence and 3'-untranslated sequence of the A-conotoxin peptide G1. Nucleic acids from Conus



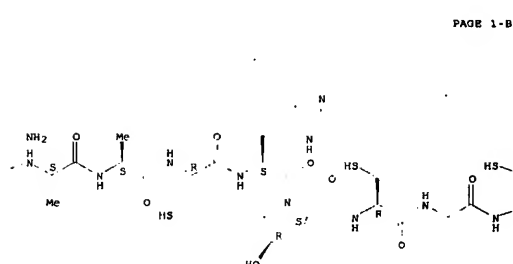
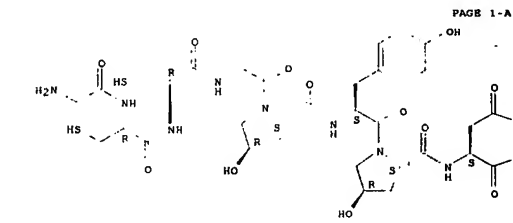
RN 193007-49-7 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-4,4-d-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

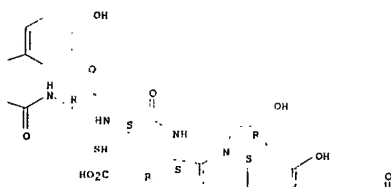
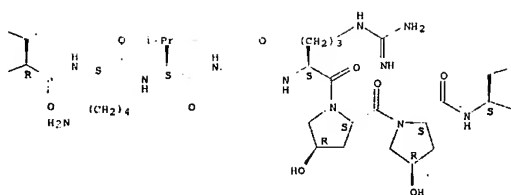
Absolute stereochemistry.



striatus, C. magus, C. stercusmuscarum, C. ochroleucus, C. sulcatus, C. bandanus, and C. characteristicus were analyzed. Synthesis and biol. activity of some of the peptides was carried out. A-conotoxin MII isolated from C. magus was found to be a nicotinic acetylcholine receptor antagonist, but it targeted the u/s2 subtype of receptor.
IT 190506-89-9
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); OCCU (Occurrence)
(conotoxin UO1); kappa-conotoxin peptides)
RN 190506-89-9 CAPLUS
CN Glycine, glycy-L-cysteinyl-L-cysteinylglycyl-(4R)-4-hydroxy-L-prolyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-asparaginyl-L-alanyl-L-alanyl-L-cysteinyl-L-histidyl-(4R)-4-hydroxy-L-prolyl-L-cysteinylglycyl-L-cysteinyl-L-lysyl-L-valylglycyl-L-arginyl-(4R)-4-hydroxy-L-prolyl-(4R)-4-hydroxy-L-prolyl-L-tyrosyl-L-cysteinyl-L-u-asparagyl-L-arginyl-(4R)-4-hydroxy-L-prolyl-L-serylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





octapeptide derived from an N-terminal Arf sequence (GLYASKLS-NH₂) disclosed that Gly, Ser, and Lys play predominant roles in binding. ALYASKLS-NH₂ is an inhibitor competitive for peptide (K_i(app) = 15.3 ± 6.4 μM) and noncompetitive for myristoyl-CoA. Remarkably, replacement of the N-terminal tetrapeptide with an 11-aminoundecanoyl group results in a competitive inhibitor (11-aminoundecanoyl-SKLS-NH₂) that is approx. 40-fold more potent (K_i(app) = 0.40 μM) than the starting octapeptide. Removal of Leu-Ser from the C-terminus generates a competitive dipeptide inhibitor (11-aminoundecanoyl-SK-NH₂) with a K_i(app) of 11.7 μM, equivalent to that of the starting octapeptide. A derivative dipeptide inhibitor containing

a C-terminal N-cyclohexylethyl lysinamide moiety has the advantage of being more potent (IC₅₀ = 0.11 μM) and resistant to digestion by cellular carboxypeptidases. Rigidifying the flexible aminoundecanoyl chain results in very potent general NMT inhibitors (IC₅₀ = 40-50 nM). Substituting a 2-methyl-imidazole for the N-terminal amine and adding a benzylidene α-Me group with R stereochem. to the rigidifying element produces even more potent inhibitors (IC₅₀ = 20-50 nM) that are up to 500 fold selective for the fungal compared to human enzyme. A related less potent member of this series of compds. is fungistatic. Its growth inhibitory effects are associated with a reduction in cellular protein N-myristoylation, judged using cellular Arf as a reporter. These studies establish that NMT is a new antifungal target.

IT 190732-44-6

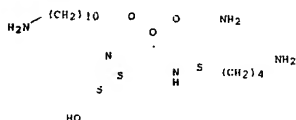
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

Structure activity relations of inhibitors of Candida albicans myristoyl-CoA:protein N-myristoyltransferase and antifungal therapy

RN 190732-44-6 CAPLUS

CN L-Lysinamide, (4S)-1-[(11-amino-1-oxoundecyl)-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 287 OF 551

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

AUTHOR(S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

CAPLUS COPYRIGHT 2007 ACS ON STN

1997:349120 CAPLUS

127:90113

Scanning alanine mutagenesis and de-peptidization of a

Candida albicans myristoyl-CoA:protein

N-myristoyltransferase octapeptide substrate reveals

three elements critical for molecular recognition

McWhorter, Charles A.; Rocque, Warren J.; Zupac, Mark

E.; Freeman, Sandra K.; Brown, David L.; Devadas,

Balekudru; Getman, Daniel P.; Sikorski, James A.;

Gordon, Jeffrey I.

Searle Discovery Res., Monsanto Co., St. Louis, MO,

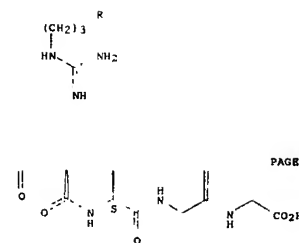
63198, USA

Journal of Biological Chemistry (1997), 272(18),

11874-11880

CODEN: JUCHA3; ISSN: 0021-9258

American Society for Biochemistry and Molecular



L6 ANSWER 286 OF 551

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

AUTHOR(S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE:

LANGUAGE:

AB

Myristoyl-CoA:protein N-myristoyltransferase (NMT) catalyzes the

contranslational covalent attachment of a rare cellular fatty acid,

myristate, to the N-terminal Gly residue of a variety of eukaryotic

proteins. The myristoyl moiety is often essential for expression of the

biol. functions for these proteins. Attachment of C14:0 alone provides

barely enough hydrophobicity to allow stable association with membranes. The

partitioning of N-myristoyl-proteins is therefore often modulated by

"switches" that function through addnl. covalent or noncovalent

modifications. Candida albicans, the principal cause of systemic fungal

infection in immunocompromised humans, contains a single NMT gene that is

essential for its viability. The functional properties of the acyl-CoA

binding site of human and C. albicans NMT are very similar. However,

there are distinct differences in their peptide binding sites. An ADP

ribosylation factor (Arf) is included among the few cellular protein

substrates of the fungal enzyme. Alanine scanning mutagenesis of an

CAPLUS COPYRIGHT 2007 ACS ON STN

1997:349120 CAPLUS

127:90113

Selective peptidic and peptidomimetic inhibitors of

Candida albicans myristoyl-CoA:protein

N-myristoyltransferase: a new approach to antifungal

therapy

Sikorski, James A.; Devadas, Balekudru; Zupac, Mark

E.; Freeman, Sandra; Brown, David L.; Lu, Hwang-Pun;

Nagarajan, Srinivasan; Mehta, Pramod P.; Made, Arlene

C.; Kishore, Mandini S.; Bryant, Martin L.; Getman,

Daniel P.; McWhorter, Charles A.; Gordon, Jeffrey I.

G. D. Searle Research and Development, Monsanto

Company, St. Louis, MO, 63198, USA

Biopolymers (1997), 43(1), 43-71

CODEN: BIPMAA; ISSN: 0006-3525

Wiley

Journal

English

Myristoyl-CoA:protein N-myristoyltransferase (NMT) catalyzes the contranslational covalent attachment of a rare cellular fatty acid, myristate, to the N-terminal Gly residue of a variety of eukaryotic proteins. The myristoyl moiety is often essential for expression of the biol. functions for these proteins. Attachment of C14:0 alone provides barely enough hydrophobicity to allow stable association with membranes. The partitioning of N-myristoyl-proteins is therefore often modulated by "switches" that function through addnl. covalent or noncovalent modifications. Candida albicans, the principal cause of systemic fungal infection in immunocompromised humans, contains a single NMT gene that is essential for its viability. The functional properties of the acyl-CoA binding site of human and C. albicans NMT are very similar. However, there are distinct differences in their peptide binding sites. An ADP ribosylation factor (Arf) is included among the few cellular protein substrates of the fungal enzyme. Alanine scanning mutagenesis of an

Document Type: Biology

Journal

English

AB

Candida albicans produces a single myristoyl-CoA:protein

N-myristoyltransferase (Nmt) that is essential for its viability. An

ADP-ribosylation factor (Arf) is included among the few cellular protein

substrates of this enzyme. An octapeptide (GLYASKLS-NH₂) derived from a

N-terminal Arf sequence was used as the starting point to identify

elements critical for recognition by the acyl-transferase's peptide-binding

site. In vitro kinetic studies, employing purified Nmt and a panel of

peptides with single Ala substitutions at each position of GLYASKLS-NH₂,

established that its Gly, Ser, and Lys residues play predominant roles in

binding. ALYASKLS-NH₂ was found to be an inhibitor competitive for

peptide (K_i = 15.3 ± 6.4 μM) and noncompetitive for myristoyl-CoA

(K_i = 31.2 ± 0.7 μM). A survey of 26 deriva. of this inhibitor,

representing (i) a complete alanine scan, (ii) progressive C-terminal

truncations, and (iii) manipulation of the phys.-chemical properties of its

residues 1, 5, and 6, confirmed the important stereochem. requirements for

the N-terminal amine, the β-hydroxyl of Ser, and the α-amino

group of Lys. Remarkably, replacement of the N-terminal tetrapeptide of

ALYASKLS-NH₂ with an 11-aminoundecanoyl group produced a competitive

inhibitor, 11-aminoundecanoyl-SKLS-NH₂, that was 38-fold more potent (K_i =

0.40 ± 0.03 μM) than the starting octapeptide. Removing the primary

amine (undecanoyl-SKLS-NH₂), or replacing it with a Me group

(dodecanoyl-SKLS-NH₂), resulted in 26- and 34-fold increases in IC₅₀,

confirming the important contribution of the amine to recognition.

Removal of Leu-Ser from the C terminus (11-aminoundecanoyl-SK-NH₂) yielded

a competitive dipeptide inhibitor with a K_i (11.7 ± 0.4 μM) equivalent

to that of the starting octapeptide, ALYASKLS-NH₂. Substitution of Ser

with homoserine, cis-4-hydroxyproline, or tyrosine reduces potency by

3-70-fold, emphasizing the requirement for proper presentation of the

hydroxyl group in the dipeptide inhibitor. Substituting D- for L-Lys

decreases its inhibitory activity ~100-fold, while deletion of the

α-amino group (Nle) or masking its charge (D-N-

acetyllysine) produces 4-7-fold attenuations. L-His, but not its

D-isomer, can fully substitute for L-Lys, producing a competitive

dipeptide inhibitor with similar potency (K_i = 11.9 ± 1.0 μM).

11-Aminoundecanoyl-SK-NH₂ and 11-aminoundecanoyl-SH-NH₂ establish that a

simple alkyl backbone can maintain an appropriate distance between three

elements critical for recognition by the fungal enzyme's peptide-binding

site: a simple N-terminal amino group, a β-hydroxyl, and an

α-amino group or an imidazole. These compds. contain one peptide

bond and two chiral centers, suggesting that it may be feasible to

incorporate these elements of recognition, or functionally equivalent mimics,

into a fully de-peptidized NMT inhibitor.

IT 190732-44-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); PPP (Properties); BIOL (Biological study)

Preparation of inhibitors and identification of elements critical for mol.

recognition by Candida albicans myristoyl-CoA:protein

N-myristoyltransferase by scanning alanine mutagenesis and

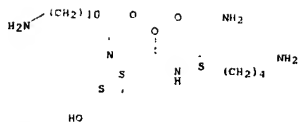
de-peptidization of octapeptide substrate)

RN 190732-44-6 CAPLUS

CN L-Lysinamide, (4S)-1-[(11-amino-1-oxoundecyl)-4-hydroxy-L-prolyl]- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.



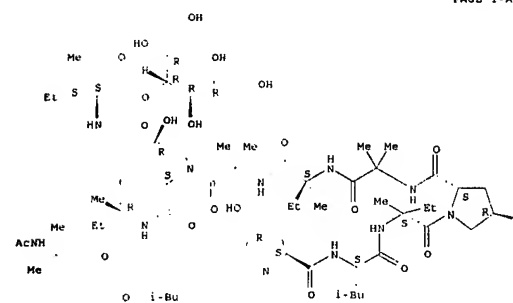
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 288 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1997:272845 CAPLUS
 DOCUMENT NUMBER: 126:343832
 TITLE: Synthesis and screening of an indexed motif-library containing non-proteinogenic amino acids
 AUTHOR(S): Oestergaard, Soeren; Holm, Arne
 CORPORATE SOURCE: Chemistry Department Royal Veterinary and Agricultural University, Research Center for Medical Biotechnology, Frederiksberg, Den.
 SOURCE: Journal of Peptide Science (1997), 3(2), 123-132
 CODEN: JPSIEI; ISSN: 1075-2617
 PUBLISHER: Wiley
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB In an effort to increase the probability of finding novel peptides in resin bound combinatorial libraries displaying affinity to various macromol. targets, we increased the diversity of a solid-phase library considerably by synthesizing multiple structures on each bead (a motif-library, including 45 building blocks). The building blocks consist of L-aa, D-aa and eight hydrophobic non-proteinogenic α -amino acids. A library with the format O-20-1-O-20-1-O-XX-resin was synthesized giving the four motifs OOOXX, OZOXX, OZOXX, OZOXX corresponding to 364,560 different motifs (45) \times 4 (theor. combinations). The positions O are defined amino acids while Z represents three mixts. m, Q, Φ , where m is a mixture of polar and charged residues, Q is a mixture of aliphatic residues and Φ is a mixture of aromatic residues. X represents a mixture of all 45 residues. The library was screened with the macromol. target streptavidin which served as a model receptor. Binding peptides were sequenced by microsequencing. We included small amts. of norvaline and norleucine in the library, which served as index residues to be able to distinguish between LD-amino acids and other residues with the same retention time in the HPLC system. Beads that interact with the receptor were found and the binding motifs that appeared had no homol. to known binding motifs found in either L-aa or D-aa libraries. Instead motifs with the non-proteinogenic residues L-phenylglycine, O-benzyl-L-hydroxyproline and O-benzyl-L-tyrosine dominated. The novel peptides inhibit binding of biotin to streptavidin but do not bind to avidin. and the affinity is higher than the peptides found in linear all L-aa peptide libraries.

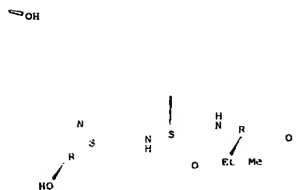
IT 199828-57.7P
 RL: BPP (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
 (synthesis and screening of indexed motif-library containing non proteinogenic amino acids)
 RN 199828-57.7 CAPLUS
 CN L-Glutamamide, L-methionyl-(4R)-4-(phenylmethoxy)-L-prolyl-D-asparaginyl-(2S)-2-phenylglycyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



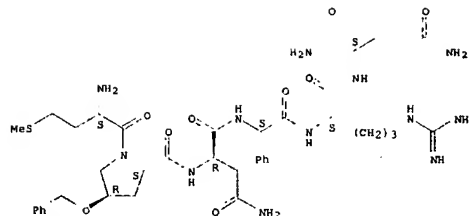
PAGE 1-A

PAGE 1-B



PAGE 2-A

L6 ANSWER 290 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 289 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1997:256117 CAPLUS
 DOCUMENT NUMBER: 126:325239
 TITLE: Clonostachin, a novel peptaibol that inhibits platelet aggregation
 AUTHOR(S): Chikanishi, Toshihiro; Hasumi, Keiji; Harada, Tomotaka; Kawasaki, Nobuhide; Endo, Akira
 CORPORATE SOURCE: Department of Applied Biological Science, Tokyo Noko University, Fuchu, 183, Japan
 SOURCE: Journal of Antibiotics (1997), 50(2), 105-110
 CODEN: JANTAJ; ISSN: 0021-8820
 PUBLISHER: Japan Antibiotics Research Association
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB A novel peptaibol, designated clonostachin, was isolated from cultures of Clonostachys sp. F589 by HP-20 and silica gel column chromatography and reverse-phase HPLC. The structure of clonostachin was determined by Edman and chemical degradn., pos. ion FAB-MS, EI MS, and NMR analyses. Clonostachin was a linear tetradecapeptide with an N-terminal acetyl group and a C-terminal sugar alc. Clonostachin inhibited ADP-induced aggregation of human platelets by 80% at 150 μ M.

IT 199506-07-8P, Clonostachin
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USBS (Uses)

(clonostachin inhibits human platelet aggregation)

RN 199506-07-8 CAPLUS
 CN Clonostachin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ACCESSION NUMBER: 1997:231391 CAPLUS
 DOCUMENT NUMBER: 126:305789
 TITLE: Preparation of sialyl Lewis X mimetics incorporating fucoseptides
 INVENTOR(S): Mong, Chi-huey
 PATENT ASSIGNOR(S): Scripps Research Institute, USA
 SOURCE: U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 407,912.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5614615	A	19970325	US 1995-519203	19950825
US 5599915	A	19970204	US 1995-407912	19950321
CA 2214107	A1	19960926	CA 1996-2214107	19960321
WO 9629339	A1	19960926	WO 1996-EP1244	19960321
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, GR, HU, IE, IL, IN, JP, KE, KG, KP, KR, KZ, LA, LS, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RM: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9651474	A	19961008	AU 1996-51474	19960321
EP 815127	A1	19980107	EP 1996-908106	19960321
R: AT, BE, CH, DE, DK, ES, FR, GR, HU, IT, LI, LU, NL, SE, PT, IE, SI				
CN 1184483	A	19980610	CN 1996-193857	19960321
BR 9607795	A	19980707	BR 1996 7795	19960321
HU 9801128	A2	19980928	HU 1998-1128	19960321
JP 11502216	T	19990223	JP 1996-528094	19960321
PT 9703503	A	19971121	PT 1997-3503	19970826
NO 9704320	A	19971027	NO 1997-4320	19970919
US 5962660	A	19991005	US 1997-933775	19970919
US 6111084	A	20000829	US 1998-28411	19980601
PRIORITY APPLN. INFO.:				
US 1995-407912 A2 19950321				
US 1995-519203 A 19950825				
WO 1996-EP1244 W 19960321				
US 1997-933775 A2 19970919				

OTHER SOURCE(S): MARPAT 126:305789
 GI

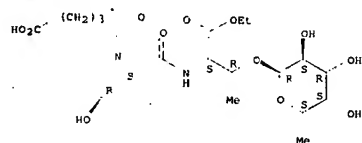
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Sialyl Lewis X mimetics I [R1 = O, O1, O2; R = CH2OH, R11 = Boc-L-Asp, H-L-Asp, HO2C(CH2)2CO, R = H, CH2OH, R2 = HO2C(CH2)3CO] and II [R2 = H, C1-6 alkyl; R3 = H- β -Asp-Tyr, HO2C(CH2)3CO-X; X = 4-hydroxythreonine, 2-(hydroxymethyl)serine, cis-4-hydroxy-D-proline] incorporating fucoseptides are synthesized and shown to mimic the configuration and essential functional groups of sialyl Lewis X in space. The fucoseptides exhibit substantially the same biol. activity as sialyl Lewis X in the E-selectin binding assay and can be employed for blocking neutrophil inflammatory conditions. Fifteen prepared compds. I and II showed IC50 = 0.065 to 10 mM in a HL-60/E-selectin adhesion binding assay, with II [R2 = Et, R3 = HO2C(CH2)3CO-Hyp] being the most active.

IT 178271-76-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of sialyl Lewis X mimetics incorporating fucoseptides)
 RN 178271-76-6 CAPLUS

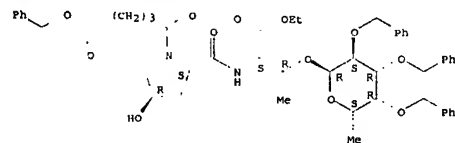
CN L-Threonine, (4R)-1-[(4-carboxy-1-oxobutyl)-4-hydroxy-L-prolyl-O-(6-deoxy- α -L-galactopyranosyl)]-, 2-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 174271 95-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (Preparation of sialyl Lewis X mimetics incorporating fucosaccharides)
 RN 174271 95-9 CAPLUS
 CN L-Threonine, (4R)-1-[(1,5-dioxo-5-(phenylmethoxy)pentyl)-4-hydroxy-L-prolyl-O-(6-deoxy-2,3,4-tris-O-(phenylmethyl)- α -L-galactopyranosyl)]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 391 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER 1997:219432 CAPLUS
 DOCUMENT NUMBER 126:305772
 TITLE New hetero oligomeric peptide nucleic acids with improved binding properties to complementary DNA
 AUTHOR(S) Jordan, Stephan; Schwemler, Christoph; Kosch, Winfried; Kretschmer, Axel; Ströpp, Udo; Schwenner, Eckhardt; Mielke, Burkhard
 CORPORATE SOURCE Bayer AG, Central Research, Leverkusen, D-51368, Germany
 SOURCE Bioorganic & Medicinal Chemistry Letters (1997), 7(6), 687-690
 CODEN: BMCL58; ISSN: 0960-894X
 PUBLISHER Elsevier
 DOCUMENT TYPE Journal
 LANGUAGE English
 GI

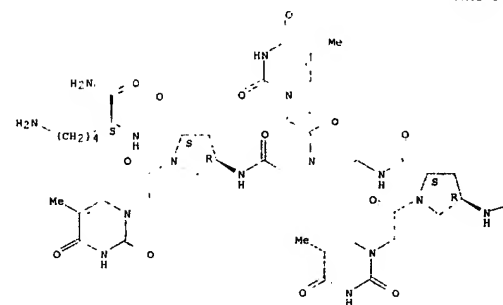
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Hetero oligomeric PNAs consisting of new monomeric building blocks

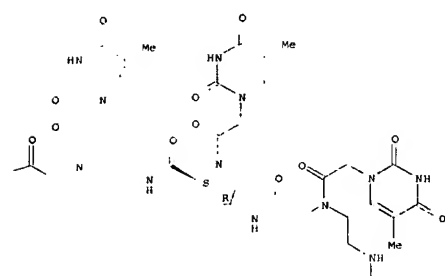
L-trans-I, L-cis-I, D-trans-I, II, and III (X = O) and various amts. of N-(2-aminoethyl)glycine (IV) have been synthesized by solid-phase chemical
 Some of these new compds. show stronger binding to complementary DNA than the original PNAs, and are consequently very interesting candidates as antisense compds. for applications in therapy and in diagnostics.
 IT 176230-60-7P 176483-95-7P 189253-83-6P
 189253-84-7P 189253-87-0P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (Preparation of new hetero-oligomeric peptide nucleic acids with improved binding properties to complementary DNA)
 RN 176230-60-7 CAPLUS
 CN Peptide nucleic acid, (H-T-[(4R)-Pro]T-T-[(4R)-Pro]T-T-[(4R)-Pro]T-T-[(4R)-Pro]T)-Lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

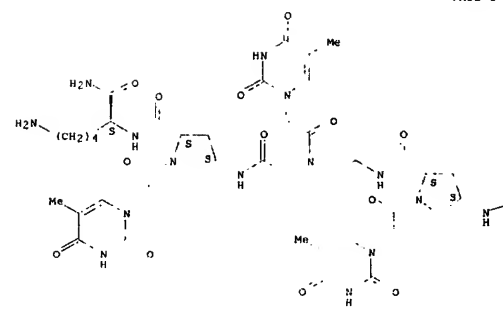
PAGE 1-A



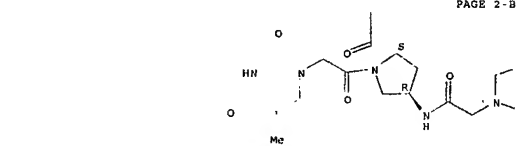
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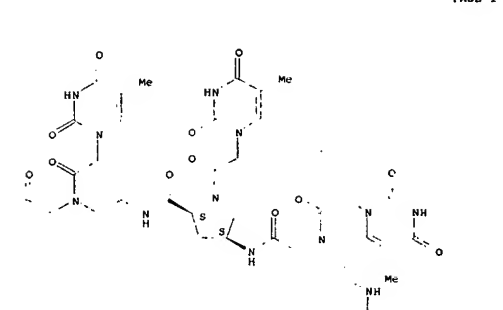
PAGE 1-A



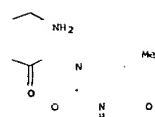
PAGE 2-B



PAGE 1-B



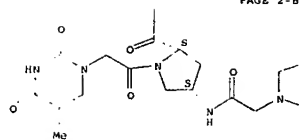
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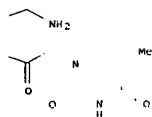
RN 176483 95-7 CAPLUS
 CN Peptide nucleic acid, (H-T-[(4S)-Pro]T-T-[(4S)-Pro]T-T-[(4S)-Pro]T-T-[(4S)-Pro]T)-Lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-B



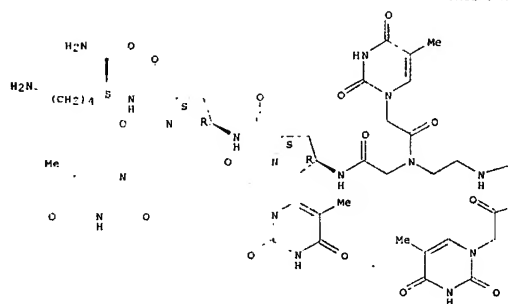
PAGE 2-C



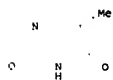
RN 189253 B3 E CAPLUS
CN Peptide nucleic acid, (H-T-[(4R)-Pro]T-[(4R)-Pro]T-T-[(4R)-Pro]T-[(4R)-Pro]T-T-[(4R)-Pro]T-[(4R)-Pro]T-T-[(4R)-Pro]T-[(4R)-Pro]T-Lys-NH2 (9C1)
(CA INDEX NAME)

Absolute stereochemistry.

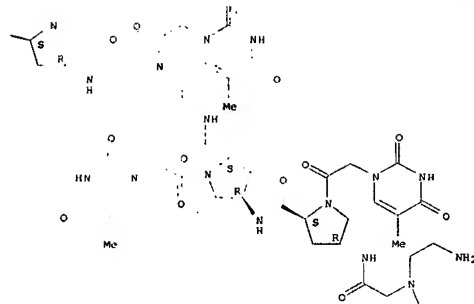
PAGE 1-A



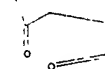
PAGE 2-B



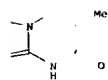
PAGE 2-C



PAGE 3-C

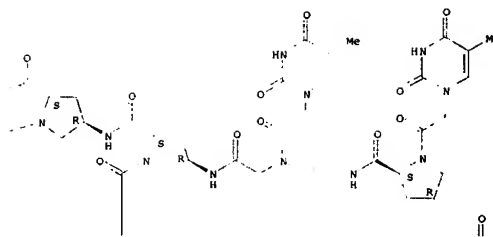


PAGE 3-D

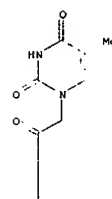


RN 189253 B4-7 CAPLUS
CN Peptide nucleic acid, (H-T-[(4R)-Pro]T-[(4R)-Pro]T-T-[(4R)-Pro]T-T-[(4R)-Pro]T-T-[(4R)-Pro]T-[(4R)-Pro]T-Lys-NH2 (9C1)
(CA INDEX NAME)

PAGE 1-B

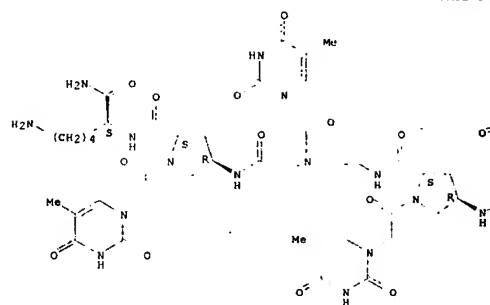


PAGE 1-C

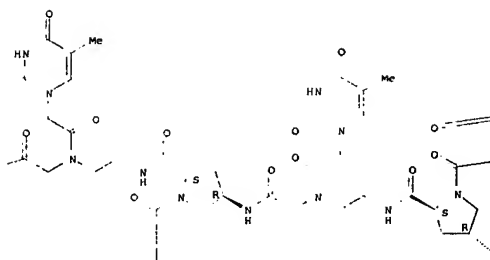


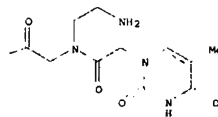
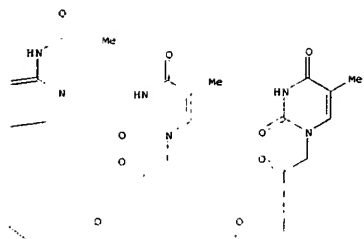
Pro]T-T-[(4R)-Pro]T-T-[(4R)-Pro]T-Lys-NH2 (9C1) (CA INDEX NAME)
Absolute stereochemistry.

PAGE 1-A



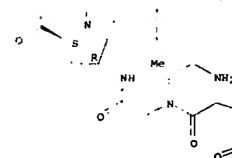
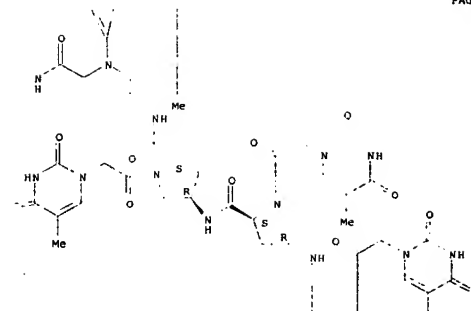
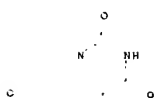
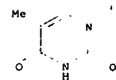
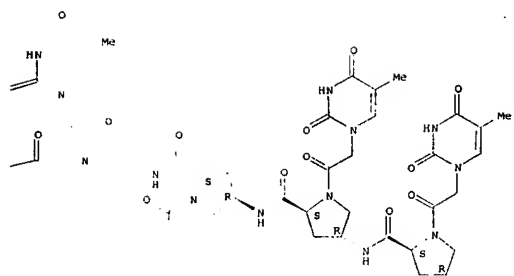
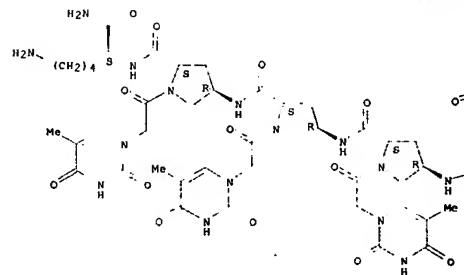
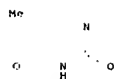
PAGE 1-B

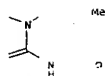




RN 189253-87-0 CAPLUS
 CN Peptide nucleic acid, (N-T-[(4R)-ProT-[(4R)-ProT-[(4R)-ProT-T-[(4R)-ProT-[(4R)-ProT-[(4R)-ProT-T-[(4R)-ProT-[(4R)-ProT]-Lys-NH2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.





REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

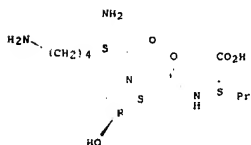
L6 ANSWER 292 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1997:166020 CAPLUS
 DOCUMENT NUMBER: 126:126473
 TITLE: Synthesis and antinociceptive activity of peptides related to interleukin-1[193]-195 Lys-Pro-Thr
 AUTHOR(S): Caliendo, G.; Greco, G.; Grieco, P.; Perissutti, E.; Santagada, V.; Talenti, A.; Maffia, P.; Albrizio, S.; Santini, A.
 CORPORATE SOURCE: Dipartimento Chimica Farmaceutica Tossicologica, Universita Napoli "Federico II", Naples, 80131, Italy
 SOURCE: Biopolymers (1997), Volume Date 1996, 40(5), 479-484
 CODEN: BIPMAA; ISSN: 0006-3525
 PUBLISHER: Wiley
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB To obtain information about the structure-activity relationships of analgesic peptides, the authors modified the previously reported tripeptide, H-Lys-Pro-Thr-OH. The proline part in H-Lys-Pro-Thr-OH was replaced with various analogs of unconventional amino acids [(3S,7aS) octahydroindole-2-carboxylic acid (Oic), (8S,8S)-2-azabicyclo[3.3.0]octane-3-carboxylic acid (Aoc), D-Aoc, and [(2S,4R) hydroxyproline (Hyp)] with varying lipophilic, steric, and conformational properties, and alternatively with Lys and Orn in the lysine part. Moreover, the threonine part was changed to various natural amino acids (Ser, Thr, Val, Leu). All the compds. were screened in vivo for their analgesic effects in the mouse writhing test. H-Orn-Hyp-Val-OH, the most active compound within the series, showed an ED50 value of 10 mg/kg, which is comparable with the ED50 values exhibited by indomethacin (4.1 mg/kg) and the dipeptide H-Lys-D-Pro-OH (6.9 mg/kg), both used as reference drugs.

IT 188835-20-3P 188835-26-9P 188835-38-3P
 188835-39-4P 188835-40-7P 188835-41-6P
 RL: BAC (Biological activity or effector, except adverse), BSU (Biological study, unclassified), PRP (Properties), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation); USES (Uses)

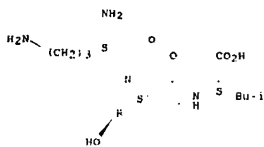
(synthesis and antinociceptive activity of peptides related to interleukin-1[193]-195 Lys-Pro-Thr in relation to structure)
 RN 188835-20-3 CAPLUS
 CN L-Threonine, L-lysyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



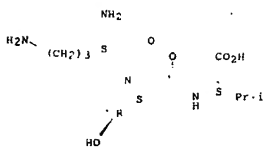
RN 188835-40-7 CAPLUS
 CN L-Leucine, L-ornithyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

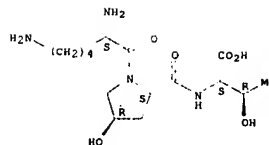


RN 188835-41-6 CAPLUS
 CN L-Valine, L-ornithyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

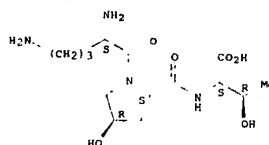


L6 ANSWER 293 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1997:124468 CAPLUS
 DOCUMENT NUMBER: 126:126400
 TITLE: Use of conotoxin peptides U002 and MII for treating or detecting small-cell lung carcinoma
 INVENTOR(S): Olivera, Baldomera M.; Cruz, Lourdes J.; Hillyard, David R.; McIntosh, J. Michael; Santos, Amurino S.
 PATENT ASSIGNEE(S): University of Utah Research Foundation, USA
 SOURCE: PCT Int. Appl., 28 pp.
 CODEN: PIAAXD
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC NUM. COUNT: 7
 PATENT INFORMATION:



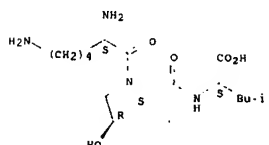
RN 188835-26-9 CAPLUS
 CN L-Threonine, L-ornithyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188835-38-3 CAPLUS
 CN L-Leucine, L-lysyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188835-39-4 CAPLUS
 CN L-Valine, L-lysyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

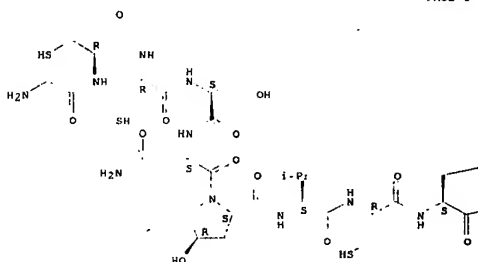
Absolute stereochemistry.

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640211	A1	19961219	WO 1996-UST962	19960604
M: AU, CA, JP				
RM: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5595972	A	19970121	US 1995-487174	19950607
AU 9662503	A	19961230	AU 1996-62503	19960604
AU 695055	B2	19980806		
EP 844883	A1	19980603	EP 1996-921234	19960604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11506737	T	19990615	JP 1996-500831	19960604
PRIORITY APPLN. INFO.:				
			US 1995-487174	A 19950607
			US 1993-84848	A2 19930629
			US 1993-137800	A2 19931019
			WO 1996-UST962	W 19960604

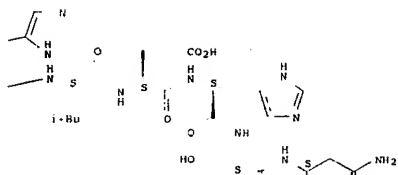
AB The present invention is directed to use of relatively short peptides, specifically the α -conotoxin peptides MII and U002, for treating patients with small-cell lung carcinoma (SCLC) or for detecting the presence of SCLC tumors. It has been discovered that while MII and U002 bind to neuronal nicotinic receptors as do other α -conotoxin peptides, they have a significantly lower affinity for neuromuscular receptors. Patients having SCLC are treated in accordance with the present invention by administering, preferably i.v. or i.m., a pharmaceutical composition containing the α -conotoxin peptide as the active ingredient. The presence or location of SCLC tumors are detected in accordance with the present invention by injecting a subject with MII or U002 labeled with a marker capable of detection and subsequently detecting the binding of the labeled MII or U002 to determine the presence or location of SCLC tumors.

IT 186420-63-3
 RL: BAC (Biological activity or effector, except adverse), BOC (Biological occurrence), BSU (Biological study, unclassified), PRP (Properties), THU (Therapeutic use), BIOL (Biological study), OCCU (Occurrence), USES (Uses) (α -conotoxin peptides U002 and MII for treating or detecting small-cell lung carcinoma)
 RN 186420-63-3 CAPLUS
 CN α -Conotoxin M II (reduced), 6-[(4R)-4-hydroxy-L-proline]- (9CI) (CA INDEX NAME)

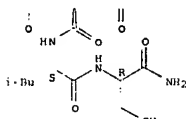
Absolute stereochemistry.



PAGE 1-B



PAGE 2-B



L6 ANSWER 294 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:102091 CAPLUS
DOCUMENT NUMBER: 126:199831
TITLE: N-sulfonylarginine keto-amide compounds with
antithrombotic activity
INVENTOR(S) Webb, Thomas R.; Miller, Todd A.; Vlasuk, George P.;
Abelman, Matthew M.
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: U.S. 76 pp., Cont.-in-part of U.S. 5,371,072.
CODEN: USXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5497804	A	19970128	US 1993-139300	19931018
US 5371072	A	19941206	US 1992-962301	19921016
AT 178044	T	19990415	AT 1993-924369	19931018

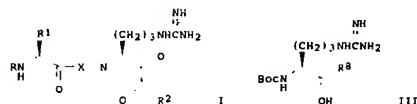
L6 ANSWER 295 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:49157 CAPLUS
DOCUMENT NUMBER: 126:171868
TITLE: Glycopeptide mimics of mammalian Man9GlcNAc2. Ligand
binding to mannan-binding proteins (MBPs)
AUTHOR(S) Franzky, Henrik; Meidal, Morten; Paulsen, Hans; Thiel,
Steffen; Jensenius, Jens Chr.; Bock, Klaus
CORPORATE SOURCE: Dep. of Chemistry, Carlsberg Laboratory, Copenhagen,
D-20146, Den.
SOURCE: Bioorganic & Medicinal Chemistry (1996), 4(11),
1881-1899
CODEN: BMCEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A novel and simple approach for rational design of oligosaccharide mimics
has been developed. Mammalian high-mannose triantennary structure
Man9GlcNAc2 has been subjected to mol. modeling using the NMR data
available on structural fragments of the oligosaccharide. The indicated
four different low energy conformations, and the spatial arrangement of
terminal disaccharides of the oligosaccharide antennae were simulated with
glycopeptides carrying disaccharides by applying weak constraints between
the saccharide parts in mol. dynamics simulations on a large array of tri-
to octaglycopeptides. The five glycopeptides exhibiting the best fit with
the four min. energy conformations of the oligosaccharide were synthesized
by solid phase glycopeptide assembly using glycosylated
9-fluorenylmethoxycarbonyl (Fmoc) amino acid pentafluorophenyl esters as
building blocks. The glycan was acyl-protected α -D-Man-(1-2)-
 α -D-Man, and Ser, Thr, and Hyp were the glycosylated amino acids.
The deprotected and purified glycopeptides were subjected to NMR anal. for
characterization, and in order to investigate the cis-trans isomerism of
the Hyp carbimide bonds. The glycopeptides were tested for their ability
to inhibit binding of mannan-binding protein to mannan from *Saccharomyces
cerevisiae*. They were found to be weak inhibitors showing no indication
of multivalent interaction with the mannan-binding protein.

IT 187097 67 2P
NL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)
(preparation, mol. dynamics calcs., and binding of high-mannose
triantennary glycopeptides to mannan-binding proteins)

RN 187097 67-2 CAPLUS
CN L Serinamide, N-acetyl-O-(2-O- α -D-mannopyranosyl- α -D-
mannopyranosyl)-L-threonine-L-alanyl-(4R)-4-[(2-O- α -D-mannopyranosyl-
 α -D-mannopyranosyl)oxyl-L-prolyl-L-lysylglycyl-O-(2-O- α -D-
mannopyranosyl- α -D-mannopyranosyl)- (9CI) (CA INDEX NAME)

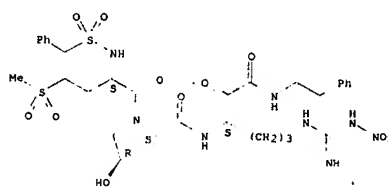
Absolute stereochemistry.



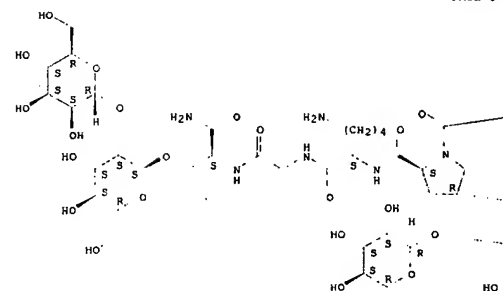
AB The arginine keto amides I [R = R3SO2; R3 = alkyl, alkenyl, aryl
optionally substituted by R4 (R4 = halo, HO, alkoxy, NH2, substituted NH2,
HS, substituted HS, HOSO2, NH2SO2, etc.), aralkyl optionally substituted by
R4, aralkenyl optionally substituted by R4, perfluoroalkyl,
trimethylsilylalkyl; R1 = H, unsubstituted or alkyl/alkenyl/aralkyl
substituted (CH2)mCO2H, (CH2)mSO2H, (CH2)mNH2, etc., m = 1-3; X = amino
acid residue, Ala, Gly, Leu, Lys, Phe, etc.; R2 = R4NH, NHCSR6(CH2)nCO2H,
NHCSR6(CH2)nCONH2, NHCSR6(CH2)nSO3H, NHCSR6(CH2)nR7, n = 1-5, R4 =
aryl, R5/R6 = H, alkyl, aryl, aralkyl, R7 = alkyl, aralkyl optionally
substituted) were prepared and are useful as antithrombotic agents and
therapeutic agents for disease states characterized by disorder of the
blood coagulation process. Thus, Boc-Asp-Pro-Arg-CONHCH2CH2Ph (II; Boc =
Me3CO2C) was prepared from Boc-Arg(NH2)-OH in seven steps via the
nitroarginine deriva. III (R8 = cyano, CO2H, CONHCH2CH2Ph). In the rat
model of FeCl3-induced platelet-dependent arterial thrombosis, II at 0.5
mg/kg i.v. bolus plus 100 mg/kg/min i.v. infusion reduced incidence of
occlusion (0/6 vs. 6/6 for control) and clot size (4.46 \pm 3.49 mg vs.
68.65 \pm 3.75 mg for control).

IT 187741-32-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antithrombotic sulfonylarginine-containing keto amide
peptides)
RN 187741-32-8 CAPLUS
CN 2-Pyrrolidinecarboxamide, 4-hydroxy-N-[4-[[imino(nitroamino)methylamino]-
1-(oxol(2-phenylethyl)amino)acetyl]butyl]-1-[4-(methylsulfonyl)-1-oxo-2-
[[phenylmethyl]sulfonyl]aminobutyl]- [2S-[1(R*),2(R*),4(R*),5(R*)]-
(9CI) (CA INDEX NAME)

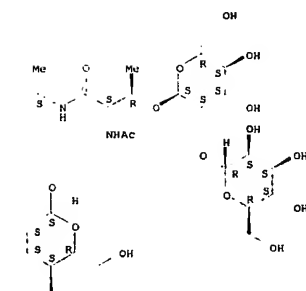
Absolute stereochemistry.



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PAGE 1-B

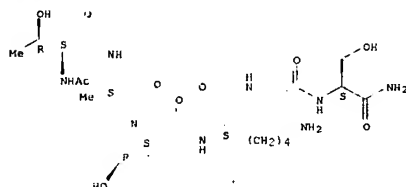


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HO

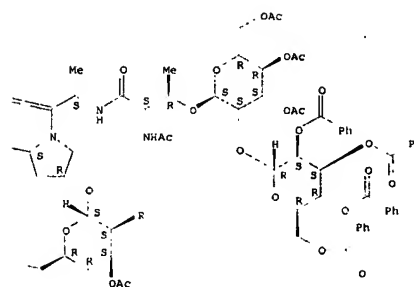
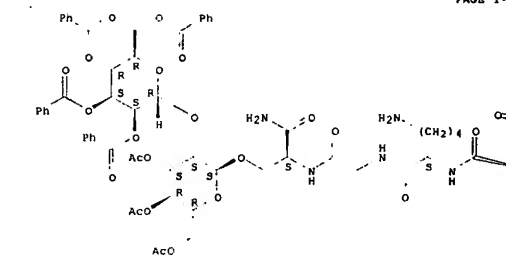
OH
IT 187097-72-9P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation, mol. dynamics calcns., and binding of high-mannose
triantennary glycopeptides to mannan-binding proteins)
RN 187097-72-9 CAPLUS
CN L-Serinamide, N-acetyl-L-threonyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-
lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

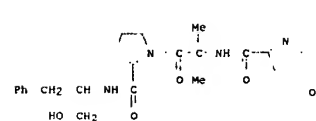
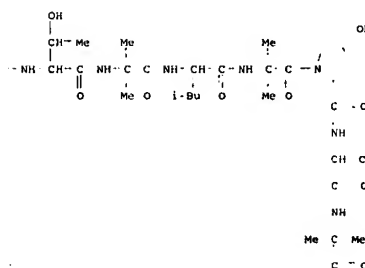
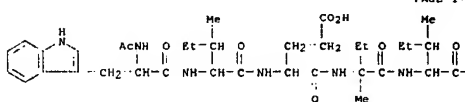
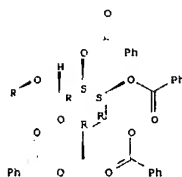


IT 187097-66-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reaction of reagent)
(preparation, mol. dynamics calcns., and binding of high-mannose
triantennary glycopeptides to mannan-binding proteins)
RN 187097-66-1 CAPLUS
CN L-Serinamide, N-acetyl-O-[3,4,6-tri-O-acetyl-2-O-(2,3,4,6-tetra-O-benzoyl-
α-D-mannopyranosyl)-α-D-mannopyranosyl]-L-threonyl-L-alanyl-
(4R)-4-[(1,4,6-tri-O-acetyl-2-O-(2,3,4,6-tetra-O-benzoyl-α-D-
mannopyranosyl)-α-D-mannopyranosyl)oxy]-L-prolyl-L-lysylglycyl-o-
[3,4,6-tri-O-acetyl-2-O-(2,3,4,6-tetra-O-benzoyl-α-D-mannopyranosyl)-
α-D-mannopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



(preparation of site-specific isotopically labeled zervamicins produced by
Emicellopsis salmosynnemata)
RN 79392-51-1 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-α-glutamyl-D-
isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-
(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-
prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA
INDEX NAME)



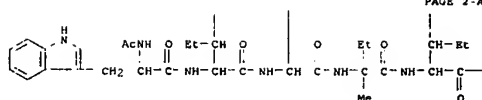
RN 79395-85-0 CAPLUS

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

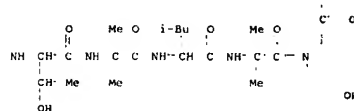
L6 ANSWER 296 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1997-49132 CAPLUS
DOCUMENT NUMBER: 126:168719
TITLE: Preparation of site-specific isotopically labeled
zervamicins, the antibiotic peptides produced by
Emicellopsis salmosynnemata
AUTHOR(S): Egorova-Zachernyuk, T. A.; Shvets, V. I.; Versluis,
K.; Heerma, W.; Creemers, A. F. L.; Nieuvenhuis, S. A.
M.; Lugtenburg, J.; Raap, J.
CORPORATE SOURCE: M. V. Lomonosov Moscow State Academy of Fine Chemical
Technology, Moscow, Russia
SOURCE: Journal of Peptide Science (1996), 2(6), 341-350
CODEN: JPSIEI; ISSN: 1075-2617
PUBLISHER: Wiley
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A simple procedure for the preparation of the specifically labeled peptide
antibiotic zervamicins IC, IIA, and IIB was developed. The zervamicin
mols. are labeled with stable isotopes by culturing the E. salmosynnemata
on a well-defined synthetic medium containing the highly isotopically enriched
amino acid. To obtain the peptide with specifically and highly enriched
amino acid residue, precautions were taken to prevent any de novo
biosynthesis of the particular amino acid from unlabeled precursors.
Enrichment of the labeled peptide is determined by mass spectrometric anal.
Following this method we incorporated [2',4',5',6',7'-2H5]-L-Trp-1,
[1',15N]-L-Trp-1, and [2',3',4',5',6'-2H5]-L-Phe-16 into zervamicins IC,
IIA and IIB on the preparative scale and without scrambling of the label.
Thus, using the procedures described, isotopically labeled zervamicins can
be prepared, allowing them to be studied by solid-state NMR.
IT 79392-51-1DP, Zervamicin IC, labeled 79395-85-0DP,
Zervamicin IIB, labeled 79395-86-1DP, Zervamicin IIA, labeled
187274-56-2P 187274-57-3P 187274-58-4P
RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP
(Preparation)

CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

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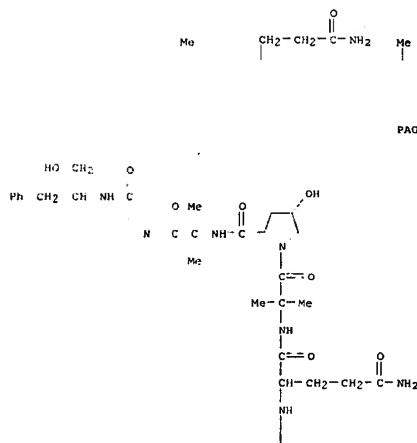


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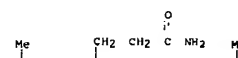


RN 79395-86-1 CAPLUS
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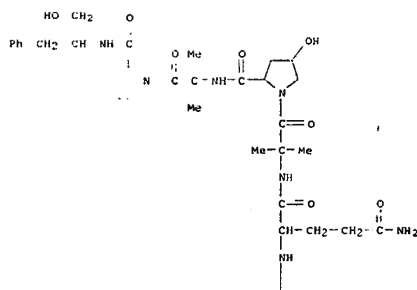
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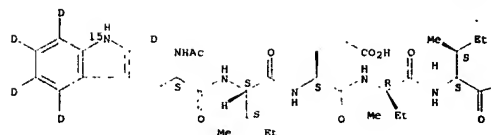
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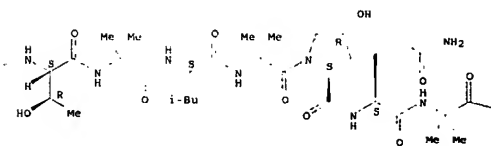
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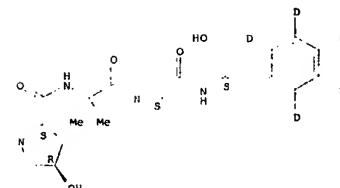
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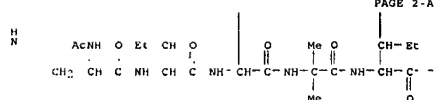
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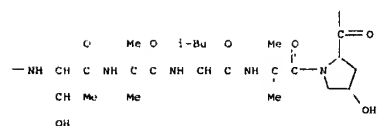
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PAGE 2-A



PAGE 2-B

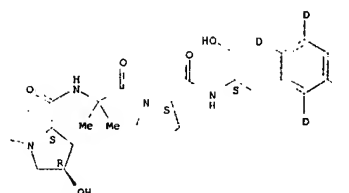
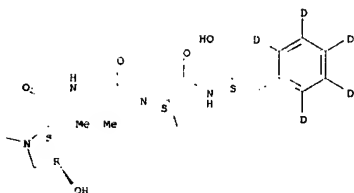
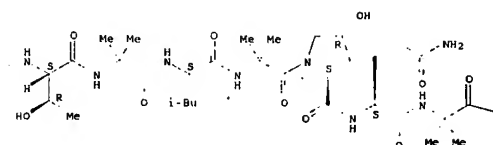
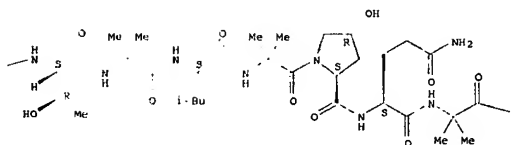
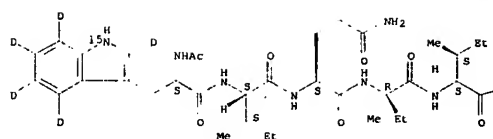
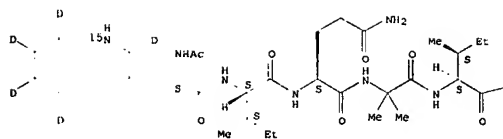


RN 187274-56-2 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-2,4,5,6,7-d5-1-15N-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-(phenyl-d5)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 187274-57-3 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-2,4,5,6,7-d5-1-15N-L-isoleucyl-L-glutamyl-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-(phenyl-d5)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 187274-58-4 CAPLUS
CN L Prolineamide, N-acetyl-L-tryptophyl-2,4,5,6,7-d5-1-15N-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-(phenyl-d5ethyl)] (9c1) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

16 ANSWER 297 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997.34715 CAPLUS
DOCUMENT NUMBER: 126.182612
TITLE: Identification of genes encoding A-lineage conotoxin peptides by PCR
INVENTOR(S): Olivera, Baldomero M.; Cruz, Lourdes J.; Hillyard, David R.; McIntosh, J. Michael; Santos, Ameurino D.

PATENT ASSIGNER(S): University of Utah Research Foundation, USA
SOURCE: U.S. 36 PP., Cont.-in-part of U.S. 5,514,774.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC NUM COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5593340	A	19961231	US 1995-477383	19950607
US 5432155	A	19950711	US 1993-84848	19930629
US 5514774	A	19960507	US 1993-137800	19931019
CA 2420184	A1	19950112	CA 1994-2420184	19940627
CA 2420184	C	20040921		
EP 1336617	A2	20030820	EP 2003-75795	19940627
EP 1336617	A3	20031210		
EP 1336617	B1	20041229		

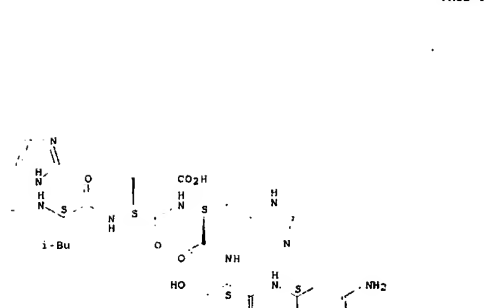
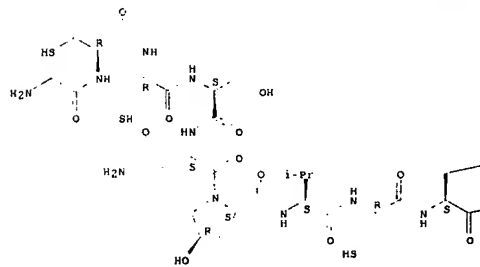
PRIORITY APPLN. INFO.:
R AT, BE, CH, DE, DF, FR, GB, IT, LI, LU, NL, SE
US 1993-84848 A2 19930629
US 1993-137800 A2 19931019
CA 1994-2165566 A3 19940627
EP 1994-920316 A3 19940627

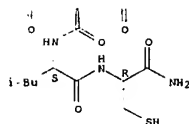
AB PCR primers for the identification of genes for A-lineage conotoxins are described. A-lineage conotoxin genes are very similar in the signal sequence and the 3'-untranslated region to the genes for α-conotoxins. The A-lineage conotoxins include the α-conotoxins, the α-conotoxin-like peptides and α-conotoxins. The α-conotoxin-peptides generally share a "core" sequence motif that is defined by the distribution of cysteines in the minimal biol. active peptide. A number of novel conotoxins and conotoxin-like peptides are identified. These novel conotoxins may be of therapeutic or investigative use, for example, against tumor cells presenting cholinergic receptors such as small cell lung cancer cells.

IT 186420-63-3
ML: BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); RLOL (Biological study); OCCU (Occurrence)
(amino acid sequence; process and primers for identifying nucleic acids encoding A-lineage conotoxin peptides)

RN 186420-63-3 CAPLUS
CN α Conotoxin M II (reduced), 6-[(4R)-4-hydroxy-L-proline]- (9C1) (CA INDEX NAME)

Absolute stereochemistry



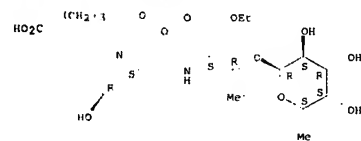


L6 ANSWER 298 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1997:26668 CAPLUS
 DOCUMENT NUMBER: 126:101528
 TITLE: Structural elucidation of XR586, a peptaibol-like antibiotic from *Acremonium persicinum*
 AUTHOR(S): Sharma, Gary J.; Try, Andrew C.; Williams, Dudley H.; Ainsworth, Martyn; Beneyto, Richard; Gibson, Trevor M.; McNicholas, Carole; Renno, Didier V.; Robinson, Neil; Wood, Keith A.; Wrigley, Stephen K.
 CORPORATE SOURCE: Cambridge Centre Mol. Recognition, Dep. Chem., Berks. SL1 4EP, UK
 SOURCE: Biochemical Journal (1996), 320(3), 723-728
 CODEN: BJJOAK; ISSN: 0264-6021
 PUBLISHER: Portland Press
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A novel peptide, XR586, has been isolated from ferns of *Acremonium persicinum* (Xenova culture collection number X21488). The structure of XR586 was elucidated by NMR spectroscopy, electrospray and fast-atom bombardment MS, derivatization, and enzymic digestion. It has been shown to be helical by CD measurements. XR586 shows many structural and conformational features in common with peptaibols, particularly the α -aminoisobutyric residues. Peptaibol antibiotics are peptides, typically of 15-20 residues, containing a large proportion of α -aminoisobutyric acid (Aib) residues. These peptides adopt a helical conformation in solution and display anti bacterial and toxic properties due to their ability to form pores in membranes. However, while XR586 contains several Aib residues, it lacks a terminal phenylalanine and terminates in the sequence Phe-Gly. The lack of reduction of the penultimate residue at the C-terminus may indicate that this step is normally at the end of the biosynthetic pathway of peptaibols and occurs with cleavage of Gly. The 1H chemical shift assignments of XR586 are reported in Supplementary Publication SUP 501179 (1 pages), which has been deposited at the British Library Document Supply Center.
 IT 185941-24-4-P, XR 586
 RL RPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
 (Structural elucidation of XR586, a peptaibol-like antibiotic from *Acremonium persicinum*)
 RN 185941-24-2 CAPLUS
 CN Glycine, N-acetyltryptophylisovalylglutamyl-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanylprolylglutamyl-2-methylalanyl-4-hydroxyprolylisovalylprolyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Currently available stereo shown.

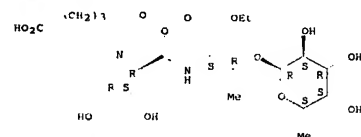
SOURCE: Bioorganic & Medicinal Chemistry Letters (1996), 6(22), 2755-2760
 CODEN: BMCLER; ISSN: 0960-894X
 PUBLISHER: Elsevier
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Several tetrapeptides and their liposome-like derivs. were prepared and tested as inhibitors of sialyl Lewis X binding to E-selectin. It has been found that trans-hydroxyproline (D or L) can be used to mimic the galactose residue of sialyl Lewis X, and the mimetic containing 5,4-dihydroxy-D-proline is the most active with IC50 value (50 μ M) 10 fold greater than sialyl Lewis X. Derivatization of a hydroxythreonine-containing fucodipeptides into a covalent liposome-like derivative, however, provides a mimetic with only IC50 approx. 30 μ M.
 IT 174271 76-6 178271-77-7
 RL BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (Preparation of liposome-like fucodipeptides as sialyl Lewis X mimetics)
 RN 178271 76-6 CAPLUS
 CN L-Threonine, 1-(4-carboxy-1-oxobutyl)-4-hydroxy-L-prolyl-O-(6-deoxy- α -L-galactopyranosyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



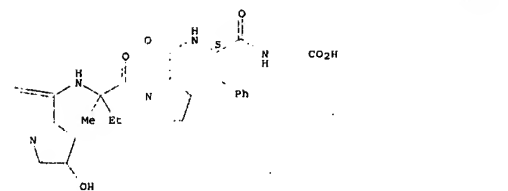
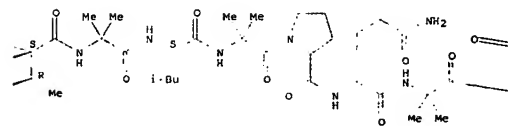
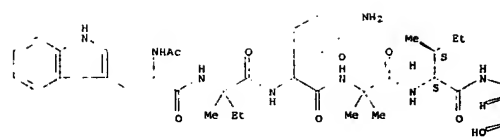
RN 178271 77-7 CAPLUS
 CN L-Threonine, (3S,4R)-1-(4-carboxy-1-oxobutyl)-3,4-dihydroxy-D-prolyl-O-(6-deoxy α -L-galactopyranosyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



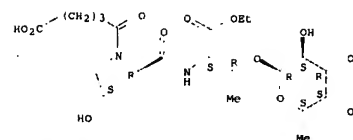
IT 184005 92-3P 184005-93-4P 184005-94-5P
 185753-11-1P
 RL BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (Preparation of liposome-like fucodipeptides as sialyl Lewis X mimetics)
 RN 184005 92-3 CAPLUS
 CN L-Threonine, 1-(4-carboxy-1-oxobutyl)-4-hydroxy-L-prolyl-O-(6-deoxy- α -L-galactopyranosyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



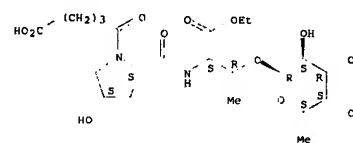
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L6 ANSWER 299 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1996:741612 CAPLUS
 DOCUMENT NUMBER: 126:89767
 TITLE: Liposome-like fucodipeptides as sialyl Lewis X mimetics
 AUTHOR(S): Lin, Chun-Cheng; Kimura, Teiji; Wu, Shih-Hsiung; Weitz-Schmidt, Gabriele; Wong, Chi-Huey
 CORPORATE SOURCE: Department Chemistry, Scripps Research Institute, La Jolla, CA, 92037, USA



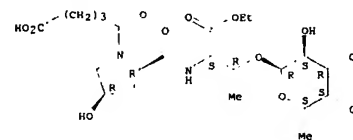
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Absolute stereochemistry.



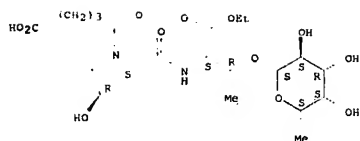
RN 184005-94-5 CAPLUS
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Absolute stereochemistry.



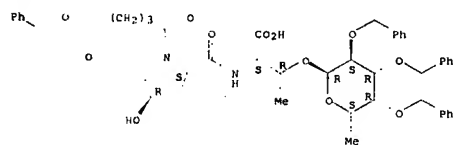
RN 185753-11-1 CAPLUS
 CN L-Threonine, 1-(4-carboxy-1-oxobutyl)-4-hydroxy-L-prolyl-O-(6-deoxy- α -L-galactopyranosyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



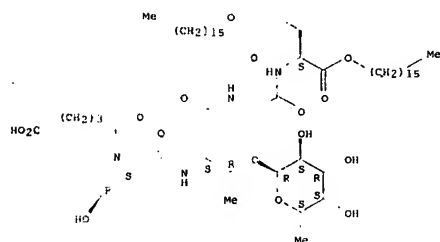
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 RL, RCT (Reactant); RACT (Reactant or reagent)
 (preparation of liposome-like fucoseptides as sialyl Lewis X mimetics)
 RN 185753-14-4 CAPLUS
 CN L-Threonine, 1-[(1,5-dioxo-5-(phenylmethoxy)pentyl)-4-hydroxy-L-prolyl-
 O-[6-deoxy-2,3,4-tris-O-(phenylmethyl)-α-L-galactopyranosyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

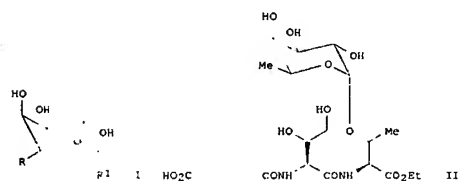


IT 184005-82-1P 185753-15-5P
 RL, SPN (Synthetic preparation); PREP (Preparation)
 (preparation of liposome-like fucoseptides as sialyl Lewis X mimetics)
 RN 184005-82-1 CAPLUS
 CN L-glutamic acid, (4R)-1-(4-carboxy-1-oxobutyl)-4-hydroxy-L-prolyl-O-(6-
 deoxy-α-L-galactopyranosyl)-L-threonylglycyl-, 4,4-dihexadecyl ester
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ES, FI, GH, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, ND, NZ, PL, PT, RO, RU, SD, SE, SG, SI
 RW, KE, LS, MH, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, CA, GN
 US 5599915 A 19970204 US 1995-407912 19950321
 US 5614615 A 19970325 US 1995-519203 19950825
 AU 5651474 A 19961008 AU 1996-51474 19960321
 EP 815127 A1 19980107 EP 1996-908106 19960321
 R, AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IS, SI
 BR 9607795 A 19980707 BR 1996-7795 19960321
 JP 11502216 T 19990223 JP 1996-528094 19960321
 FI 9703503 A 19971121 FI 1997-3503 19970826
 WO 9704328 A 19971027 WO 1997-4328 19970919
 US 5662660 A 19991005 US 1997-933775 19970919
 US 6110884 A 20000829 US 1998-88411 19980601
 PRIORITY APPL. INFO.:
 US 1995-407912 A 19950321
 US 1995-519203 A 19950825
 WO 1996-EP1244 W 19960321
 US 1997-933775 A2 19970919
 OTHER SOURCE(S) MARPAT 126:19337
 GI



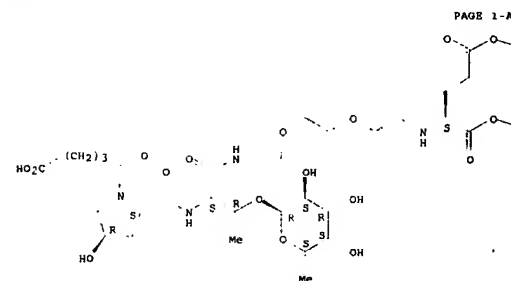
AB Fucoseptides I (R is Me, P1 is a peptidic residue or R1 is OH and R is a peptidic residue) have pharmacol. activity as sialyl Lewis X (SLeX) mimetics, e.g., in the prevention or treatment of disorders or diseases which are mediated by the binding of selectins in cellular adhesion. Thus, compound II, prepared from L-fucose, inhibits the SLeX-polymer/E-selectin binding interaction at an IC50 of 0.5 mM.

IT 184005-67-2P 184005-70-7P 184005-76-3P
 RL, RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of fucoseptides as sialyl Lewis X mimetics)
 RN 184005-67-2 CAPLUS
 CN L-Threonine, 1-[(1,4-dioxo-4-(phenylmethoxy)butyl)-4-hydroxy-L-prolyl-
 O-[6-deoxy-2,3,4-tris-O-(phenylmethyl)-α-L-galactopyranosyl]-
 2-propenyl ester (9CI) (CA INDEX NAME)

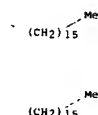
Absolute stereochemistry.

RN 185753-15-5 CAPLUS
 CN L-Threoninamide, (4R)-1-(4-carboxy-1-oxobutyl)-4-hydroxy-L-prolyl-O-(6-
 deoxy-α-L-galactopyranosyl)-N-[(10S)-10-[(hexadecyloxy)carbonyl]-13-
 oxo-3,6,14-trioxo-9-azatriacot-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A



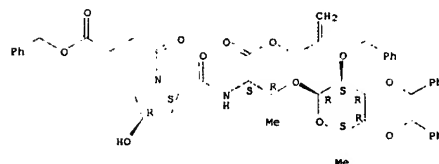
PAGE 1-B

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 300 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1996:738119 CAPLUS
 DOCUMENT NUMBER: 126:19337
 TITLE: Fucoseptides
 INVENTOR(S): Kajimoto, Tetsuya; Wong, Chi-huey
 PATENT ASSIGNEE(S): Sandoz Ltd., Swiss; Scripps Research Institute
 SOURCE: PCT Int. Appl., 57 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

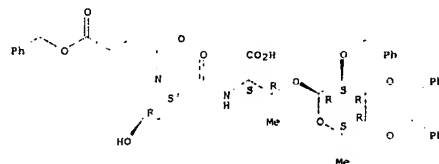
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629339	A1	19960926	WO 1996-EP1244	19960321

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,



RN 184005-70-7 CAPLUS
 CN L-Threonine, 1-[(1,4-dioxo-4-(phenylmethoxy)butyl)-4-hydroxy-L-prolyl-
 O-[6-deoxy-2,3,4-tris-O-(phenylmethyl)-α-L-galactopyranosyl]- (9CI)
 (CA INDEX NAME)

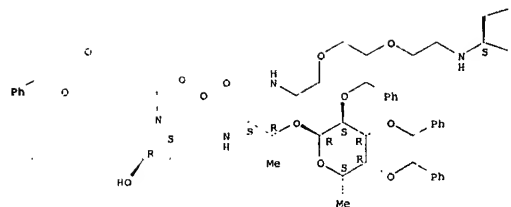
Absolute stereochemistry.



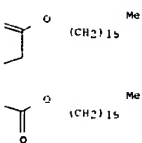
RN 184005-76-3 CAPLUS
 CN L-Threoninamide, (4R)-N-[(1,4-dioxo-4-(phenylmethoxy)butyl)-4-hydroxy-L-
 prolyl-O-[6-deoxy-2,3,4-tris-O-(phenylmethyl)-α-L-galactopyranosyl]-
 N-[(10S)-10-[(hexadecyloxy)carbonyl]-13-oxo-3,6,14-trioxo-9-azatriacot-1-
 yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

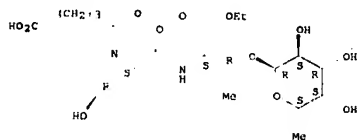


PAGE 1-B

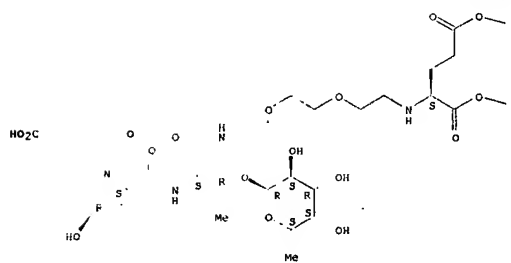


IT 178271-76-6DP, cation derivs. 178271-76-6P
178271-77-7DP, cation derivs. 178271-77-7P
184005-79-6P 184005-82-1P 184005-92-3P
184005-93-4DP, cation derivs. 184005-93-4P
184005-94-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
preparation of licopeptides as sialyl Lewis X mimetics
RN 178271-76-6 CAPLUS
CN L-Threonine, (4R)-1-(4-carboxy-1-oxobutyl)-4-hydroxy-L-prolyl-O-(6-deoxy-
-L-galactopyranosyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

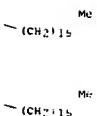
Absolute stereochemistry.



PAGE 1-A

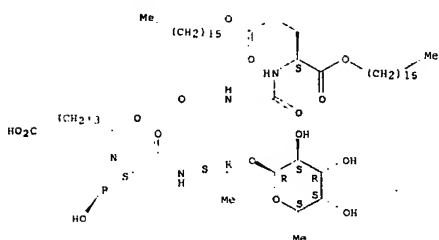


PAGE 1-B



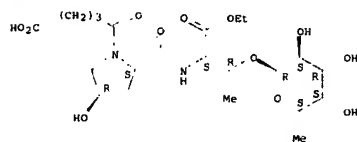
RN 184005-82-1 CAPLUS
CN L-glutamic acid, (4R)-1-(4-carboxy-1-oxobutyl)-4-hydroxy-L-prolyl-O-(6-deoxy-
-L-galactopyranosyl)-L-threonylglycyl-, 4,4-dihexadecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry



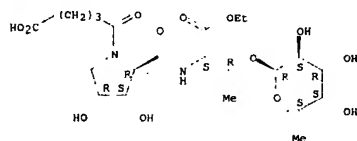
RN 178271-76-6 CAPLUS
CN L-Threonine, (4R)-1-(4-carboxy-1-oxobutyl)-4-hydroxy-L-prolyl-O-(6-deoxy-
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Absolute stereochemistry.



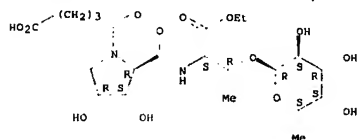
RN 178271-77-7 CAPLUS
CN L-Threonine, (3S,4R)-1-(4-carboxy-1-oxobutyl)-3,4-dihydroxy-D-prolyl-O-(6-deoxy-
-L-galactopyranosyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 178271-77-7 CAPLUS
CN L-Threonine, (3S,4R)-1-(4-carboxy-1-oxobutyl)-3,4-dihydroxy-D-prolyl-O-(6-deoxy-
-L-galactopyranosyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

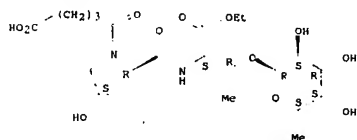


RN 184005-79-6 CAPLUS
CN L-Threoninamide, (4R)-N-(3-carboxy-1-oxopropyl)-4-hydroxy-L-prolyl-O-(6-deoxy-
-L-galactopyranosyl)-N-[(10S)-10-[(hexadecyloxy)carbonyl]-13-oxo-3,6,14-trioxo-9-azatriacanth-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

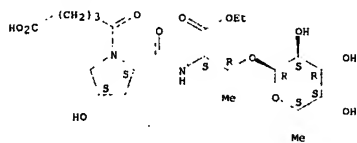
RN 184005-92-3 CAPLUS
CN L-Threonine, 1-(4-carboxy-1-oxobutyl)-(4S)-4-hydroxy-D-prolyl-O-(6-deoxy-
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Absolute stereochemistry.



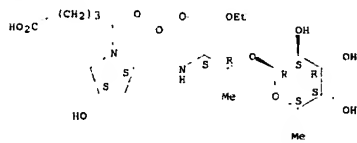
RN 184005-93-4 CAPLUS
CN L-Threonine, 1-(4-carboxy-1-oxobutyl)-(4S)-4-hydroxy-L-prolyl-O-(6-deoxy-
-L-galactopyranosyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



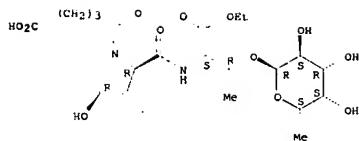
RN 184005-93-4 CAPLUS
CN L-Threonine, 1-(4-carboxy-1-oxobutyl)-(4S)-4-hydroxy-L-prolyl-O-(6-deoxy-
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Absolute stereochemistry.



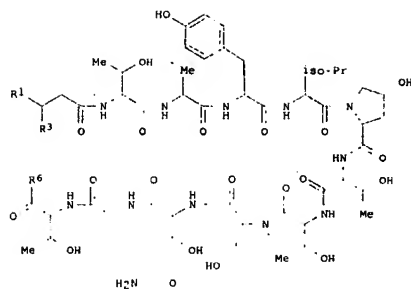
RN 184005-94-5 CAPLUS
CN L-Threonine, 1-(4-carboxy-1-oxobutyl)-(4R)-4-hydroxy-D-prolyl-O-(6-deoxy-
-L-galactopyranosyl)-, 2-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 301 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1996:733937 CAPLUS
 DOCUMENT NUMBER: 126:8709
 TITLE: Preparation of cyclic peptide nucleotides and derivatives thereof as antimicrobials and inhibitors of beta-1,3-glucan synthase
 INVENTOR(S): Hashimoto, Michizane; Shigematsu, Nobuharu; Hashimoto, Seiji
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 88 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

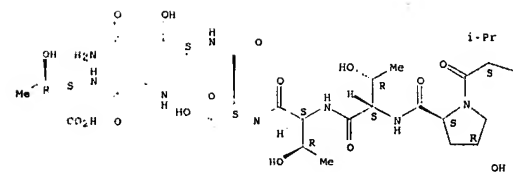
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630399	A1	19961003	WO 1996-JP774	19960326
W: CA, CN, JP, KR, MX, US				
EP 617796	A1	19980114	EP 1996-906942	19960326
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 11505208	T	19990518	JP 1996-529161	19960326
US 5952299	A	19990914	US 1997-913365	19970929
PRIORITY APPLN INFO:				
OTHER SOURCE(S): MARPAT 126:8709				
GI				



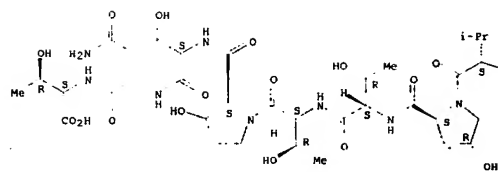
AB New peptide compds. of formula [I; R1 = alkyl or aralkyl; R2 = (un)protected HO or NH2; O2CCHR2NHRS; where R2 = (un)protected lower aminoalkyl; R5 = H or an amino protective group; R6 = OH or R4COCHR2NH; with proviso that when R3 is (un)substituted OH or NH2, R6 = HO2CCHR2NH; or R3 and R6 together form O2CCHR2NH or MHCOCHR2NH and pharmaceutically acceptable salts thereof, which are especially useful as fungicides (no data), are prepared. Thus, I [R1 = Me(CH2)12, R3 = (S)-O2CCH[(CH2)3NHBOC]NH2, R6 = OH] (preparation given) was treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and HOBT in DMF at room temperature of 2 h to give cyclopeptide I [R1 = Me(CH2)12, R3R6 = (S)-O2CCH[(CH2)3NHBOC]NH].
 IT 183809-03-2P
 RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 [preparation of cyclic peptides as antimicrobials and inhibitors of beta-1,3-glucan synthase]
 RN 183809-03-2 CAPLUS
 CN L-Threonine, L-threonyl-L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

PAGE 1-A

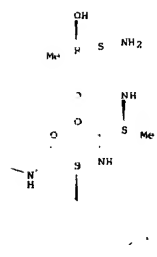
PAGE 1-A



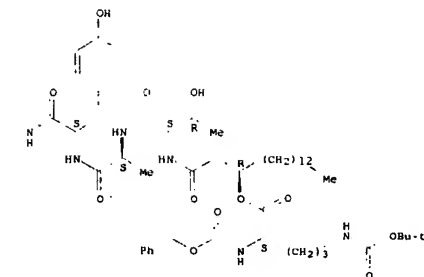
PAGE 1-B



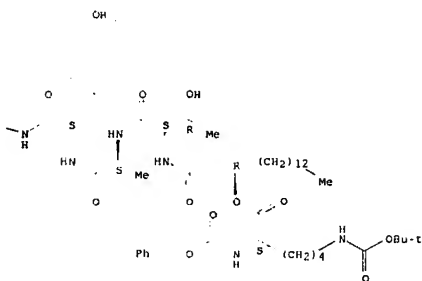
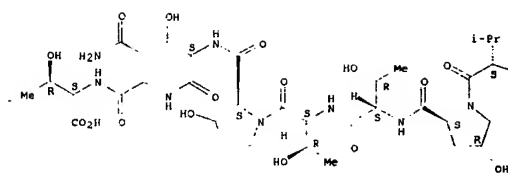
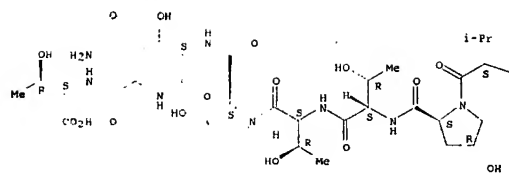
PAGE 1-B



IT 183809-06-5P 183809-07-6P 183809-08-7P
 183809-09-8P 183809-13-4P 183809-14-5P
 183809-15-6P 183809-16-7P
 RL RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 [preparation of cyclic peptides as antimicrobials and inhibitors of beta-1,3-glucan synthase]
 RN 183809-06-5 CAPLUS
 CN L-Threonine, N-[(3R)-3-[[[(2S)-5-[[[(1,1-dimethylethoxy)carbonyl]amino]-2-[[[(phenylmethoxy)carbonyl]amino]-1-oxopentyl]oxy]-1-oxohexadecyl]-L-threonyl-L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

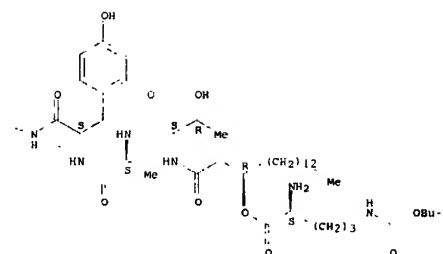


RN 183809-07-6 CAPLUS
 CN L-Threonine, N-[(3R)-3-[[[(2S)-6-[[[(1,1-dimethylethoxy)carbonyl]amino]-2-[[[(phenylmethoxy)carbonyl]amino]-1-oxohexyl]oxy]-1-oxohexadecyl]-L-threonyl-L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



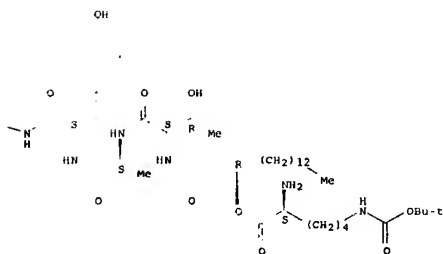
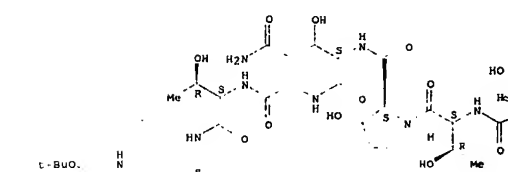
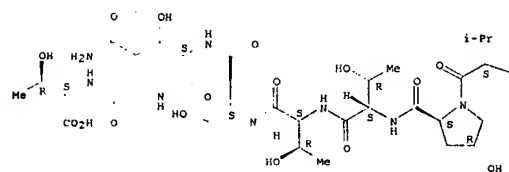
RN 183809 03-7 CAPLUS
 CN L-Threonine, N-[(3R)-3-[[[(2S)-2-amino-5-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopentyl]oxy]-1-oxohexadecyl]-L-threonyl-L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



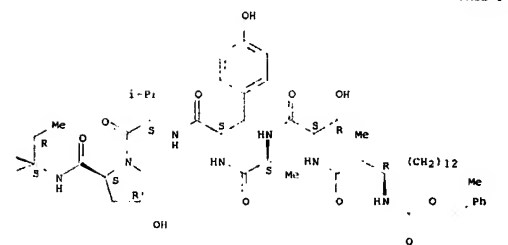
RN 183809-09-8 CAPLUS
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Absolute stereochemistry.



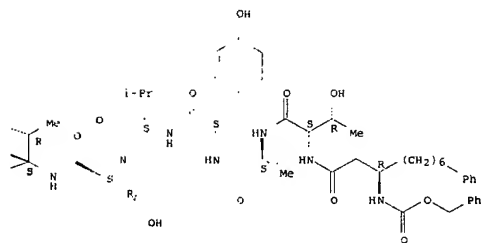
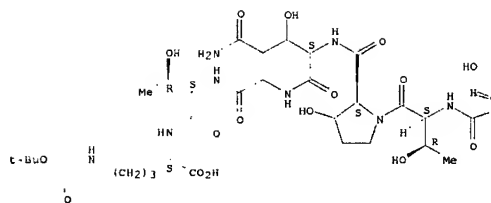
RN 183809 13-4 CAPLUS
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Absolute stereochemistry.



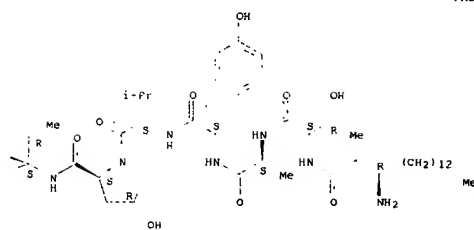
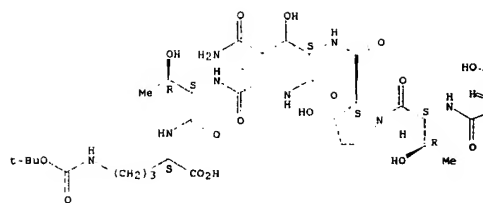
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 CN L-Ornithine, N-[(3R)-1-oxo-9-phenyl-3-[[[(phenylmethoxy)carbonyl]amino]nonyl]-L-threonyl-L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminylglycyl-L-threonyl-N5-[[[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



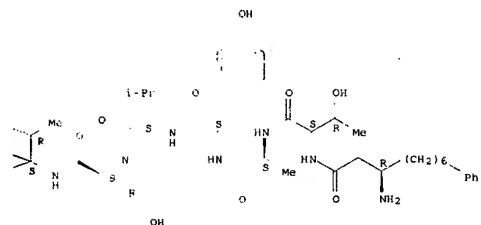
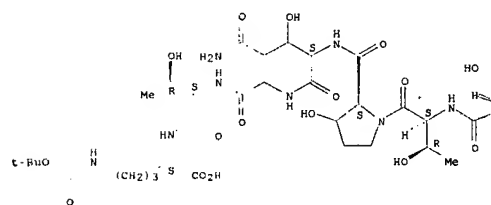
RN 183809-15-6 CAPLUS
 CN L-Ornithine, N-[(3R)-3-amino-1-oxohexadecyl]-L-threonyl-L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl-L-threonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



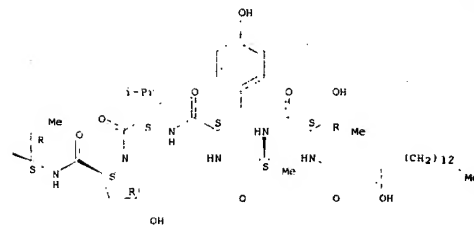
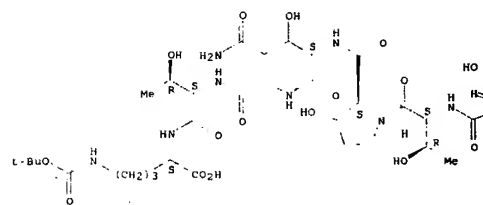
RN 183809-15-7 CAPLUS
 CN L-Ornithine, N-[(3R)-3-amino-1-oxo-9-phenylnonyl]-L-threonyl-L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl-L-threonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



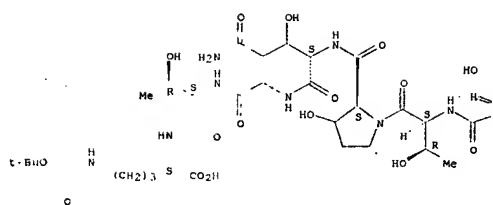
IT 183809-04-3P 183809-05-4P
 RL SPN (synthetic preparation), PREP (Preparation)
 (preparation of cyclic peptides as antimicrobials and inhibitors of
 beta-1,3-glucan synthase)
 RN 183809-04-3 CAPLUS
 CN L-Ornithine, N-(3-hydroxy-1-oxohexadecyl)-L-threonyl-L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl-L-threonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183809-05-4 CAPLUS
 CN L-Ornithine, L-threonyl-L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl-L-threonyl-N5-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

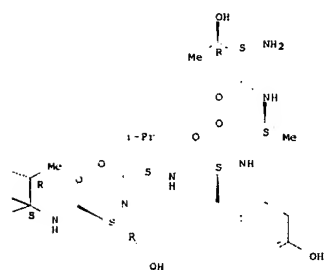
Absolute stereochemistry.



By performing ab initio calculations on fragments of LeuI-zervamicin, it is demonstrated that accurate wave functions can be obtained for this large structure by combining the results from the fragments. Input information consists of atomic coordinates as obtained, for example, from a crystal structure determination. The fragments are composed of a kernel of atoms surrounded by a chosen neighborhood of atoms. The entire mol. is divided into individual fragments and the neighborhood is determined for each of the individual fragment calcs. on the basis of the distance of other atoms from the atoms in a kernel. The hydrated LeuI-zervamicin is composed of 295 atoms which could be handled in full by Gaussian 94. The results of the fragment calcs. were combined to provide an electron d. distribution for the mol. This distribution was compared with one that represents the distribution obtained from a calcn. on the entire mol. at once. The clear implication of our results is that it is feasible to perform ab initio calcs. on structures by the use of fragments. The time involved increases essentially linearly with complexity.

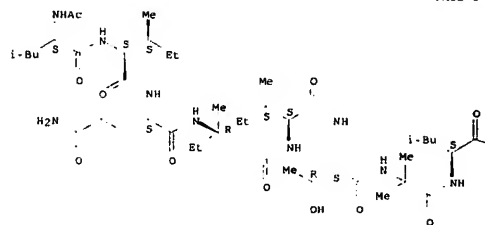
IT	135995-68-5	essentially linearly with complexity.
	RL: PRP (Properties)	
		(hydrated; kernel projector matrixes for MO calcs. of
		leucine-zarvacman)
RN	135995-68-5	CAPLUS
CN		L-Prolinamide, N-acetyl-L-leucyl-L-isoleucyl-L-glutamyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-L-prolyl-L-glutamyl-2-methylalanyl-L-(4R)-4-hydroxy-L-prolinemethylalanyl N [(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9 NAMR)

Absolute stereochemistry.



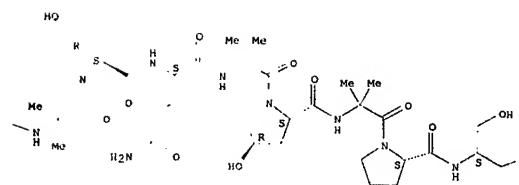
LE ANSWER 302 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER 1996-731258 CAPLUS
 DOCUMENT NUMBER 126, 157685
 Kermuel projector matrices for Leul-servanicin
 AUTHOR(S) Huang, L.; Massa, L.; Karle, J.
 CORPORATE SOURCE Lab. Structure Theory, Naval Res. Lab., Washington, DC 20375-5341, USA
 SOURCE International Journal of Quantum Chemistry (1996),
 60/7, Proceedings of the International Symposium on
 Atomic, Molecular, and Condensed Matter Theory and
 Computational Methods (1996) 479-488

PAGE 1-A

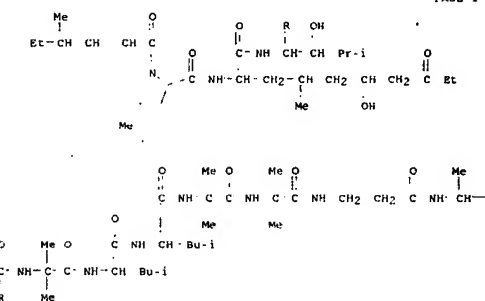


action against cell-surface expression of virus glycoproteins was independent of the depletion of intracellular ATP. LSA also acts as an ionophore, but its action on intoxication by ricin and diphtheria toxin was different from that of monensin. This novel action of LSA is expected to be useful in investigation of the mechanism of intracellular trafficking of proteins.

IT	<p>76600-38-9, leucinoastatin A</p> <p>AL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)</p> <p>(leucinoastatin A blockade of cell-surface expression of virus glycoproteins)</p>
RN	76600-38-9 CAPLUS
CN	Leucinoastatin A (9CI) (CA INDEX NAME)



PAGE 1-A



PAGE 1-B

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L6 ANSWER 103 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:730708 CAPLUS
DOCUMENT NUMBER: 126:54481
TITLE: Novel blockade of cell-surface expression of virus glycoproteins by leucostatin A
AUTHOR(S): Muroi, Makoto; Suehara, Kazumi; Wakusawa, Hiroshi; Suzuki, Kenichi; Sato, Tsutomu; Nishimura, Toshio; Otake, Momoru; Takatsuki, Akira
CORPORATE SOURCE: Animal Cellular Systems Lab., Inst. Physical Chem. Research (RIKEN), Saitama, 351-01, Japan
SOURCE: Journal of Antibiotics (1996), 49(11), 1119-1126
CODEN: JANTAJ; ISSN: 0921-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The nonapeptide leucostatin A (LSA) inhibited syncytium formation of sheep profoundly antigen-negative HN glycoprotein synthesis in Newcastle disease virus (NDV)-infected BHK cells. At similar doses of LSA, cytopathic effect and infectious virus production were suppressed in vesicular stomatitis virus (VSV)-infected BHK cells. Blockade by LSA of cell surface expression of NDV-HN and VSV-G glycoproteins was demonstrated, accompanied by intracellular accumulation of these virus glycoproteins. LSA acted as an inhibitor of mitochondrial F-type H+-translocating ATPase, a key enzyme in the generation of ATP, but its

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 $\text{CH}_2 - \text{NMe}_2$

L6 ANSWER 304 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629343	A1	19960926	WO 969-JP666	19960315
W: CA, JP, US				
RM, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 190613	A1	19960926	CA 1996-2190633	19960315
EP 770623	A1	19970502	EP 1996-906038	19960315
R, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 3821489	B2	20060931	JP 1996-528280	19960315
US 5977248	A	19991102	US 1997-934741	19970922
PRIORITY APPLN INFO.:			JP 1995-61026	A 19970320
			WO 1996-JP666	W 19960315
OTHER SOURCE(S):		MARPAT 126:8719		
01				

PAGE 1-A

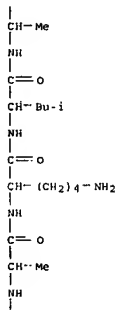
GI

$$\text{Z}-(\text{X})_m-\text{Asp}-(\text{Trp})_n-\text{Y} \quad \text{I}$$

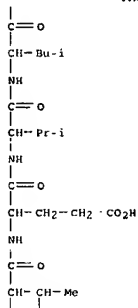
AB Novel calcitonin derivatives represented by general formula (I): Z = Gly or Cys; Xs are the same or different and each represents an α -amino acid residue; Y = natural calcitonin, a natural calcitonin partial peptide or a natural calcitonin-analogous peptide residue; m = an integer of 5-8; n = an integer of 0-3, provided that when m = 5, then the sequence of four residues on the C-terminal side of Xim differs from the sequence of four residues on the C-terminal side of natural calcitonin; or pharmaco, acceptable salts thereof are prepared. These peptides possess anal. activity and/or stability against enzyme hydrolysis superior to that of calcitonin, calcitonin partial peptide, or analogs thereof. Thus, Fmoc-Pro OH was condensed with a MBHA resin using PyBOP, HOBT, and N-methylmorpholine in DMF to give Fmoc-Pro-MBHA resin, to which were sequentially condensed N-Fmoc-amino acids, e.g. Fmoc-Thr(Tbu)-OH, Fmoc-Gly(OH)-OH, Fmoc-Ser(Tbu)-OH to give Fmoc-Thr(Tbu)-Gly(Tbu)-Ser(Tbu)-MBHA resin, and then Fmoc-Ser(Tbu)-Gly(Tbu)-Ser(Tbu)-Gly(Tbu)-Leu(Tbu)-Leu(Tbu)-His(Tbu)-Leu(Tbu)-Gln(Tbu)-Thr(Tbu)-Tyr(Tbu)-Pro-Arg(Mc)-Thr(Tbu)-Asn(Tbu)-Phe(Tbu)-Gly-Ser(Tbu)-Gly-Thr(Tbu)-Pro-MBHA resin. The latter resin-bound peptide was condensed with a cyclic peptide (II; R = OH) (preparation given) followed by deprotection and resin cleavage to give the title peptide resin II (R = Leu-Gly-Gly-Leu-Ser-Gln-Gly-Leu-His-Leu-Gln-Thr-Tyr-Pro-Arg-Thr-Asn-Thr-Tyr-Gly-Thr-Phe-NH₂), which at pH 7.4 in vitro inhibited 61% bone absorption in culture of osteoclast-like multinucleated cell on a piece of ivory.

IT 183723-02-6P 183723-21-9P
 KLT. BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); B10L (Biological study); PREP (Preparation); USES (Uses)
 (preparation of cyclopeptides as calcitonin analogs for bone absorption inhibitors)

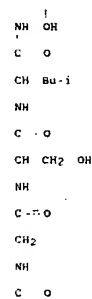
PAGE 2-B



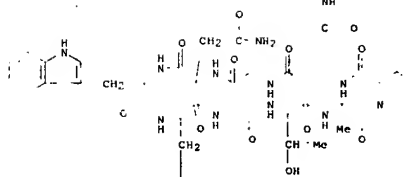
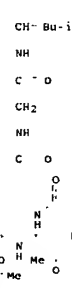
PAGE 3 - B



PAGE 4-B

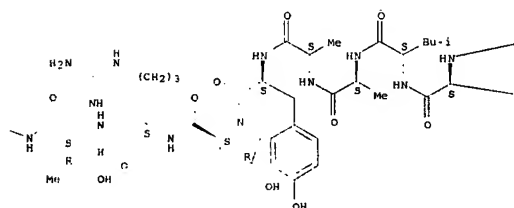
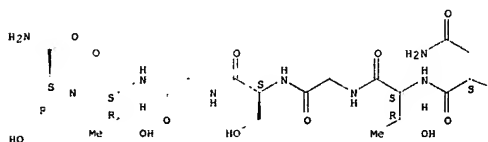


PAGE 5-B



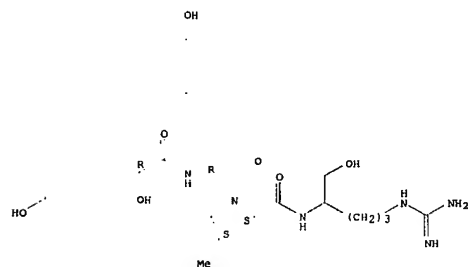
RN 183723-21-9 CAPLUS
CN L-Prolinamide, glycyl-L-leucylglycyl-L-eryl-L-leucyl-L-threonyl-L-
glutanyl-L-valyl-L-leucyl-L-alanyl-L-lysyl-L-leucyl-L-alanyl-L-
tyrosyl-(4R)-4-hydroxy-L-prolyl-L-arginyl-L-threonyl-L-asparagyl-L-
threonylglycyl-L-erylglycyl-L-threonyl-4-hydroxy-, (4R)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



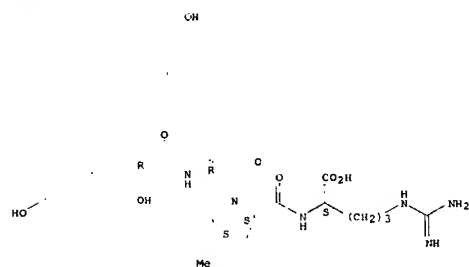
(production of peptide compds. by cyanobacteria Nodularia spumigena)
RN 144682-39-0 CAPLUS
CN 2 Pyridinedicarboxamide, N-[4-[(aminomethylamino)-1-
(hydroxymethyl)butyl]-1-[(2R)-2-[(2R)-2-hydroxy-3-(4-hydroxyphenyl)-1-
oxopropyl]amino]-4-(4-hydroxyphenyl)-1-oxobutyl]-4-methyl-, (2S,4S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

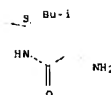
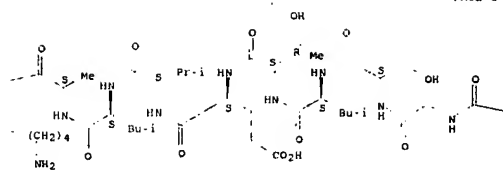


RN 144682-39-1 CAPLUS
CN L-Arginine, (4R)-4,4-dihydroxybenzenepropanoyl-(4R)-
4-amino-4-hydroxybenzenebutanoyl-(4S)-4-methyl-L-prolyl- (9CI) (CA
INDEX NAME)

Absolute stereochemistry

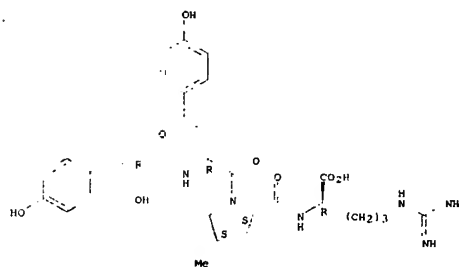


RN 144682-40-4 CAPLUS
CN D-Arginine, (4R)-4,4-dihydroxybenzenepropanoyl-(4R)-
4-amino-4-hydroxybenzenebutanoyl-(4S)-4-methyl-L-prolyl- (9CI) (CA
INDEX NAME)



L6 ANSWER 305 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1996:703695 CAPLUS
DOCUMENT NUMBER: 126:28921
TITLE: Studies on production of peptide compounds by
cyanobacteria (IV) nodularia spumigena-
Fujii, Kiyonaga; Harada, Ken-ichi; Suzuki, Makoto;
Adachi, Kyoko; Sano, Hiroshi; Noguchi, Kazuyoshi;
Hirayama, Kazuo; Sivonen, Kaarina
CORPORATE SOURCE: Faculty Pharmacy, Meijo University, Japan
SOURCE: Tennen Yuki Kagobutai Toronkai Koen Yoshishu (1996),
38th, 277-282
CODEN: TYKYDS
PUBLISHER: Nippon Kagakka
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Peptides are isolated from (nontoxic cyanobacteria, Nodularia spumigena) A and B, and spumigena (i.e., spumigena A, B1, B2, and C) are isolated from toxic cyanobacteria Nodularia spumigena along with nodularins. Spumigen HKV was isolated from nontoxic cyanobacteria N. spumigena HKV. The structures of these peptides are elucidated. The structures of nodularins are similar to that of anabenaopeptides, and production of these peptides is characteristic of the toxic cyanobacteria.
IT 184682-39-0P, Spumigen A 184682-39-1P, Spumigen B 1
184682-40-4P, Spumigen B 2
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)

Absolute stereochemistry.



L6 ANSWER 306 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1996:610333 CAPLUS
DOCUMENT NUMBER: 125:293039
TITLE: Delayed treatment method of reducing ischemia-related neuronal damage
INVENTOR(S): Miljanich, George P.; Bowersox, Stephen S.; Fox, James A.; Valentino, Karen L.; Bitner, Robert S.; Yamashiro, Donald W.
PATENT ASSIGNEE(S): Neurex Corporation, USA
SOURCE: U.S., 47 pp., Cont.-in-part of U.S. 5,189,020.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5559095	A	19960924	US 1991-789913	19911112
US 5051403	A	19910924	US 1989-440094	19891122
US 5189020	A	19930223	US 1990-561766	19900802
WO 9310145	A1	19930527	WO 1992-US9766	19921112
W: AU, CA, HU, JP, KR, NO, RU, US				
RU, AT, BE, CH, DE, DK, ES, FR, GB				
AU 9210745	A	19930615	AU 1992-10745	19921112
PRIORITY APPLN. INFO.:				
			US 1989-440094	A2 19891122
			US 1990-561766	A2 19900802
			US 1991-789913	A2 19911112
			US 1992-916478	A2 19920717
			WO 1992-US9766	A 19921112

AB A method and compna. for reducing neuronal damage related to an ischemic condition in a mammalian subject are described. The method includes administration of a voltage-gated calcium channel-blocking compound to the subject, 4-24 h after the onset of the ischemic condition. Such a calcium channel blocking compound is effective to block norepinephrine release in mammalian CNS neuronal cells and is characterized by specific, high affinity binding to omega-conotoxin MV1A binding sites. Also disclosed are novel peptide structures useful in the treatment method of the invention. Thus, brain ischemia was induced in a gerbil model by occlusion of carotid arteries for 8 min; an omega-conotoxin peptide was

administered i.c.v. during the occlusion period or 1 h following occlusion. Four to five days after occlusion and peptide treatment, the hippocampal CA1 region of the brain was examined histol. Treatment 1 h postischemia with the omega-conotoxin peptide SNX-111 0.1 or 0.3 µg reduced hippocampal damage to 30 and 23%, resp., of that seen in vehicle-treated controls.

IT 182804-17-7P 182804-19-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(delayed treatment method of reducing ischemia-related neuronal damage with omega-conotoxin-related peptides)

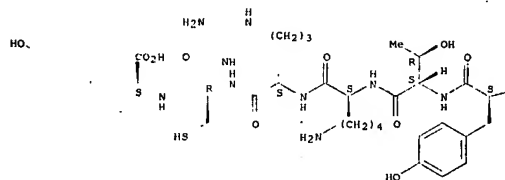
RN 182804-17-7 CAPLUS

CN ω-Conotoxin G VIA (reduced), 27-L-tyrosine- (9CI) (CA INDEX NAME)

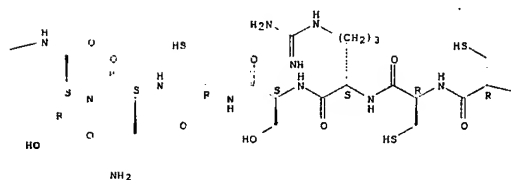
PAGE 1-C

Absolute stereochemistry.

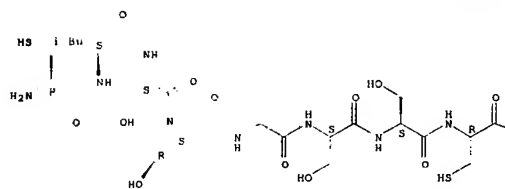
PAGE 1-A



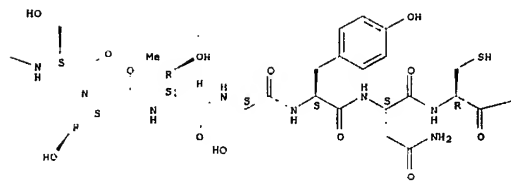
PAGE 1-B



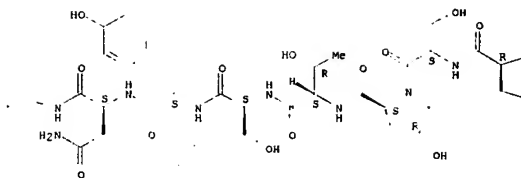
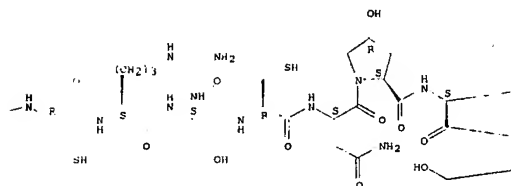
PAGE 1-A



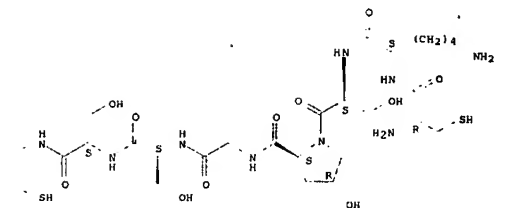
PAGE 1-B



PAGE 1-C



PAGE 1-D

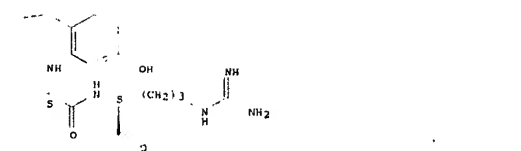


RN 182804-19-9 CAPLUS

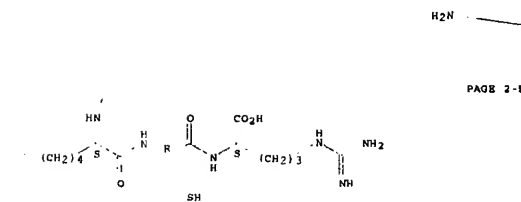
CN L-Arginine, L-cysteinyl-L-leucyl-L-seryl-trans-4-hydroxy-L-prolylglycyl-L-seryl-L-seryl-L-cysteinyl-L-seryl-trans-4-hydroxy-L-prolyl-L-threonyl-L-seryl-L-tyrosyl-L-asparaginyl-L-cysteinyl-L-cysteinyl-L-arginyl-L-seryl-L-cysteinyl-L-asparaginyl-trans-4-hydroxy-L-prolyl-L-tyrosyl-L-seryl-L-arginyl-L-lysyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-D

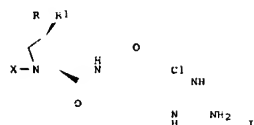


PAGE 2-C



PAGE 2-D

LA ANSWER 307 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER 1996-604560 CAPLUS
 DOCUMENT NUMBER 125.301564
 TITLE: O-benzyl hydroxyproline as a bioisostere for Phe-Pro: Novel dipeptide thrombin inhibitors
 AUTHOR(S): Klein, Scott I.; Denor, Jeffrey M.; Molino, Bruce F.; Gardner, Charles J.; D'Alisa, Rose; Dunwiddie, Christopher T.; Kasiewicz, Charles; Leadley, Robert J.
 CORPORATE SOURCE: Department Medicinal Chemistry, Rhone-Poulenc Rorer, Collegeville, PA, 19426, USA
 SOURCE: Bioorganic & Medicinal Chemistry Letters (1996),



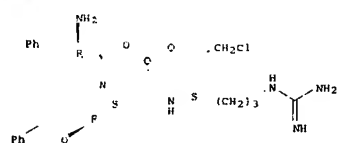
AB A series of thrombin inhibitors I [X = H-D-Phe, Ph(CH₂)₂CO, Ac, Et, MeSO₂; R = H, PhCH₂O, cyclohexylmethoxy, BuO, Ph(CH₂)₃O, Ph₂CH(CH₂)₂O; R₁ = H, OCH₂Ph], based on the known inhibitor PPACK (I; X = H-D-Phe, R = R₁ = H) in which the D-Phe-Pro dipeptide has been replaced, were prepared and tested. I [X = Ac, R = PhCH₂O, R₁ = H] is a more potent inhibitor of thrombin, and is more selective, than PPACK itself.

IT 182964-63-2P 182964-64-3P 182964-65-4P
 182964-66-5P 182964-67-6P 182964-70-1P
 182964-72-3P 182964-73-4P 182964-74-5P
 182964-75-6P 183075-42-5P 183075-43-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PRP (Preparation)

(preparation of novel dipeptide thrombin inhibitors containing benzylproline isosteres)

RN 182964-63-2 CAPLUS
 CN L-Prolinamide, D-phenylalanyl-N-[4-[(aminomethyl)amino]-1-(chloroacetyl)butyl]-4-(phenylmethoxy)-, [2S-[2α(R*),4β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

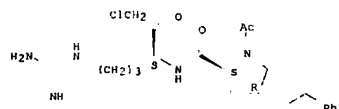


RN 182964-64-3 CAPLUS
 CN 2-Pyrrolidinecarboxamide, N-[4-[(aminomethyl)amino]-1-(chloroacetyl)butyl]-1-(1-oxo-3-phenylpropyl)-4-(phenylmethoxy)-, [2S-[2α(R*),4β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

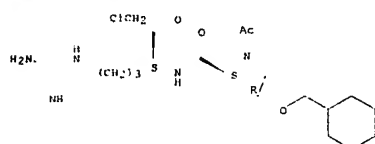
(9CI) (CA INDEX NAME)

Absolute stereochemistry



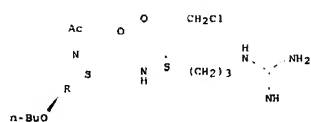
RN 182964-72-1 CAPLUS
 CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[4-[(aminomethyl)amino]-1-(chloroacetyl)butyl]-4-(cyclohexylmethoxy)-, [2S-[2α(R*),4β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



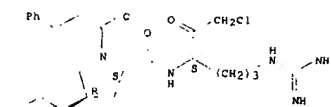
RN 182964-73-4 CAPLUS
 CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[4-[(aminomethyl)amino]-1-(chloroacetyl)butyl]-4-butoxy-, [2S-[2α(R*),4β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry



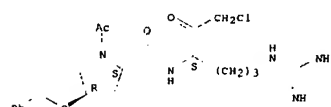
RN 182964-74-5 CAPLUS
 CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[4-[(aminomethyl)amino]-1-(chloroacetyl)butyl]-4-(3-phenylpropoxy)-, [2S-[2α(R*),4β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



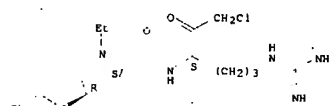
RN 182964-65-4 CAPLUS
 CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[4-[(aminomethyl)amino]-1-(chloroacetyl)butyl]-4-(phenylmethoxy)-, [2S-[2α(R*),4β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



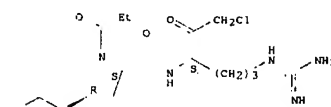
RN 182964-66-5 CAPLUS
 CN 2-Pyrrolidinecarboxamide, N-[4-[(aminomethyl)amino]-1-(chloroacetyl)butyl]-1-ethyl-4-(phenylmethoxy)-, [2S-[2α(R*),4β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

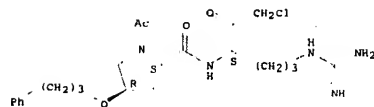


RN 182964-67-6 CAPLUS
 CN 2-Pyrrolidinecarboxamide, N-[4-[(aminomethyl)amino]-1-(chloroacetyl)butyl]-1-(1-oxopropyl)-4-(phenylmethoxy)-, [2S-[2α(R*),4β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

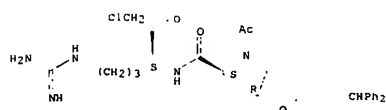


RN 182964-70-1 CAPLUS
 CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[4-[(aminomethyl)amino]-1-(chloroacetyl)butyl]-4-(2-phenylethyl)-, [2S-[2α(R*),4β]]-



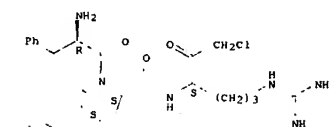
RN 182964-75-6 CAPLUS
 CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[4-[(aminomethyl)amino]-1-(chloroacetyl)butyl]-4-(3,3-diphenylpropoxy)-, [2S-[2α(R*),4β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



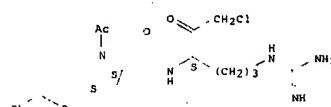
RN 183075-42-5 CAPLUS
 CN L-Prolinamide, D-phenylalanyl-N-[4-[(aminomethyl)amino]-1-(chloroacetyl)butyl]-4-(phenylmethoxy)-, [2α(R*),4α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183075-43-6 CAPLUS
 CN 2-Pyrrolidinecarboxamide, 1-acetyl-N-[4-[(aminomethyl)amino]-1-(chloroacetyl)butyl]-4-(phenylmethoxy)-, [2S-[2α(R*),4α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

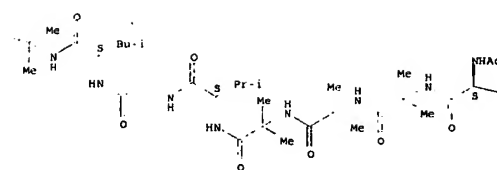
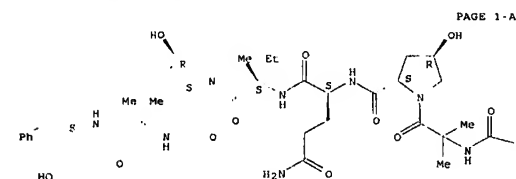


L6 ANSWER 309 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1996:542184 CAPLUS
 DOCUMENT NUMBER: 125:216505
 TITLE: Isolation and structure of bergofungin, a new antifungal peptaibol from *Emicellipsia donezkii* HK1 0059
 AUTHOR(S): Berg, A.; Rigzua, M.; Ihn, W.; Schlegel, B.; Fleck, W.; Heinze, S.; Graefe, U.; Hans-Knoell-Inst. Natural Product Res. e.v., Buelenbergstr., D-07745, Germany
 CORPORATE SOURCE: Journal of Antibiotics (1996), 49(8), 817-820
 SOURCE: CODEN: JANTAJ; ISSN: 0021-8820
 PUBLISHER: Japan Antibiotics Research Association
 DOCUMENT TYPE: Journal
 LANGUAGE: English

PAGE 1-B

AB Bergofungin was isolated from the mycelium and culture filtrate of *Emicellipsia donezkii* by extraction with Et acetate followed by a series of chromatog. procedures. The structure of bergofungin was determined by established physicochem. procedures. Amino acid anal. revealed the presence of L-valine, L-leucine, α -aminoisobutyric acid, glycine, 4-hydroxy-L-proline, and L-glutamine; the mol. formula was C₇₃H₁₂₀N₁₆O₁₉.
 IT 161478-82-0, Bergofungin
 RL: PPP (Properties)
 (Isolation and structure of bergofungin, new antifungal peptaibol from *Emicellipsia donezkii* HK1 0059)
 RN 161478-82 0 CAPLUS
 CN bergofungin A (9C1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

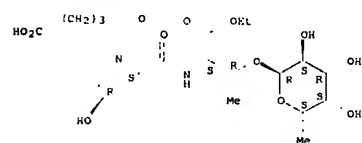


PAGE 1-C

L6 ANSWER 309 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1996:393989 CAPLUS
 DOCUMENT NUMBER: 125:87067
 TITLE: Synthesis of Sialyl Lewis X Mimetics and Related Structures Using the Glycosyl Phosphite Methodology and Evaluation of E-selectin Inhibition
 AUTHOR(S): Lin, Chun-Cheng; Shimazaki, Makoto; Heck, Marie-Pierre; Aoki, Shin; Wang, Ruoy; Kimura, Teiji; Ritszen, Helena; Takayama, Shuichi; Wu, Shih-Hsiung; et al.
 CORPORATE SOURCE: Department of Chemistry, Scripps Research Institute, La Jolla, CA, 92037, USA
 SOURCE: Journal of the American Chemical Society (1996), 118(29), 6826-6840
 CODEN: JACSAT; ISSN: 0002-7863
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB This paper describes our recent study of glycosyl phosphites for glycosylation reactions, with particular emphasis on the investigation of protecting group and stereochem. effects on the anomeric reactivity and

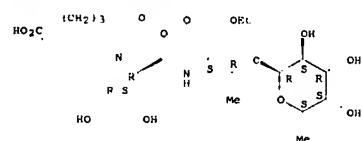
stereoselectivity, and the application of this methodol. to the synthesis of Lewis X (Lex), Lewis X mimetics, and sialyl Lewis X (Slex) mimetics. Both α -D-fucosyl-L-threonine and α -D-fucosyl-(1R,2R)-2-aminocyclohexanol were found to be effective templates for the chemical/enzymic synthesis of Slex mimetics, and some fucoseptides prepared were 5-10 times more active than Slex as inhibitors of E-selectin.
 IT 178271-76 6; 178271-77-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (synthesis of sialyl Lewis X mimetics and related structures using the glycosyl phosphite methodol. and evaluation of E-selectin inhibition)
 RN 178271-76 6 CAPLUS
 CN L-Threonine, (4R)-1-(4-carboxy-1-oxobutyl)-4-hydroxy-L-prolyl-O-(6-deoxy- α -L-galactopyranosyl)-, 2-ethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry



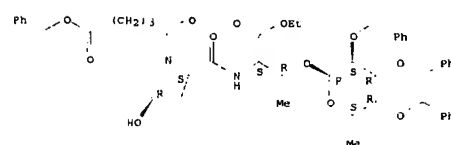
RN 178271-77 7 CAPLUS
 CN L-Threonine, (3S,4R)-1-(4-carboxy-1-oxobutyl)-3,4-dihydroxy-D-prolyl-O-(6-deoxy- α -L-galactopyranosyl)-, 2-ethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry



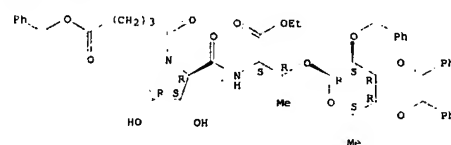
IT 178271-95-9P 178271-97-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of sialyl Lewis X mimetics and related structures using the glycosyl phosphite methodol. and evaluation of E-selectin inhibition)
 RN 178271-95-9 CAPLUS
 CN L-Threonine, (4R)-1-(1,5-dioxo-5-(phenylmethoxy)pentyl)-4-hydroxy-L-prolyl-O-(6-deoxy-2,3,4-tris-O-(phenylmethyl)- α -L-galactopyranosyl)-, ethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.



RN 178271-97-1 CAPLUS
 CN L-Threonine, (3S,4R)-1-(4-carboxy-1-oxobutyl)-3,4-dihydroxy-D-prolyl-O-(6-deoxy-2,3,4-tris-O-(phenylmethyl)- α -L-galactopyranosyl)-, ethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 310 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1996:333071 CAPLUS
 DOCUMENT NUMBER: 125:28184
 TITLE: Conotoxin peptides
 INVENTOR(S): Olivera, Baldoemar M.; Cruz, Lourdes J.; Hillyard, David R.; McIntosh, J. Michael; Santos, Amerfina D.
 PATENT ASSIGNOR(S): University of Utah Research Foundation, USA
 SOURCE: U.S., 32 pp., Cont in-part of U.S. 5, 432, 155.
 CODEN: USXXAM
 PATENT: English
 DOCUMENT TYPE: English
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5514774	A	19960507	US 1993-137800	19931019
US 5432155	A	19950711	US 1993-84848	19930629
CA 2165566	A1	19950112	CA 1994-2165566	19940627
CA 2165566	C	20030624		
CA 2420184	A1	19950112	CA 1994-2420184	19940627
CA 2420184	C	20040921		
EP 1336617	A2	20030820	EP 2003-75795	19940627
EP 1336617	A3	20031210		
EP 1336617	B1	20041229		
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE				
AT 286128	T	20050115	AT 2003-75795	19940627
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CA 2172989	C	20020917		
WO 9511256	A1	19950427	WO 1994-US11927	19941019
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				

AU 510811	A	19950508	AU 1995-10831	19941019
AU 681216	B2	19970821		
EP 728146	A1	19960828	EP 1995-901691	19941019
EP 728146	B1	20020109		
R1	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			
JP 10505415	T	19960914	JP 1994-512187	19941019
JP 1668880	B2	20050706		
AT 211764	T	20020115	AT 1995-901691	19941019
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ES 2169754	T3	20020716	ES 1995-901691	19941019
US 5700778	A	19971223	US 1995-458499	19950602
US 5589340	A	19961231	US 1995-477383	19950607
US 5659572	A	19970122	US 1995-487174	19950607
US 5633347	A	19970527	US 1995-480750	19950607
AU 5735197	A	19971120	AU 1997-35197	19970821
AU 699078	B2	19981119		
US 59240	B1	20060815		
PRIORITY APPLN INFO:			US 1999-469496	19991222
			US 1993-84848	A2 19930629
			US 1993-137800	A 19931019
			CA 1994-2165566	A3 19940627
			EP 1994-920316	A3 19940627
			WO 1994-US11927	W 19941019

AB The invention is directed to A-lineage conotoxin peptides, which are conotoxin peptides that have strong homol. in the signal sequence and the 3' untranslated region of the genes coding for these peptides to the sequences in the α -conotoxin peptides. The A-lineage conotoxin peptides include the α -conotoxin peptides, the μ -conotoxin-like peptides, and the κ -conotoxin peptides. The α -conotoxin-peptides generally share a "core" sequence motif. This core sequence is termed the μ 3/5 core and is represented as Cys-Cys-Xaa-Xaa-Xaa-Cys-Xaa. The μ -conotoxin-like peptides generally share a core sequence termed the μ 4/7 core and is represented as Cys-Cys-Xaa-Xaa-Xaa-Xaa-Cys-Xaa-Xaa-Xaa-Xaa-Xaa-Cys. The κ -conotoxin peptides generally have a core sequence termed the μ 7/2/1/3 core and is represented as Cys-Cys-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Cys. The peptide groups within the A-lineage conotoxin peptides have diverse pharmacol. activity. The α -conotoxin peptides are potent inhibitors of synaptic transmission at the neuromuscular junction; these peptides are generally nicotinic acetylcholine receptor blockers. The κ -conotoxin peptides have activities against voltage-sensitive potassium or sodium channels.

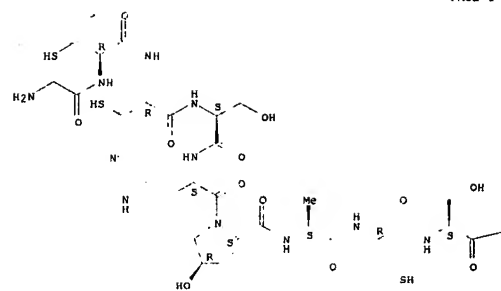
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167592-14 0 167592-15-1 177580-15-3
177580-16-4 177580-19-7 177580-20-0

RI BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (preparation, sequence, and biol. activity of conotoxin peptides)

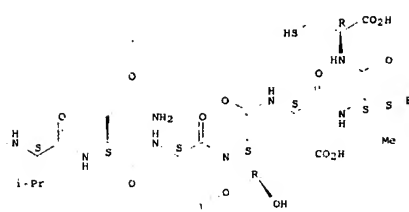
RN 166546-49-2 CAPLUS

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Absolute stereochemistry



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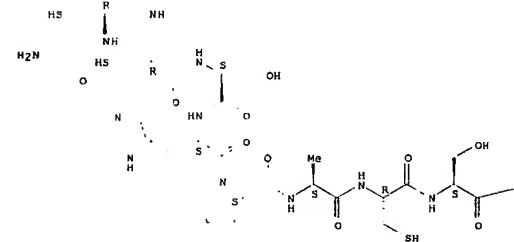
PAGE 2-B

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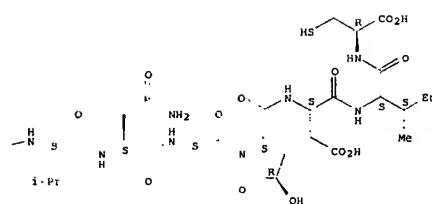
hydroxy L-prolyl-L-L-aspartyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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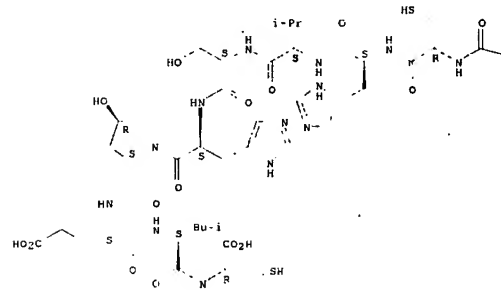
PAGE 2-B

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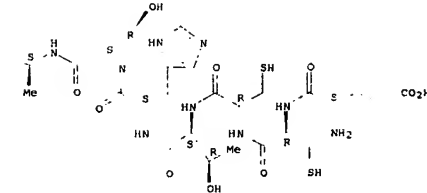
CN L-Cysteine, L-L-glutamyl-L-cysteinyll-L-cysteinyll-L-threonyll-L-histidyl-trans-4-hydroxy-L-prolyl-L-alanyl-L-cysteinyll-L-histidyl-L-valyl-L-seryl-L-histidyl-trans-4-hydroxy-L-prolyl-L-L-glutamyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



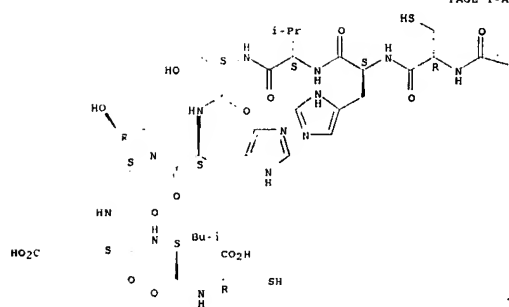
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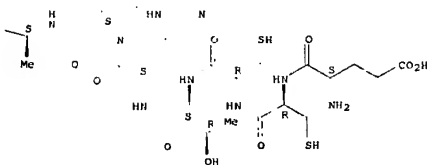
RN 166546-54-9 CAPLUS
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Absolute stereochemistry.

PAGE 1-A



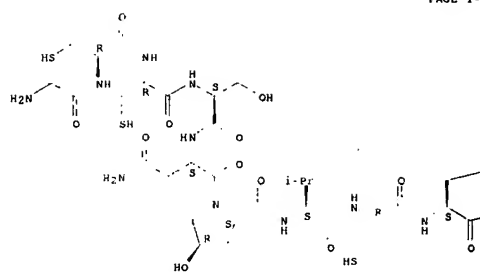
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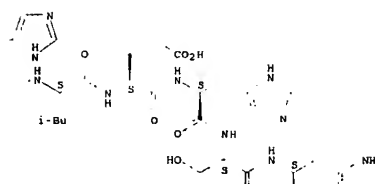
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Absolute stereochemistry.

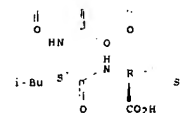
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PAGE 1-B



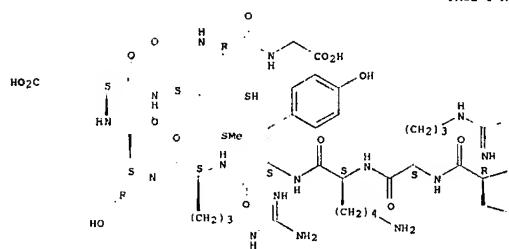
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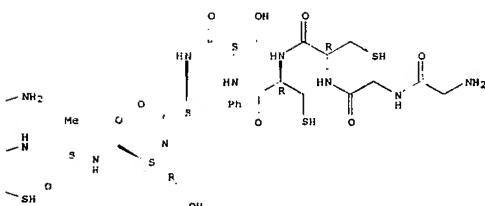
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Absolute stereochemistry.

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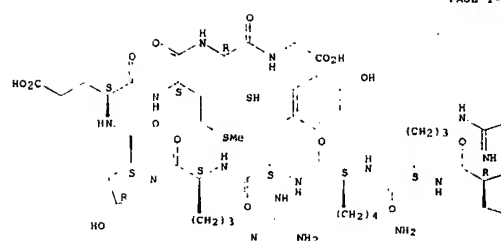
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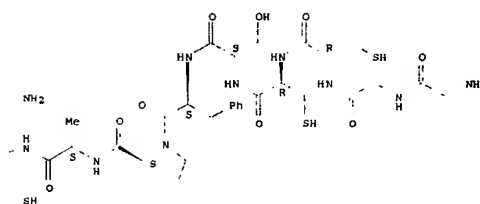
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Absolute stereochemistry.

PAGE 1-A

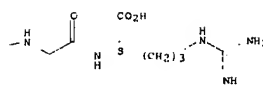
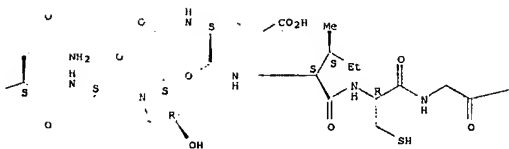
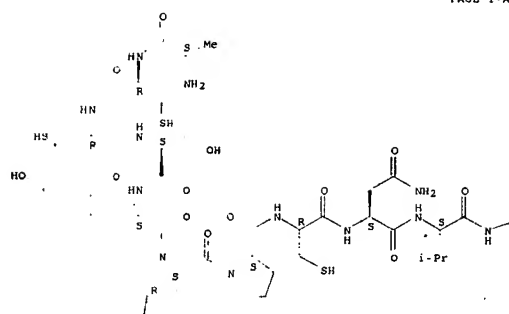


PAGE 1-B



RN 166546-66-3 CAPLUS
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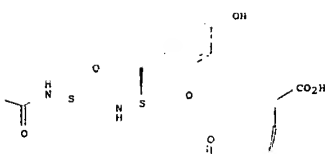
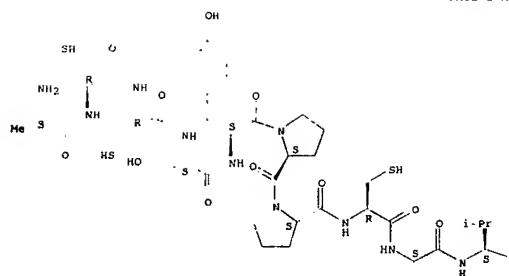
Absolute stereochemistry.



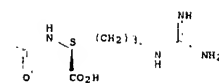
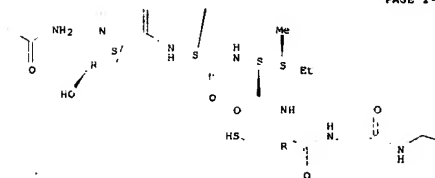
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RN 166546-67-4 CAPLUS
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Absolute stereochemistry.

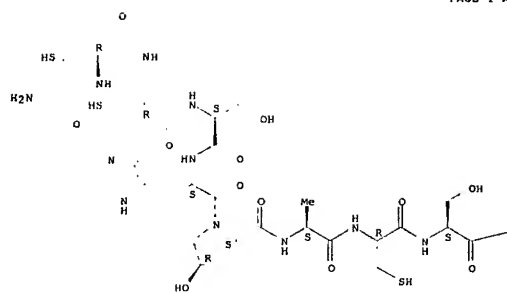
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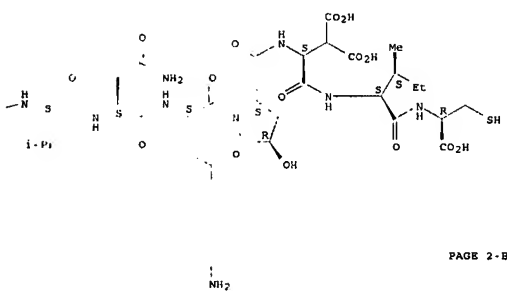
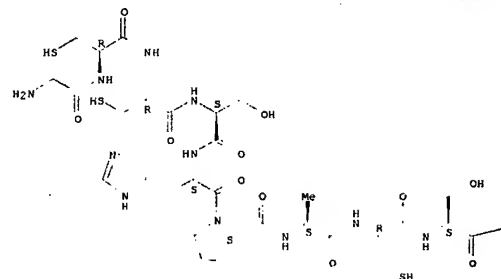
RN 167892-14-0 CAPLUS
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Absolute stereochemistry.



hydroxy-L-prolyl-3-carboxy-L- α -aspartyl-L-isoleucyl- (9CI) (CA INDEX NAME)

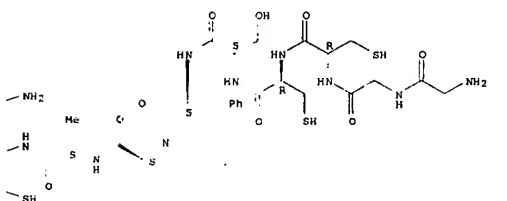
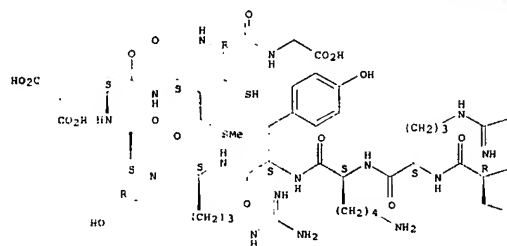
Absolute stereochemistry.



RN 167992-15-1 CAPLUS
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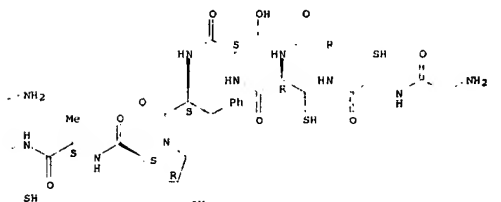
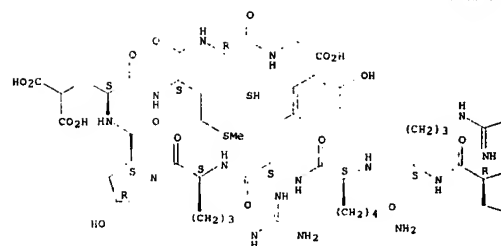
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Absolute stereochemistry.



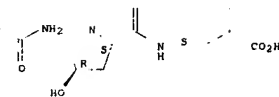
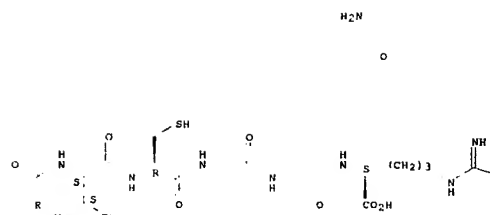
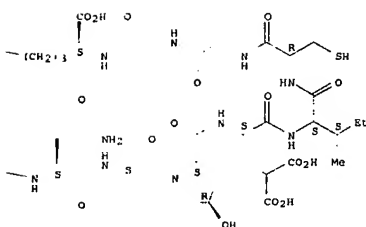
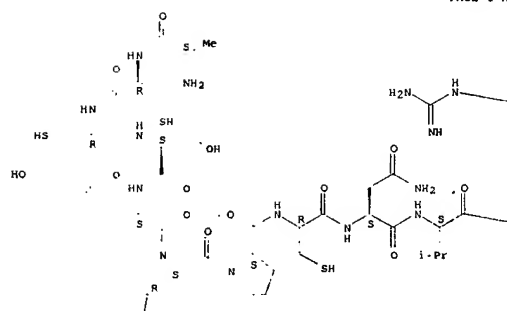
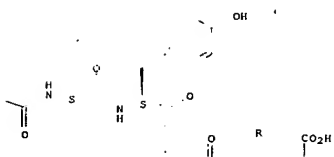
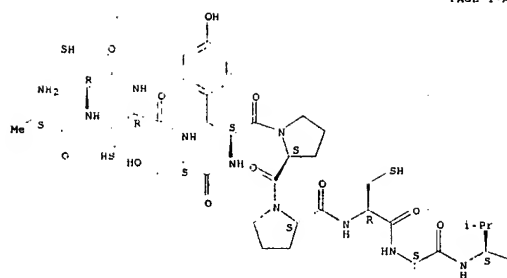
RN 177560-16-4 CAPLUS
CN Glycine, glycylglycyl-L-cysteinyl-L-cysteinyl-L-seryl-L-phenylalanyl-trans-4-hydroxy-L-prolyl-L-alanyl-L-cysteinyl-L-arginyl-L-lysyl-L-tyrosyl-L-arginyl-trans-4-hydroxy-L-prolyl-4-carboxy-L- α -glutamyl-L-methionyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177580-19-7 CAPLUS
CN L-Arginine, L-alanyl-L-cysteinyl-L-cysteinyl-L-seryl-L-tyrosyl-L-prolyl-L-prolyl-L-cysteinyl-L-asparaginyl-L-valyl-L-asparaginyl-L-tyrosyl-trans-4-hydroxy-L-prolyl-4-carboxy-L- α -glutamyl-L-isoleucyl-L-cysteinylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

NH₂

RN 177580-20-0 CAPLUS

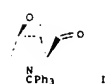
CN L-Arginine, L-alanyl-L-cysteinyl-L-cysteinyl-L-seryl-L-tyrosyl-trans-4-hydroxy-L-prolyl-L-prolyl-L-cysteinyl-L-asparaginyl-L-valyl-L-asparaginyl-L-tyrosyl-trans-4-hydroxy-L-prolyl-4-carboxy-L-D-glutamyl-L-isoleucyl-L-cysteinylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HO

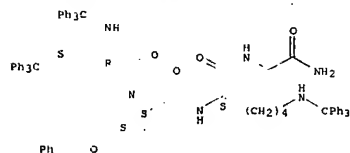
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L6 ANSWER 311 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1996:292010 CAPLUS
 DOCUMENT NUMBER: 125:59016
 TITLE: Synthesis of cis-4-hydroxy-L-proline and its incorporation into biologically important peptides
 AUTHOR(S): Stavropoulos, George, Magafa, Vassiliki, Karagiannis, Kostas, Papaioannou, Dionissios
 CORPORATE SOURCE: Department Chemistry, University Patras, Patras, 260 10, Greece
 SOURCE: Epitheorese Klinikes Farmakologias kai Farmakokinetikes, International Edition (1995), 9(2 and 3), 103-106
 CODEN: EFKEEB; ISSN: 1011-6583
 PUBLISHER: Pharmakon-Press
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB An efficient intramolecular Mitsunobu reaction resulted in the conversion of trans-4-hydroxy-N-tryptyl-L-proline to 2-oxa-5-aza-bicyclo[2.2.1]heptan-3-one 1. This lactone is a key intermediate in the synthesis of cis-4-hydroxy-L-proline (Hyp) and derivs. suitable for use in peptide synthesis. Methanolysis catalyzed by Ph3P-diethyl azodicarboxylate (DEAD) transformed the lactone into Ph3C-Hyp-OMe, while aminolysis in iso-Pr alc. gave the corresponding amide Ph3C-Hyp-NH2. Decarboxylation of lactone, ester and amide was affected by treatment with p-toluenesulfonic acid. On the other hand saponification of the lactone provided Ph3C-Hyp-OR, which after O-benzoylation and carboxy activation allowed the incorporation of Hyp into model peptides such as Trt-Cys(CPh3)-Hyp(CH2Ph)-Lys(CPh3)-Gly-NH2 and Trt-Hyp(CH2Ph)-Leu-Gly-NH2. Similar methodology was applied to the TRK

Absolute stereochemistry.



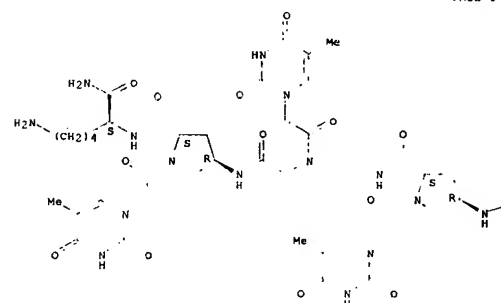
L6	ANSWER 312 OF 551	CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER	1996:281618	1996:281618
DOCUMENT NUMBER	124:344113	124:344113
TITLE	Preparation of nucleic acid-binding oligomers as drugs and diagnostic agents	
INVENTOR(S)	Schwenker, Christoph; Poetter, Thorsten; Mielke, Burkhard; Schwenner, Eckhard; Kretschmer, Axel; Stropp, Udo; Kosch, Winfried; Duerr, Hanshoerg	
PATENT ASSIGNEE(S)	Bayer A.-G., Germany	
SOURCE	Ger. Offen., 12 pp. CODEN: GWXXBX	
DOCUMENT TYPE	Patent	
LANGUAGE	German	
FAMILY ACC NUM. COUNT.	1	
PATENT INFORMATION		

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4427580	A1	19960215	DE 1994-4427580	19940808
EP 1009026	A1	19960313	EP 1995-111735	19950726
R1 AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
AU 9555571	A	19960921	US 1995-509913	19950801
JP 08055692	A	19960305	JP 1995-216573	19950803
CA 1155456	A1	19960209	CA 1995-2155496	19950804

PRIORITY APPL. INFO. 1990/0209 DE 1994-4127980 A 1994/0804

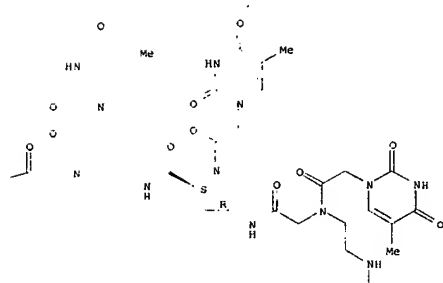
AB M[HNHGD]C[2H2]M[K][OCH2C2HN2(COCH2B)2]CH2C[OL]IA [A = (CH2)n, CO; B = (un)natural nucleobase (deriv); D = (CO)P, E = CHR; R = H, (protected) amino acid residue, E and G may be connected by a (substituted) alkylene chain, K = CO, SO2, CH2; L = H, carrier system, reporter ligand, solubilizing group; O = NH, O, S, NR; m = 0-3; n = 0-4; p = 0-2; r = 0, 1; s = 1-30], were prepared Thus, H-1-T2-T1-T2-T1-T2-Lys-NH2 [T1 = aminoethylglycine thymine residue; T2 = L-trans-4-amino-N-(thymin-1-

Absolute stereochemistry.

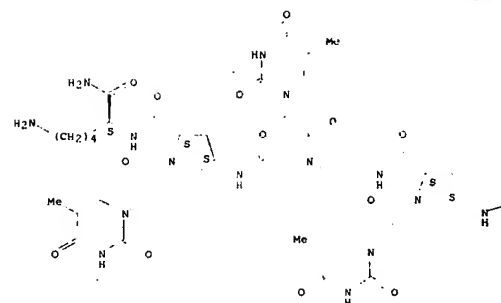


PAGE 1-A

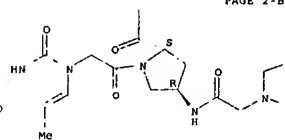
PAGE 1 - B



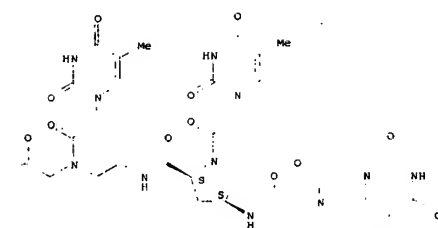
PAGE 1-A



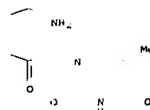
PAGE 2 - B



PAGE 1-B



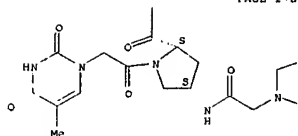
PAGE 2-C



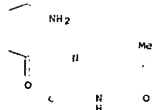
RN 176483 95 7 CAPIUS
CN Peptide nucleic acid, (H-T-[(4S)-Pro]T-T-[(4S)-Pro]T-T-[(4S)-Pro]T-T-[(4S)-Pro]T)-Lys-NH2 (9C1) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-B



PAGE 2-C



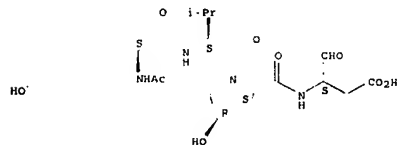
L6 ANSWER 313 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1996-214750 CAPLUS
 DOCUMENT NUMBER: 124:290273
 TITLE: Preparation of peptide analogs as inhibitors of interleukin-1 beta converting enzyme (ICE)
 INVENTOR(S): Bemis, Guy W.; Golec, Julian M. C.; Lauffer, David J.; Mullican, Michael D.; Murcko, Mark A.; Livingston, David J.
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorp., USA
 SOURCE: PCT Int. Appl., 374 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9535308	A1	19951228	WO 1995-057617	19950616
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MJ, MN, MM, MX, ND, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RM: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5756466	A	19980526	US 1994-261452	19940617
US 5696627	A	19970812	US 1995-405581	19950317
US 5447135	A	19941208	US 1995-440898	19950525
AU 529446	A	19960115	AU 1995-29446	19950616
AU 709114	B2	19990819		
EP 784628	A1	19970723	EP 1995-925257	19950616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				

phenoxypyrrolone. Saponification of the latter peptide ester with LiOH in aqueous THF to N-acetyl-L-tyrosyl-L-valyl-(phenoxypyrrolone) followed by condensation with N-allyloxycarbonyl-4-amino-5-benzoyloxy-2-oxotetrahydrofuran gave N-[N-acetyl-L-tyrosyl-L-valyl-(4-phenoxypyrrolone)]-4-amino-5-benzoyloxy-2-oxotetrahydrofuran (1:1 diastereomer mixture), which underwent hydrogenolysis over Pd(OH)2 in MeOH under H atmosphere to give the title compound (I). In a IL-1 β assay with a mixed population of human peripheral blood mononuclear cells or enriched adherent mononuclear cells, I in vitro showed IC50 of 2.6 and 0.25 μ M for inhibiting the processing of pre-IL-1 β by ICE.

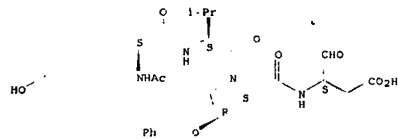
IT 175208-92-1P 175208-93-2P 175209-40-2P
 175209-45-1P 175209-50-4P 175209-51-5P
 175209-52-4P 175209-60-6P 175209-61-6P
 175209-65-5P 175209-70-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPH (Synthetic preparation); THU (Therapeutic use); BIOI (Biological study); PREP (Preparation); USES (Uses)
 (preparation of peptide analogs as inhibitors of interleukin-1 beta converting enzyme for treating inflammatory, autoimmune and neurodegenerative diseases)
 RN 175208-92-1 CAPLUS
 CN L-Prolineamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175208-93-2 CAPLUS
 CN L-Prolineamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

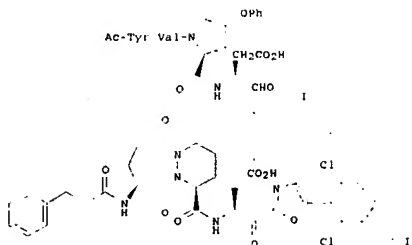


RN 175209-40-2 CAPLUS
 CN L-Prolineamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-3-[(2-chlorophenyl)methyl]thio]-2-oxopropyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

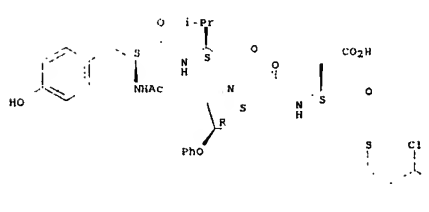
Absolute stereochemistry.

BR 9508051	A	19971021	BR 1995-4051	19950616
JP 10504285	T	19980428	JP 1996-502478	19950616
AP 797	A	20000107	AP 1997-960	19950616
W: KE, MW, SD, SZ, UG				
PL 185693	B1	20030731	PL 1995-318220	19950616
RU 2242480	C2	20041220	RU 1997-100937	19950616
PL 193391	B1	20070228	PL 2005-3540	19950616
NO 9605365	A	19970217	NO 1996-5365	19961213
NO 317947	B1	20050110		
FI 9605036	A	19970214	FI 1996-5036	19961216
BO 63634	B1	20020731	BO 1997-101130	19970114
US 6420523	B1	20020716	US 1999-430822	19991029
PRIORITY APPLN. INFO.:			US 1994-261452	A 19940617
			US 1995-405581	A 19950317
			US 1995-440898	A 19950525
			US 1995-465216	A3 19950605
			WO 1995-057617	M 19950616

OTHER SOURCE(S): MARPAT 124:290273
 G1

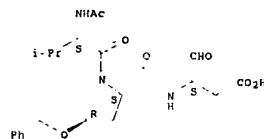


AB Novel classes of compds. are prepared, which are characterized by specific structural and physicochem. features comprising (a) a first and a second hydrogen bonding moiety, each of said moieties being capable of forming a hydrogen bond with a different backbone atom of ICE selected from the carbonyl O and the amide NH group of Arg-341 Ser-339, (b) a first and a second moderately hydrophobic moiety, said moieties each being capable of associating with a sep. binding pocket of ICE when the inhibitor is bound thereto, said binding pocket being selected from the P2, P3, P4, and P' binding pockets, and (c) an electroneg. moiety comprising 21 electroneg. atoms, said atoms being attached to the same atom or to adjacent atoms in the moiety and said moiety being capable of forming 21 hydrogen bonds or salts bridges with residues in the P1 binding pocket of ICE. These compds. and pharmaceutical compns. of this invention are particularly well suited for inhibiting ICE activity and consequently may be advantageously used as agents against interleukin-1 mediated diseases, including inflammatory diseases, autoimmune diseases and neurodegenerative diseases. Thus, etherification of Me N-tert-butoxycarbonyl-cis-4-hydroxyproline with phenol using Ph3P and di-El azodicarbonylate in THF to Me N-tert-butoxycarbonyl-cis-4-phenoxypyrrolone followed by deprotection with HCl in EtOAc to Me 4-phenoxypyrrolone hydrochloride and condensation with Ac-Tyr-Val-OH using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, HOBT, and diisopropylethylamine in DMF gave Me N acetyl-L-tyrosyl-L-valyl-L-4-



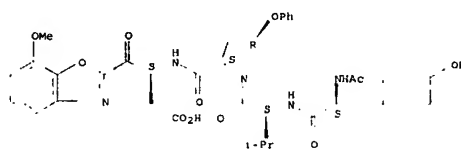
RN 175209-45-7 CAPLUS
 CN L-Prolineamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



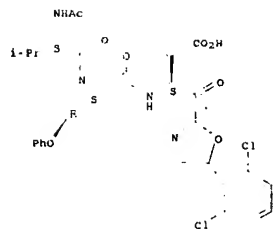
RN 175209-50-4 CAPLUS
 CN L-Prolineamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-(2-methoxy-2-benzoxazolyl)-2-oxoethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



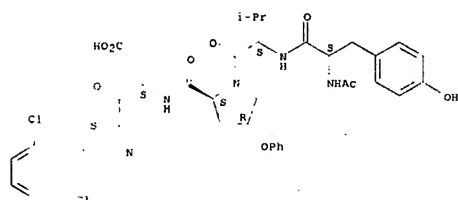
RN 175209-51-5 CAPLUS
 CN L-Prolineamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-[5-(2,6-dichlorophenyl)-2-oxazolyl]-2-oxoethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



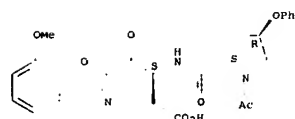
RN 175209-52-6 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-[5-(2,6-dichlorophenyl)-2-thiazolyl]-2-oxoethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



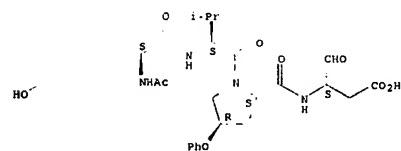
RN 175209-60-6 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-[5-(2,4-dichlorophenyl)-2-oxazolyl]-2-oxoethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



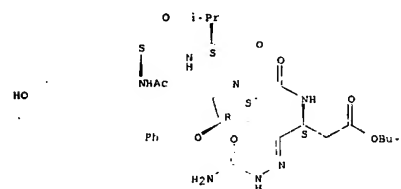
IT 175208-91-0P 175210-03-4P 175211-26-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptide analogs as inhibitors of interleukin-1 beta converting enzyme for treating inflammatory, autoimmune and neurodegenerative diseases)
RN 175208-91-0 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

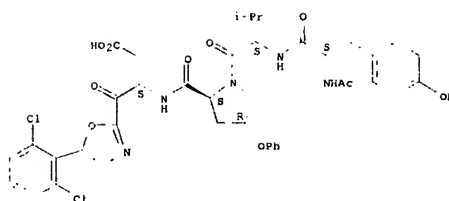


RN 175210-03-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-[[[aminocarbonyl]hydrazono]methyl]-3-(1,1-dimethylethoxy)-3-oxopropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

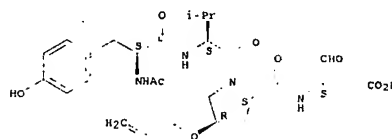


RN 175211-26-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-[[[aminocarbonyl]hydrazono]methyl]-3-(1,1-dimethylethoxy)-3-oxopropyl]-4-(2-propenyloxy)-, (4R)- (9CI) (CA INDEX NAME)



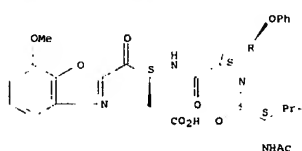
RN 175209-68-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-(2-propenyloxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175209-69-5 CAPLUS
CN L-Prolinamide, N-acetyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-(7-methoxy-2-benzoxazolyl)-2-oxoethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

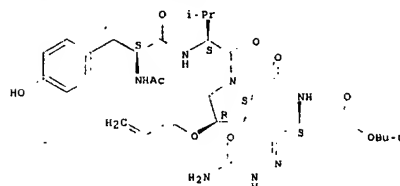
Absolute stereochemistry.



RN 175209-70-8 CAPLUS
CN 2-Benzoxazolebutanoic acid, R-[[[(2S,4R)-1-acetyl-4-phenoxy-2-pyrrolidinyl]carbonyl]amino]-7-methoxy-γ-oxo-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.
Double bond geometry unknown.



L6 ANSWER 314 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:205031 CAPLUS
DOCUMENT NUMBER: 124:261748
TITLE: Preparation of peptide analogs as elastase inhibitors.
INVENTOR(S): Metz, William A., Jr.; Gallion, Steven L.; Burkhardt, Joseph P.; Angelastro, Michael A.; Peet, Norton P.
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WD 9533763	A1	19951214	WO 1995-055618	19950505
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GR, HU, JP, KE, KR, KZ, LF, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RM: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CY, CO, CI, CM, GA, GW, ML, MR, NE, SN, TD, TG				
CA 2189527	A1	19951214	CA 1995-2189527	19950505
CA 2189527	C	20001219		
AU 9524709	A	19960104	AU 1995-24709	19950505
AU 646589	B2	19960102		
CN 1149877	A	19970514	CN 1995-193366	19950505
EP 804465	A1	19971105	EP 1995-918993	19950505
EP 804465	B1	20030806		
R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
JP 10500992	T	19980127	JP 1996-500871	19950505
JP 3423799	B2	20050223		
HU 76926	A2	19980128	HU 1996-3312	19950505
HU 221197	B1	20020828		
AT 246708	T	20030815	AT 1995-918993	19950505
PT 804465	T	20031231	PT 1995-918993	19950505
ES 2149992	T3	20040301	ES 1995-918993	19950505
ZA 9504430	A	19960213	ZA 1995-4430	19950505
IL 113940	A	19991231	IL 1995-113940	19950531
TW 403762	B	20000901	TW 1995-84105515	19950531
FI 9604781	A	19970129	FI 1996-4781	19961129

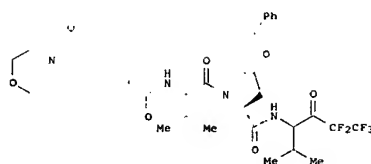
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(NO 102)

NO 1605097 A 19970131 NO 1996-5097 19961129
US 2003096759 A1 20030522 US 2000-741536 20001220
US 6693072 B2 20040217

PRIORITY APPLN INFO:

US 1994-252799 A2 19940602
WO 1995-US5618 W 19950505
US 1996-737235 B1 19961120

OTHER SOURCE(S): MARPAT 124:261748
OI



AB KP4P22NHCHR1COX [X = H, CHO, Ac, succinyl, PhCO, tosyl, methoxysuccinyl, PhCH₂CO, isovaleryl, 1-adamantanecarboxyl, etc.; P4 = Ala, bAla, Leu, Ile, Val, Nva, bVal, Nle, bond; P3 = Ala, bAla, Leu, Ile, Val, Nva, bVal, Nle, N-Me derivative, Pro, Ind, Tic, Tca, morpholino-substituted Lys, Orn; P2 = Pip, Aze, Pro(4-OH), Pro(4-OAc), Pro(4-OBzl); R1 = side chain of Ala, Leu, Ile, Val, Nva, bVal; X = CF₃, CF₂H, CF₂H, CF₂CF₃, CO₂, CH₂Cl, NHCO₂, etc.; Y = NHCH₃, OR₃; R3 = H, alkyl, Ph, PhCH₂, cyclohexyl, cyclohexylmethyl; bAla = β-alanyl; bVal = β-valyl; Nle = norleucyl; Nva = norvalyl; Ind = 2-indolinecarboxyl; Tic = 1,2,3,4-tetrahydro-3-isoquinolinecarboxyl; Tca = thiazolidine-4-carboxyl; Pip = piperidinyl; Aze = azetidinecarboxyl; Pro(4-OH) = 4-hydroxyprolyl; Pro(4-OAc) = 4-acetoxypromyl; Pro(4-OBzl) = 4-benzoyloxypromyl, were prepared. Thus, title compound (I), prepared by solution phase synthesis.

inhibited

human neutrophil elastase with K_i = 20 nM.

IT 175012-06-3P 175012-07-4P 175012-08-5P

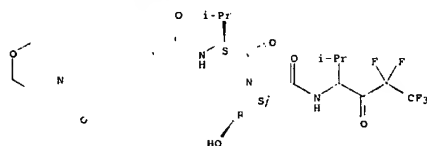
FL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOI (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptide analogs as elastase inhibitors)

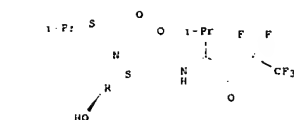
RN 175012-06-3 CAPLUS

CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-4-hydroxy-N-[3,3,4,4,4-pentafluoro-1-(1-methylethyl)-2-oxobutyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



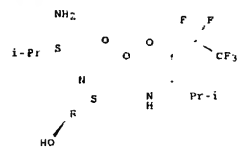
t-BuO NH



RN 175012-17-6 CAPLUS

CN L-Prolinamide, L-valyl-4-hydroxy-N-[3,3,4,4,4-pentafluoro-1-(1-methylethyl)-2-oxobutyl]-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

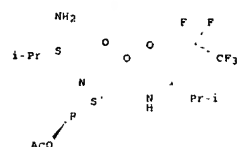


● HCl

RN 175012-18-7 CAPLUS

CN L-Prolinamide, L-valyl-4-(acetoxy)-N-[3,3,4,4,4-pentafluoro-1-(1-methylethyl)-2-oxobutyl]-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



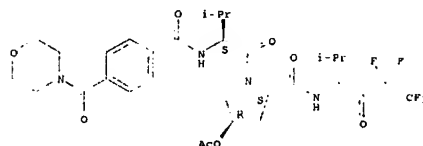
● HCl

RN 175012-20-1 CAPLUS

CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[3,3,4,4,4-

RN 175012-07-4 CAPLUS
CN L-Prolinamide, N-[(4-morpholinylcarbonyl)benzoyl]-L-valyl-4-(acetoxy)-N-[3,3,4,4,4-pentafluoro-1-(1-methylethyl)-2-oxobutyl]-, (4R)- (9CI) (CA INDEX NAME)

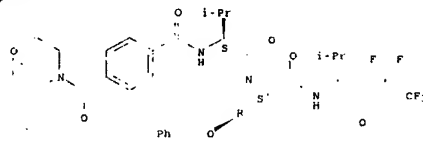
Absolute stereochemistry.



RN 175012-08-5 CAPLUS

CN L-Prolinamide, N-[(4-morpholinylcarbonyl)benzoyl]-L-valyl-N-[3,3,4,4,4-pentafluoro-1-(1-methylethyl)-2-oxobutyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 175012-16-5P 175012-17-6P 175012-18-7P

175012-20-1P 175012-21-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of peptide analogs as elastase inhibitors)

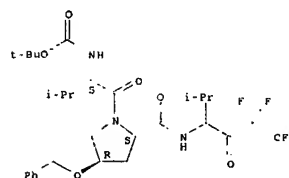
RN 175012-16-5 CAPLUS

CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-4-hydroxy-N-[3,3,4,4,4-pentafluoro-1-(1-methylethyl)-2-oxobutyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

pentafluoro-1-(1-methylethyl)-2-oxobutyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

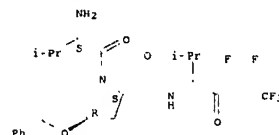
Absolute stereochemistry.



RN 175012-21-2 CAPLUS

CN L-Prolinamide, L-valyl-N-[3,3,4,4,4-pentafluoro-1-(1-methylethyl)-2-oxobutyl]-4-(phenylmethoxy)-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L6 ANSWER 315 OF 551

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

CAPLUS COPYRIGHT 2007 ACS on STN

1996:186065 CAPLUS

124:233162

Template directed cyclization of support-bound peptides.

Moore, Michael Lee; Newlander, Kenneth Allen

Smithline Beecham Corp., USA

PCT Int. Appl., 34 pp.

CODEN: PIXXD2

Patent

English

1

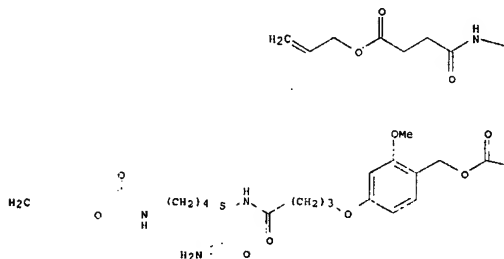
PATENT NO.	KIND	DATE	APPLICATION NO	DATE
WO 9534577	A1	19951221	WO 1995 US7620	19950616
W. JP, US				
BM, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 765341	A1	19970402	EP 1995 923900	19950616
R. BE, CH, DE, FR, GB, IT, LI, NL				

AB Cyclic homodetic support-bound peptides QLR (Q = peptide of 3-10 amino acid residues cyclized from its amino terminus to its carboxy terminus; L = linker; R = solid support), were synthesized by (1) preparing a linear resin bound peptide PglPgl201(L2S2)L1S1R [Q1 = linear peptide of 3-10 amino acid residues; L1 = linker to solid support; L2 = linker on an amino acid side chain; Pgl1 = protecting group(s) on reactive side chain functional groups; Pgl2 = optional protecting group on the amino terminus; S1 = (protected) functional group which is attached to the solid support, optionally through L1, but not attached to the peptide or to L2, and which can react with S2 to form a covalent bond; S2 = (protected) functional group on L2 which can react with S1 to form a covalent bond], (2) removing protecting groups from S1 and S2, if necessary, and forming a covalent bond between S1 and S2, (3) cleaving the carboxy terminus of Q1 to provide a free carboxy group, and, if necessary, removing Pgl2 to provide a free amino terminus, (4) cyclizing the amino and carboxy termini, and (5) removing Pgl1. Thus, cyclo[BOC-D-Trp(OtBu)-D-Asp-Hyp-D-Val-Leu] (Hyp = hydroxyprolyl) was prepared from FMOC-(BOC)-D-Trp(OtBu)-D-Asp(O-β-Ala-Suc-O-allyl)-Hyp-D-Val-Leu-HMBA-(Alloc)-Lys-SHA resin [Alloc = allyloxy carbonyl, HMBA = 4-(4-hydroxymethyl-3-methoxyphenoxy)butyrate, Suc = succinyl]. Combinatorial libraries of cyclopentapeptides containing Hyp at the 3 position were prepared and found to bind to neurokinin 3 or to inhibit phosphorylation by protein kinase C.

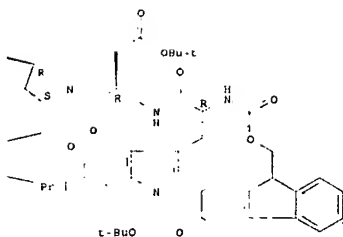
IT 174727-47-0D, BHA resin-bound
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (template directed cyclization of support-bound peptides)
 RN 174727-47-0 CAPLUS
 CN L-Leucine, N-[N-1-[(1,1-dimethylethoxy)carbonyl]-N-1-(9H-fluoren-9-ylmethoxy)carbonyl]-D-tryptophyl]-D-α-aspartyl]-trans-4-[3-[[1,4-dioxo-4-(2-propenyloxy)butyl]amino]-1-oxopropoxy]-L-prolyl]-D-valyl]-, 1-[[4-[4-[[1-(aminocarbonyl)-5-[[[(2-propenyloxy)carbonyl]amino]pentyl]amino]-4-oxobutoxy]-2-methoxyphenyl]methyl] 4-(1,1-dimethylethyl) ester, (S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



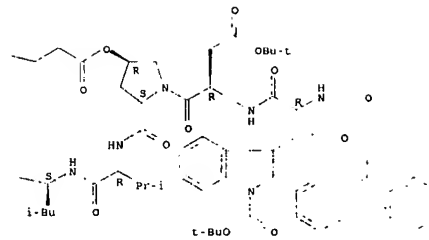
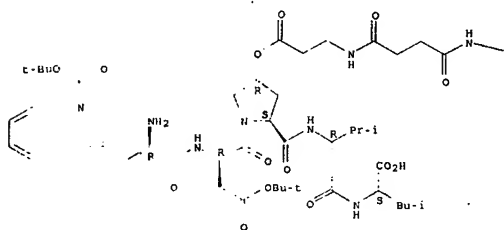
PAGE 1-B



RN 174727-50-5 CAPLUS
 CN L-Leucine, N-[N-1-[(trans-4-[3-[[[4-amino-5-[[[4-(4-hydroxymethyl)-3-methoxyphenoxy]-1-oxobutyl]amino]-6-oxohexyl]amino]-1,4-dioxobutyl]amino]-1-oxopropoxy]-1-[N-1-[[1,1-dimethylethoxy]carbonyl]-D-tryptophyl]-D-α-aspartyl]-L-prolyl]-D-valyl]-, 4-(1,1-dimethylethyl) ester, (S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

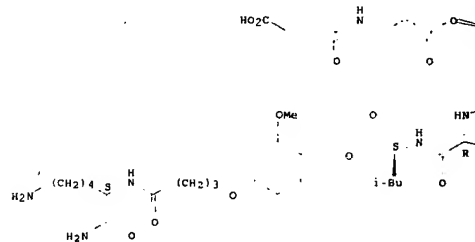
PAGE 1-A



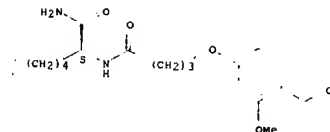
IT 174727-48-1DP, BHA resin-bound 174727-50-5DP, BHA resin-bound
 RL: PCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (template directed cyclization of support-bound peptides)
 RN 174727-48-1 CAPLUS
 CN L-Leucine, N-[N-1-[(trans-4-[2-[[1-(3-carboxy-1-oxopropyl)amino]-1-oxopropoxy]-1-[N-1-[[1,1-dimethylethoxy]carbonyl]-N-1-(9H-fluoren-9-ylmethoxy)carbonyl]-D-tryptophyl]-D-α-aspartyl]-L-prolyl]-D-valyl]-, 1-[[4-[4-[[5-amino-1-(aminocarbonyl)pentyl]amino]-4-oxobutoxy]-2-methoxyphenyl]methyl] 4-(1,1-dimethylethyl) ester, (S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L6 ANSWER 316 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1996:81592 CAPLUS
 DOCUMENT NUMBER: 124:135716
 TITLE: Tri-, tetra-, penta-, and polypeptides and their therapeutic use, alone or with other agents, as antidepressant agents
 INVENTOR(S): Abajian, Henry B.; Noble, John F.; Hlavka, Joseph J.
 PATENT ASSIGNEE(S): Innapharma, Inc., USA
 SOURCE: PCT Int. Appl., 139 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9530430	A1	19951116	WO 1995-055560	19950502
W: AM, AU, BB, BO, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KR, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, UG, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5589460	A	19961231	US 1994-238089	19940504
CA 2189145	A1	19951116	CA 1995-2189145	19950502
AU 9528139	A	19951129	AU 1995-28139	19950502
AU 685292	B2	19980115		
EP 759772	A1	19950505		
EP 759772	B3	20040121	EP 1995-923659	19950502
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1151700	A	19970611	CN 1995-193885	19950502
JP 10500407	T	19980113	JP 1995-529076	19950502
JP 3878983	B2	20070207		
RU 2182910	C2	20020527		
AT 258061	T	20040215	AT 1995-923659	19950502
PT 759772	T	20040630	PT 1995-923659	19950502
ES 2215175	T3	20041001	ES 1995-923659	19950502
TM 505574	B	20021111	TM 1995-84111543	19951101
NO 9604561	A	19961227	NO 1996-4561	19961028
NO 317919	B1	20050103		
FI 9604363	A	19961223	FI 1996-4363	19961029
IN 191479	A1	20031206	IN 2001 CA198	20010404
PRIORITY APPLN. INFO.:				
US 1994-238089	A	19940504		
WO 1995-055560	W	19950502		
IN 1996-CA786	A3	19960501		

OTHER SOURCE(S): MARPAT 124:135716
 AB Peptides are disclosed for treatment of patients suffering from depression. The peptides are modifications of the tripeptide hormone MIF (melanocyte stimulating inhibitory factor), including modification of

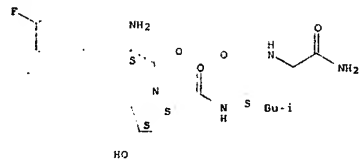
amino terminal residues, carboxyl terminal residues, and internal residues (including addition and substitution of amino acid residues and modification of the peptide bonds and functional side groups of resp. amino acid residues). The tripeptides, tetrapeptides, pentapeptides and polypeptides of the invention may be used alone or in combination (with e.g. Prozac) to treat patients suffering from depression.

IT 173071-83-5 173071-84-6 173071-92-6
173071-93-7 173071-94-8 173071-97-1
173071-98-2 173071-99-3 173072-02-1
173072-04-3 173072-05-4 173072-06-5
173072-09-8 173072-10-1 173072-11-2
173072-12-3 173072-13-4 173072-14-5
173072-15-6 173072-16-7 173072-18-9
173072-19-0 173072-20-3 173072-25-8
173072-26-9 173240-11-4 173240-12-5 173240-13-6 173240-14-7 173240-15-8
173240-16-9 173240-17-0 173240-18-1
173240-19-2 173240-21-6 173240-22-7
173240-23-8 173240-24-9 173240-25-0
173240-26-1 173240-27-2 173240-28-3
173240-29-4 173240-30-7 173240-31-8
173240-32-9 173240-33-0 173240-34-1
173240-36-3

RI: BAC (Biological) activity or effector, except adverse; BSU (Biological study; unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

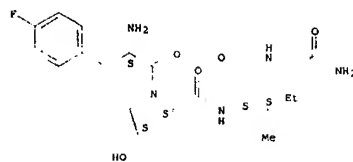
(MIP analog (polypeptides and use, alone or with other compds., as antidepressants)
RN 173071-83-5 CAPLUS
CN Glycinamide, 4-fluoro-L-phenylalanyl-cis-4-hydroxy-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 173071-84-6 CAPLUS
CN Glycinamide, 4-fluoro-L-phenylalanyl- (4S)-4-hydroxy-L-prolyl-L-isoleucyl- (9CI) (CA INDEX NAME)

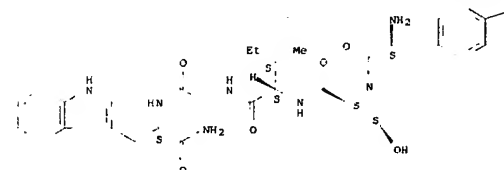
Absolute stereochemistry.



RN 173071-92-6 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl- (4S)-4-hydroxy-L-prolyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

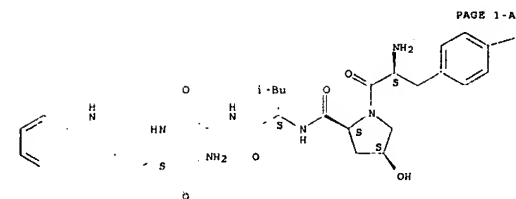
PAGE 1-A



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RN 173071-93-7 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl- (4S)-4-hydroxy-L-prolyl-L-leucylglycyl- (9CI) (CA INDEX NAME)

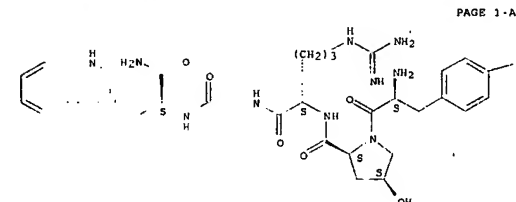
Absolute stereochemistry.



PAGE 1-B

RN 173071-94-8 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl- (4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

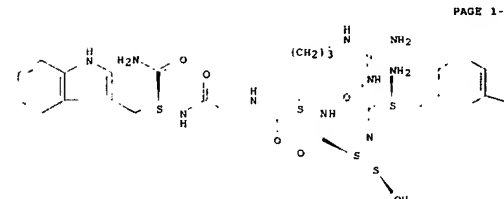
Absolute stereochemistry.



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RN 173071-97-1 CAPLUS
CN L-Tryptophanamide, 3-fluoro-L-phenylalanyl- (4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

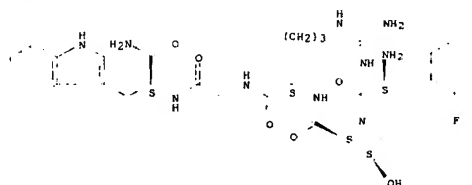
Absolute stereochemistry.



PAGE 1-B

RN 173071-98-2 CAPLUS
CN L-Tryptophanamide, 2-fluoro-L-phenylalanyl- (4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

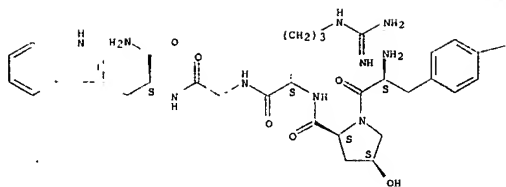
Absolute stereochemistry.



RN 173071-99-3 CAPLUS
CN L-Tryptophanamide, 4-chloro-L-phenylalanyl- (4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



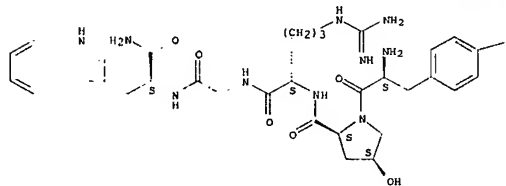
PAGE 1-B

-Cl

RN 173072-02-1 CAPLUS
CN L-Tryptophanamide, 4-amino-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



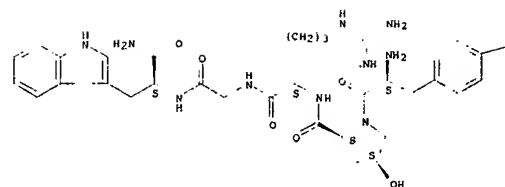
PAGE 1-B

-NH2

RN 173072-04-3 CAPLUS
CN L-Tryptophanamide, 4-nitro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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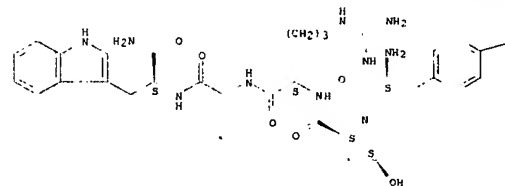
PAGE 1-B

NO2

RN 173072-05-4 CAPLUS
CN L-Tryptophanamide, O-methyl-L-tyrosyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



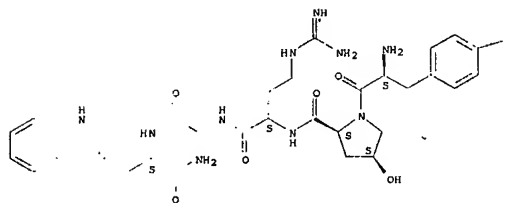
PAGE 1-B

OMe

RN 173072-06-5 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-cis-4-hydroxy-L-prolyl-N4-(aminoliminomethyl)-L-2,4-diaminobutanoylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



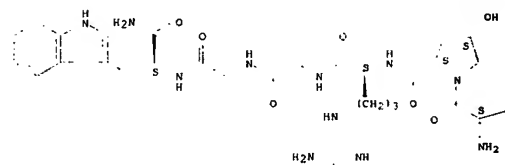
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-F

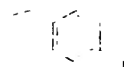
RN 173072-09-8 CAPLUS
CN L-Tryptophanamide, 3-(3-pyridinyl)-L-alanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



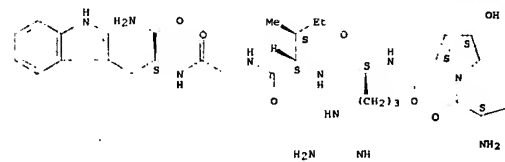
PAGE 1-B



RN 173072-11-2 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

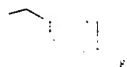
Absolute stereochemistry.

PAGE 1-A



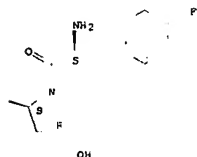
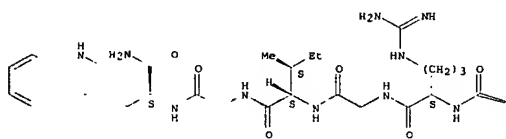
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CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



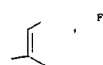
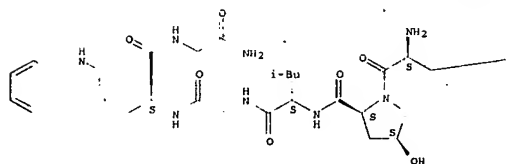
RN 173072-12-3 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



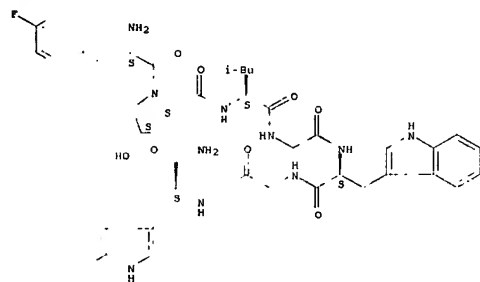
RN 173072-13-4 CAPLUS
CN Glycinamide, 4-fluoro-L-phenylalanyl-cis-4-hydroxy-L-prolyl-L-isoleucylglycyl-L-tryptophyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



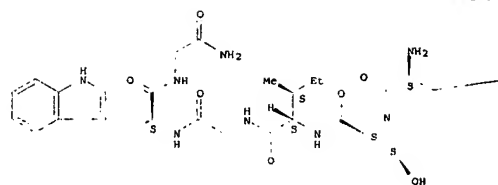
RN 173072-16-7 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-cis-4-hydroxy-L-prolyl-L-leucylglycyl-L-tryptophylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



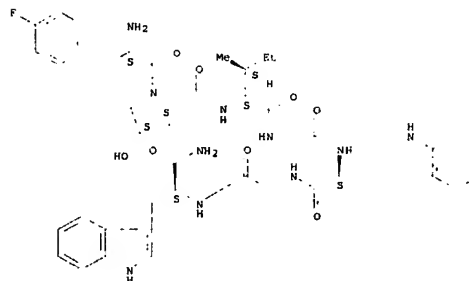
RN 173072-18-9 CAPLUS
CN Glycinamide, 4-fluoro-L-phenylalanyl-cis-4-hydroxy-L-prolyl-L-arginylglycyl-L-tryptophyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



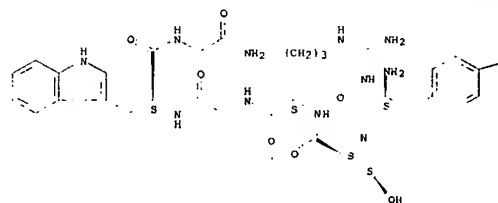
RN 173072-14-5 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-cis-4-hydroxy-L-prolyl-L-isoleucylglycyl-L-tryptophylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



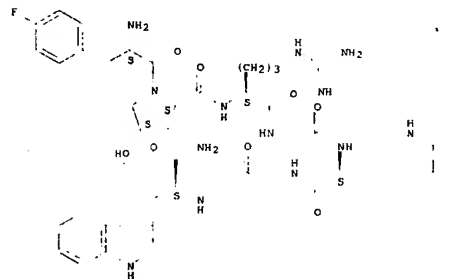
RN 173072-15-8 CAPLUS
CN Glycinamide, 4-fluoro-L-phenylalanyl-cis-4-hydroxy-L-prolyl-L-leucylglycyl-L-tryptophyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



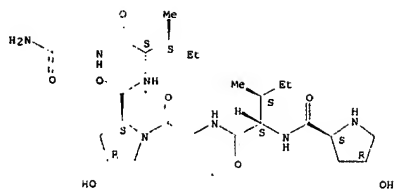
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CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-cis-4-hydroxy-L-prolyl-L-arginylglycyl-L-tryptophylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



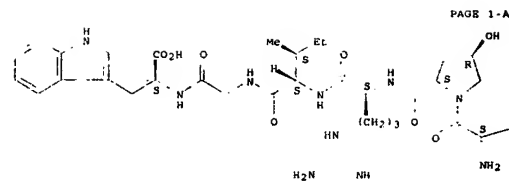
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CN Glycinamide, (4R)-4-hydroxy-L-prolyl-L-isoleucylglycyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

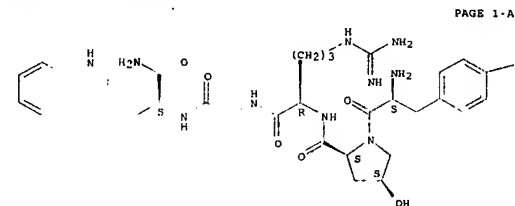


RN 173072-25-2 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-D-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



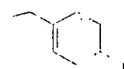
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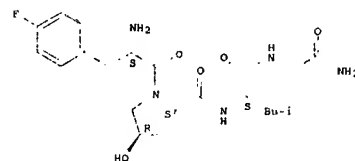
RN 173072-26-9 CAPLUS
CN L-Tryptophanamide, N-[N-[N2-[1-(4-fluoro-L-phenylalanyl)-trans-4-hydroxy-L-prolyl]-L-arginyl]-L-isoleucyl]glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



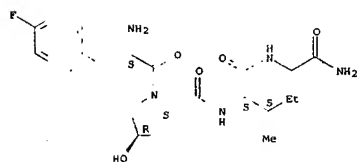
RN 173240-11-4 CAPLUS
CN Glycinamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



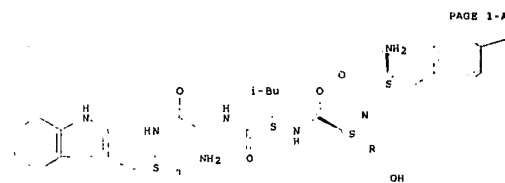
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CN Glycinamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

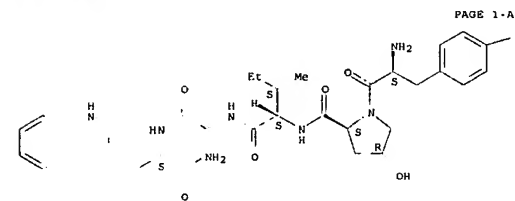


RN 173240-13-6 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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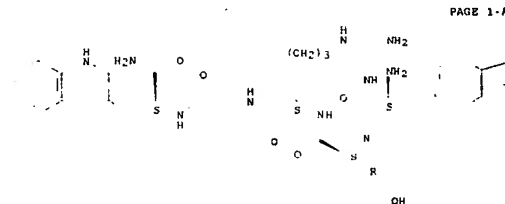
PAGE 1-B

RN 173240-14-7 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 173240-15-8 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

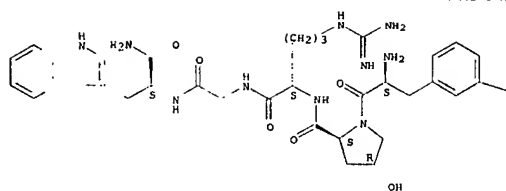


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RN 173240-16-9 CAPLUS
CN L-Tryptophanamide, 3-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

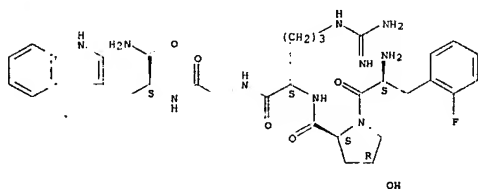
PAGE 1-A



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RN 173240-17-0 CAPLUS
 CN L-Tryptophanamide, 2-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

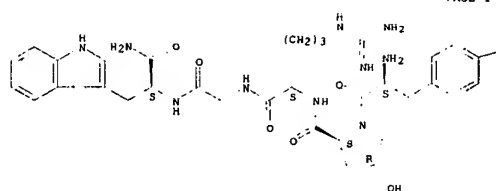
Absolute stereochemistry.



RN 173240-16-1 CAPLUS
 CN L-Tryptophanamide, 4-chloro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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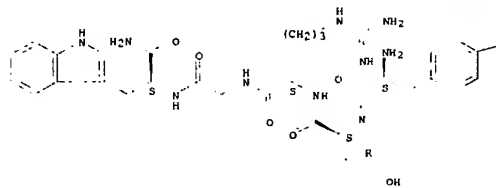
PAGE 1-B

Cl

RN 173240-19-2 CAPLUS
 CN L-Tryptophanamide, 4-amino-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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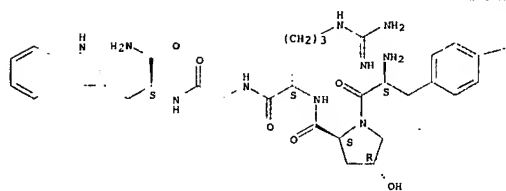
PAGE 1-B

NH₂

RN 173240-21-6 CAPLUS
 CN L-Tryptophanamide, 4-nitro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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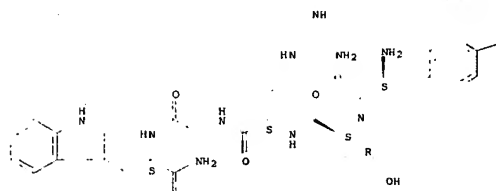
PAGE 1-B

NO₂

RN 173240-22-7 CAPLUS
 CN L-Tryptophanamide, O-methyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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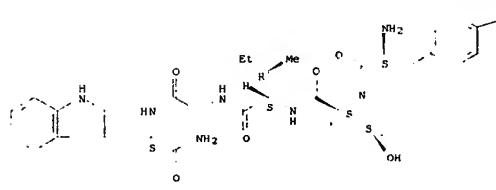
PAGE 1-B

F

RN 173240-24-9 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-alloisoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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F

OMe

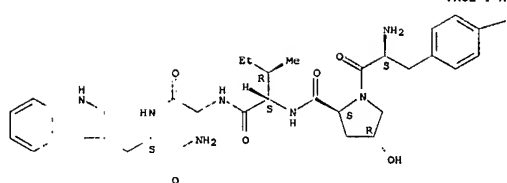
RN 173240-23-8 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-trans-4-hydroxy-L-prolyl-N4-(aminoiminomethyl)-L-2,4-diaminobutanoylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 173240-25-0 CAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-alloisoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

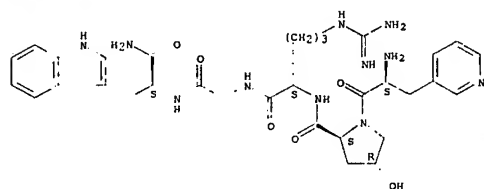
PAGE 1-A



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RN 173240-26-1 CAPLUS
CN L-Tryptophanamide, 3-(3-pyridinyl)-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

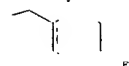
Absolute stereochemistry.



RN 173240-27-2 CAPLUS
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Absolute stereochemistry.

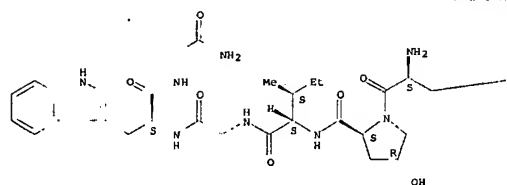
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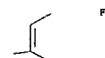
RN 173240-29-4 CAPLUS
CN Glycinamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucylglycyl-L-tryptophyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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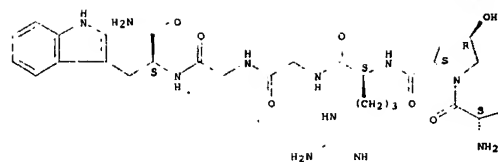
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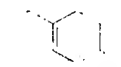
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CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucylglycyl-L-tryptophylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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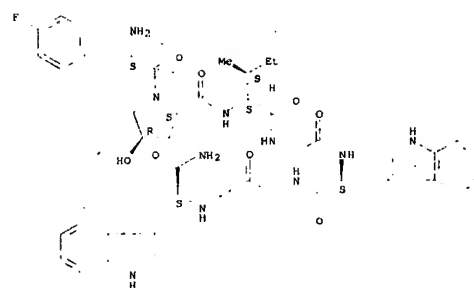
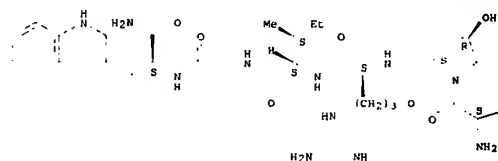
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RN 173240-28-3 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

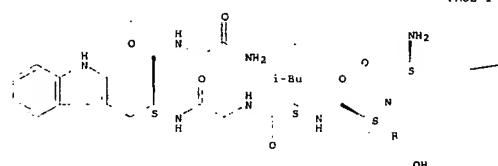
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RN 173240-31-8 CAPLUS
CN Glycinamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucylglycyl-L-tryptophyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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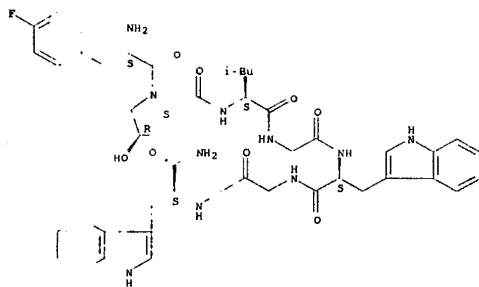


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RN 173240-32-9 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucylglycyl-L-tryptophyl- (9CI) (CA INDEX NAME)

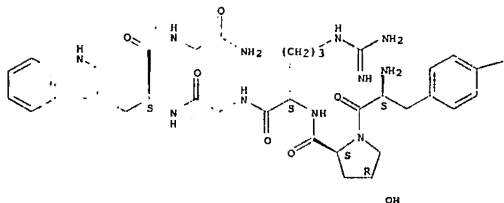
Absolute stereochemistry.



RN 173240-33-0 CAPLUS
CN Glycinamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-L-tryptophyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

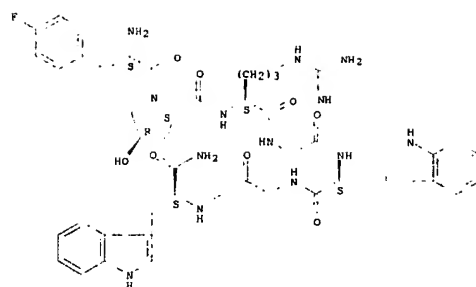
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RN 173240-34-1 CAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-L-tryptophylglycyl- (9CI) (CA INDEX NAME)

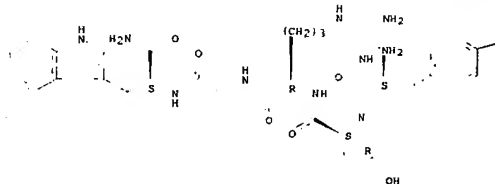
Absolute stereochemistry.



RN 173240-36-3 CAPLUS
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Absolute stereochemistry.

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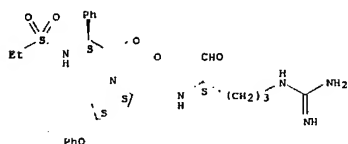
L6 ANSWER 317 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:899178 CAPLUS
DOCUMENT NUMBER: 123:306600
TITLE: Antithrombotic L-arginine aldehyde derivatives
INVENTOR(S): Chirgadze, Nikolay Yurii, Schacht, Aaron Leigh, Smith, Gerald Floyd, Willey, Michael Robert
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 129 pp.

DOCUMENT TYPE: CODEN: PIXXD2
LANGUAGE: Patent
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION: English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 5623608	A1	19950908	WO 1995-082552	19950303
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MM, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MH, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9501618	A	19950827	ZA 1995-1618	19950227
US 5599743	A	19970204	US 1995-397449	19950302
CA 2180141	A1	19950908	CA 1995-2180141	19950303
AU 5519751	A	19950918	AU 1995-19751	19950303
EP 749316	A1	19961227	EP 1995-912668	19950303
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09509936	T	19971007	JP 1995-523001	19950303
TW 400327	B	20000801	TW 1995-84102065	19950304
PRIORITY APPLN. INFO.:			US 1994-207491	A 19940304
			WO 1995-082552	W 19950303

OTHER SOURCE(S): CASREACT 123:306600; MARPAT 123:306600
AB L-arginine aldehyde derivs. XYNHCH(CHO)(CH2)3NHC(=NH)NH2 [X = prolyl, homoprolyl, substituted cycloalkylalkanoyl, (substituted) isoquinolinecarboxyl, etc.; Y = substituted prolyl] are prepared for use as thrombin inhibitors, coagulation inhibitors, and thromboembolic disorder agents. Thus, the plasma thrombin time in rats was doubled by D-homoprolyl-L-cis-4-methylprolyl-L-argininal-2HCl (I) at 60 ng/mL. I was prepared by stepwise condensation of Cbz-D-homoproline, 4-cis-methylproline Et ester (prepared from Cbz-4-trans-Hyp Et ester), and Arg(Cbz) lactam-2HCl [prepared from Boc-Arg(Cbz)], reduction with LiAl(OCMe3)3, and hydrogenolysis over Pd/C.
IT 169819-87-AP 169819-88-9P 169820-02-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antithrombotic arginine aldehyde derivs.)
RN 169819-87-8 CAPLUS
CN L-Prolineamide, N-(ethylsulfonyl)-D-2-phenylglycyl-N-[4-[(aminomethylamino)-1-formylbutyl]-4-phenoxy-, monohydrochloride, [2a(R*),4a]- (9CI) (CA INDEX NAME)

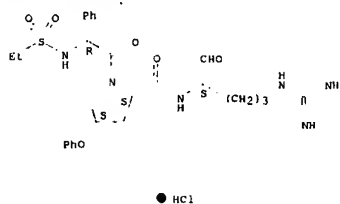
Absolute stereochemistry.



HC1

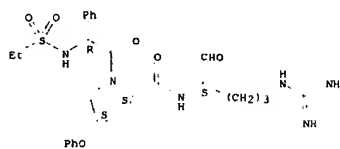
RN 169819-88-9 CAPLUS
CN L-Prolineamide, N-(ethylsulfonyl)-D-2-phenylglycyl-N-[4-[(aminomethylamino)-1-formylbutyl]-4-phenoxy-, monohydrochloride, [2a(R*),4a]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169820-02-4 CAPLUS
CN L-Prolineamide, N-(ethylsulfonyl)-D-2-phenylglycyl-N-[4-[(aminomethylamino)-1-formylbutyl]-4-phenoxy-, [2a(R*),4a]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



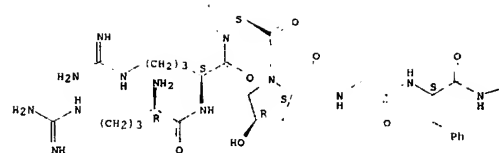
L6 ANSWER 318 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:809571 CAPLUS
DOCUMENT NUMBER: 123:275204
TITLE: QSAR in bradykinin antagonists. Inhibition of the bradykinin induced contraction of the isolated rat uterus and guinea pig ileum
AUTHOR(S): Felipe Pineda De Castro, L.; Reissmann, Siegmund
CORPORATE SOURCE: Inst. Biochem. Biophys., Friedrich-Schiller Univ., Jena, 07743, Germany
SOURCE: Quantitative Structure-Activity Relationships (1995), 14(3), 249-57
CODEN: QSARDI; ISSN: 0931 8771
VCH
PUBLISHER: Journal
LANGUAGE: English
AB QSAR in bradykinin antagonists with activity on rat uterus and/or guinea pig ileum were investigated by the Free-Wilson method in the Fujita-Ban approach. The substituent contributions to antagonist activity were calculated by multiple linear regression. The used QSAR model adequately

describes the exptl. data, so that the assumption about additivity and independence of the substituent contributions to the overall activity is valid. The obtained results allow a survey of the effect of different modifications on the antagonist potency. Information about the influence of various substitutions on the selectivity of the antagonists can be extracted from the comparison of the QSAR for both the tissues studied.

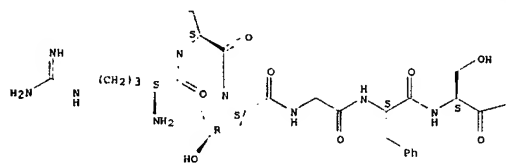
IT 130598-37-7 130598-38-8 169275-74-5
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (QSAR in bradykinin antagonists: inhibition of bradykinin induced contraction of rat uterus and guinea pig ileum)

RN 130598-37-7 CAPLUS
 CN Bradykinin, 3-(trans-4-hydroxy-L-proline)-7-D-phenylalanine-8-(trans-4-hydroxy-L-proline)- (9CI) (CA INDEX NAME)

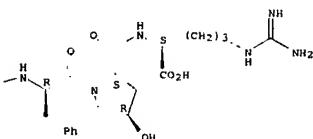
Absolute stereochemistry.



PAGE 1-A



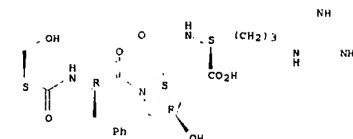
PAGE 1-B



RN 130598-38-9 CAPLUS
 CN Bradykinin, N2-D-arginyl-3-(trans-4-hydroxy-L-proline)-7-D-phenylalanine-8-(trans-4-hydroxy-L-proline)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

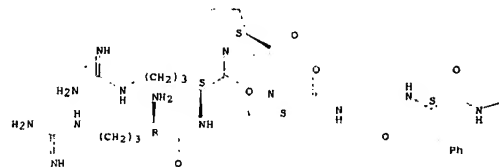
PAGE 1-B



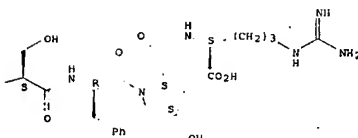
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 CN Bradykinin, N2-D-arginyl-7-D-phenylalanine 8-(cis-4-hydroxy-L-proline)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

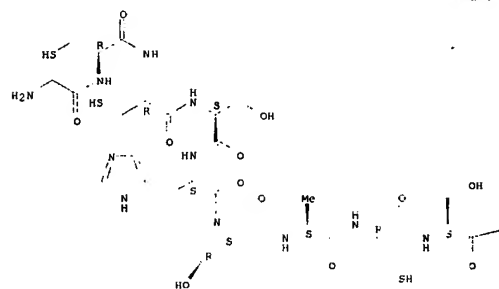


167892-14-0 167892-15-1
 RL: PRP (Properties)
 (A-lineage conotoxin peptides containing)

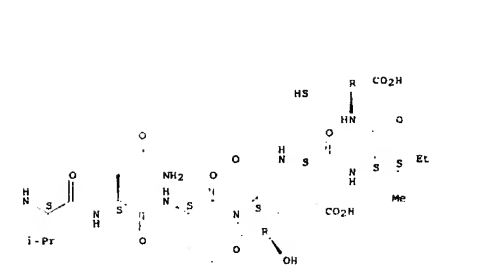
RN 166546-49-2 CAPLUS
 CN L-Cysteine, glycyl-L-cysteinyll-L-cysteinyll-L-seryl-L-histidyl-trans-4-hydroxy-L-prolyl-L-alanyl-L-cysteinyll-L-seryl-L-valyl-L-asparaginyll-L-asparaginyll-trans-4-hydroxy-L-prolyl-L-L-aspartyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L6 ANSWER 319 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1995:797288 CAPLUS
 DOCUMENT NUMBER: 124:48165
 TITLE: CONOTOXIN peptides of Conus striatus
 INVENTOR(S): Olivera, Baldomero M.; Cruz, Lourdes J.; Hillyard, David R.; McIntosh, J. Michael; Santos, Ameurina D.
 PATENT ASSIGNEE(S): University of Utah Research Foundation, USA
 SOURCE: PCT Int. Appl., 66 pp.
 DOCUMENT TYPE: CODEN: PIXXD2
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: English
 PATENT INFORMATION: 7

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NO 5511256	A1	19950427	WO 1994-US11927	19941019
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5514774	A	19960507	US 1993-137800	19931019
US 5510831	A	19950508	AU 1995-10831	19941019
AU 681216	B2	19970821		
EP 728146	A1	19960828	EP 1995-901691	19941019
EP 728146	B1	20020109		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10509415	T	19980914	JP 1994-512187	19941019
JP 1668880	B2	20050706		
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PRIORITY APPLN. INFO:				
		US 1993-137800	A	19931019
		US 1993-84848	A2	19930629
		WO 1994-US11927	W	19941019

AB The invention is directed to A-lineage conotoxin peptides, which are conotoxin peptides that have strong homol. in the signal sequence and the 3'-untranslated region of the genes coding for these peptides to the sequences in the A-conotoxin peptides. The A-lineage conotoxin peptides include the A-conotoxin peptides, the A-conotoxin-like peptides and the K-conotoxin peptides, described further below. The A-conotoxin peptides generally share a "core" sequence motif. This core sequence is termed the α/5 core and is represented as Cys-Cys-Xaa-Xaa-Xaa-Cys-Xaa-Xaa-Xaa-Xaa-Xaa-Cys. The A-conotoxin-like peptides generally share a core sequence termed the α/7 core and is represented as Cys-Cys-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Cys. The K-conotoxin peptides generally have a core sequence termed the κ/7/2/1/3 core and is represented as Cys-Cys-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Xaa-Cys.

IT 166546-49-2 166546-50-5 166546-53-8
 166546-54-9 166546-61-8 166546-63-0
 166546-64-1 166546-66-3 166546-67-4

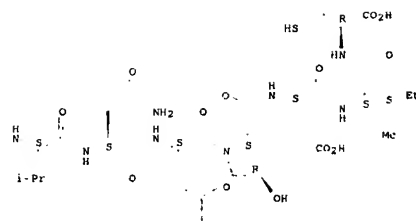
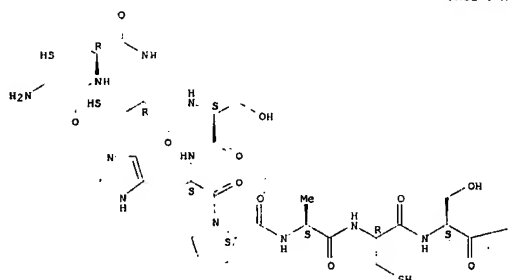
NH₂

RN 166546-50-5 CAPLUS

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Absolute stereochemistry.

PAGE 1-A



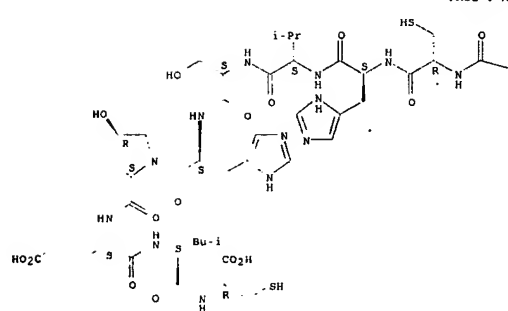
PAGE 2-B

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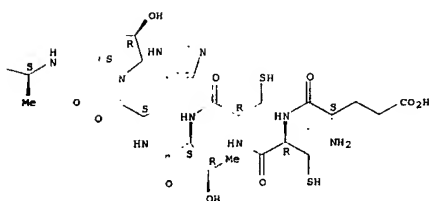
CN L-Cysteine, L- α -glutamyl-L-cysteiny-L-cysteiny-L-threonyl-L-histidyl-trans-4-hydroxy-L-prolyl-L-alanyl-L-cysteiny-L-histidyl-L-valyl-L-seryl-L-histidyl-trans-4-hydroxy-L-prolyl-L- α -glutamyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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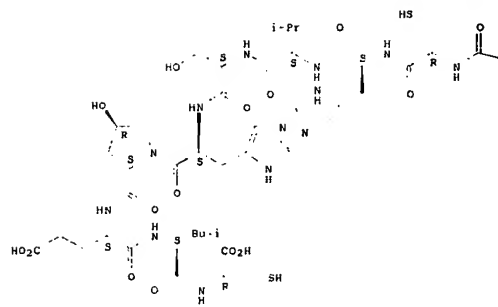


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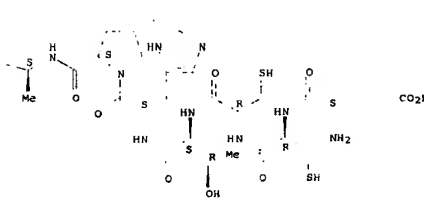
CN L-Cysteine, L- α -glutamyl-L-cysteiny-L-cysteiny-L-threonyl-L-histidyl-L-prolyl-L-alanyl-L-cysteiny-L-histidyl-L-valyl-L-seryl-L-histidyl-trans-4-hydroxy-L-prolyl-L- α -glutamyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 166546-61-8 CAPLUS

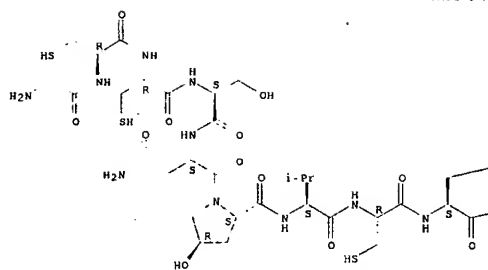
CN L-Cysteine, glycyl-L-cysteiny-L-cysteiny-L-seryl-L-asparaginy-trans-4-hydroxy-L-prolyl-L-valyl-L-cysteiny-L-histidyl-L-leucyl-L- α -glutamyl-L-histidyl-L-seryl-L-asparaginy-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

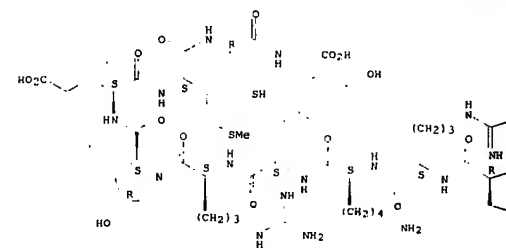
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CN      Glycine, glycyglycyl-L-cysteinyl-L-cysteinyl-L-seryl-L-phenylalanyl-trans-
        4-hydroxy-L-prolyl-L-alanyl-L-cysteinyl-L-arginyl-L-lysyl-L-tyrosyl-L-
        arginyl-trans-4-hydroxy-L-prolyl-L- $\alpha$ -glutamyl-L-methionyl-L-
        cysteinyl- (9CI) (CA INDEX NAME)

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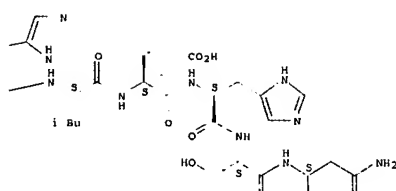


Absolute stereochemistry.



The image displays a collection of chemical structures for various amino acids and peptides. The structures are arranged in a grid-like fashion, showing the side chains and functional groups of each molecule. Key features include:

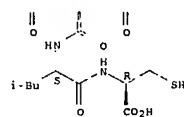
- Glycine:** NC(=O)O
- Alanine:** C[C@H](N)C(=O)O
- Valine:** CC(C)[C@H](N)C(=O)O
- Leucine:** CC(C)C[C@H](N)C(=O)O
- Isoleucine:** CC[C@H](C)[C@H](N)C(=O)O
- Threonine:** CC(O)[C@H](N)C(=O)O
- Serine:** CC([O-])[C@H](N)C(=O)O
- Proline:** C1CC[NH2+]C1=O
- Other structures:** Various other amino acids and peptides are shown, including those with aromatic side chains (like Phenylalanine) and those with complex side chains (like Aspartate, Glutamate, and others).



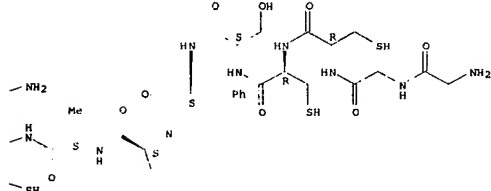
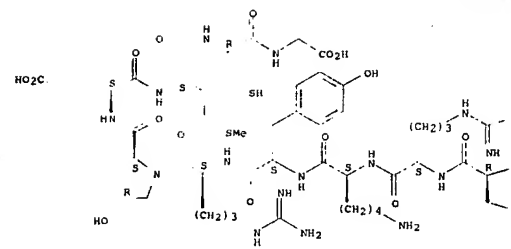
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RN      166546-64 1  CAPIJUS
CN      Glycine, glycyglycyl-L-cysteinyl-L-cysteinyl-L-seryl-L-phenylalanyl-L-
        prolyl-L-alanyl-L-cysteinyl-L-arginyl-L-lysyl-L-tyrosyl-L-arginyl-trans-ε-
        hydroxy-L-prolyl-L-α-glutamyl-L-methionyl-L-cysteinyl- (9CI) (CA
INDEX NAME)

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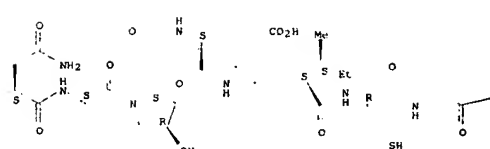


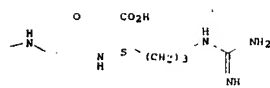
Absolute stereochemistry.



RN 166546-66-3 CAPLUS
 CN L-Arginine, L-alanyl-L-cysteinyl-L-cysteinyl-L-seryl-L-tyrosyl-trans-4-
 hydroxy-L-prolyl-L-prolyl-L-cysteinyl-L-asparaginyl-L-valyl-L-asparaginyl-
 L-tyrosyl-trans-4-hydroxy-L-prolyl-L- α -glutamyl-L-isoleucyl-L-
 cysteinylglycylglycyl- (9C1) (CA INDEX NAME)

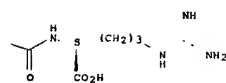
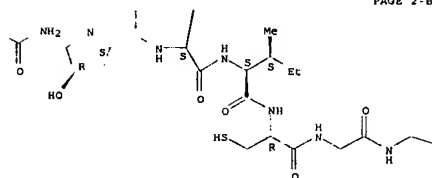
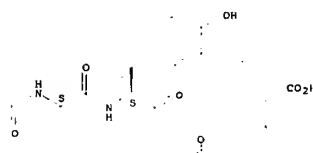
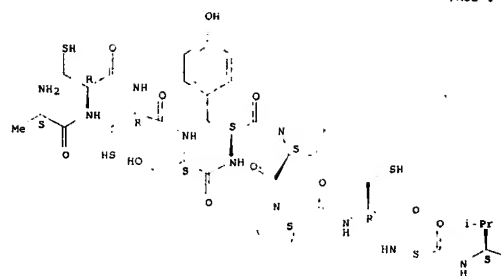
Absolute stereochemistry.





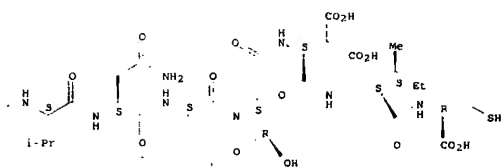
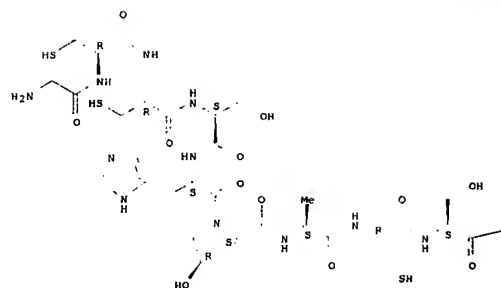
RN 165946-67-4 CAPLUS
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Absolute stereochemistry.



RN 167892-14-0 CAPLUS
 CN L-Cysteine, glycyl-L-cysteinyl-L-cysteinyl-L-seryl-L-histidyl-trans-4-hydroxy-L-prolyl-L-alanyl-L-cysteinyl-L-seryl-L-valyl-L-asparaginyl-L-asparaginyl-trans-4-hydroxy-L-prolyl-3-carboxy-L- α -aspartyl-L-isoleucyl-(9CI) (CA INDEX NAME)

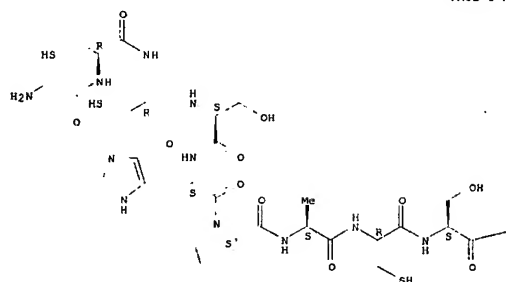
Absolute stereochemistry.



RN 167892-15-1 CAPLUS
 CN L-Cysteine, glycyl-L-cysteinyl-L-cysteinyl-L-seryl-L-histidyl-L-prolyl-L-alanyl-L-cysteinyl-L-seryl-L-valyl-L-asparaginyl-L-asparaginyl-trans-4-

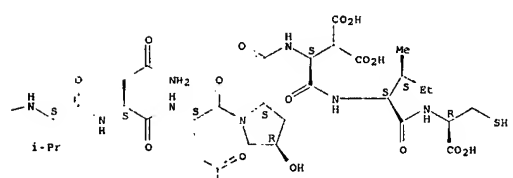
hydroxy-L-prolyl-3-carboxy-L- α -aspartyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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PAGE 2-B

NH₂

L6 ANSWER 320 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:784992 CAPLUS
DOCUMENT NUMBER: 123:226593
TITLE: Plant arabinogalactan protein (AGP) genes and their uses in food industries
INVENTOR(S): Chen, Chao-Guang; Mao, Shao-Lin; Du, He; Gane, Alison M.; Bacic, Antony; Clarke, Adrienne E
PATENT ASSIGNEE(S): Albright and Wilson (Australia) Ltd., Australia
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

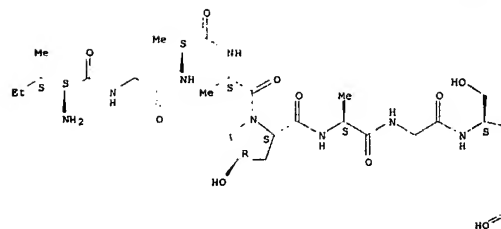
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9515377	A1	19950608	WO 1994 AU744	19941201
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US 5646029	A	19970708	US 1994-276452	19940718
AU 9511038	A	19950619	AU 1995-11038	19941201
AU 690604	B2	19980430		
EP 731186	A1	19960925	EP 1995-902807	19941201
P: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10502521	T	19980310	JP 1994-515298	19941201
FI 9602240	A	19960704	FI 1996 2240	19960529
PRIORITY APPLN. INPO.:			US 1993 161944	A 19931203
			US 1994-276452	A 19940718
			WO 1994-AU744	N 19941201

AB This invention provides plant arabinogalactan proteins (AGPs) and their genes. AGPs were isolated from *Nicotiana glauca*, *Nicotiana glauca*, *Nicotiana glauca*, and *Pyrus communis*. Amino acid sequences of isolated AGP peptide mols. are presented. Isolated AGP mols. were used to synthesize oligonucleotide probes to prepare oligonucleotide primers for PCR or prepare RNA probes to screen cDNA libraries of *N. glauca*, *N. glauca*, *N. glauca*, and *P. communis*. cDNA clones encoding amino acid sequences of isolated AGP mols. were isolated. The invention presents for the first time an intact AGP amino acid sequence derived from a corresponding AGP gene. The instant invention further provides methods useful in obtaining AGP genes encoding an AGP peptide comprising a specific isolated hydroxyproline-rich (OAS-rich) sequence or a specific isolated hydroxyproline-poor sequence.

IT 167552-16-1
RL: FPD (Food or feed use); BIOL (Biological study); USES (Uses)
(*Nicotiana glauca*; plant arabinogalactan protein (AGP) genes and their uses in food industries)
RN 167552-16-1 CAPLUS
CN L-Asparagine, L-isoleucylglycyl-L-alanyl-L-alanyl-trans-4-hydroxy-L-prolyl-L-alanyl-L-alanyl-L-seryl-L-seryl-trans-4-hydroxy-L-prolyl-L-threonyl-L-seryl-L-seryl-L-prolyl- (9CI) (CA INDEX NAME)

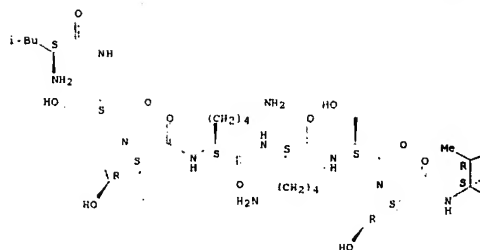
Absolute stereochemistry.

PAGE 1-A

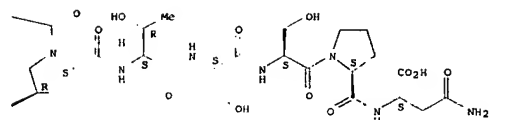


PAGE 1-B

PAGE 1-A

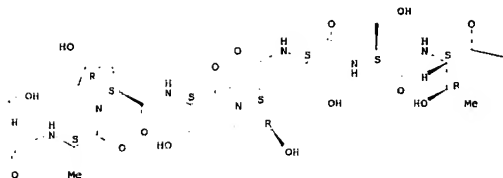


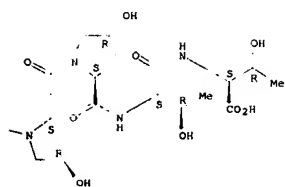
PAGE 1-B



IT 167552-18-3
RL: FPD (Food or feed use); BIOL (Biological study); USES (Uses)
(*Pyrus communis* RT16.4; plant arabinogalactan protein (AGP) genes and their uses in food industries)
RN 167552-18-3 CAPLUS
CN L-Threonine, L-leucyl-L-seryl-trans-4-hydroxy-L-prolyl-L-lysyl-L-lysyl-L-seryl-trans-4-hydroxy-L-prolyl-L-threonyl-L-alanyl-trans-4-hydroxy-L-prolyl-L-seryl-trans-4-hydroxy-L-prolyl-L-seryl-L-threonyl-trans-4-hydroxy-L-prolyl-trans-4-hydroxy-L-prolyl-L-threonyl- (9CI) (CA INDEX NAME)

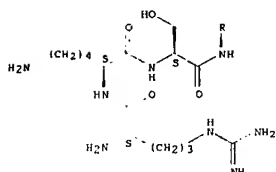
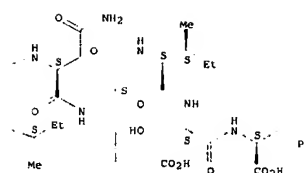
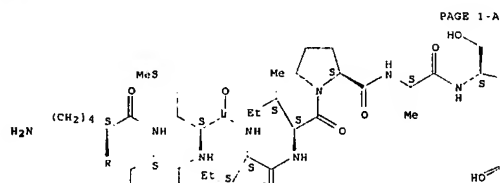
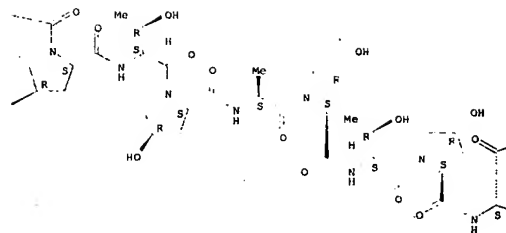
Absolute stereochemistry.





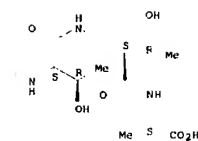
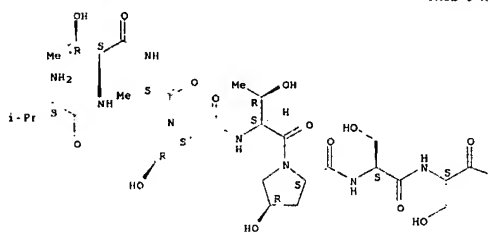
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 RL: FPD (Food or feed use); BIOL (Biological study); USES (Uses)
 (plant arabinogalactan protein (AGP) genes and their uses in food industries)
 RN 167552-17-2 CAPLUS
 CN L-Phenylalanine, L-arginyl-L-lysyl-L-seryl-L-lysyl-L-phenylalanyl-L-methionyl-L-isoleucyl-L-isoleucyl-L-prolyl-L-alanyl-L-seryl-trans-4-hydroxy-L-prolyl-L-threonyl-trans-4-hydroxy-L-prolyl-L-alanyl-trans-4-hydroxy-L-prolyl-L-threonyl-trans-4-hydroxy-L-prolyl-L-isoleucyl-L-asparaginyll-L-glutamyl-L-isoleucyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



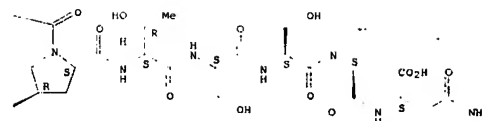
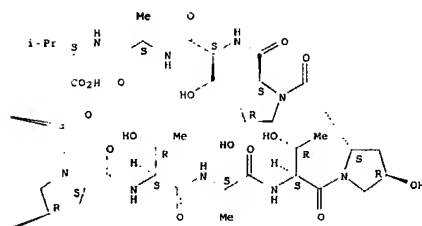
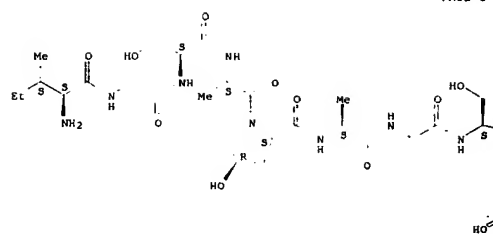
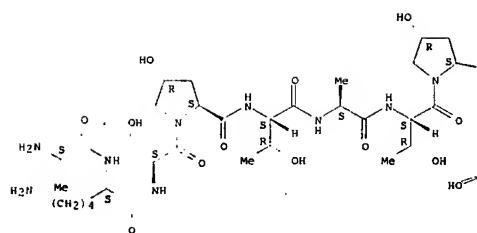
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 CN L-Alanine, L-valyl-L-threonyl-L-alanyl-trans-4-hydroxy-L-prolyl-L-threonyl-trans-4-hydroxy-L-prolyl-L-seryl-L-seryl-trans-4-hydroxy-L-prolyl-trans-4-hydroxy-L-prolyl-L-seryl-L-seryl-L-threonyl-L-threonyl-L-alanyl-L-alanyl-L-threonyl-L-threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167552-21-8 CAPLUS
 CN L-Valine, L-alanyl-L-lysyl-L-seryl-trans-4-hydroxy-L-prolyl-L-threonyl-L-alanyl-L-threonyl-trans-4-hydroxy-L-prolyl-trans-4-hydroxy-L-prolyl-L-threonyl-L-alanyl-L-threonyl-trans-4-hydroxy-L-prolyl-trans-4-hydroxy-L-prolyl-L-seryl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

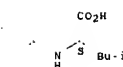
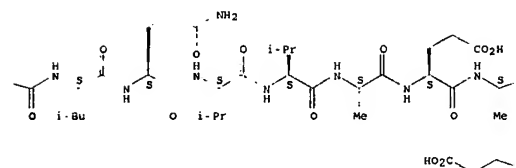
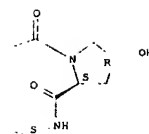
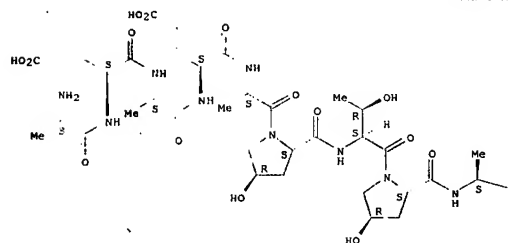


RN 167552-25-2 CAPLUS
 CN L-Asparagine, L-isoleucylglycyl-L-seryl-L-alanyl-trans-4-hydroxy-L-prolyl-L-alanylglycyl-L-seryl-trans-4-hydroxy-L-prolyl-L-threonyl-L-seryl-L-prolyl- (9CI) (CA INDEX NAME)

RN 167552-32-1 CAPLUS
 CN L-Leucine, L-alanyl-L-α-glutamyl-L-alanyl-L-α-glutamyl-L-alanyl-trans-4-hydroxy-L-prolyl-L-threonyl-trans-4-hydroxy-L-prolyl-L-alanyl-L-leucyl-L-glutamyl-L-valyl-L-valyl-L-alanyl-L-α-glutamyl-L-alanyl-trans-4-hydroxy-L-prolyl-L-α-glutamyl- (9CI) (CA INDEX NAME)

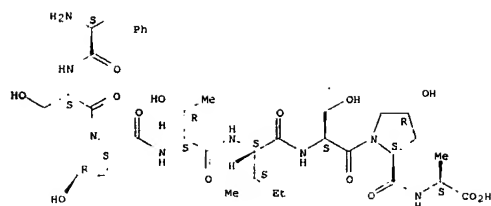
Absolute stereochemistry.

Absolute stereochemistry.



RN 167552-35-4 CAPLUS
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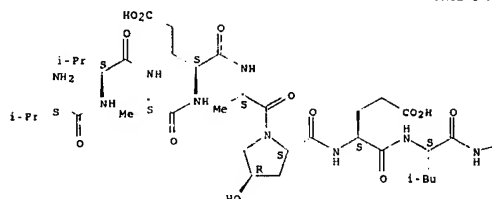
Absolute stereochemistry.



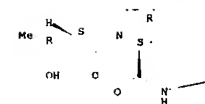
RN 167552-38-7 CAPLUS
 CN L-Serine, L-valyl-L-valyl-L-alanyl-L- α -glutamyl-L-alanyl-trans-4-hydroxy-L-prolyl-L- α -glutamyl-L-leucyl-L-valyl-trans-4-hydroxy-L-prolyl-L-threonyl-trans-4-hydroxy-L-prolyl-L-valyl-trans-4-hydroxy-L-prolyl-L-threonyl-trans-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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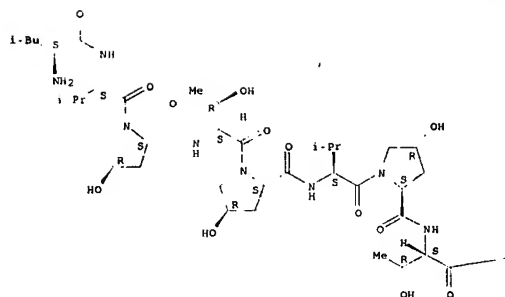
PAGE 2-C



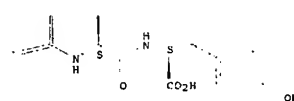
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Absolute stereochemistry.

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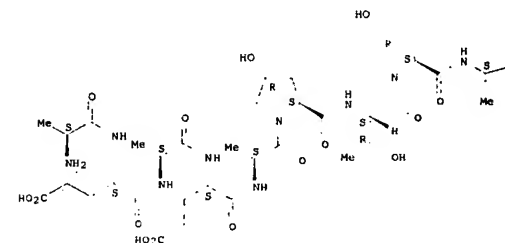
PAGE 2-B



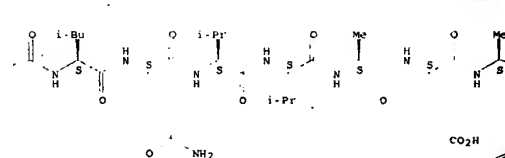
RN 167552-41-2 CAPLUS
 CN L-Tyrosine, L-alanyl-L- α -glutamyl-L-alanyl-L- α -glutamyl-L-alanyl-trans-4-hydroxy-L-prolyl-L-threonyl-trans-4-hydroxy-L-prolyl-L-alanyl-L-leucyl-L-glutamyl-L-valyl-L-valyl-L-alanyl-L- α -glutamyl-L-alanyl-trans-4-hydroxy-L-prolyl-L- α -glutamyl-L-leucyl-L-valyl-trans-4-hydroxy-L-prolyl-L-threonyl-trans-4-hydroxy-L-prolyl-L-valyl-trans-4-hydroxy-L-prolyl-L-threonyl-trans-4-hydroxy-L-prolyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

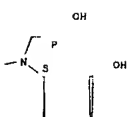
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PAGE 1-B

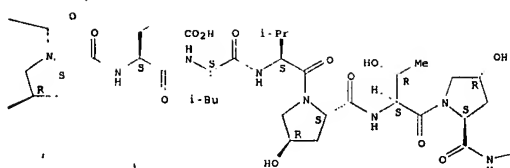


PAGE 2-A

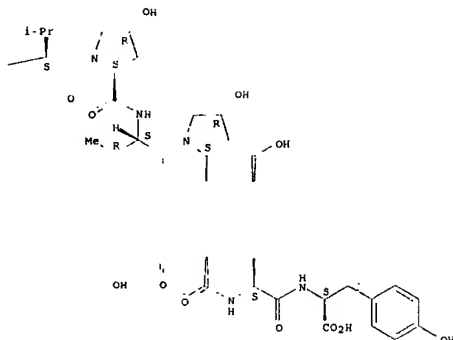


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PAGE 1-D

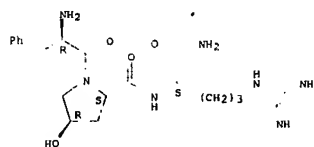


PAGE 2-D

L6 ANSWER 321 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1995:777433 CAPLUS
 DOCUMENT NUMBER: 124:30340
 TITLE: Amide and α -keto carbonyl inhibitors of thrombin

based on arginine and lysine: synthesis, stability and biological characterization
 AUTHOR(S): Brady, Stephen F.; Sisko, John T.; Stauffer, Kenneth J.; Colton, Christiana D.; Oiu, Howard; Lewis, Sidney D.; Ng, Assunta S.; Shater, Jules A.; Bogusky, Michael J.; et al.
 CORPORATE SOURCE: Dept. Med. Chem. and Dept. Biol. Chem., Merck Res. Labs., West Point, PA, 19486, USA
 SOURCE: Bioorganic & Medicinal Chemistry (1995), 3(8), 1063-78
 CODEN: BMECEP; ISSN: 0968-0896
 PUBLISHER: Elsevier
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Structure-activity investigations in a series of tripeptide amide inhibitors of thrombin, and the development of a series of highly potent active site directed α -keto carbonyl inhibitors having the side chain of lysine at P1 are reported. Compds. of this class are unstable by virtue of reactivity at the electrophilic carbonyl and racemization at the adjacent carbon (C α). Modifications of prototype α -ketoester R-D-Phe-L-Pro-L-Lys-COR1 (1: R = H, R1 = OMe) have afforded analogs retaining nanomolar Ki. Optimal potency and stability have been realized in α -ketoamides 1 (R = Me, R1 = NHMe) (Ki = 2.8 nM) and 1 (R = Me, R1 = NH2) (Ki = 0.25 nM).
 IT 171412-56 9P
 NL BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation, stability, and biol. characterization of arginine- and lysine-based amide and α -ketocarbonyl thrombin inhibitors)
 RN 171412-56 5 CAPLUS
 CN L-Argininamide, D-phenylalanyl-L-trans-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



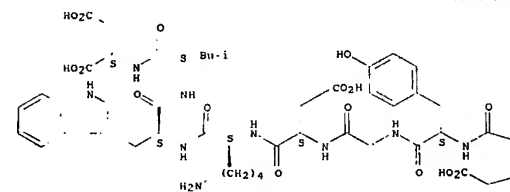
L6 ANSWER 322 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1995:708556 CAPLUS
 DOCUMENT NUMBER: 123:81613
 TITLE: T cell epitopes of ryegrass pollen allergen
 INVENTOR(S): Oritlich, Irvin J.; Kuo, Mei-Chang; Luqman, Mohammad
 PATENT ASSIGNEE(S): Immunologic Pharmaceutical Corp., USA
 SOURCE: PCT Int. Appl., 109 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9506728	A2	19950309	WO 1994-US9024	19940805

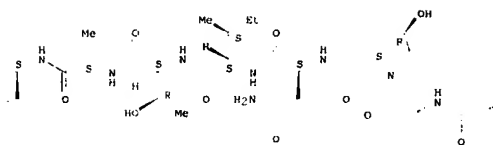
WO 9506728 A3 19950504
 W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, PT, ME, MG, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN
 RM: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
 CA 2168565 A1 19950309 CA 1994-2168565 19940805
 AU 9475897 A 19950322 AU 1994-75897 19940805
 EP 714440 A1 19960605 EP 1994-925803 19940805
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
 JP 09504167 T 19970428 JP 1994-508127 19940805
 ZA 9406068 A 19950328 ZA 1994-6068 19940812
 US 5710126 A 19980120 US 1995-440861 19950515
 FI 9400629 A 19960412 FI 1996-629 19960212
 NO 9400553 A 19960412 NO 1996-553 19960212
 US 7112333 B1 20060926 US 1996-737904 19961120
 US 1993-106016 A2 19930831
 US 1993-31001 A2 19930312
 WO 1994-US9024 W 19940805
 PRIORITY APPLN. INFO.:
 AB Peptides of Lol pV, a major protein allergen of the species Lolium perenne, are provided. Therapeutic peptides comprising 21 T cell epitope, or preferably 22 T cell epitopes of a protein allergen of Lol pV, are provided. Diagnostic peptides within the scope of the invention bind IgE. The invention also provides modified peptides having similar or enhanced therapeutic properties or other desirable properties as the corresponding, naturally-occurring allergen or portion thereof. Further provided are nucleic acid sequences coding for peptides of the invention. Use of the therapeutic compns. comprising one or more peptides of the invention in the manufacture of medicaments for treating sensitivity to Lol pV or an allergen immunol. related to Lol pV, or for general ryegrass sensitivity in an individual, is also provided. The invention also provides nucleic acid sequence coding for Dac gV protein allergen as well as the amino acid sequence of Dac gV protein allergen.
 IT 165526-76-1
 Rn. THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (LPI-1.1: T cell epitope of Lol p I peptide of Lolium allergen and its use as therapeutic and diagnostic)
 RN 165526-76-1 CAPLUS
 CN L-Aspartic acid, L-isoleucyl-L-alanyl-L-lysyl-L-valyl-L-trans-4-hydroxy-L-prolyl-L-prolylglycyl-L-trans-4-hydroxy-L-prolyl-L-asparaginyll-L-isoleucyl-L-threonyl-L-alanyl-L-L-glutamyl-L-tyrosylglycyl-L-L-aspartyl-L-lysyl-L-tryptophyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

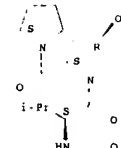
PAGE 1-A



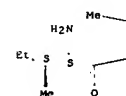
PAGE 1-B

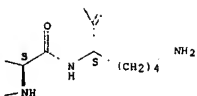


PAGE 1-C



PAGE 2-B





L6 ANSWER 323 OF 551
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:

CAPLUS COPYRIGHT 2007 ACS on STN
1995:592440 CAPLUS
123:106246

TITLE: Thimet oligopeptidase specificity: evidence of preferential cleavage near the C-terminus and product inhibition from kinetic analysis of peptide hydrolysis

AUTHOR(S): Knight, C. Graham; Dando, P. A.; Barrett, A. J.

CORPORATE SOURCE: Dep. of Biochemistry, Strangeways Res. Laboratory, Cambridge, CB1 4RN, UK

SOURCE: Biochemical Journal (1995), 308(1), 145-50
CODEN: BJJOAK; ISSN: 0264-6021

PUBLISHER: Portland Press

DOCUMENT TYPE: Journal

LANGUAGE: English

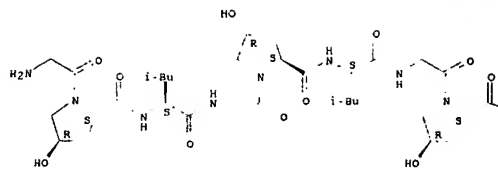
AB The substrate-size specificity of human thimet oligopeptidase (EC 3.4.24.15) was investigated with oligomers of glycyl-prolyl-leucine (GPLn where n = 2, 3, 4 and 5. These peptides were cleaved only at Leu-Gly bonds to give GPL as the single final product. Hydrolysis was most rapid with (GPL1) and slowest with (GPL5). The more water-soluble oligomers of Gly-Hyp-Leu showed the same trend. (Gly-Hyp-Leu)6 was not hydrolyzed, consistent with the finding that the cleavage of the thimet oligopeptidase by amino acids are not cleaved by thimet oligopeptidase. The cleavage of (GPL)3 to GPL fitted a sequential first-order model. First-order kinetics were unexpected as the initial substrate concentration was greater than Km. The anomaly was also seen during the cleavage of bradykinin and neurotensin, and in these cases first-order behavior was due to potent competitive inhibition by the product. The cleavage of (GPL)3 by thimet oligopeptidase (GPL)3 breakdown by thimet oligopeptidase does not discriminate between initial cleavages towards the N- or C-terminus. As isoleucine is an unfavorable residue in P1, substrates were made in which selected leucine residues were replaced by isoleucine. GPL-GPI-GPL (where - represents the bond between the tripeptide units) was resistant to hydrolysis and GPL-GPI-GPL was cleaved only at the leucine residue adjacent to the isoleucine-containing analogs of (Gly-Hyp-Leu)4 showed that thimet oligopeptidase preferred to cleave these peptides near the C-terminus.

IT 165904-47-2 165904-48-3
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(evidence of preferential cleavage of peptides near C-terminus by human thimet oligopeptidase and product inhibition)

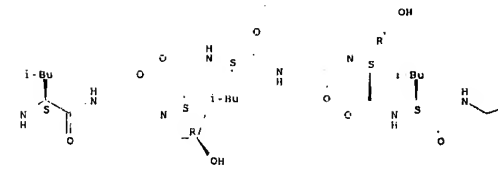
[illegible]

Absolute stereochemistry

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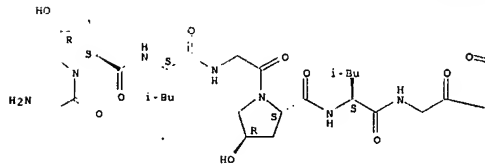
RN 165904-48-3 CAPLUS

RN
CN

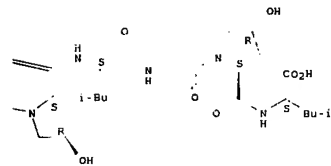
L-Leucine, N-[1-[[[N-[N-[1-[N-[N-[1-[N-[N-(1-glycyl-trans-4-hydroxy-L-prolyl)-L-leucyl]glycyl]-trans-4-hydroxy-L-prolyl]-L-leucyl]glycyl]-trans-4-hydroxy-L-prolyl]-L-leucyl]glycyl]-trans-4-hydroxy-L-prolyl]-L-leucyl]glycyl]-trans-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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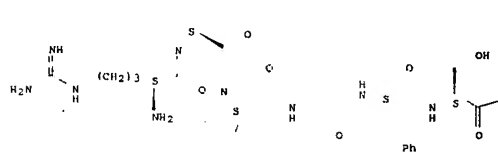
L6 ANSWER 324 OF 551
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:

CAPLUS COPYRIGHT 2007 ACS on STN
1995:521058 CAPLUS
122-281070

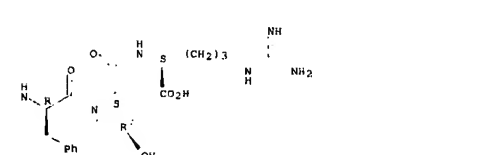
TITLE:	122:2839076
SOURCE:	The adenylylate cyclase-inhibiting bradykinin receptor in guinea pig ileum membranes exhibits an unique antagonist profile
AUTHOR(S):	Liebmann, Claus; Graness, Angela; Adomeit, Antje; Nawrath, Steffen
CORPORATE SOURCE:	Institute of Biochemistry and Biophysics, Biological Faculty, Friedrich-Schiller-University, Philosophenweg 12, D-7743, Jena, Germany
SOURCE:	European Journal of Pharmacology, Molecular Pharmacology Section (1995), 289(2), 403-7 CODEN: EJPPET; ISSN: 0922-4106
PUBLISHER:	Elsevier
DOCUMENT TYPE:	Journal
LANGUAGE:	English

The purpose of the present study was to characterize more precisely an inhibitory, adenylylate cyclase-coupled bradykinin receptor in guinea pig ileum membranes. Therefore, the effects of various well-known bradykinin receptor antagonists on the bradykinin-induced inhibition of ileal adenylylate cyclase activity and compared with both their binding affinities and their potencies to antagonize ileal adenylylate cyclase activation induced by bradykinin. The compound D-Val^1 -antagonists was able to antagonize both bradykinin-induced adenylylate cyclase inhibition and smooth muscle contraction. Several other antagonists antagonized the bradykinin-induced smooth muscle contraction but not the inhibition on adenylylate cyclase. The compound D-Met^1 -antagonists

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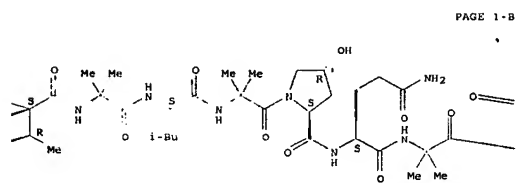
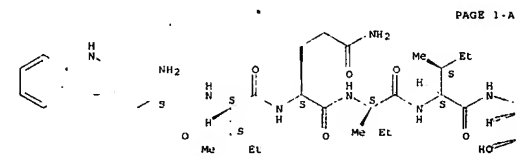
1.6 ANSWER 326 OF 551

CAPLUS COPYRIGHT 2007 ACS on STN
1995;505594 CAPLUS
123-250271

DOCUMENT NUMBER: 123/257371
TITLE: Deriving accurate interpretation distances from ROESY spectra with limited knowledge of scalar coupling constants via the CARNIVAL algorithm. An iterative complete-relaxation-matrix approach
AUTHOR(S): Liu, He; Bansville, Debra L.; Gasus, Vladimir J.; James, Thomas L.
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, University of

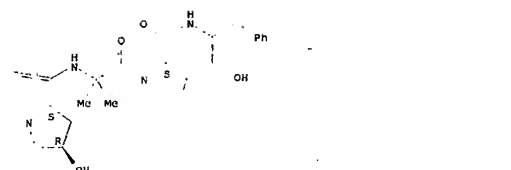
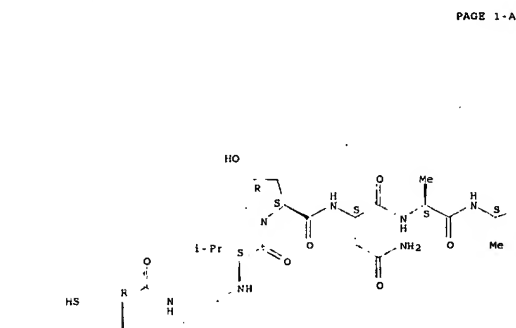
SOURCE: California, San Francisco, CA, 94143-0446, USA
Journal of Magnetic Resonance, Series B (1995),
107(1), 51-9
CODEN: JMRBES; ISSN: 1064-1866
PUBLISHER: Academic
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A method (termed CARNIVAL) for accurately determining distances from proton
homonuclear rotating-frame Overhauser effect spectroscopy (ROESY) is
described. The method entails an iterative calcul. of the relaxation
matrix using methodol. introduced with the MARDIGRAS algorithm for anal.
of two-dimensional nuclear Overhauser effect spectra. The situation is
complicated in the case of ROESY as spectral peak intensities are
influenced by resonance offset and contributions from homonuclear
Hartmann-Hahn (HOMAH) transfer if the nuclear spins are related by scalar
coupling. The effects of spin-locking field strength on distance detns.
and the ensuing distance errors incurred when HOMAH corrections are made
with limited knowledge of scalar (J) coupling information have been
evaluated using simulated ROESY intensities with a model peptide
structure. Accurate distances can be obtained with little or no explicit
knowledge of the homonuclear coupling consts. over a moderate range of
spin-locking field strengths. The CARNIVAL algorithm has been utilized to
determine distances in a decapeptide using exptl. ROESY data without measured
coupling consts.
IT 163784-47-2
RL PRP (Properties)
(interproton distances in peptides from ROESY spectra with limited
knowledge of scalar coupling consts.)
RN 163784-47-2 CAPLUS
CN L-Prolineamide, L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-
isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-
L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-
methylalanyl-N-[1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



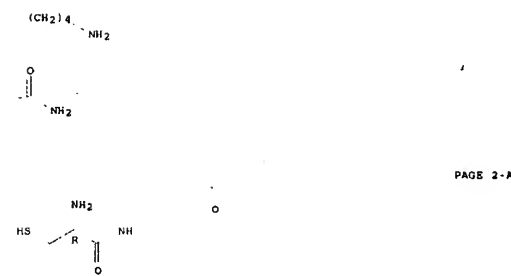
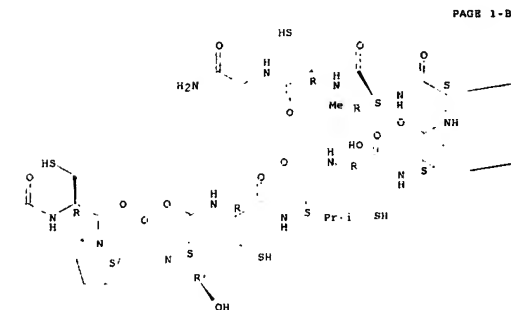
CA 1994-2165566 A3 19940627
EP 1994-920316 A3 19940627
WO 1994-US7194 W 19940627
OTHER SOURCE(S): CASREACT 123:50449; MARPAT 123:50449
AB Substantially pure conotoxins are provided which inhibit synaptic
transmissions at the neuromuscular junctions and which are useful both in
vivo and in assays because they specifically target particular receptors,
such as the acetylcholine receptor, and ion channels. The peptides are of
such length that they can be made by chemical synthesis. The peptides may be
used to analyze acetylcholine receptors and in pharmaceuticals (no data).
Thirteen different conotoxins containing 16-46 amino acids were prepared by
solid phase peptide synthesis and tested for biol. activity.
IT 162381-42-2P 162381-43-3P 162381-44-4P
162381-45-5P
RL SPM (Synthetic preparation); THU (Therapeutic use); BTOL (Biological
study); PREP (Preparation); USES (Uses)
(conotoxins having acetylcholine receptor binding properties and their
use in receptors assays and pharmaceuticals)
RN 162381-42-2 CAPLUS
CN Glycinamide, L-cysteinyl-L-cysteinylglycyl-L-valyl-(4R)-4-hydroxy-L-prolyl-
L-asparaginyl-L-alanyl-L-alanyl-L-cysteinyl-L-prolyl-(4R)-4-hydroxy-L-
prolyl-L-cysteinyl-L-valyl-L-cysteinyl-L-asparaginyl-L-lysyl-L-threonyl-L-
cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



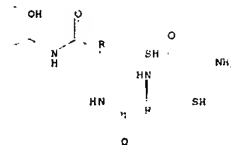
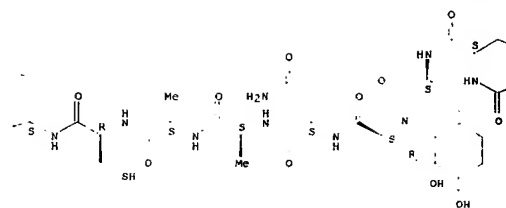
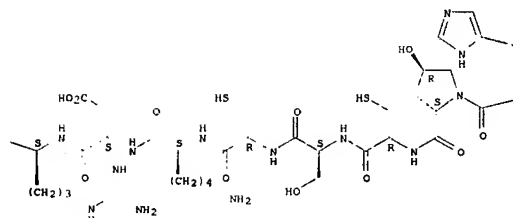
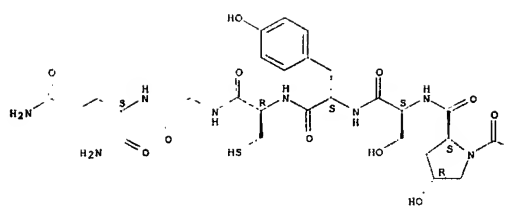
L6 ANSWER 326 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:494558 CAPLUS
DOCUMENT NUMBER: 123:50449
TITLE: Conotoxins having acetylcholine receptor binding
properties and their use in receptors assays and
pharmaceuticals
INVENTOR(S): Olivera, Baldomero M.; Rivier, Jean E. P.; Cruz,
Lourdes J.; Abogadie, Fe; Hopkins, Chris E.; Dykert,
John; Torres, Josep L.
PATENT ASSIGNOR(S): Salk Institute for Biological Studies, USA; University
of Utah Research Foundation
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXX02
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9501436	A1	19950112	WO 1994-US7194	19940627
W: AU, CA, JP, KP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GP, IE, IT, LU, MC, NL, PT, SE				
US 5432155	A	19950711	US 1993-84848	19930629
CA 2165566	A1	19950112	CA 1994-2165566	19940627
CA 2165566	C	20010624		
CA 2420184	A1	19950112	CA 1994-2420184	19940627
CA 2420184	C	20040921		
AU 9471158	A	19950124	AU 1994-71158	19940627
AU 678837	B2	19970612		
EP 706566	A1	19960417	EP 1994-920316	19940627
EP 706566	B1	20010827		
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE				
EP 1336617	A2	20030820	EP 2003-75795	19940627
EP 1336617	A3	20011210		
EP 1336617	B1	20041229		
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE				
AT 246222	T	20010915	AT 1994-920316	19940627
AT 286128	T	20050115	AT 2003-75795	19940627
US 5700778	A	19971223	US 1995-458499	19950602
AU 9735197	A	19971120	AU 1997-35197	19970821
AU 699078	B2	19981119		
US 39240	E1	20060815	US 1999-469496	19991222
PRIORITY APPLN. INFO.:			US 1993-84848	A 19930629



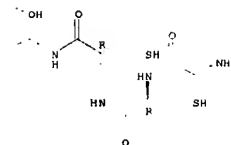
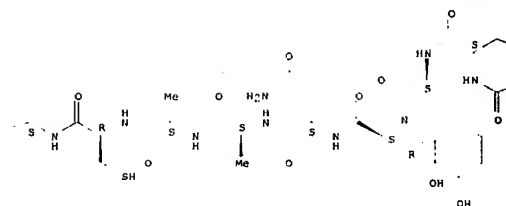
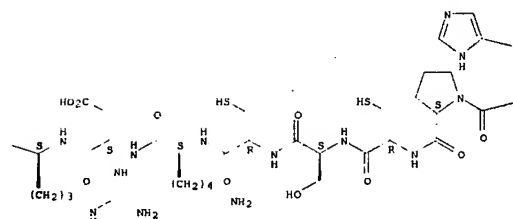
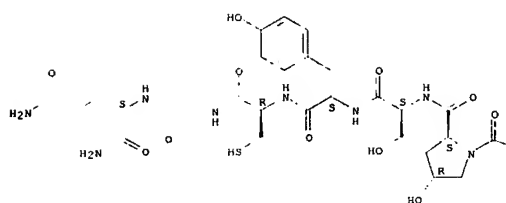
RN 162381-43-3 CAPLUS
CN L-glutamamide, glycyl-L-cysteinyl-L-cysteinylglycyl-L-seryl-L-tyrosyl-
trans-4-hydroxy-L-prolyl-L-asparaginyl-L-alanyl-L-alanyl-L-cysteinyl-L-
histidyl-trans-4-hydroxy-L-prolyl-L-cysteinyl-L-seryl-L-cysteinyl-L-lysyl-
L-aspartyl-L-arginyl-trans-4-hydroxy-L-prolyl-L-seryl-L-tyrosyl-L-
cysteinylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



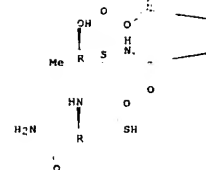
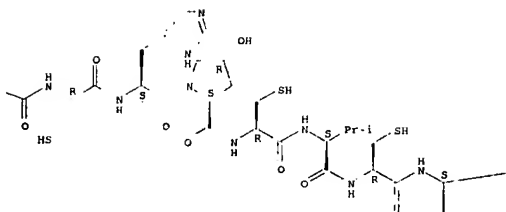
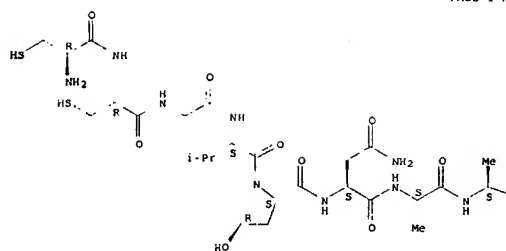
RN 162381-44-4 CAPLUS
 CN L-Glutamide, glycyl-L-cysteiny-L-cysteinyglycyl-L-seryl-L-tyrosyl-
 trans-4-hydroxy-L-prolyl-L-asparagyl-L-alanyl-L-alanyl-L-cysteiny-L-
 histidyl-L-prolyl-L-cysteiny-L-seryl-L-cysteiny-L-lysyl-L-
 aspartyl-L-arginyl-trans-4-hydroxy-L-prolyl-L-seryl-L-tyrosyl-L-
 cysteinyglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 162381-45-5 CAPLUS
 CN L-Cysteineamide, L-cysteiny-L-cysteinyglycyl-L-valyl-trans-4-hydroxy-L-
 prolyl-L-asparagyl-L-alanyl-L-alanyl-L-cysteiny-L-histidyl-trans-4-
 hydroxy-L-prolyl-L-cysteiny-L-valyl-L-cysteiny-L-lysyl-L-asparagyl-L-
 threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

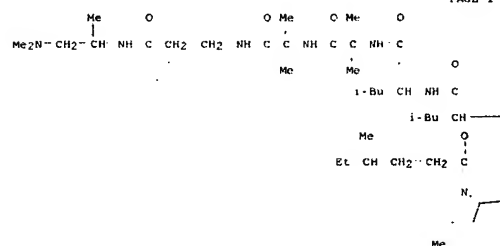
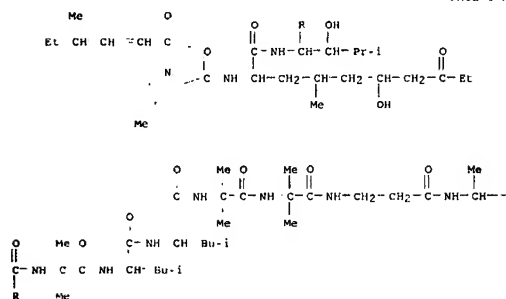


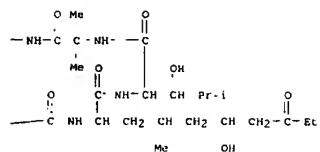
L6 ANSWER 327 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1995:469673 CAPLUS
 DOCUMENT NUMBER: 122:286410
 TITLE: Structure activity studies on chemically modified
 homologs of the antibiotic phytotoxic leucinoastatin A
 AUTHOR(S): Verluani, G.; Boggian, M.; Scatturin, A.; Ricci, M.;
 Balbocchino, B. Meli; Tuttobello, L.; Rossi, C.
 CORPORATE SOURCE: Dip. Sci. Farm., Univ. Ferrara, Ferrara, I-44100.

SOURCE: Italy
 Journal of Antibiotics (1995), 48(3), 254-60
 CODEN: JANTA; ISSN: 0021-6820
 PUBLISHER: Japan Antibiotics Research Association
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The synthesis and a conformational study of a number of homologs of the
 well-known antibiotic, phytotoxic leucinoastatin A are reported. The CD of
 all the compds. are discussed. Some conclusions on the structure-activity
 relations of these compds. are drawn. The influence of the
 α-helical conformation and/or the increased lipophile character on
 their interesting biol. activities is emphasized.
 IT 76600-38-9DP, Leucinoastatin A, analogs 93667-70-0P,
 Leucinoastatin A 2 147450-25-7P 163089-75-6P,
 Leucinoastatin A 3
 RI: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic
 preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant
 or reagent)
 (structure-activity studies on chemical modified homologs of leucinoastatin
 A)
 RN 76600-38-9 CAPLUS
 CN Leucinoastatin A (9CI) (CA INDEX NAME)

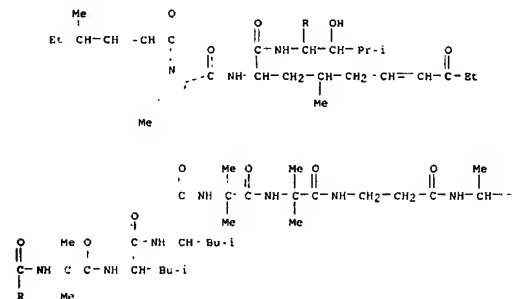


RN 93667-70-0 CAPLUS
 CN Leucinoastatin A, 1-[(cis-4-methyl-1-(4-methyl 1 oxohexyl)-L-proline)-,
 [1(S)]- (9CI) (CA INDEX NAME)



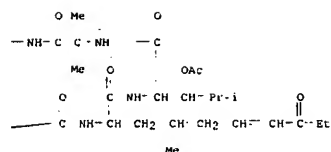
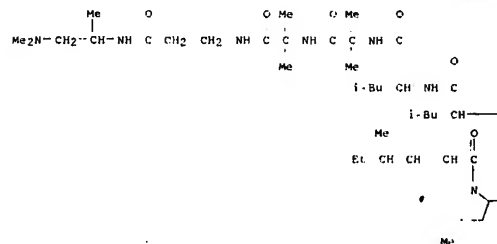


RN 147450-25-7 CAPLUS
CN Leucinostatin A 2 (9CI) (CA INDEX NAME)



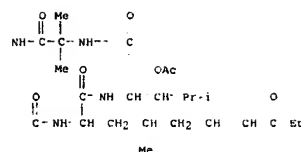
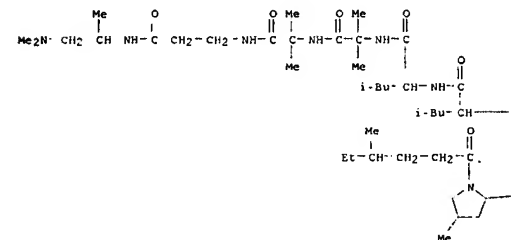
CH₂ NMe₂

RN 163089-75-6 CAPLUS
CN β-Alaninamide, (2E,4S)-4-methyl-1-[(4S)-4-methyl-1-oxo-2-hexenyl]-L-prolyl-(2S,4R,6E)-2-amino-4-methyl-8-oxo-6-decenoyl-(3R)-3-(acetyloxy)-L-leucyl-2-methylalanyl-L-leucyl-L-leucyl-2-methylalanyl-2-methylalanyl-N-[(1S)-2-(dimethylamino)-1-methylethyl]- (9CI) (CA INDEX NAME)

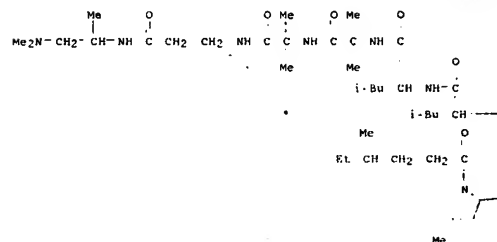


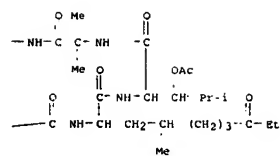
IT 93667-72-2P, Leucinostatin A 7 93697-27-9P.
Leucinostatin A 4 163089-76-7P, Leucinostatin A 5
163133-42-4P, Leucinostatin A 6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)
(structure-activity studies on chemical modified homologs of leucinostatin
A)

RN 93667-72-2 CAPLUS
CN Leucinostatin A, 1-[(4S)-4-methyl-1-[(4S)-4-methyl-1-oxohexyl]-L-proline]-
2-[(2S,4S,6E)-2-amino-4-methyl-8-oxo-6-decenoic acid]-, acetate (ester) (9CI)
(9CI) (CA INDEX NAME)

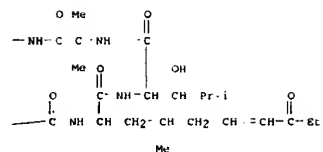
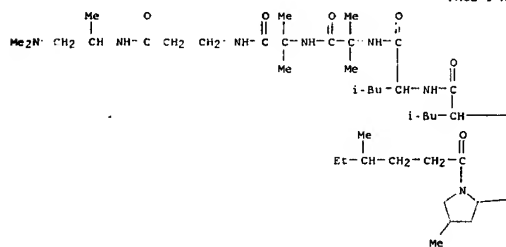


RN 93697-27-9 CAPLUS
CN Leucinostatin A, 1-[(4S)-4-methyl-1-[(4S)-4-methyl-1-oxohexyl]-L-proline]-
2-[(2S,4S)-2-amino-4-methyl-8-oxodecanoic acid]-, acetate (ester) (9CI)
(CA INDEX NAME)

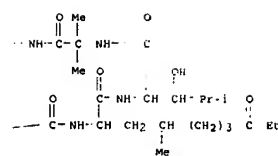
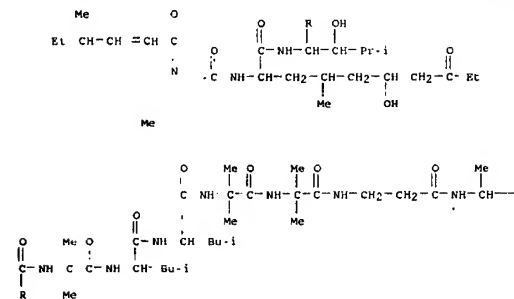




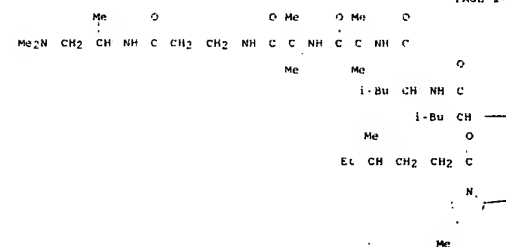
RN 163089-76-7 CAPLUS
 CN β -Alaninamide, (4S)-4-methyl-1-[(4S)-4-methyl-1-oxohexyl]-L-prolyl-
 (2S,4R)-2-amino-4-methyl-8-oxodecanoyl-(3R)-3-hydroxy-L-leucyl-2-
 methylalanyl-L-leucyl-L-leucyl-2-methylalanyl-2-methylalanyl-N-[(1S)-2-
 (dimethylamino)-1-methylethyl]- (9CI) (CA INDEX NAME)



IT 76600-38-9, Leucinostatin A
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (structure-activity studies on chemical modified homologs of leucinostatin
 A)
 RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9CI) (CA INDEX NAME)

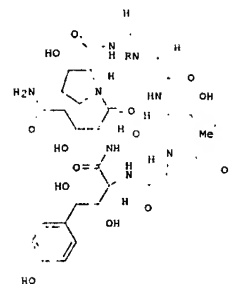


RN 163133-42-4 CAPLUS
 CN β -Alaninamide, (4S)-4-methyl-1-[(4S)-4-methyl-1-oxohexyl]-L-prolyl-
 (2S,4R,6E)-2-amino-4-methyl-8-oxo-6-decenoyl-(3R)-3-hydroxy-L-leucyl-2-
 methylalanyl-L-leucyl-L-leucyl-2-methylalanyl-2-methylalanyl-N-[(1S)-2-
 (dimethylamino)-1-methylethyl]- (9CI) (CA INDEX NAME)



CH₂-NMe₂

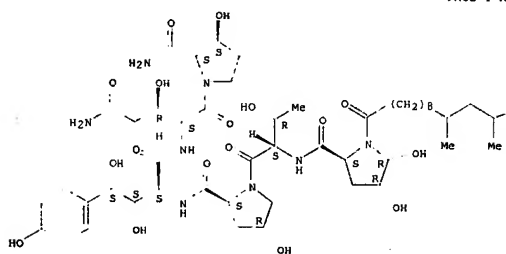
L6 ANSWER 328 OF 561 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1995:419444 CAPLUS
 DOCUMENT NUMBER: 123:33619
 TITLE: Pneumocandin B0 acid degrade
 AUTHOR(S): Boutfard, F. Aileen; Hammond, Milton L.; Arison, Byron
 W.
 CORPORATE SOURCE: Merck Res Lab., Rahway, NJ, 07065 0900, USA
 SOURCE: Tetrahedron Letters (1995), 36(9), 1405-8
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Acid-catalyzed ionization of pneumocandin B0 in a polar aprotic solvent
 produces the internally cyclized dehydration product 1 (R = 10,
 12-dimethylmyristoyl).
 IT 146669-22-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (acid-catalyzed cyclization-dehydration of pneumocandin B0)

RN 146669-22-9 CAPLUS
CN L-Prolineamide, 1-[(10,12-dimethyl-1-oxotetradecyl)-
(2*u*,4*u*,5*u*)-4,5-dihydroxy-L-prolyl-L-threonyl-trans-4-
hydroxy-L-prolyl-(S)-4-hydroxy-4-(4-hydroxyphenyl)-L-threonyl-threo-3-
hydroxy-L-glutaminyl-3-hydroxy-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

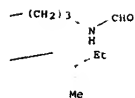


PAGE 1-A

PAGE 1-B

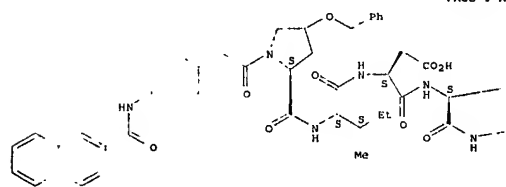
L6 ANSWER 329 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:304927 CAPLUS
DOCUMENT NUMBER: 122:82085
TITLE: Preparation of acyclic peptides as cardiovascular
agents (natriuretics).
INVENTOR(S): Voges, Klaus Peter; Henning, Rolf; Huebach, Walter;
Lenfers, Jan Bernd; Beuck, Martin; Theiss, Gudrun;
Stasch, Johannes Peter; Hirth-Dietrich, Claudia
Bayer A.-G., Germany
PATENT ASSIGNEE(S):
SOURCE: Ger. Offen., 73 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4242946	A1	19940623	DE 1992-4242946	19921218
CA 2151961	A1	19940707	CA 1993-2151961	19931206



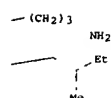
RN 160344-82-1 CAPLUS
CN L-Ornithinamide, 1-[[4-[(2-naphthalenylcarbonyl)amino]phenyl]acetyl]-trans-
4-(phenylmethoxy)-L-prolyl-L-isoleucyl-L- α -aspartyl-N-(2-
methylbutyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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PAGE 1-B



L6 ANSWER 330 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:304885 CAPLUS
DOCUMENT NUMBER: 122:106532
TITLE: Preparation of amino acid- and peptideamides as

WO 9414840 A1 19940707 WO 1993-EP3431 19931206
W: AU, BR, BG, BF, BY, CA, CZ, FI, HU, JP, KP, FR, KZ, LR, MG, MN,
MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9456970 A 19940719 AU 1994-56970 19931206
EP 674655 A3 19951004 EP 1994-902694 19931206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO.: DE 1992-4242946 A 19921218
WO 1993-EP3431 W 19931206
OTHER SOURCE(S): MARPAT 122:82085
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

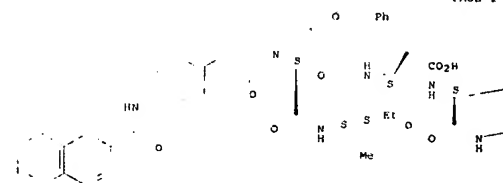
AB RICOABDEGR2 [A = bond, Q1, Q2, Q3: a, b, d, f = 1,2; e = 0-2; R3, R10, R26
= H, alkyl, protecting group; R4, R5, R11, R12, R27, R28 = H, Me, etc.;
R4R5, R11R12 = atoms to form a 5-6 membered carbocycle; B = Q4, Q5, Q6,
etc.; j = 0-4; g = 1-3; R9 = H, protecting group; D, E, Q = B, Q7; R1 =
alkyl, pyridyl, quinolyl, etc.; R2 = OS; k, l = 0-2; R29, R30 = H,
protecting group, (substituted) alkyl, were prepared as natriuretics (no
data). Thus, title compound (I) was prepared on Tentagel-S-NH2 resin using
PMOC-protected amino acids.

IT 160344-81-OP 160344-82-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(Preparation of, as cardiovascular agent)

RN 160344-81-0 CAPLUS
CN L-Ornithinamide, 1-[[4-[(2-naphthalenylcarbonyl)amino]phenyl]acetyl]-trans-
4-(phenylmethoxy)-L-prolyl-L-isoleucyl-L- α -aspartyl-N-(2-
methylbutyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



INVENTOR(S): Escher, Franz; Schorrenberg, Gerd; Dollinger, Horst;
Jung, Wigit; Burger, Erich
PATENT ASSIGNEE(S): Boehringer Ingelheim KG, Germany; Boehringer Ingelheim
International GmbH
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXX2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9405693	A1	19940317	WO 1993-EP2329	19930828
W: AU, BG, BY, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 4243496	A1	19940310	DE 1992-4243496	19921222
DE 4315437	A1	19941110	DE 1993-4315437	19930508
EP 610487	A1	19940817	EP 1993-919208	19930828
EP 610487	B1	19951110		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07501085	T	19950202	JP 1993-506852	19930828
AU 677792	B2	19970508	AU 1993-49547	19930828
AU 9349547	A	19940129		
CN 1046222	A	19940504	CN 1993-117349	19930903
FI 9401987	A	19940429	FI 1994-1987	19940429
NO 9401611	A	19940502	NO 1994-1611	19940502
GR 302395	T3	20000531	GR 2000-400089	20000114
PRIORITY APPLN. INFO.:				
DE 1992-4229447	A	19920903		
DE 1992-4243496	A	19921222		
DE 1993-4315437	A	19930508		
WO 1993-EP2329	W	19930828		

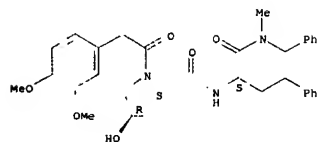
OTHER SOURCE(S): MARPAT 122:106532
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB RICOAB [I; R1 = vinyl, (substituted) aryl, heteroaryl, aralkyl,
heteroaryalkyl, cycloalkyl, adamantyl, adamantylalkyl, decalinyl,
decalinylalkyl, (methyl)bicycloheptyl, etc.; A1 = D- or L-Ala, D- or L-Val,
D- or L-Leu, D- or L-Ile, D- or L-Thr, D- or L-Cys, D- or L-Phe, D- or
L-Trp, D- or L-Pro, D- or L-hydroxyPro, D- or L-glu, D- or L-Asp, D- or
L-Asn, D- or L-Lys, D- or L-Orn, etc.; B = A2NR2R3, R5: A2 = lipophilic
 α -amino acid residue; R2, R3 = alkyl, OH, (substituted) aralkyl,
heteroaryl, NR2R3 = Q1, Q2; m, n = 0-3; m+n = 2-5; R5 = Q3, Q4; W
= OS, OS, diarylmethyl, cyclopentyl, etc.; R6 = (substituted) aralkyl,
diarylmethyl, heteroaryalkyl, phenylaminoalkyl, naphthylaminoalkyl, etc.;
R7 = H, alkyl; X = H2, O; Y, Z = H, alkyl, alkoxy, (substituted) PhCH2O,
t, u = 0, or t = 1, u = 0, or t, u = 1, or t = 2, u = 0), were prepared
Thus, title compound II, prepared by solution phase couplings, bound to
substance

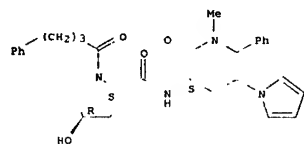
P receptors with IC50 = 60 nM.
IT 159136-74-OP 159136-76-2P 159136-84-2P
159136-85-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(Preparation of, as neurokinin antagonist)
Rn 159136-74-0 CAPLUS
CN Butanamide, 1-[(3,4-dimethoxyphenyl)acetyl] trans-4-hydroxy-L-prolyl-N-
methyl-4-phenyl-N-(phenylmethyl)-L-2-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



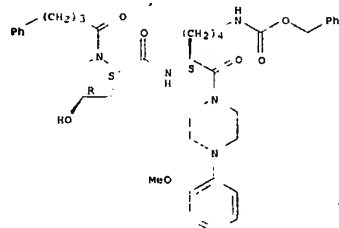
RN 159136-76-2 CAPLUS
CN Butanamide, trans-4-hydroxy-1-(1-oxo-4-phenylbutyl)-L-prolyl-N-methyl-N-(phenylmethyl)-4-(1H-pyrrol-1-yl)-L-2-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 159136-84-2 CAPLUS
CN Caramic acid, [5-[[[4-hydroxy-1-(1-oxo-4-phenylbutyl)-2-pyrrolidinyl]carbonyl]amino]-6-(4-(2-methoxyphenyl)-1-piperazinyl)-6-oxohexyl]-, phenylmethyl ester, [2S-[2a(R*),4R]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

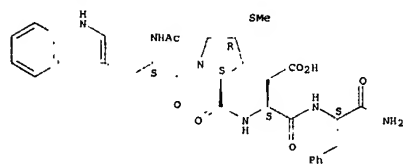


RN 159136-85-3 CAPLUS
CN L-Lysinamide, (trans-4-hydroxy-1-(1-oxo-4-phenylbutyl)-L-prolyl-N-methyl-N-6-[(phenylmethoxy)carbonyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

cholecystokinin-4 analogs

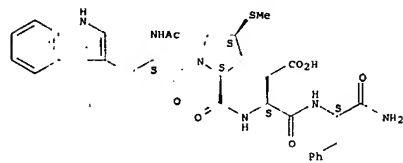
RN 161457-77-8 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-tryptophyl-trans-4-(methylthio)-L-prolyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



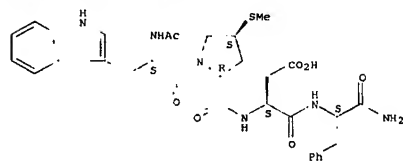
RN 161457-78-9 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-tryptophyl-cis-4-(methylthio)-L-prolyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



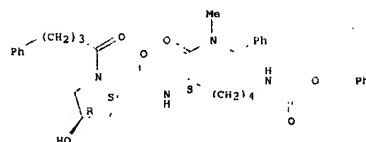
RN 161457-79-0 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-tryptophyl-trans-4-(methylthio)-D-prolyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 161457-80-3 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-tryptophyl-cis-4-(methylthio)-D-prolyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L6 ANSWER 331 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1995:282754 CAPLUS
DOCUMENT NUMBER: 122:161317

TITLE: Ac-13- and 4-Alkylthioprolinyl-CCK4 Analogs:

Synthesis and Implications for the CCK-B

Receptor-Bound Conformation

AUTHOR(S): Kolodziej, Stephen A.; Nikiforovich, Gregory V.;

Skeean, Richard; Lignon, Marie-Francoise; Martinez,

Jean; Marshall, Garland R.

CORPORATE SOURCE: School of Medicine, Washington University, St. Louis,

MO, 63110, USA

SOURCE: Journal of Medicinal Chemistry (1995), 38(1), 137-49

CODEN: JMCMAJ; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB It has been reported that substitution of the Met31 residue in Boc-CCK4 (Boc-Trp30-Met31-Asp32-Phe33-NH2, CCK3 numbering; Boc + Me3CO2C) by trans-3-propyl-L-proline yields a highly potent and selective CCK-B agonist. To further explore the structural requirements of the Met31 side chain in the receptor-bound conformation of CCY4, several Ac-CCK4 analogs containing substitution of Met31 by 3- and 4-(alkylthio)-substituted proline derivs. were prepared. To this end novel synthetic routes to enantiomerically pure N-Boc-4-cis- and trans-(methylthio)prolines and racemic N-Boc-3-cis and -trans-[(4-methylbenzyl)thio]prolines were developed. The protected mercaptoproline derivatives were incorporated into Ac-CCK4 analogs using solid-phase methods and were alkylated using various electrophiles following cleavage from the solid support. Binding assays reveal that 3-(alkylthio)proline analogs have higher affinities at the CCK-B receptor than the corresponding 4-(alkylthio)proline analogs, and that trans-3-(alkylthio)proline analogs had higher affinities than corresponding cis-3-(alkylthio)proline analogs. Within both the cis- and trans-3-(alkylthio)proline series, the order of potency was Me < Et < n-Pr. The trans-3-(n-propylthio)-L-proline analog demonstrates a higher affinity than that reported for Boc-CCK4(trans-3-propyl-L-Pro11). Comparison of the low-energy structures calculated for several high-affinity Ac-CCK4 analogs reveal a common geometry which the authors propose to be the CCK-B receptor-bound conformation. This model shows grouping of the hydrophobic side chains of Trp, Met, and Phe at one side of the mol., and the hydrophilic side chain of Asp and the C-terminal carboxamide at the other side.

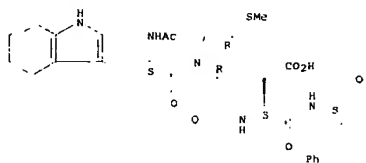
IT 161457-77-8P 161457-78-9P 161457-79-0P

161457-80-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and receptor binding activities of (alkylthio)proline containing

Absolute stereochemistry.



IT 161457-76-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and receptor binding activities of

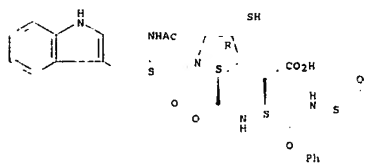
(alkylthio)proline-containing

cholecystokinin-4 analogs)

RN 161457-76-7 CAPLUS

CN L-Phenylalaninamide, N-acetyl-L-tryptophyl trans-4-mercapto-L-prolyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 332 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1995:280721 CAPLUS
DOCUMENT NUMBER: 122:1270

TITLE: Peptide inhibitors of src SH3-SH2-phosphoprotein

interactions

AUTHOR(S): Gilmer, Tona; Rodriguez, Marc; Jordan, Steve; Crosby,

Renaie, Alligood; Kyrtati; Green, Michael; Kimery,

Millard; Wagner, Craig; Kinder, Dan; et al.

CORPORATE SOURCE: Glaxo Res. Inst., Research Triangle Park, NC, 27709,

USA

SOURCE: Journal of Biological Chemistry (1994), 269(50),

31711-19

CODEN: JBCHA3; ISSN: 0021-9258

PUBLISHER: American Society for Biochemistry and Molecular

Biology

DOCUMENT TYPE: Journal

LANGUAGE: English

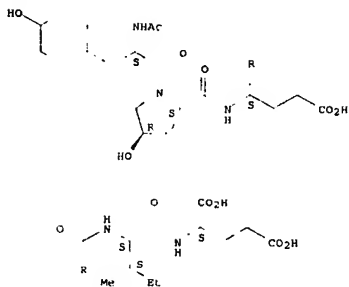
AB Activated pp60c-src has been implicated in a number of human malignancies including colon carcinoma and breast adenocarcinoma. Association of the src SH2 domain with tyrosine-phosphorylated proteins plays a role in src-mediated signal transduction. Inhibitors of src SH2

domain-phosphoprotein interactions are, thus, of great interest in

defining the role(s) of src in signal transduction pathways. To facilitate such studies, an ELISA was developed to detect inhibitors of src SH2-phosphoprotein interactions. This assay measures inhibition of binding of a fusion construct (glutathione S-transferase src SH3-SH2) with autophosphorylated epidermal growth factor receptor tyrosine kinase domain. Activities of phosphopeptide segments derived from potential src SH2 cognate phosphoprotein partners were determined, with the focal adhesion kinase-derived segment VSETDDY-ARIIDE yielding the highest inhibitory activity. Structure activity studies starting from acetyl (Ac)-Y-EEIE have identified Ac-Y-Y-Y-EEIE as the most active compound screened in the ELISA. This compound is at least 20-fold more active than the parent peptide Ac-Y-EEIE. A high resolution (2 Å) crystal structure of human src SH2 complexed with Ac-Y-EEIE was obtained and provided a useful framework for understanding the structure-activity relationships. Addnl., Ac-Y-EEIE was able to block interactions between src and its cellular phosphoprotein partners in vanadate-treated cell lysates from MDA-MB-468 breast carcinoma cells. However, it is unable to abrogate proliferation of MDA-MB-468 cells in culture, presumably because of poor cell penetration and/or lability of the phosphate group on tyrosine.

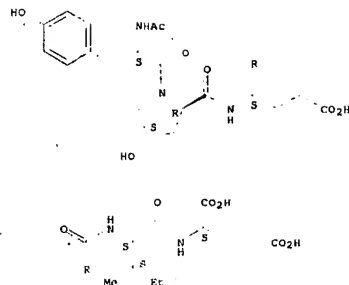
IT 159439-42-6 159439-43-7 159439-59-5
159439-60-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(ELISA of peptide inhibitors of src SH3-SH2-phosphoprotein interactions)
RN 159439-42-6 CAPLUS
CN L-Glutamic acid, N-[N-[N-[1-(N-acetyl-L-tyrosyl)-trans-4-hydroxy-L-prolyl]-L-α-glutamyl]-L-isoleucyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159439-43-7 CAPLUS
CN L-Glutamic acid, N-[N-[N-[1-(N-acetyl-L-tyrosyl)-trans-4-hydroxy-D-prolyl]-L-α-glutamyl]-L-isoleucyl]- (9CI) (CA INDEX NAME)

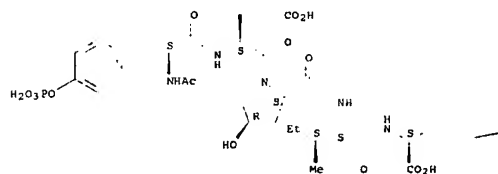
Absolute stereochemistry.



RN 159439-59-5 CAPLUS
CN L-Glutamic acid, N-[N-[N-[1-(N-acetyl-O-phosphono-L-tyrosyl)-L-α-glutamyl]-trans-4-hydroxy-L-prolyl]-L-isoleucyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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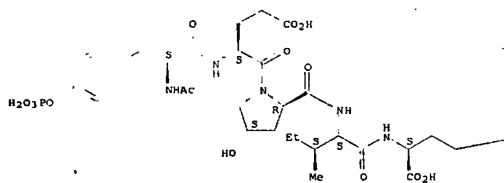
CO₂H

RN 159439-60-8 CAPLUS

CN L-Glutamic acid, N-[N-[N-[1-(N-acetyl-O-phosphono-L-tyrosyl)-L-α-glutamyl]-trans-4-hydroxy-D-prolyl]-L-isoleucyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B

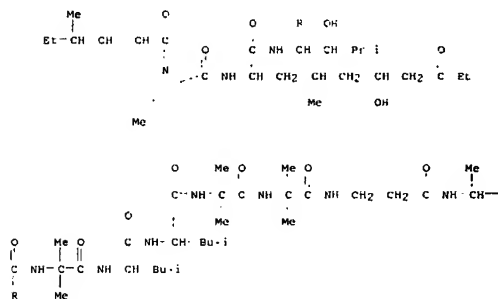
CO₂H

L6 ANSWER 333 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:120079 CAPLUS
DOCUMENT NUMBER: 122:16952
TITLE: Antibody-targeted leucinoastatin A
AUTHOR(S): Dosio, Franco; Ricci, Maurizio; Brusa, Paola; Rossi, Carlo; Cattel, Luigi
CORPORATE SOURCE: Istituto di Chimica Farmaceutica Applicata, University of Turin, Turin, Italy
SOURCE: Journal of Controlled Release (1994), 32(1), 37-44
CODEN: JCRESC; ISSN: 0168-3659
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This paper describes chemical modification of the toxic agent Leucinoastatin A and its conjugation with a monoclonal antibody. The mol., isolated from a culture filtrate of Paecilomyces marlandii (Masse) Hughes, is a nonapeptide antibiotic with cytotoxic and phytotoxic properties. To evaluate its toxicity, and to improve its specificity as an antitumor agent, the mol. was conjugated to the monoclonal antibody AR-3, specific to human colorectal and ovarian carcinomas. The targeting ability of AR-3, bearing different amts. of toxic agent, was tested on related and unrelated cell lines. Stability of the ester linkage between Leucinoastatin A and AR-3 was also studied. In this study we show that coupling of Leucinoastatin A with a tumor-directed monoclonal antibody is a practical way to increase both the cytotoxicity and selectivity of the chemotherapeutic agent.

IT 76600-38-9DP, Leucinoastatin A, conjugates with monoclonal antibodies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antibody-targeted leucinoastatin A)
RN 76600-38-9 CAPLUS
CN Leucinoastatin A (9CI) (CA INDEX NAME)

PAGE 1-A

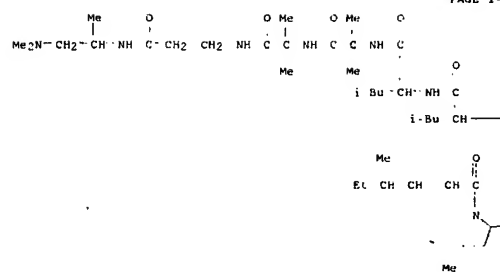


PAGE 1-B

CH₂-NMe₂

IT 76600-38-9, Leucinoastatin A
RL: RCT (Reactant); RACT (Reactant or reagent)
(antibody-targeted leucinoastatin A)
RN 76600-38-9 CAPLUS
CN Leucinoastatin A (9CI) (CA INDEX NAME)

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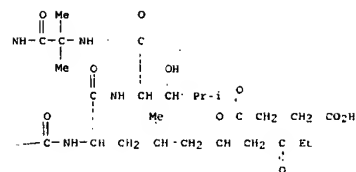
PAGE 1-B



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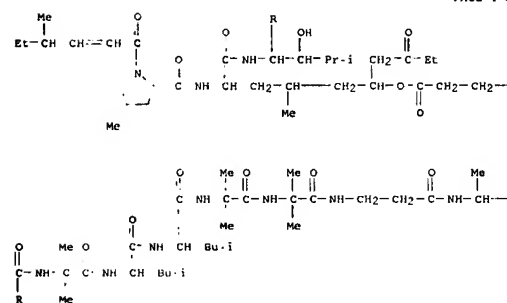
IT 159544-15-7P 159565-45-4P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
    (Reactant or reagent)
    (antibody-targeted leucinosstatin A)
RN 159544-15-7 CAPLUS
CN Leucinosstatin A, 2-(hydrogen butanedioate) (9CI) (CA INDEX NAME)

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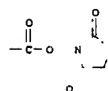


RN 159565-45-4 CAPLUS
CN Leucinstatin A, 2-[4-[(2,5-dioxo-1-pyrrolidinyl)oxy]-4-oxobutanoate]
(9CI) (CA INDEX NAME)

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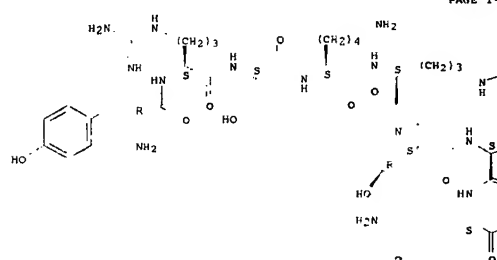


PAGE 1-B


$$-\text{CH}_2-\text{NMe}_2$$

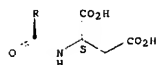
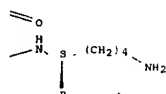
L6 ANSWER 334 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1995:105016 CAPLUS
 DOCUMENT NUMBER: 122:161303
 TITLE: Design and synthesis of novel inhibitors of prohormone
 convertases
 AUTHOR(S): Basak, Ajoy; Jean, Francois; Seidah, Nabil G.; Lazure,
 Claude
 CORPORATE SOURCE: Laboratory of Neuropeptides Structure and Metabolism,
 Univ. Montreal, Montreal, QC, Can.
 SOURCE: International Journal of Peptide & Protein Research
 (1994), 44(3), 253-61
 CODEN: IJPPC3; ISSN: 0367-8377
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Prohormone convertase-1 (PC1) and furin are subtilisin-like endopeptidases
 involved in the biosynthesis of peptide hormones. Five decapeptides

PAGE 1-A



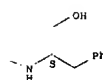
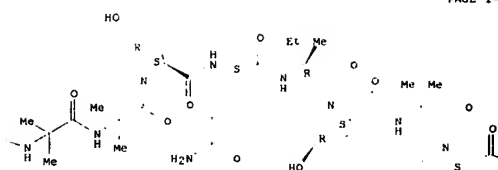
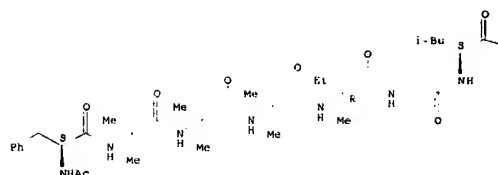


Pr-i



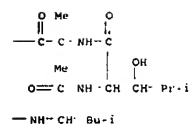
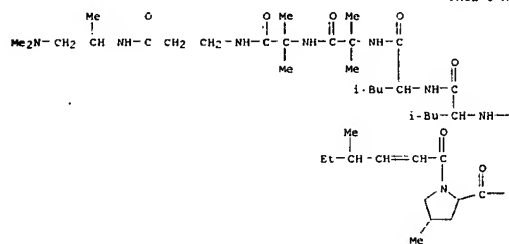
L6 ANSWER 335 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1995:66782 CAPLUS
 DOCUMENT NUMBER: 122:10587
 TITLE: Synthesis of segments of the peptaibol antiameobin I
 AUTHOR(S): Altherr, Werner; Heimgartner, Heinz
 CORPORATE SOURCE: Organisch-Chemisches Institut, Universitaet Zurich,
 Zurich, CH-8057, Switz.
 SOURCE: Pept. 1992, Proc. Eur. Pept. Symp., 22nd (1993),
 Meeting Date 1992, 387-8. Editor(s): Schneider,
 Conrad H.; Eberle, Alex N. ESCOM: Leiden, Neth.
 CODEN: 60LUAN
 CONFERENCE: Conference
 DOCUMENT TYPE: English
 LANGUAGE: English
 AB A report from a symposium.
 IT 64347-37-10P, Antiameobin I, segments
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of peptaibol antiameobin I segments)
 RN 64347-37-1 CAPLUS
 CN Antiameobin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.

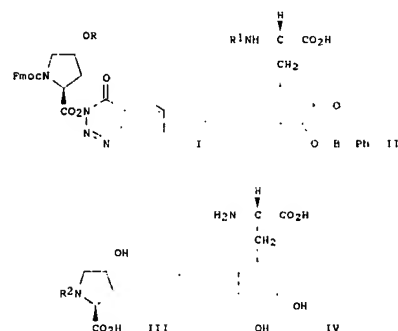


L6 ANSWER 336 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1995:66780 CAPLUS
 DOCUMENT NUMBER: 122:10585
 TITLE: Synthesis of leucinostatin D
 AUTHOR(S): Kuwata, Shigeru; Nakanishi, Akihiro; Yamada, Takashi;
 Miyazawa, Toshifumi
 CORPORATE SOURCE: Faculty of Science, Konan University, Kobe, 658, Japan
 SOURCE: Pept. 1992, Proc. Eur. Pept. Symp., 22nd (1993),
 Meeting Date 1992, 383-4. Editor(s): Schneider,
 Conrad H.; Eberle, Alex N. ESCOM: Leiden, Neth.
 CODEN: 60LUAN
 CONFERENCE: Conference
 DOCUMENT TYPE: English
 LANGUAGE: English
 AB A report from a symposium.
 IT 108426-90-0P, Leucinostatin D
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of leucinostatin D)
 RN 108426-90-0 CAPLUS
 CN Leucinostatin D (9CI) (CA INDEX NAME)

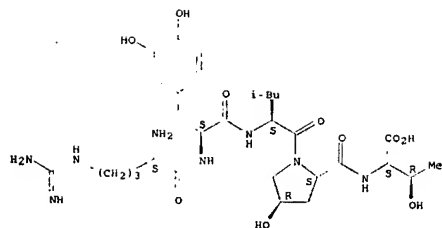
ACCESSION NUMBER: 1995:66584 CAPLUS
 DOCUMENT NUMBER: 122:61928
 TITLE: Hyp and DOPA derivatives for synthesis of peptides
 with Fmoc chemistry
 AUTHOR(S): Yamamoto, Yasuo; Nagai, Akira; Harushima, Yoshiaki;
 Senda, Takayuki
 CORPORATE SOURCE: Tsukuba Research Laboratory, Hitachi Chemical Co.,
 Ltd., Tsukuba, 300-42, Japan
 SOURCE: Pept. 1992, Proc. Eur. Pept. Symp., 22nd (1993),
 Meeting Date 1992, 165-6. Editor(s): Schneider,
 Conrad H.; Eberle, Alex N. ESCOM: Leiden, Neth.
 CODEN: 60LUAN
 CONFERENCE: Conference
 DOCUMENT TYPE: English
 LANGUAGE: English
 GI



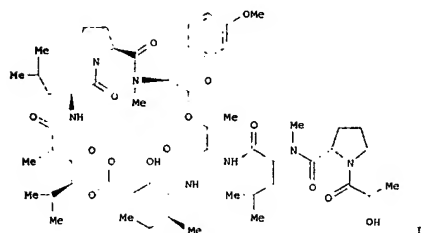
L6 ANSWER 337 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN



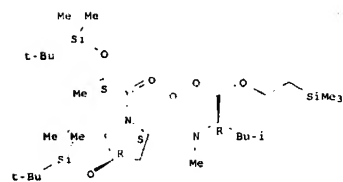
AB A symposium report on the synthesis of hydroxyproline derivative I (Fmoc = 9-fluorenylmethoxycarbonyl, R = CMe) and DOPA derivative II (R1 = Fmoc) for the synthesis of peptides. I was prepared from hydroxyproline III (R2 = H) in 3 steps via intermediates III (R2 = Fmoc) and I (R = H), whereas II (R1 = Fmoc) was prepared from DOPA IV via intermediate II (R1 = H). The above Fmoc deriva. I (R = CMe) and II (R1 = Fmoc) were used in the synthesis of peptides Ala-Lys-Pro-Ser-Tyr-Hyp-Hyp-Thr-DOPA-Lys, Ala-Gly-DOPA-Gly-Gly-Val-Lys, Arg-Pro-Hyp-Gly-Phe-Ser-Pro-Phe-Arg, and Arg-DOPA-Leu-Hyp-Thr.
 IT RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of hydroxyproline and DOPA deriva. for synthesis of peptides with Fmoc chemical)
 RN 160241-79-2 CAPLUS
 CN L-Threonine, N-[3-(N-(N-L-arginyl)-3-hydroxy-L-tyrosyl)-L-leucyl]-trans-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



L6 ANSWER 338 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1995:43442 CAPLUS
 DOCUMENT NUMBER: 122:214482
 TITLE: Synthesis of New Didemnin B Analogs for Investigations of Structure/Biological Activity Relationships
 AUTHOR(S): Mayer, Scott C.; Ramanjulu, Joshi; Vera, Matthew D.; Plizenmayer, Amy J.; Joulie, Madeleine M.
 CORPORATE SOURCE: Department of Chemistry, University Pennsylvania, Philadelphia, PA, 19104-6323, USA
 SOURCE: Journal of Organic Chemistry (1994), 59(18), 5192-205
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 122:214482
 GI

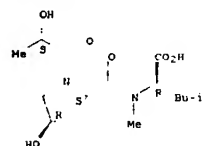


AB Modifications were introduced in the side chain of didemnin B 1 to afford several analogs for Biol. testing in order to identify the features responsible for the bioactivity of the natural didemnins A, B, and C. Two changes were made in the proline ring of the β -turn side chain. Initially, a hydroxyl group was incorporated at the C-4 position of the ring to increase the polar nature of the mol. Secondly, unsatn. was introduced at C-3 and C-4 to increase the rigidity of the ring and to provide a site for titration to follow the drug pathway in Biol. systems.



RN 161708-34-5 CAPLUS
 CN D-Leucine, N-[(trans-4-hydroxy-1-(2-hydroxy-1-oxopropyl)-L-prolyl)-N-methyl- (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



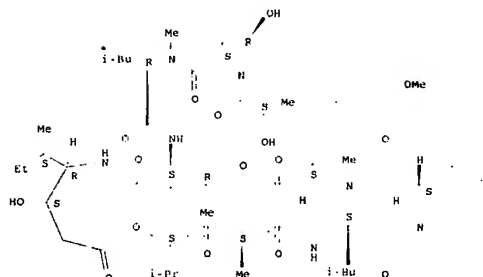
L6 ANSWER 339 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1994:701326 CAPLUS
 DOCUMENT NUMBER: 121:301326
 TITLE: Preparation of new dipeptide derivatives as neurokinin antagonists
 INVENTOR(S): Schnorrenberg, Gerd; Esser, Franz; Dollinger, Horst; Jung, Birgit; Burger, Erich
 PATENT ASSIGNEE(S): Boehringer Ingelheim KG, Germany
 SOURCE: Ger. Offen. 49 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4243496	A1	19940310	DE 1992-4243496	19921222
NO 9405693	A1	19940317	NO 1991-EP2329	19930828
W: AU, BG, BY, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 610487	A1	19940817	EP 1993-919208	19930828
EP 610487	B1	19951110		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 07501085	T	19950202	JP 1993-506652	19930828
HU 70475	A2	19951030	HU 1994-1323	19930828
AU 677792	B2	19970508	AU 1993-49547	19930828
AU 9349547	A	19940329		
AT 186548	T	19951115	AT 1993-919208	19930828

Improvements were also introduced in the macrocycle construction to produce gram quantities of this unit for the preparation of the planned analogs. The linear precursor to the macrocycle was oxidized more effectively with 1,1,1-triacetoxy-1,1-dihydro-1,2-benziodoxol-3(1H)-one (Dess-Martin periodinane reagent), and cyclization yields were increased substantially by using a new coupling reagent, pentafluorophenyl diphenylphosphinate (PFDP) (1H-1,2,3-Benzotriazol-1-yloxy)tris(dimethylamino)phosphoniumhexafluorophosphate (BOP) and pentafluorophenyl trifluoroacetate were also used to improve other coupling reactions.

IT 161708-43-6P
 RL: BAC (Biological activity or effects, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (synthesis of new didemnin B analogs for investigations of structure-biol. activity relationships)
 RN 161708-43-6 CAPLUS
 CN Didemnin B, 2-[(4R)-4-hydroxy-L-proline]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

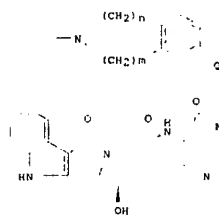


IT 161708-33-4P 161708-34-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of new didemnin B analogs for investigations of structure-biol. activity relationships)
 RN 161708-33-4 CAPLUS
 CN D-Leucine, N-[(trans-4-[(1,1-dimethylethyl)dimethylsilyloxy]-1-[2-[(1,1-dimethylethyl)dimethylsilyloxy]-1-oxopropyl]-L-prolyl]-N-methyl-, 2-[(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ES 2137958	T3	20000101	ES 1993-919208	19930828
EP 979827	A1	20000216	EP 1989-100929	19930828
R: AT, BE, CH, DE, DK, ES, FR, GB, GP, IT, IL, LU, NL, SE, MC, PT, IE				
ZA 9306472	A	19940627	ZA 1993-6472	19930902
US 5596000	A	19970121	US 1993-116090	19930902
FI 9401987	A	19940429	FI 1994-1987	19940429
NO 9401611	A	19940502	NO 1994-1611	19940502
US 5849918	A	19981215	US 1995-460964	19950605
US 6147212	A	20001114	US 1998-111498	19980708
GR 3032395	T3	20000531	GR 2000-400089	20000114
PRIORITY APPLN. INFO.:				
DE 1992-4229447	A1	19920903		
DE 1992-4243496	A	19921222		
DE 1993-4315437	A	19930508		
EP 1993-919208	A3	19930828		
WO 1993-EP2329	W	19930828		
US 1993-116090	A3	19930902		
US 1995-460964	A3	19950605		

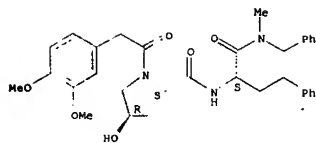
OTHER SOURCE(S): CASREACT 121:301326; MARPAT 121:301326
 GI



AB Title compds. R1-CO-A1-A2-NR2R3 [I; R1 = vinyl, aryl, heteroaryl, aralkyl, heteroalkyl, arylvinyl, heteroarylvinyl, etc.; A1 = D or L-Ala, -Val, -Leu, etc.; A2 = α -amino acid residue, etc.; R2, R3 = alkyl or NR2R3 = heterocyclic residue such as O; m, n = 0, 1, 2, 3], useful as neurokinin antagonists (no data). L-2,3-(1-pyrrolyl)alanine Me ester was stirred with 2,5-dimethoxytetrahydrofuran in H₂O-EtOAc at room temperature for 23 h to give, after treatment with aqueous NaHCO₃, 2-Pal-OMe [Pal = 3-(1-pyrrolyl)alanine residue], which was hydrolyzed to give 2-Pal-OH, which was amidated with N-methylbenzylamine to give 2-Pal-NMeBzl, which was deprotected and the resulting H-Pal-NMeBzl was condensed with BOC-(2S,4R)-hydroxyproline to give H-Hyp-Pal NMeBzl, which was acylated with indol-3-ylcarbonyl chloride to give the title compound II. Some pharmaceutical compns. containing I are described.

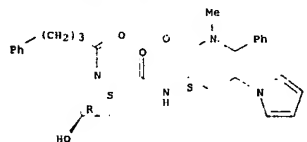
IT 159136-74-OP 159136-76-2P 159136-79-5P
 159136-84-2P 159136-85-3P
 RL: BAC (Biological activity or effects, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as neurokinin antagonist)
 RN 159136-74-0 CAPLUS
 CN Butanamide, 1-[(3,4-dimethoxyphenyl)acetyl] trans-4-hydroxy-L-prolyl-N-methyl-4-phenyl-N-(phenylmethyl)-L-2-amino (9CI) (CA INDEX NAME)

Absolute stereochemistry.



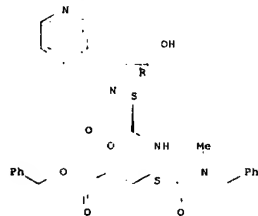
RN 159136-76-2 CAPLUS
CN Butanamide, trans-4-hydroxy-1-((1-oxo-4-phenylbutyl)-L-prolyl-N-methyl-N-(phenylmethyl)-4-(1H-pyrrol-1-yl)-L-2-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 159136-79-5 CAPLUS
CN L-lysine, N2-((trans-4-hydroxy-1-((4-pyridinylacetyl)-L-prolyl)-N-methyl-N-(phenylmethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159136-84-2 CAPLUS
CN Carbanic acid, [5-[[[4-hydroxy-1-((1-oxo-4-phenylbutyl)-2-pyrrolidinyl)carbonylamino]-6-[[4-(2-methoxyphenyl)-1-piperazinyl]-6-oxohexyl], phenylmethyl ester, [2S-[2α(R),4β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

unusual fashion in order that it can augment the polar side of the helix. In the present crystal C there appears to be an addnl. conformation for the Gln11 side chain (with ~20% occupancy) that opens the channel for possible ion passage.

IT 157380-71-7

RL: PRP (Properties)

RN 157380-71-7 CAPLUS

CN L-Prolineamide, N-acetyl-L-leucyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]-, compd. with ethanol (1:1), hydrate (9CI) (CA INDEX NAME)

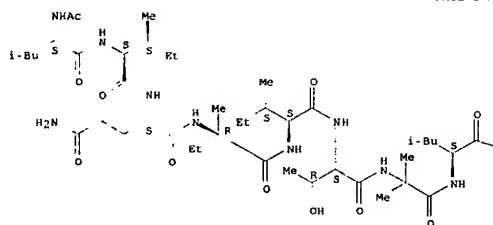
CM 1

CRN 135995-68-5

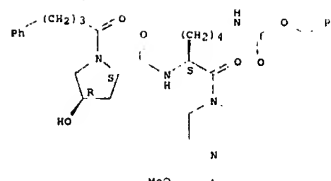
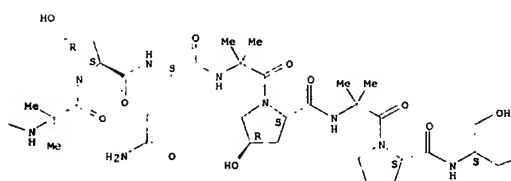
CMP C85 H140 N18 O22

Absolute stereochemistry.

PAGE 1-A

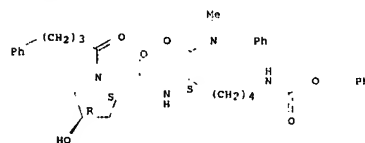


PAGE 1-B



RN 159136-85-3 CAPLUS
CN L-lysineamide, trans-4-hydroxy-1-((1-oxo-4-phenylbutyl)-L-prolyl-N-methyl-N-(phenylmethoxy)carbonyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L6 ANSWER 340 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 1994:656283 CAPLUS

DOCUMENT NUMBER: 121:256283

TITLE: Conformation of the flexible bent helix of Leu1-zervamicin in crystal C and a possible gating action for ion passage

AUTHOR(S): Karle, Isabella L.; Flippen Anderson, Judith L.; Agarwalla, S.; Balaram, P.

CORPORATE SOURCE: Lab. Struct. Matter, Nav. Res. Lab., Washington, DC, 20375-5341, USA

SOURCE: Biopolymers (1994), 34(6), 721-35

CODEN: BIPMAA; ISSN: 0006-3525

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The membrane channel-forming polypeptide, Leu1-zervamicin, Ac-Leu-1le-Gln-1va-1le5-Thr-Aib-Leu-Aib-Hyp10-Gln-Aib-Hyp-Aib-Pro15-Phol (Aib = α-aminoisobutyric acid; 1va = isovaline; Hyp = 4-hydroxyproline; Phol = phenylalanyl) has been analyzed by x-ray diffraction in a third crystal form. Although the bent helix is quite similar to the conformations found in crystals A and B, the amount of bending is more severe with a bending angle = 47°. The water channel formed by the convex polar faces of neighboring helices is larger at the mouth than in crystals A and B, and the water sites have become disordered. The channel is interrupted in the middle by a hydrogen bond between the OH of Hyp10 and the NH2 of the Gln11 of a neighboring mol. The side chain of Gln11 is wrapped around the helix backbone in an

PAGE 1-C

Ph

CM 2

CRN 64-17-5

CMP C2 H6 O

H3C-CH2-OH

IT 135995-68-5

RL: PRP (Properties)

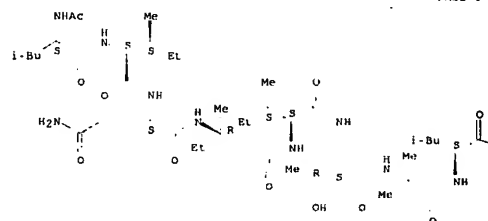
(flexible bent helix conformation of, in solid-state)

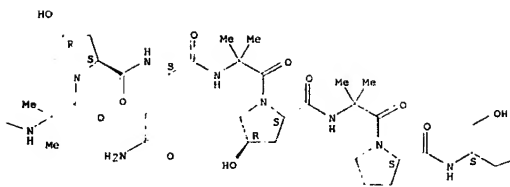
RN 135995-68-5 CAPLUS

CN L-Prolineamide, N-acetyl-L-leucyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

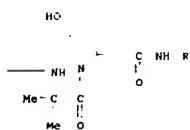
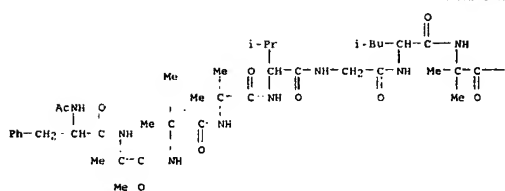
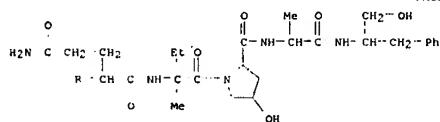




Ph

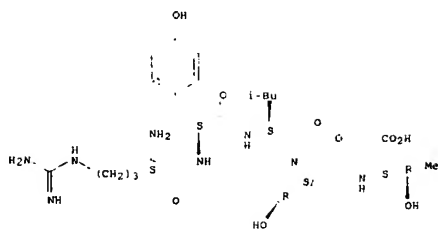
L6 ANSWER 341 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1994:651774 CAPLUS
 DOCUMENT NUMBER: 121:251774
 TITLE: Proctolin and its analogs. Structure/biological function relationship studies
 AUTHOR(S): Konopinska, D.; Rosinski, G.; Sobotka, W.; Plech, A.
 CORPORATE SOURCE: Inst. Chem., Univ. Wroclaw, Wroclaw, 50383, Pol.
 SOURCE: Polish Journal of Chemistry (1994), 68(7), 1437-9
 CODEN: PJCHDQ; ISSN: 0137-5083
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The object of the authors studies was the synthesis of the insect neuropeptide proctolin (Arg-Tyr-Leu-Pro-Thr) and its 42 analogs modified in positions 1-4. The activities of proctolin and its analogs were examined in various biol. preps., such as: myotropic effects in selected insect species in vitro and behavior of rats in vivo. The structure/activity relation in these varied preps. will be discussed.
 IT 158396-69-1 158396-70-4
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BTOL (Biological study) (proctolin analog structure-biol. activity relationship)
 RN 158396-69-1 CAPLUS
 CN L-Threonine, N-[1-[N-(N-L-arginyl-L-tyrosyl)-L-leucyl]-trans-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

to be a bent helix and is nearly identical to that of emerimicin III which has Ala instead of MeA at position 14.
 IT 52931-42-7, Emerimicin III 52931-43-8, Emerimicin IV
 RL: PRP (Properties)
 (solution NMR structure of emerimicins III and IV determined with
 MACROSEARCH
 program)
 RN 52931-42-7 CAPLUS
 CN Emerimicin III (9CI) (CA INDEX NAME)



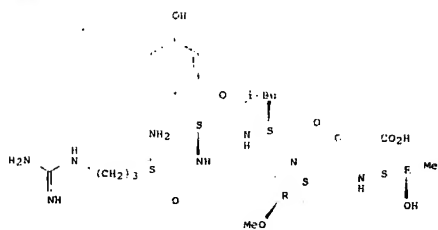
RN 52931-43-8 CAPLUS
 CN Emerimicin IV (9CI) (CA INDEX NAME)

Absolute stereochemistry.

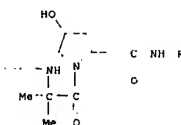
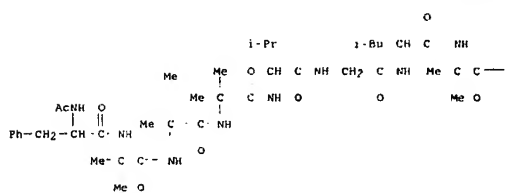
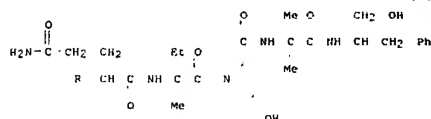


RN 158396-70-4 CAPLUS
 CN L-Threonine, N-[1-[N-(N-L-arginyl-L-tyrosyl)-L-leucyl]-trans-4-methoxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 342 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1994:650161 CAPLUS
 DOCUMENT NUMBER: 121:250161
 TITLE: The solution NMR structure of emerimicins III and IV determined using the new program, MACROSEARCH
 AUTHOR(S): Beusen, Denise D.; Head, Richard D.; Clark, John D.; Hutton, William C.; Slowczynska, Ursula; Zabrocki, Janusz; Leplawy, Mirosław T.; Marshall, Garland R.
 CORPORATE SOURCE: Center for Molecular Design, Washington University, St. Louis, MO, 63130, USA
 SOURCE: Pept. 1992, Proc Eur. Pept. Symp., 22nd (1993), Meeting Date 1992, 79-80 Editor(s): Schneider, Conrad H.; Eberle, Alex N. ESCOM: Leiden, Neth.
 CODEN: 60LUAN
 DOCUMENT TYPE: Conference
 LANGUAGE: English
 AB By using MACROSEARCH, the DMSO solution structure of emerimicin IV was found

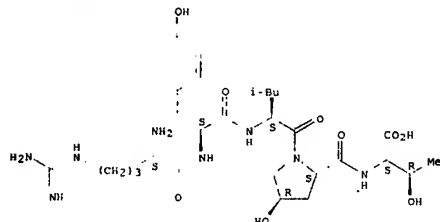


L6 ANSWER 343 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1994:631344 CAPLUS
 DOCUMENT NUMBER: 121:231344
 TITLE: New proctolin analogs modified in position 4 of the peptide chain and their influence on the heart-beat frequency of insects
 AUTHOR(S): Konopinska, Danuta; Bartosz-Bechowski, Hubert; Rosinski, Gregorz; Sobotka, Wiesław
 CORPORATE SOURCE: Institute of Chemistry, University of Wrocław, Wrocław, 50-383, Pol.
 SOURCE: Bulletin of the Polish Academy of Sciences, Chemistry (1994), Volume Date 1993, 41(1), 27-39
 CODEN: BPACED; ISSN: 0239-7285
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Six insect neuropeptide proctolin analogs modified in position 4 of the

pentapeptide skeleton, such as H-Arg-Tyr-Leu-X-Thr-OH (X = Hyp, Hyp(Me), L-2-thiazolidinecarboxylic acid (Thz), homoproline, 1-aminocyclohexane-1-carboxylic acid (Ach), Sar) were synthesized by the liquid-phase method. Their cardiotropic effects were examined on two insect species (Tenebrio molitor L. and Periplaneta americana L.). The importance of the pyrrolidine ring in Pro residue for the entire biol. activity of proctolin was inferred.

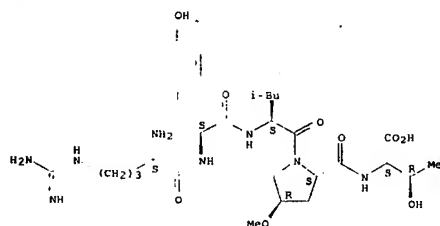
IT 158396-69-1P 158396-70-4P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (new proctolin analogs modified in position 4 of the peptide chain and their influence on the heartbeat frequency of insects)
 RN 158396-69-1 CAPLUS
 CN L-Threonine, N-[1-[N-(N-L-arginyl-L-tyrosyl)-L-leucyl]-trans-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

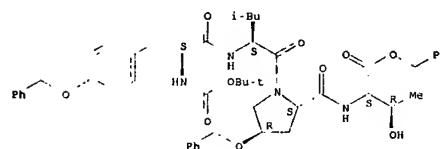


RN 158396-70-4 CAPLUS
 CN L-Threonine, N-[1-[N-(N-L-arginyl-L-tyrosyl)-L-leucyl]-trans-4-methoxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

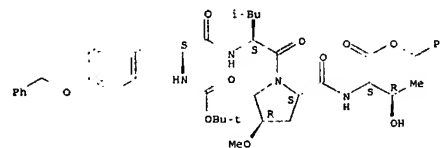


IT 158396-80-6P 158396-81-7P 158396-86-2P
 158396-87-3P 158396-92-0P 158396-93-1P



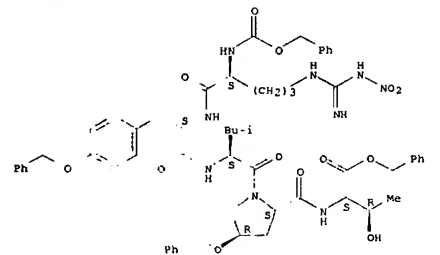
RN 158396-87-3 CAPLUS
 CN L-Threonine, N-[1-[N-[N-(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-tyrosyl]-L-leucyl]-trans-4-methoxy-L-prolyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158396-92-0 CAPLUS
 CN L-Threonine, N-[1-[N-[N-(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-tyrosyl]-L-leucyl]-trans-4-methoxy-L-prolyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

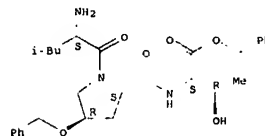


RN 158396-93-1 CAPLUS
 CN L-Threonine, N-[1-[N-[N-(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-tyrosyl]-L-leucyl]-trans-4-methoxy-L-prolyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (new proctolin analogs modified in position 4 of the peptide chain and their influence on the heartbeat frequency of insects)

RN 158396-80-6 CAPLUS
 CN L-Threonine, N-[1-[N-(N-L-arginyl-L-tyrosyl)-L-leucyl]-trans-4-hydroxy-L-prolyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

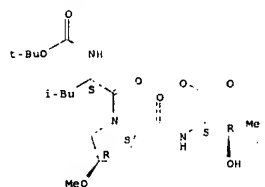
Absolute stereochemistry.



● HCl

RN 158396-81-7 CAPLUS
 CN L-Threonine, N-[1-[N-[1,1-dimethylethoxy)carbonyl]-L-leucyl]-trans-4-methoxy-L-prolyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

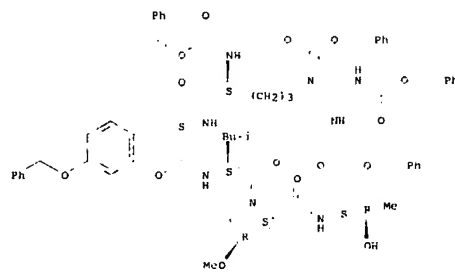


RN 158396-86-2 CAPLUS
 CN L-Threonine, N-[1-[N-[N-(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-tyrosyl]-L-leucyl]-trans-4-methoxy-L-prolyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

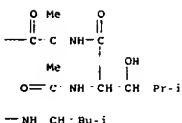
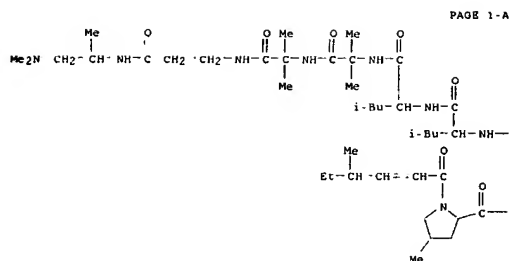
Absolute stereochemistry.

N2,N5-bis[(phenylmethoxy)carbonyl]-L-ornithyl-O-(phenylmethyl)-L-tyrosyl]-L-leucyl]-trans-4-methoxy-L-prolyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

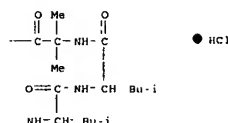
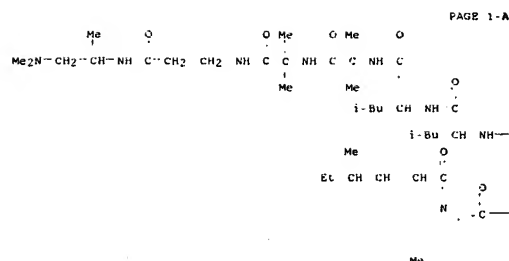
Absolute stereochemistry. Rotation (-).



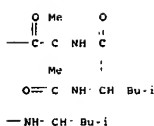
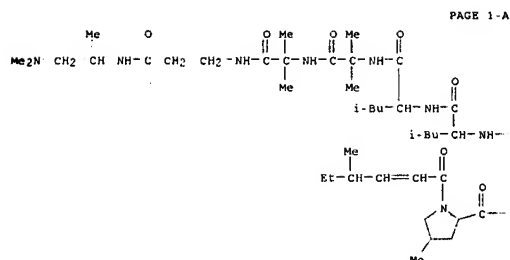
L6 ANSWER 344 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1944:558151 CAPLUS
 DOCUMENT NUMBER: 121:158151
 TITLE: Syntheses and antimicrobial activities of leucinoctatin D analogs
 AUTHOR(S): Kuwata, Shigeru; Nakanishi, Akihiro; Yamada, Takashi; Miyazawa, Toshifumi
 CORPORATE SOURCE: Pac Sci., Konan Univ., Kobe, 658, Japan
 SOURCE: Peptide Chemistry (1993), Vol. 229-32
 CODEN: PECHDP; ISSN: 0362-1659
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A report from a symposium on the preparation and antibacterial activities of [Leu]-leucinoctatin D and [Pro,Leu]-leucinoctatin D.
 IT 108426-90-0DP, Leucinoctatin D, analogs 157456-34-1P, [Leu]-leucinoctatin D hydrochloride 157544-13-3P, [Leu]-leucinoctatin D
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and bactericidal activity of)
 RN 108426-90-0 CAPLUS
 CN Leucinoctatin D (9CI) (CA INDEX NAME)



RN 157476-34-1 CAPLUS
CN Leucinostatin A, 2-L-leucine-3-L-leucine-, monohydrochloride (9CI) (CA INDEX NAME)

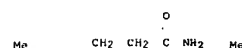


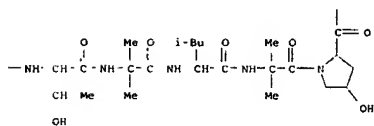
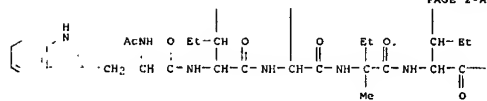
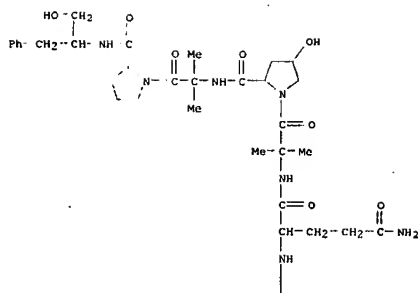
RN 157544-13-3 CAPLUS
CN Leucinostatin A, 2-L-leucine-3-L-leucine-, (9CI) (CA INDEX NAME)



L6 ANSWER 345 OF 351 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:476317 CAPLUS
DOCUMENT NUMBER: 121:76317
TITLE: The barrel-stave model as applied to alamethicin and its analogs reevaluated
AUTHOR(S): Laver, D. R.
CORPORATE SOURCE: John Curtin Sch. Med. Res., Australian Natl. Univ., 2601, Australia
SOURCE: Biophysical Journal (1994), 66(2, Pt. 1), 355-9
CODEN: BIOJAU; ISSN: 0006-3495
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Alamethicin and its analogs form cation-selective, multiconductance channels in lipid bilayers. The conductance levels have been thought to be due to a barrel-stave structure where conducting pores (barrels) are formed by the self-assembly of a variable number of α -helical rods (staves). The conductance transitions were then interpreted as the addition or deletion of peptide monomers from the pore-forming complex. Initially, pore conductances were calculated from that expected of right circular cylinders of bulk electrolyte. More recent theories also included the access resistance of the electrolyte outside the pore. However, they all

consistently overestimated the observed conductances. The reason for the discrepancy is presented here. Previous theories ignored the effects of ion concentration gradients near the pore. Hence, they only held in the limit of small bilayer potentials (<25 mV) and so would overestimate measurements that typically used much larger potentials (>100 mV). This theoretical flaw is corrected by using Laueger's theory of diffusion-limited ion flow (P. Laueger, 1976). Thus, including the effects of ion concentration gradients results in a considerable improvement in predicting pore conductances. It was found that: (1) the effects of ion concentration gradients must be included in the barrel-stave model for it to apply to the available data; (2) previously published explanations for the discrepancy between the model and the data, namely the distorted bundle and the head-to-tail aggregate hypotheses are not necessary.
IT 79395-85-0, Zervanin 11B
RL: BIOL (Biological study)
(ion channels formed by, evaluation of barrel stave model for)
RN 79395-85-0 CAPLUS
CN L-Prolineamide, N-acetyl-L-tryptophyl L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl N-[1(S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)



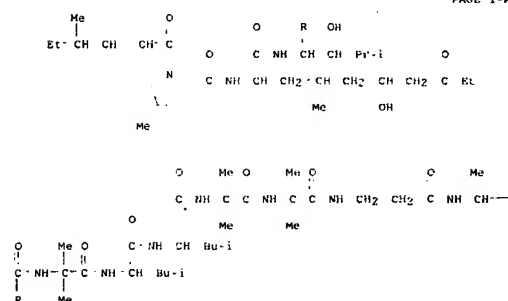
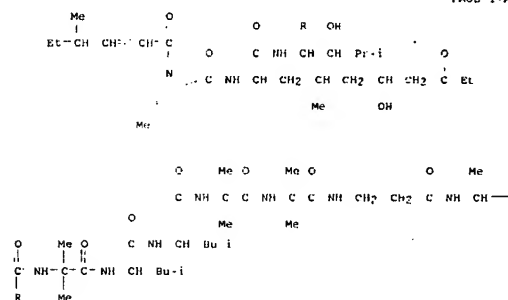


L6 ANSWER 346 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1994:473046 CAPLUS
 DOCUMENT NUMBER: 121:73046
 TITLE: 8-Aza derivatives of 3-deazapurine nucleosides. Synthesis and in vitro evaluation of antiviral and antitumor activity
 AUTHOR(S): Franchetti, P.; Messini, L.; Cappellacci, L.; Grifantini, M.; Nocentini, G.; Guarracino, P.; Marongiu, M. E.; La Colla, P.
 CORPORATE SOURCE: Dip. Sci. Chim., Univ. Camerino, Camerino, 62032.

—CH₂ NH₂

L6 ANSWER 347 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1994:260842 CAPLUS
 DOCUMENT NUMBER: 120:260842
 TITLE: The nonapeptide leucinoastatin A acts as a weak ionophore and as an immunosuppressant on T lymphocytes
 AUTHOR(S): Csérmely, Peter; Radics, Lajos; Róssai, Carlo; Szamel, Márta; Ricci, Maurizio; Mihály, Katalin; Somogyi, János
 CORPORATE SOURCE: Institute of Biochemistry I, Semmelweis University, School of Medicine, P.O. Box 260, Budapest, H-1444, Hung.
 SOURCE: Biochimica et Biophysica Acta, Molecular Cell Research (1994), 1221(2), 125-32
 CODEN: BBAMCO; ISSN: 0167-4889
 PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Earlier studies have disclosed that leucinoastatin A, a hydrophobic nonapeptide antibiotic, assumes an α -helical secondary structure in nonpolar environments. The present report demonstrates that the peptide acts as a weak ionophore facilitating the transport of mono- and divalent cations through the plasma membrane of T lymphocytes and through artificial membranes. Leucinoastatin A does not change the thymidine uptake of both resting mouse thymocytes and peripheral blood lymphocytes but dose dependently prevents the activation of T lymphocytes by tetradecanoyl-phorbol-acetate and by anti-T cell receptor antibody.
 IT 76600-38-9, Leucinoastatin A
 PH: BIOL (Biological study)
 (as cation-selective ionophore and immunosuppressant, in T-lymphocytes of humans and laboratory animals)
 RN 76600-38-9 CAPLUS
 CN Leucinoastatin A (9CI) (CA INDEX NAME)

Italy
 SOURCE: Antiviral Chemistry & Chemotherapy (1993), 4(6), 341-52
 CODEN: ACCHEH; ISSN: 0956-3202
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The syntheses of 4-amino-1-[(β -D-ribofuranosyl)-1H-1,2,3-triazolo[4,5-c]pyridine (8-aza-3-deazaadenosine), 4-amino-1-[(2'-deoxy- β -D-erythro-pentofuranosyl)-1H-1,2,3-triazolo[4,5-c]pyridine (2'-deoxy-8-aza-3-deazaadenosine), and their N8 and N7 glycosylated analogs and 4-amino-1-[(2,3-dideoxy- β -D-erythro-pentofuranosyl)-1H-1,2,3-triazolo[4,5-c]pyridine (2',3'-dideoxy-8-aza-3-deazaadenosine)] were carried out by glycosylation of the 4-chloro-3H-1,2,3-triazolo[4,5-c]pyridine anion. The anomeric configuration as well as the position of glycosylation were determined by ¹H-, ¹³C-NMR, UV and N.O.E. difference spectroscopy
 2'-Deoxy-8-aza-3-deazaadenosine and its parent compound 2'-deoxy-3-deazaadenosine were found active against ASFV and VSV. The 4-chloro-2-[(β -D-ribofuranosyl)-2H-1,2,3-triazolo[4,5-c]pyridine was active against Coxsackie B1, whereas none of the 8-aza-3-deaza purine nucleosides, compound included, was active against HIV-1. The 6-chloro derivs. of 8-aza-3-deazapurine ribo- and 2'-deoxyribonucleosides and showed some activity against LoVo human colon adenocarcinoma.
 IT 110483-88-0P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and antitumor and antiviral activity of)
 RN 110483-88-0 CAPLUS
 CN Leucinoastatin C (9CI) (CA INDEX NAME)



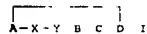
CH₂-NMe₂

L6 ANSWER 348 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1994:245777 CAPLUS
 DOCUMENT NUMBER: 120:245777
 TITLE: Cyclic peptides and use thereof
 INVENTOR(S): Wakimasu, Mitsuhiro; Kikuchi, Takashi; Kawada, Akira; Shirahuji, Hideo
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: Eur. Pat. Appl., 88 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 552417 A1 19930728 EP 1992-117182 19921008
 EP 552417 B1 19990707
 JP 06009689 A 19940118 JP 1992-216019 19920813
 ES 2133295 T3 19990916 ES 1992-117182 19921008
 US 5616684 A 19970401 US 1994-231449 19940420
 US 5883075 A 19990316 US 1996-680534 19960709
 PRIORITY APPLN. INFO.: JP 1991-101635 A 19911119
 JP 1992-35436 A 19920221
 JP 1992-111792 A 19920430
 JP 1992-216019 A 19920813
 JP 1991-203032 A1 19910813
 JP 1992-35435 A 19920221
 US 1992-927205 B1 19920807
 US 1994-231449 A3 19940420

OTHER SOURCE(S): MARPAT 120:245777
 OI



AB Cyclic hexapeptides I (X, Y = amino acid residue; A = acidic D-amino acid residue; B = neutral amino acid residue; C = L-amino acid residue; D = D-amino acid residue containing an aromatic ring) were prepared as endothelin

and NK2 receptor antagonists. Thus, cyclo[D-Asp-Trp-Asp-D-Leu-Leu-D-Trp] (II) was prepared by stepwise synthesis in solution. It had endothelin A, B1, and B2 binding affinities of 76, 100, and 100 relative to cyclo[D-D-Ala-D-Ala-D-Leu-D-Trp] = 1 and an NK2 receptor-inhibiting activity of 6.4 μ M.

IT 150211-04-4P 150211-05-5P 150211-40-8P

150211-41-9P 150211-42-0P 150211-43-1P

150211-44-2P 150211-45-7P

EP: SPN (Synthetic preparation); PREP (Preparation)

(intermediate in preparation of endothelin and NK2 antagonist cyclic

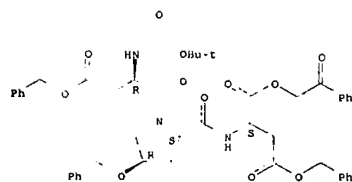
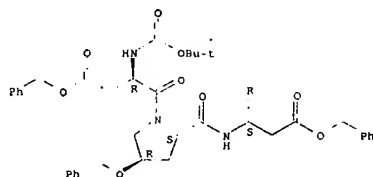
hexapeptides)

RN 150211-04-4 CAPLUS

CN L-Leucine, N-[N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-D- α -aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-L- α -aspartyl]-D-leucyl]-1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

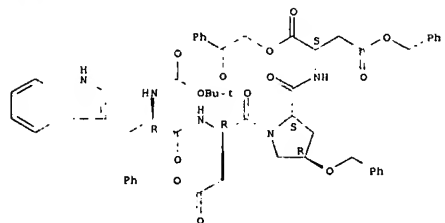
PAGE 1-A



RN 150211-41-9 CAPLUS

CN L-Aspartic acid, N-[1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-D-tryptophyl]-D- α -aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

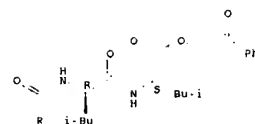


RN 150211-42-0 CAPLUS

CN L-Aspartic acid, N-[1-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl]-D-tryptophyl]-D- α -aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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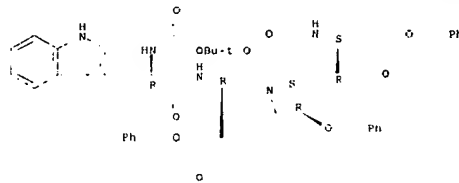


RN 150211-05-5 CAPLUS

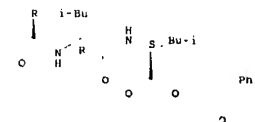
CN L-Leucine, N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-D-tryptophyl]-D- α -aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-L- α -aspartyl]-D-leucyl]-1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



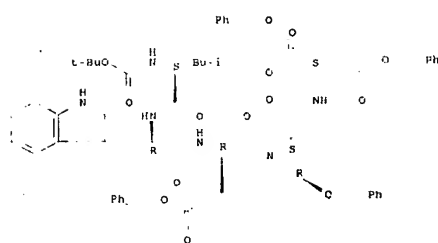
PAGE 2-A



RN 150211-40-8 CAPLUS

CN L-Aspartic acid, N-[1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-D- α -aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-L-1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

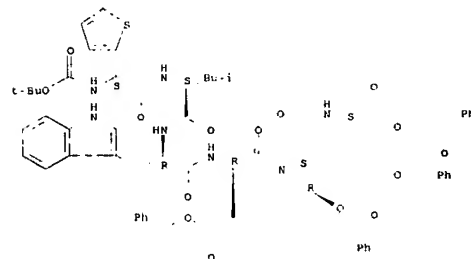
Absolute stereochemistry.



RN 150211-43-1 CAPLUS

CN L-Aspartic acid, N-[1-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-D-2-(2-thienyl)glycyl]-L-leucyl]-D-tryptophyl]-D- α -aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

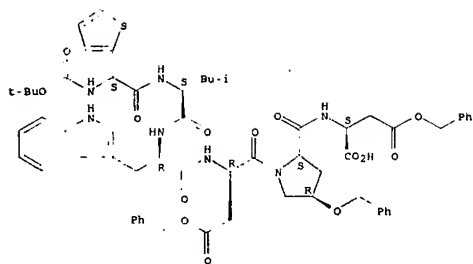
Absolute stereochemistry.



RN 150211-44-2 CAPLUS

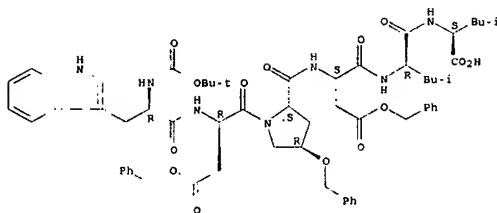
CN L-Aspartic acid, N-[1-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-D-2-(2-thienyl)glycyl]-L-leucyl]-D-tryptophyl]-D- α -aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 150236-88-7 CAPLUS
CN L-Leucine, N-[(N-[(1,1-dimethylethoxy)carbonyl]-D-tryptophyl]-D-α-aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-L-α-aspartyl]-D-leucyl]-, 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 349 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:156937 CAPLUS
DOCUMENT NUMBER: 120:156937
TITLE: Ion channel formation by zervamicin-11B. A molecular modeling study
AUTHOR(S): Sansom, M. S. P.; Nalaram, P.; Karle, I. L.
CORPORATE SOURCE: Lab. Mol. Biophys., Univ. Oxford, Oxford, OX1 3QU, UK
SOURCE: European Biophysics Journal (1993), 21(6), 369-383
CODEN: EBJOES; ISSN: 0175 7571
JOURNAL
DOCUMENT TYPE: English
AB Chemical and spectroscopic (NMR) evidences define the structure of a new, phytotoxic, antibiotic peptide, leucinostatin F, a minor byproduct isolated from submerged cultures of *P. marquandii*.

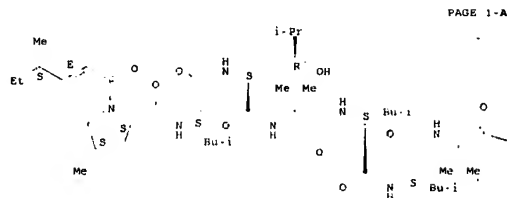
hydroxyproline 10 of adjacent helices. Interaction energy profiles for movement of three different probe species (K⁺, Cl⁻ and water) through the central pore are analyzed. The conformations of: (a) the sidechain of glutamine 3; (b) the hydroxyl group of hydroxyproline 10; and (c) the C-terminal hydroxyl group are optimized in order to maximize favorable interactions between the channel and the probes, resulting in favorable interaction energy profiles for all three. This suggests that conformational flexibility of polar sidechains enables the channel lining to mimic an aqueous environment.

IT 79395-85-0, Zervamicin-11B
RL: BIOL (Biological study)
(ion channel formation by, mol. modeling of)
RN 79395-85-0 CAPLUS
CN L-prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

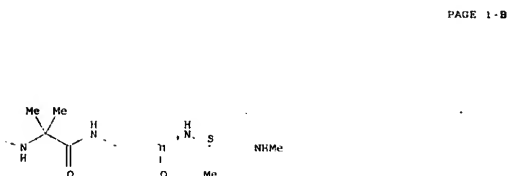
PAGE 1-A

IT 100349-85-7, Leucinostatin F
RL: BIOL (Biological study)
(of Paecilomyces marquandii, structure of)
RN 100349-85-7 CAPLUS
CN Leucinostatin F (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



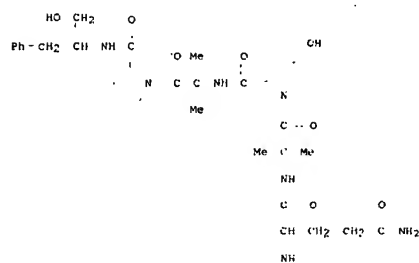
PAGE 1-A



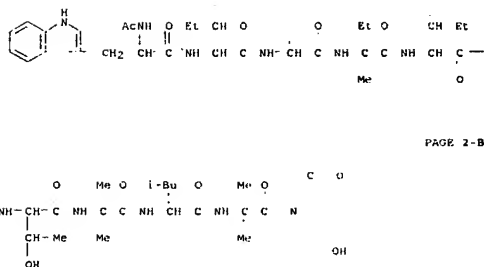
PAGE 1-B

L6 ANSWER 350 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:156937 CAPLUS
DOCUMENT NUMBER: 120:156937
TITLE: Ion channel formation by zervamicin-11B. A molecular modeling study
AUTHOR(S): Sansom, M. S. P.; Nalaram, P.; Karle, I. L.
CORPORATE SOURCE: Lab. Mol. Biophys., Univ. Oxford, Oxford, OX1 3QU, UK
SOURCE: European Biophysics Journal (1993), 21(6), 369-383
CODEN: EBJOES; ISSN: 0175 7571
JOURNAL
DOCUMENT TYPE: English
AB Zervamicin-11B (Zrv-11B) is a 16 residue peptide which forms voltage-activated, multiple conductance level channels in planar lipid bilayers. A mol. model of Zrv-11B channels is presented. The structure of monomeric Zrv-11B is based upon the crystal structure of Zervamicin-10u. The helical backbone is kinked by a hydroxyproline residue at position 10. Zrv-11B channels are modeled as helix bundles of from 4 to 8 parallel helices surrounding a central pore. The monomers are packed with their C-terminal helical segments in close contact, and the bundles are stabilized by hydrogen bonds between glutamine 11 and

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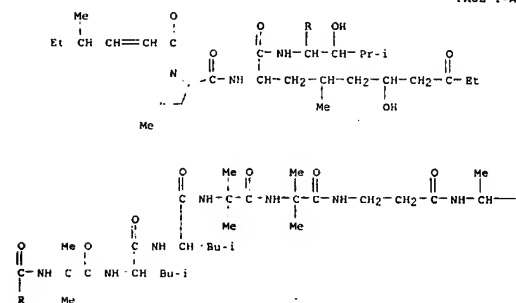


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L6 ANSWER 351 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:156333 CAPLUS
DOCUMENT NUMBER: 120:156333
TITLE: Is leucinostatin-A a mycoloxin?
AUTHOR(S): Rossi, C.; Ricci, M.; Tuttobello, L.
CORPORATE SOURCE: Ist. Chim. Farm. Tec. Farm., Univ. Perugia, Perugia, Italy
SOURCE: Acta Technologiae et Legis Medicamenti (1993), 4(1), 1-6
CODEN: ATLMEQ; ISSN: 1121-2098

DOCUMENT TYPE: Journal
LANGUAGE: English
AB Leucinostatins have been recently characterized as mycotoxins by Japanese researchers; the authors believe that this term has been used improperly. In fact feeding albino mice with food-stuff infected by *Paecilomyces marandii* it is possible to prove that Leucinostatins are not real mycotoxins; therefore the term used by the Japanese group must be understood only to specify products elaborated by fungi.
IT 76600-38-9, Leucinostatin A
RL: PROC (Process)
RN (mycotoxin classification of)
76600-38-9 CAPLUS
CN Leucinostatin A (9CI) (CA INDEX NAME)

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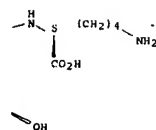


PAGE 1-B

—CH₂ NMe₂

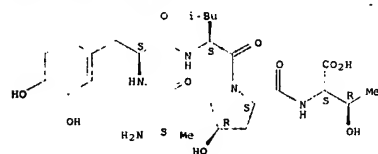
L6 ANSWER 352 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

PAGE 1-B



RN 142095-69-0 CAPLUS
CN L-Threonine, N-[1-(N-(N-L-alanyl-3-hydroxy-L-tyrosyl)-L-leucyl)-trans-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 353 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:77631 CAPLUS
DOCUMENT NUMBER: 120:77631
TITLE: Synthesis of leucinostatin F
AUTHOR(S): Kuwata, Shigeru; Nakaishi, Akihiro; Yamada, Takashi; Miyazawa, Toshifumi
CORPORATE SOURCE: Fac. Sci., Konan Univ., Kobe, 658, Japan
SOURCE: Pept. Chem. 1992, Proc. Jpn. Symp., 2nd (1993), Meeting Date 1992, 134-6, Editor(s): Yanaiharu, Noboru. ESCOM: Leiden, Neth.
CODEN: 59NTAC
DOCUMENT TYPE: Conference
LANGUAGE: English
AB A report from a symposium.
IT 100349-85-7P, Leucinostatin F
RL: SPN (Synthetic preparation); PREP (Preparation)
RN 100349-85-7 CAPLUS
CN Leucinostatin F (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

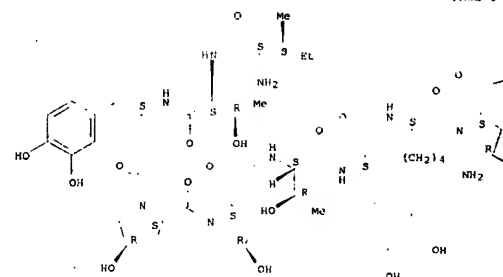
ACCESSION NUMBER: 1994:107757 CAPLUS
DOCUMENT NUMBER: 120:107757
TITLE: Preparation of peptides containing Dopa and/or hydroxyproline as adhesives
INVENTOR(S): Nagai, Akira; Yamamoto, Yasuo; Harushima, Yoshiaki
PATENT ASSIGNEE(S): Hitachi Chemical Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp
CODEN: JRXRAP
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05255385	A	19931005	JP 1992-51040	19920310
PRIORITY APPLN. INFO:			JP 1992-51040	19920310

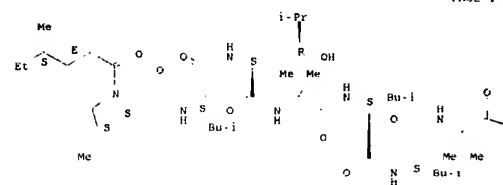
AB The title peptides H-Ala-Gly-Dopa-Gly-Gly-OH (I; Dopa = Dopa residue), H-Ile-Thr-Dopa-Hyp-Hyp-Thr-Dopa-Hyp-Lys-OH (Hyp = 4-hydroxyproline residue), and H-Ala-Thr-Leu-Hyp-Thr-OH, useful as adhesives, drugs, and reagents (no data), are prepared. Thus, I was prepared by the solid phase method using an automated peptide synthesizer 9050 (Milligen/Bioscience), Fmoc-Gly-Pepsyn-KA resin, Fmoc-Gly-OPf (Pip = pentafluorophenyl), Fmoc-Dopa(BPh)-OH, and Fmoc-Ala-OPf.
IT 142095-67-8P 142095-69-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
RN 142095-67-8 CAPLUS
CN L-lysine, N2-(trans-4-hydroxy-1-[N2-(3-hydroxy-N-[N-(trans-4-hydroxy-1-[trans-4-hydroxy-1-[3-hydroxy-N-(N-L-isoleucyl-L-threonyl)-L-tyrosyl]-L-prolyl]-L-prolyl]-L-threonyl]-L-tyrosyl]-L-lysyl]-L-prolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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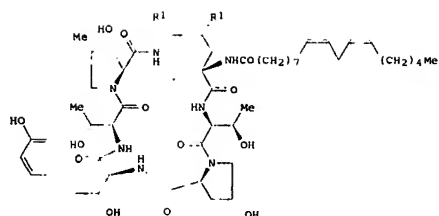


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L6 ANSWER 354 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:77620 CAPLUS
DOCUMENT NUMBER: 120:77620
TITLE: Synthetic studies on antifungal cyclic peptides, echinocandins. Stereoselective total synthesis of echinocandin D via a novel peptide coupling
AUTHOR(S): Kurokawa, Natouko; Ohnune, Yasuomi
CORPORATE SOURCE: Kurokawa Nat. Bioorg. Lab., Osaka, 613, Japan
SOURCE: Tetrahedron (1993), 49(24), 6195-222
CODEN: TETRAH 1993 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:77620
GI

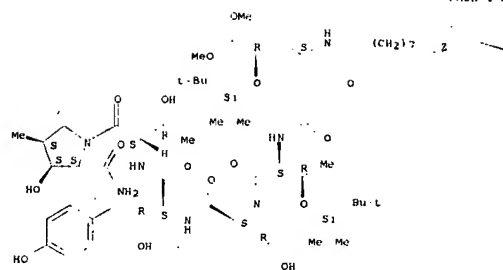


AB Synthetic studies on the novel fungicidal oligopeptides, echinocandin C (I; R1 = OH) and D (I; R1 = H), are described. The constituent amino acids (3S,5S)-3-hydroxy-4-methyl-L-proline, (3R)-hydroxy-L-homotyrosine, hydroxyproline, and 4,5-dihydroxyornithine were synthesized in a stereocontrolled manner from chiral starting materials. The coupling of these amino acids was characterized by the use of unprotected amino acid as the C-terminal and 2-pyridyl thiol ester as the N-terminal, and the coupling was performed in the presence of 1-(trimethylsilyl)imidazole or a catalytic amount of tert-amine to give C-terminal free dipeptides, which were converted a common pentapeptide intermediate for the synthesis of I. The synthesis of I (R1 = H) was achieved by the cyclization of a hexapeptide intermediate.

IT 104197-59-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and acidic deblocking of, in attempted preparation of echinocandins)

RN 104197-59-3 CAPLUS
CN L-Prolinamide, erythro-4-[(1,1-dimethylethyl)dimethylsilyl]oxy]-5,5-dimethoxy-N-(1-oxo-9,12-octadecadienyl)-L-norvalyl-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, [(1,2Z), (2Z), 2n, 3R, 4R]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

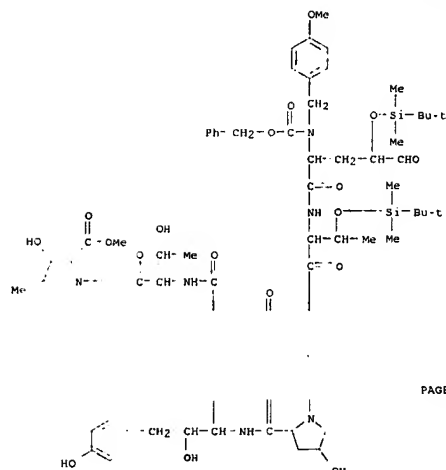


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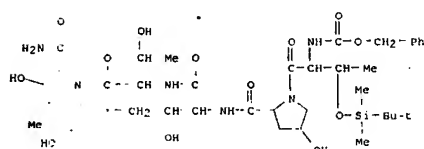
IT 152388-95-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and attempted cyclocondensation of, with ammonia, in preparation of echinocandins)
RN 152388-95-9 CAPLUS
CN L-Proline, 1-[N-(N-[1-[O-[(1,1-dimethylethyl)dimethylsilyl]-N-erythro-4-[(1,1-dimethylethyl)dimethylsilyl]oxy]-N-[(4-methoxyphenyl)methyl]-5-oxo-N-[(phenylmethoxy)carbonyl]-L-norleucyl]-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, methyl ester, (2n, 3R, 4R)- (9CI) (CA INDEX NAME)

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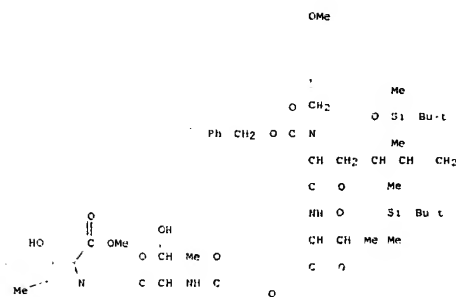
IT 106391-79-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and catalytic hydrogenolysis of)
RN 106391-79-1 CAPLUS
CN L-Prolinamide, O-[(1,1-dimethylethyl)dimethylsilyl]-N-[(phenylmethoxy)carbonyl]-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, (2n, 3R, 4R)- (9CI) (CA INDEX NAME)



IT 152388-94-8P

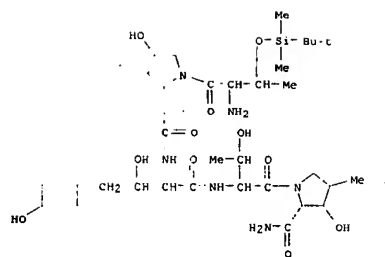
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ozonolysis of, in attempted preparation of echinocandins)
RN 152388-94-8 CAPLUS
CN L-Proline, 1-[N-(N-[1-[N-(5,6-dihydro erythro 4 [(1,1-dimethylethyl)dimethylsilyl]oxy]-N-[(4-methoxyphenyl)methyl]-N-[(phenylmethoxy)carbonyl]-L-norleucyl]-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, methyl ester, (2n, 3R, 4R)- (9CI) (CA INDEX NAME)

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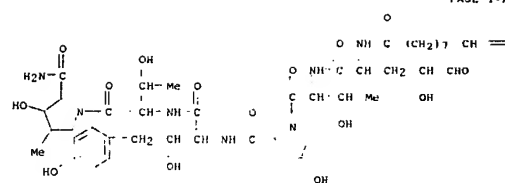


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IT 106391-80-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and peptide coupling of, with protected amino(hydroxy)dimethoxyphenylanoic acid derivative, in preparation of echinocandins)
RN 106391-80-4 CAPLUS
CN L-Prolinamide, O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, (2n, 3R, 4R)- (9CI) (CA INDEX NAME)

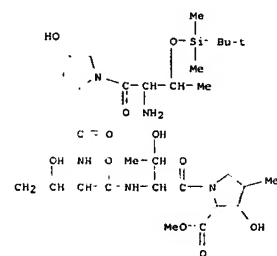


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IT 104213-54-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and peptide coupling of, with protected ornithine derivative,
in preparation of echinocandin D)
RN 104213-54-9 CAPLUS
CN L-Proline, 1-[N-[N-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl]-trans-4-hydroxy-L-prolyl]-4-(4-hydroxyphenyl)-L-threonyl-3-hydroxy-4-methyl-, methyl ester, (2*u*,3*β*,4*β*)- (9CI) (CA INDEX NAME)



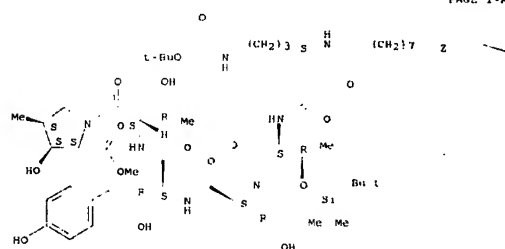
IT 104197-60-6P
FL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 104197-60-6 CAPLUS
CN L-Prolineamide, erythro-4-hydroxy-5-oxo-N-(1-oxo-9,12-octadecadienyl)-L-norvalyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, 1(192,122),2*u*,3*β*,4*β*,bet 4,1)- (9CI) (CA INDEX NAME)

CH₂ CH CH (CH₂)₄ Me

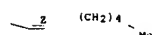
IT 104197-61-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, deblocking, and cyclization of, echinocandin D from)
RN 104197-61-7 CAPLUS
CN L-Proline, 1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-N2-(1-oxo-9,12-octadecadienyl)-L-ornithyl]-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl]-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-threonyl-3-hydroxy-4-methyl-, methyl ester, 1(192,122),2*u*,3*β*,4*β*)- (9CI) (CA INDEX NAME)

Absolute stereochemistry,
Double bond geometry as shown.

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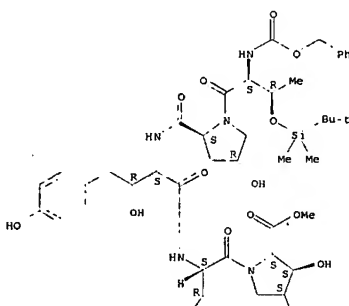
PAGE 1-B



IT 104213-53-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, deprotection, or amidation of)
RN 104213-53-8 CAPLUS
CN L-Proline, 1-[N-[N-[(1,1-dimethylethyl)dimethylsilyl]-N-[(phenylmethoxycarbonyl)-L-threonyl]-trans-4-hydroxy-L-prolyl]-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, methyl ester, (2*u*,3*β*,4*β*)- (9CI) (CA INDEX NAME)

Absolute stereochemistry, Rotation (-).

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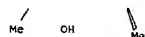


SOURCE: Biochemistry (1992), 32(44), 11903-9
CODEN: BICHAW; ISSN 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Protein kinase C (PKC) is a family of closely related phospholipid-dependent protein kinases. A fully active, phospholipid-independent catalytic fragment of PKC is produced by limited proteolysis of the enzyme. The catalytic fragment allows a simplified assay system for the anal. of PKC inhibitors that interact with the catalytic domain. Recently, the authors reported that N-myristoylation of the synthetic peptide substrate Arg-Lys-Arg-Thr-Leu-Arg-Arg-Leu (RRRTLRLRL) transformed a peptide that completely lacked inhibitory activity against the histone kinase reactions of PKC and its catalytic fragment into a peptide that potentially inhibited both of these reactions. N-myristoylation did not alter the potency of the peptide as a PKC substrate, and the basis for the acquisition of inhibitory activity against the catalytic fragment by N-myristoylation of the peptide remained unclear. In this report, the authors propose a mechanism for catalytic fragment inhibition by the N-myristoylated peptide that is based on a comparison of the inhibitory potencies of several nonphosphorylatable analogs of N-myristoyl-RRRTLRLRL, a kinetic anal. of the inhibition of the histone kinase activity of the catalytic fragment by nonphosphorylatable N-myristoyl-RRRTLRLRL analogs, and an anal. of the inhibitory effects of the N-myristoylated peptide series on the intrinsic ATPase activity of PKC. The results support a mechanism in which the N-myristoylated peptides inhibit the catalytic fragment by binding to PKCfree, but not to the complex PKC-ATP, at the protein-substrate binding site. The ability to bind PKCfree distinguishes the N-myristoylated peptides from histone substrate and dead-end synthetic peptide inhibitors, because the latter agents appear to bind only to PKC-ATP. A serious limitation observed with inhibitory oligopeptide substrate analogs of protein kinases is that they often compete with protein substrates only weakly, if at all. N-myristoylation of oligopeptide substrate analogs of PKC may overcome this limitation by allowing the inhibitory peptides to bind to a form of PKC that does not bind protein substrates such as histone, so that inhibition can be achieved without direct competition with the protein substrate. Thus, N-myristoylation of oligopeptide substrate analogs is a promising approach for the development of potent PKC inhibitors that exploit the substrate selectivity of the enzyme.

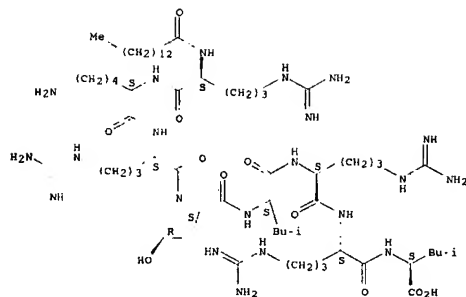
IT 152246-38-3
RL: BIOL (Biological study)
(protein kinase C catalytic domain inhibition by, structure relation to)
RN 152246-38-3 CAPLUS
CN L-Leucine, N-[N2-[N-[N2-[N2-[N2-(1-oxo-9,12-octadecadienyl)-L-arginyl]-L-lysyl]-L-arginyl]-trans-4-hydroxy-L-prolyl-L-leucyl]-L-arginyl]-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L6 ANSWER 355 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:48689 CAPLUS
DOCUMENT NUMBER: 120:48689
TITLE: Inhibition of protein kinase C by N-myristoylated peptide substrate analogs
AUTHOR(S): Ward, Nancy E.; O'Brian, Catherine A.
CORPORATE SOURCE: Univ. Texas M. D. Anderson Cancer Cent., Houston, TX, 77030, USA



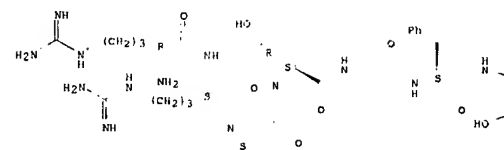
L6 ANSWER 356 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1994:31233 CAPLUS
 DOCUMENT NUMBER: 120:31233
 TITLE: Modified position 7 bradykinin antagonist peptides
 INVENTOR(S): Kyle, Donald James
 PATENT ASSIGNEE(S): Nova Technology Ltd., USA
 SOURCE: PCT Int. Appl., 46 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9311789	A1	19930624	WO 1992-0510469	19921209
W: CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6458923	B1	20021001	US 1994-302988	19940912
PRIORITY APPLN. INFO.:			US 1991-805640	A 19911212
			US 1992-981530	A 19921125

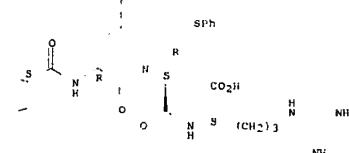
OTHER SOURCE(S): MARPAT 120:31233
 AB Bradykinin analogs in which Pro-7 is replaced by an isoquinoline or cyclohexylalanine fragment were prepared as bradykinin antagonists. 3 Carboxydecahydroisoquinoline was prepared by reducing the 1,2,3,4-tetrahydro analog with Rh catalyst. Cyclohexylalanine was obtained by reducing phenylalanine with Pt catalyst. H-D-Arg-Arg-Pro-Hyp-Gly-Thi-Ser-D-Ala-Tic-Arg-OH (1, Thi = 2-thienylalanine, Ric = cis-3-carboxydecahydroisoquinoline, Tic = 3-carboxy-1,2,3,4-tetrahydroisoquinoline) was prepared by automated synthesis. I had a bradykinin receptor-binding K_i of 2.13 nM.
 IT 151899-21-7P 151899-22-8P 151899-23-9P
 151899-24-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 151899-21-7 CAPLUS
 CN Bradykinin, N2-D-arginyl-3-(trans-4-hydroxy-L-proline)-7-(3-cyclohexyl-D-alanine)-8-(trans-4-(phenylthio)-L-proline)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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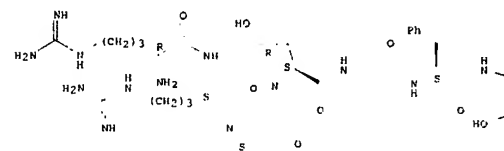
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RN 151899-22-8 CAPLUS
 CN Bradykinin, N2-D-arginyl-3-(trans-4-hydroxy-L-proline)-7-(3-cyclohexyl-D-alanine)-8-(cis-4-(phenylthio)-L-proline)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

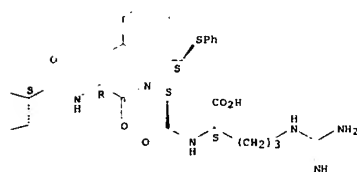
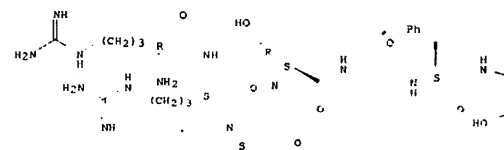
PAGE 1-A



alanine)-8-(trans-4-propoxy-L-proline) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

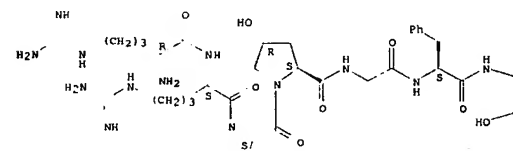
PAGE 1-A



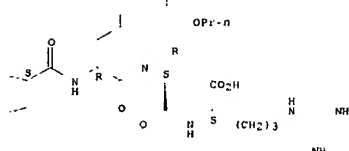
RN 151899-23-9 CAPLUS
 CN Bradykinin, N2-D-arginyl-3-(trans-4-hydroxy-L-proline)-7-(3-cyclohexyl-D-alanine)-8-(cis-4-propoxy-L-proline)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

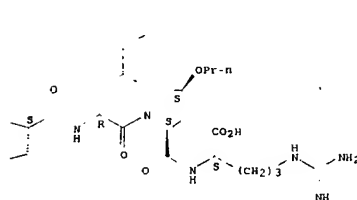
PAGE 1-A



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L6 ANSWER 357 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1993 664414 CAPLUS
 DOCUMENT NUMBER: 119:264414
 TITLE: Acetylcholine receptor binding characteristics of snake and cone snail venom postsynaptic neurotoxins: Further studies with a non radioactive assay
 AUTHOR(S): Stiles, Bradley G
 CORPORATE SOURCE: Toxinol, Div., United States Army Med. Res. Inst. Infect Dis., Fort Detrick, Frederick, MD, 21702-5011, USA
 SOURCE: Toxinol (1993), 11(1), 825-34
 CODEN: TOX1A6, ISSN 0041-0101
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The binding of postsynaptic neurotoxins from snake and marine cone snail (Conus sp.) venoms to nicotinic acetylcholine receptors (AChR) was investigated with an ELISA-based, nonradioactive assay. Three snake postsynaptic toxins from the long-chain group (Naja naja kaouthia cobratoxin, Naja oxiana neurotoxin I, Bungarus multicinctus cobratoxin) and short-chain group (Naja naja atra cobratoxin, Naja oxiana neurotoxin II, and Laticauda semifacata erabutoxin b) were studied. Both types of snake postsynaptic toxins showed a dose-response



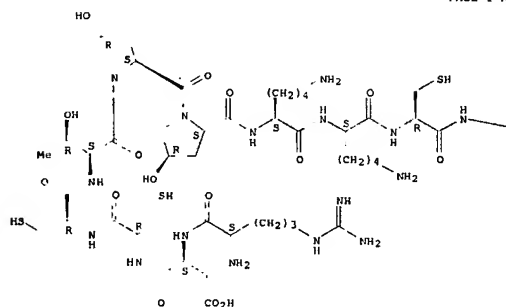
RN 151899-24-0 CAPLUS
 CN Bradykinin, N2-D-arginyl-3-(trans-4-hydroxy-L-proline)-7-(3-cyclohexyl-D-

with constant AChR (50 µg/mL) and varying toxin concns. (50-0.035 µg/mL). The min. detection limits of the assay for snake toxins ranged from 310 to 1240 ng/mL (40-160 pmol/mL), depending on the toxin. Unlike any of the short-chain toxins, long-chain toxins consistently bound less receptor and reached maximum absorbance levels with toxin concns. of 10-50 µg/mL. Competition for AChR binding between cone snail postsynaptic neurotoxins (conotoxins GI, MI, SI) and α -bungarotoxin or cobrotoxin resulted in a dose-response. The postsynaptic conotoxins were uniformly better competitors for AChR binding with α -bungarotoxin than with cobrotoxin. Heat stability studies with neurotoxin I, erabutoxin b, or cobrotoxin revealed a loss in AChR binding activity with increasing temperature. α -Bungarotoxin heated at 90°C had increased AChR binding activity by 105% relative to 25°C samples, but lost the majority of its binding activity after 100°C. The enhanced binding of heated α -bungarotoxin to AChR was specific, as evidenced by a competitive dose-response with unheated α -bungarotoxin, but heated toxin lacked any biol. activity in the mouse lethal assay. When conotoxins GI or MI were heated at 100°C, there was no detectable loss in AChR binding activity, and only a slight decrease in mouse lethality.

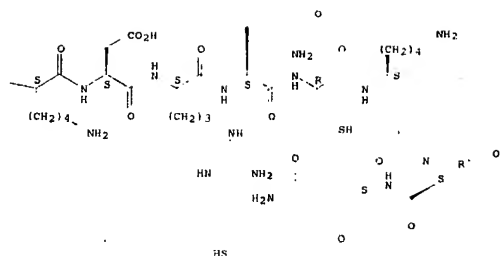
IT 86394-16-3, Conotoxin G IIIA
 RL: PROC (Process)
 (binding of, to nicotinic receptors)
 RN 86394-16-3 CAPLUS
 CN μ -Conotoxin G IIIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

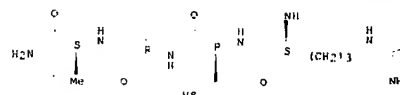
PAGE 1-A



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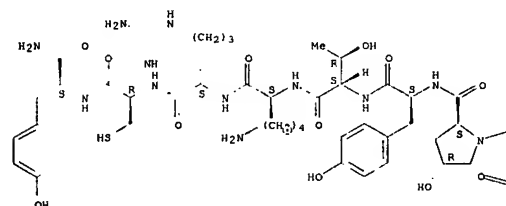
-NH2

L6 ANSWER 358 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1993:644960 CAPLUS
 DOCUMENT NUMBER: 119:244960
 TITLE: Segregated folding determinants for small disulfide-rich peptides
 INVENTOR(S): Hillyard, David R., Olivera, Baldomero M.
 PATENT ASSIGNEE(S): University of Utah, USA
 SOURCE: U.S., 15 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION.

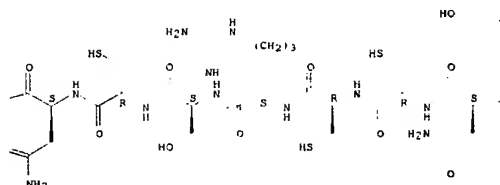
PATENT NO. KIND DATE APPLICATION NO. DATE
 US 5231011 A 19930727 US 1991-689693 19910418
 PRIORITY APPLN. INFO.: US 1991-689693 19910418
 AB. A mature biol. active Cys-rich peptide having specific SS bonds between Cys residues providing a consistent folding pattern is prepared from a prepropeptide consisting of a N-terminal excised region (derived e.g. from a conotoxin) separated from the Cys-rich peptide by 21 cleavable amino acid residues. The excised region consists of an N-terminal end providing a hydrophobic signal sequence of 25 amino acids, and an intermediate central propeptide domain of 5-50 amino acids; the excised region serves as a folding template to direct the formation of specific SS bonds in the Cys-rich peptide. The Cys-rich peptide is cleaved by enzymes, releasing the biol. active peptide. Thus, conotoxins MVIIb from *Conus magus* and GVIA from *C. geographus* (4-loop α -toxins targeting presynaptic Ca channels) show >90% conservation of amino acid sequence in their template domains, but the sequences of the mature toxins are highly divergent, illustrating that the same template region can be used to specifically fold mature peptides of considerable sequence diversity. The cDNA sequence encoding a conotoxin from *C. textile* venom ducts was determined.
 IT 92078-76-7P, μ -Conotoxin G VIA (reduced)
 RL: PRP (Properties); PREP (Preparation)
 (folded conformation of, disulfide bond formation by prepropeptide in relation to)
 RN 92078-76-7 CAPLUS
 CN μ -Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

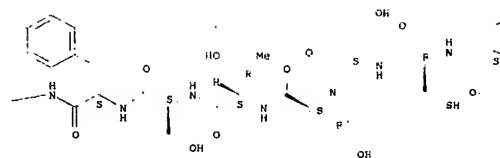
PAGE 1-A

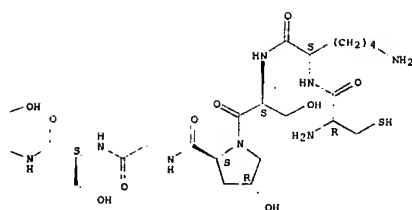


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L6 ANSWER 359 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1993:603860 CAPLUS
 DOCUMENT NUMBER: 119:203860
 TITLE: Preparation of cyclic peptides as endothelin and neurokinin antagonists
 INVENTOR(S): Wakimasa, Mitsuhiro; Kikuchi, Takashi; Kawada, Akira; Shirahuji, Hideo
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: Eur. Pat. Appl., 88 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

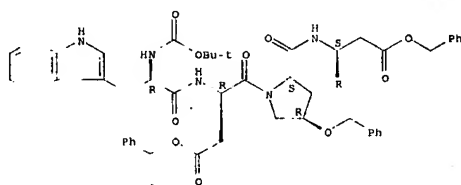
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 528312	A2	19930224	EP 1992-113568	19920808
EP 528312	A3	19930414		
EP 528312	B1	19970716		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 155486	T	19970815	AT 1992-113568	19920808
ES 2103857	T3	19971001	ES 1992-113568	19920808
CA 2075878	A1	19930214	CA 1992-2075878	19920812
CA 2075878	C	20021224		
NO 9203142	A	19930215	NO 1992-3142	19920812
NO 310295	B1	20010618		
FI 106031	B1	20001115	FI 1992-3619	19920812
US 5616684	A	19970401	US 1994-231449	19940420
JP 08225595	A	19960903	JP 1995-342625	19951228
JP 2726647	B2	19980311		
US 5883075	A	19990316	US 1996-680534	19960709
PRIORITY APPLN. INFO.:			JP 1991-203032	A 19910811
			JP 1991-303635	A 19911119
			JP 1992-35436	A 19920221
			JP 1992-111792	A 19920430
			JP 1992-35435	A 19920221
			US 1992-927205	B1 19920807
			US 1994-231449	A3 19940420

OTHER SOURCE(S): CASREACT 119:203860; MARPAT 119:203860
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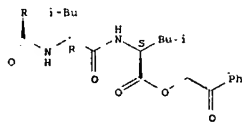
leucyl]-, 1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

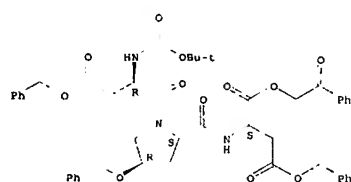


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RN 150211-40-8 CAPLUS
 CN L-Aspartic acid, N-[1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-D- α -aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-, 1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 150211-41-9 CAPLUS
 CN L-Aspartic acid, N-[1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-D-tryptophyl]-D- α -aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-, 1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

A-X-Y B C-D 1

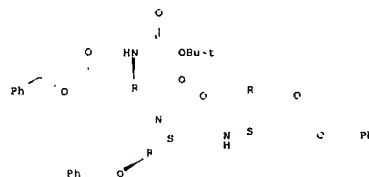
AB Title compds. (1) X, Y = α -amino acid residues; A = acidic α -amino acid residue; B = neutral α -amino acid residue; C = L- α -amino acid residue; D = D- α -amino acid residue having an aromatic group; hydroxy, thiol, amino, imino, and carboxyl groups can be substituted, were prepared. Thus, cyclo(D-Asp-Ala-Asp-D-Leu-Leu-D-Trp), prepared by solution phase coupling and intramolecular cyclization, showed specific binding activity at ETA receptors of 9.1 [relative to a cyclo(D-Glu-Ala-D-Ala-Leu-D-Trp) standard at 1.0]. It also showed binding at ETB*1, ETB*2, and NK2 receptors.

IT 150211-04-4P 150211-05-5P 150211-40-9P
 150211-41-9P 150211-42-0P 150211-43-1P
 150211-44-2P 150212-26-3P 150212-27-4P
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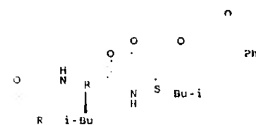
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as intermediate for endothelin and neurokinin antagonist)
 RN 150211-04-4 CAPLUS
 CN L-Leucine, N-[N-[N-[1-[N-[(1,1-dimethylethoxy)carbonyl]-D- α -aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-L- α -aspartyl]-D-leucyl]-, 1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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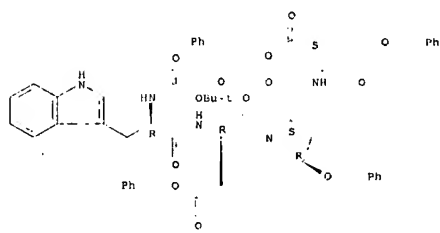
PAGE 2-A



RN 150211-05-5 CAPLUS
 CN L-Leucine, N-[N-[N-[1-[N-[(1,1-dimethylethoxy)carbonyl]-D-tryptophyl]-D- α -aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-L- α -aspartyl]-D-

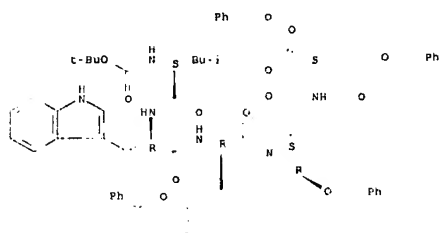
leucyl]-, 1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



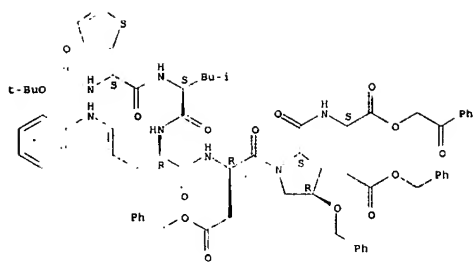
RN 150211-42-0 CAPLUS
 CN L-Aspartic acid, N-[1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl]-D-tryptophyl]-D- α -aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-, 1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



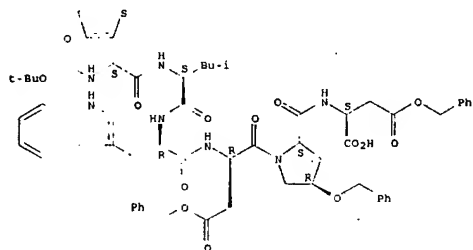
RN 150211-43-1 CAPLUS
 CN L-Aspartic acid, N-[1-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-D-2-(2-thienyl)glycyl]-L-leucyl]-D-tryptophyl]-D- α -aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-, 1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 150211-44-2 CAPLUS
CN L-Aspartic acid, N-[1-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-D-2-(2-thienyl)glycyl]-L-leucyl]-D-tryptophyl]-D-α-aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-, 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



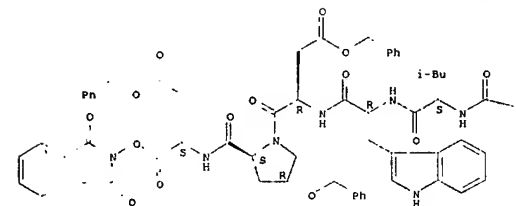
RN 150212-26-3 CAPLUS
CN L-Aspartic acid, N-[1-D-α-aspartyl-trans-4-(phenylmethoxy)-L-prolyl]-, 1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

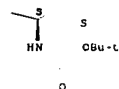
RN 150212-29-6 CAPLUS
CN 4,7-Methano-1H-isindole-1,3(2H)-dione, 2-[[[N-[1-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-D-2-(2-thienyl)glycyl]-L-leucyl]-D-tryptophyl]-D-α-aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-L-α-aspartyl]oxy] Ja,4,7,7a-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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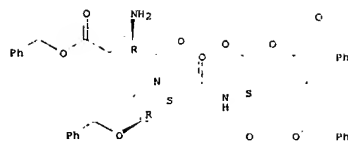


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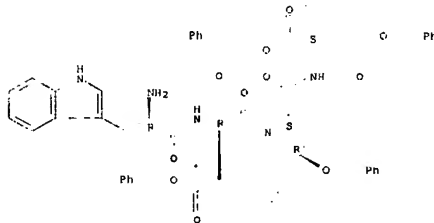
RN 150212-30-9 CAPLUS
CN 4,7-Methano-1H-isindole-1,3(2H)-dione, 2-[[[N-[1-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-D-tryptophyl]-D-α-aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-L-α-aspartyl]oxy]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



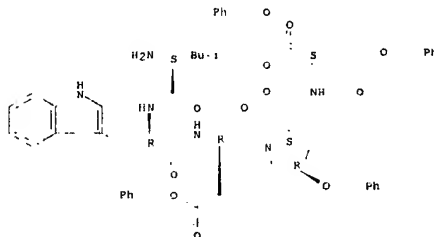
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CN L-Aspartic acid, N-[trans-4-(phenylmethoxy)-1-(N-D-tryptophyl-D-α-aspartyl)-L-prolyl]-, 1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

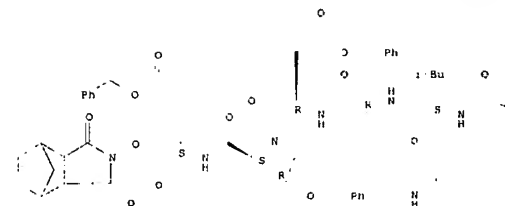


RN 150212-20-5 CAPLUS
CN L-Aspartic acid, N-[1-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-D-tryptophyl]-D-α-aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-, 1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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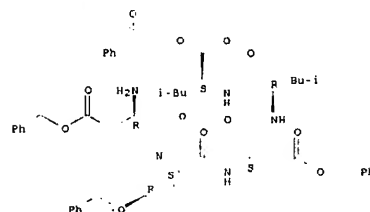


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RN 150212-82-1 CAPLUS
CN L-Leucine, N-[N-[1-D-α-aspartyl-trans-4-(phenylmethoxy)-L-prolyl]-L-α-aspartyl]-D-leucyl]-, 1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

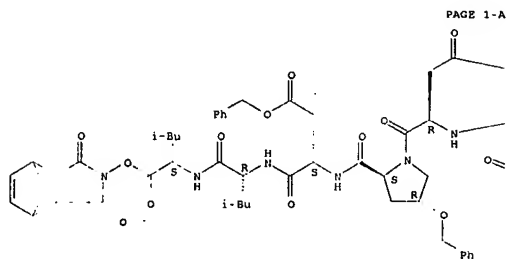
Absolute stereochemistry



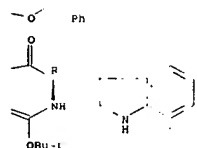
RN 150212-83-2 CAPLUS
CN 4,7-Methano-1H-isindole-1,3(2H)-dione, 2-[[[N-[1-[N-[1-[N-[(1,1-dimethylethoxy)carbonyl]-D-tryptophyl]-D-α-aspartyl]-trans-4-

(phenylmethoxy)-L-prolyl-L- α -aspartyl-D-leucyl-L-leucylloxy]-
3a,4,7,7a-tetrahydro-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

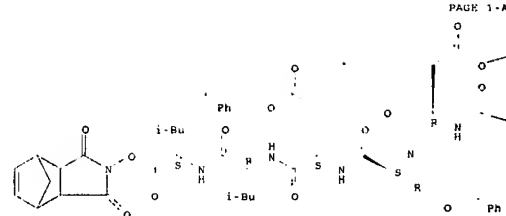


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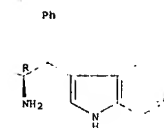
RN 150226-30-5 CAPLUS
CN 4,7-Methano-1H-isindole-1,3(2H)-dione, 3a,4,7,7a-tetrahydro-2-[[N-[N-[trans-4-(phenylmethoxy)-1-(N-D-tryptophyl)-D- α -aspartyl]-L-prolyl]-L- α -aspartyl]-D-leucyl-L-leucylloxy]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



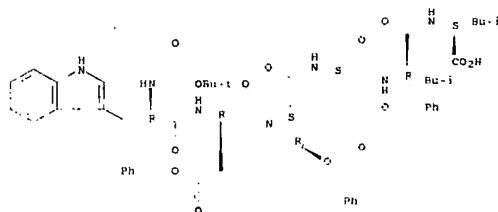
PAGE 1-A

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RN 150236-88-7 CAPLUS
CN L-Leucine, N-[N-[N-[1-[N-[N-(1,1-dimethylethoxy)carbonyl]-D-tryptophyl]-D- α -aspartyl]-trans-4-(phenylmethoxy)-L-prolyl]-L- α -aspartyl]-D-leucyl-, 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

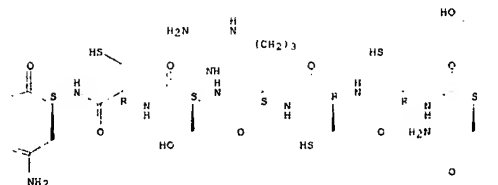
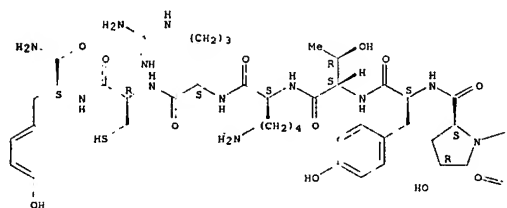
Absolute stereochemistry.



L6 ANSWER 360 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:575630 CAPLUS
DOCUMENT NUMBER: 119:175630
TITLE: Role of basic residues for the binding of ω -conotoxin GVIA to N-type calcium channels
AUTHOR(S): Sato, Kazuki; Park, Nam Gyu; Kohno, Toshiyuki; Maeda, Tadakazu; Kim, Jae Il; Kato, Rika; Takahashi, Masami
CORPORATE SOURCE: Mitsubishi Kasei Inst. Life Sci., Machida, 194, Japan
SOURCE: Biochemical and Biophysical Research Communications (1993), 194(3), 1292-6
CODEN: BBRC99; ISSN: 0006-291X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Each of 4 basic residues of ω -conotoxin GVIA was replaced with alanine to study the role of basic residues for the binding of this toxin to N-type calcium channels. The activities of these analogs were estimated from the inhibitory action on 125I- ω -conotoxin GVIA binding to chick brain synaptic plasma membranes. The replacement of Arg17, Lys24 and Arg25 resulted in no significant change in the activity and all of the analogs gave the same IC50 value (0.15 μ M) as that of native ω -conotoxin GVIA. The inhibitory action of [Ala2] ω -conotoxin GVIA (K2A) was 40-times less potent (IC50 = 5.5 nM); however, full inhibition was achieved at a concentration above 0.1 μ M. Thus, the Arg residue is not essential for the activity of ω -conotoxin GVIA. The nature of association to ion channels may be different between ω -conotoxin GVIA and μ -conotoxin GVIA.
IT 92078-76-7, ω -Conotoxin G VIA (reduced)
RL: BIOL (Biological study)
(prepn- and binding to brain synaptic plasma membrane of, N-type calcium channel in relation to)
RN 92078-76-7 CAPLUS
CN ω -Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)

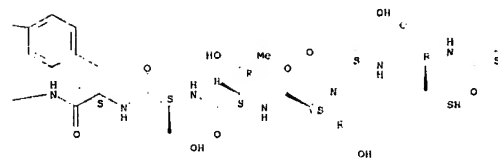
Absolute stereochemistry.

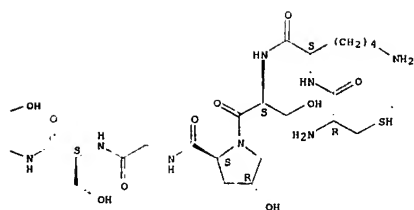
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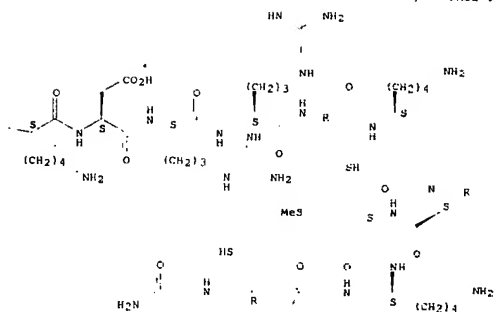
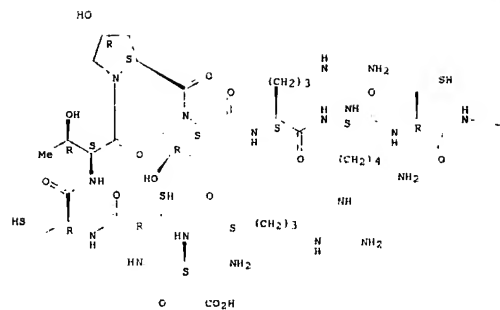
PAGE 1-B

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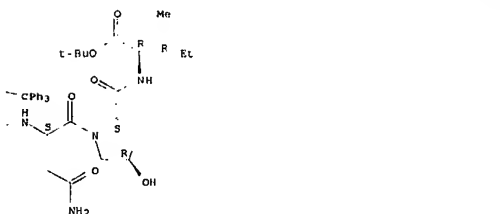
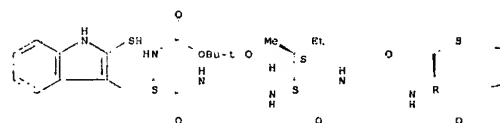




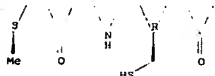
L6 ANSWER 361 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1993:517792 CAPLUS
 DOCUMENT NUMBER: 119:117792
 TITLE: Solution synthesis of μ -conotoxin GIIIB.
 AUTHOR(S): Kubo, S.; Chino, N.; Watanabe, T. X.; Kimura, T.; Sakakibara, S.
 CORPORATE SOURCE: Pept. Inst., Protein Res. Found., Osaka, Japan
 SOURCE: Peptide Research (1993), 6(2), 66-72
 CODEN: PEREEO; ISSN: 1040-5704
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB μ -Conotoxin GIIIB, a skeletal muscle sodium channel specific blocker, was synthesized by the solution procedure. The whole mol., which is composed of 22 amino acid residues including 6 Cys and 3 trans-4-hydroxy-L-prolyl residues, was constructed from 3 segments. After removal of all protecting groups, the reduced peptide was subjected to an oxidative folding reaction at a peptide concentration of 1×10^{-5} M. 3 Major products (1, 2 and 3) were formed in a ratio of 1:4:3. Determination of the disulfide structures in each product revealed them to be disulfide isomers, with similar connectivities in the latter two. Study of the biol. activities of the 3 products in mice indicated that only 1, which is the minor product, has the same potency as the natural product. Anal. of the folding process at 1×10^{-5} M showed that the disulfide bond between Cys10 and Cys15 was initially formed, thus leading to the predominant generation of 2 and 3. Optimal conditions for the formation of 1 (μ -conotoxin GIIIB) were obtained by increasing the peptide concentration in the oxidation reaction mixture or by using redox reagents, both of which functioned as promoters for the thiol-disulfide exchange reaction of the mismatched disulfide bond between Cys10 and Cys15.
 IT 66414-29-1P, μ -Conotoxin G IIIB (reduced)
 RI: SPN (Synthetic preparation); PREP (Preparation)
 (Preparation of, via solution couplings, and oxidative folding of, optimization of conditions for)
 RN 66414-29-1 CAPLUS
 CN μ -Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



(Reactant or reagent)
 (preparation and cyclization of)
 RN 148564-57-2 CAPLUS
 CN D-Isoleucine, N-[1-[N2-[N-[N-[N-[N-[1,1-dimethylethoxycarbonyl]-2-mercapto-L-tryptophyl]glycyl]-L-isoleucyl]glycyl]-S-(triphenylmethyl)-L-cysteinyl]-L-asparaginyl]-trans-4-hydroxy-L-prolyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

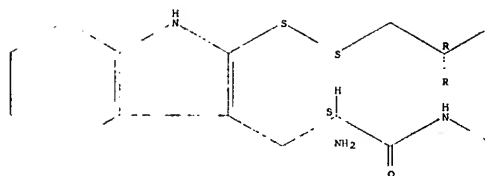


RN 148564-58-3 CAPLUS
 CN L-Alloisoleucine, 2-mercapto-L-tryptophylglycyl-L-isoleucylglycyl-L-cysteinyl-L-asparaginyl-trans-4-hydroxy-L-prolyl-, cyclic (1-S)-disulfide (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

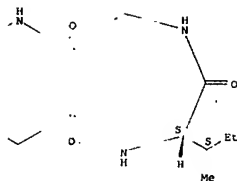


L6 ANSWER 362 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1993:510905 CAPLUS
 DOCUMENT NUMBER: 119:110905
 TITLE: Structure-toxicity relationships in the amatoxin series. Structural variations of side chain 3 and inhibition of RNA polymerase II
 AUTHOR(S): Zanotti, Giancarlo; Petersen, Gabriele; Wieland, Theodor
 CORPORATE SOURCE: Cent. Pharm. Chem. Stud., CNR, Rome, Italy
 SOURCE: International Journal of Peptide & Protein Research (1992), 40(6), 551-8
 CODEN: IJPPC3; ISSN: 0367-8377
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The amatoxins, highly toxic components of death cap Amanita mushrooms, bind strongly to RNA polymerase II (or B) in cell nuclei, thus preventing the transcription of DNAs to heterogeneous nuclear RNAs (pre-mRNAs), the precursors of mRNAs. Three of the binding sites of the bicyclic octapeptides have been identified: an isoleucine side chain in position 6, a trans-4-hydroxyl group at proline in position 2, and a hydroxylated L-isoleucine side chain in position 3. No information exists about the stereochem. conditions at the β -C-atom (C-atom 3) of this side chain. The diastereomeric S-deoxy-amantinamides containing, in position 3, L-allo-isoleucine (II), (2S,3R)-2-amino-4-hydroxy-3-Me butyric acid (III), the diastereomer (2S,3R)-2-amino-4-hydroxy-3-methylbutyric acid (III), or D-isoleucine (IV) were synthesized. In the last synthesis, besides the normal bicyclic octapeptide IV, an isomeric Iso-IV was formed. The affinities for Drosophila RNA polymerase II were 100-fold weaker as compared to γ -amanitin for I, 10-fold weaker for II, 200-fold weaker for III, 100-fold weaker for IV, and >1000-fold weaker for Iso-IV. The results point to the importance of a Me group in (R)-configuration at the β -C atom of side chain 3.
 IT 148564-57-2P 148564-58-3P 148564-62-9P
 148683-08-3P 150429-40-6P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

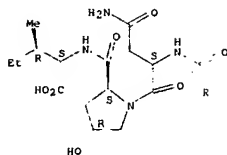
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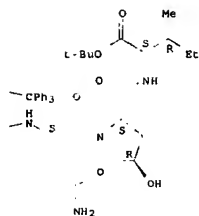


PAGE 2-A



RN 148564-62-9 CAPLUS
CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-2-mercapto-L-tryptophylglycyl-L-
isoleucylglycyl-L-cysteinyll-L-asparaginyll-trans-4-hydroxy-L-prolyl-4-
hydroxy-, cyclic (1-5)-disulfide, (R)- (9CI) (CA INDEX NAME)

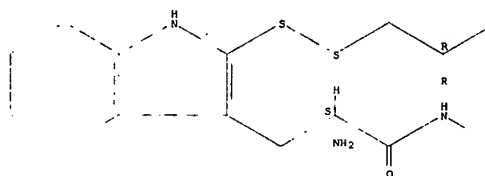
PAGE 1-B



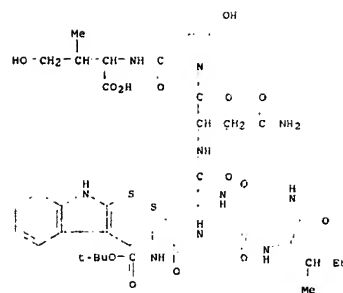
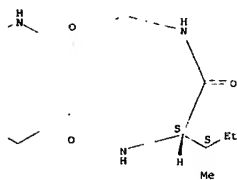
RN 150429-40-6 CAPLUS
CN D-Alloisoleucine, 2-mercapto-L-tryptophylglycyl-L-isoleucylglycyl-L-
cysteinyll-L-asparaginyll-trans-4-hydroxy-L-prolyl-, cyclic
(1-5)-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



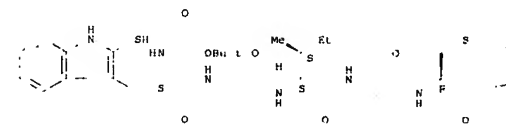
PAGE 1-B



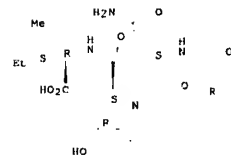
RN 148693-08-3 CAPLUS
CN L-Alloisoleucine, N-[1-(N2-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-2-
mercapto-L-tryptophylglycyl]-L-isoleucylglycyl]-S-(triphenylmethyl)-L-
cysteinyll-L-asparaginyll-trans-4-hydroxy-L-prolyl-, 1,1-dimethylethyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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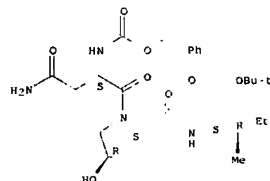


PAGE 2-A



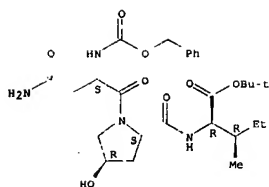
IT 148682-87-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and octapeptide synthesis from)
RN 148692-87-5 CAPLUS
CN L-Alloisoleucine, N-[trans-4-hydroxy N-(N2 [(phenylmethoxy)carbonyl]-L-
asparaginyll-L-prolyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 148564-55-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reaction with pentapeptide)
RN 148564-55-0 CAPLUS
CN D-Isoleucine, N-[trans-4-hydroxy-1-(N2 [(phenylmethoxy)carbonyl]-L-
asparaginyll-L-prolyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

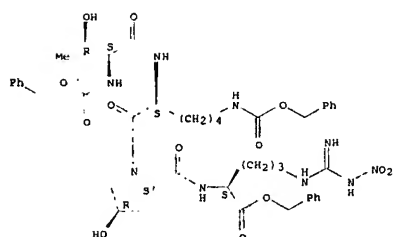


L6 ANSWER 363 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1993:509184 CAPLUS
 DOCUMENT NUMBER: 119:109184
 TITLE: Structure-activity relationships of analogs of the endogenous brain peptides Tyr-MIF-1 and Tyr-W-MIF-1
 AUTHOR(S): Ercegyi, J.; Zadina, J. E.; Qiu, X. D.; Kersh, D. C.; Ge, L.-J.; Brown, M. M.; Kastin, A. J.
 CORPORATE SOURCE: VA Med. Cent., USA
 SOURCE: Peptide Research (1993), 6(1), 31-8
 CODEN: PEREEO; ISSN: 1040-5704

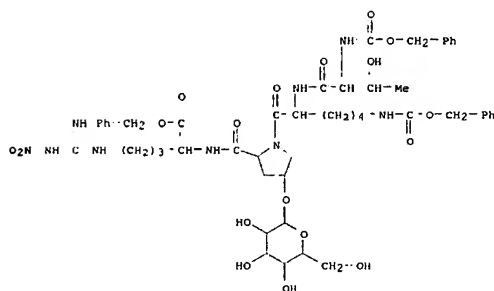
DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Analogs of the naturally occurring peptides Tyr-MIF-1 (Tyr-Pro-Leu-Gly-NH₂) and Tyr-W-MIF-1 (Tyr-Pro-Trp-Gly-NH₂) were synthesized and investigated for their opiate agonist, as well as antagonist, activity in the guinea pig ileum assay. [Tyr⁵]-Tyr-MIF-1 and the endogenous Tyr-W-MIF-1 were the most potent opiate agonists among the 20 compds. tested. Several analogs showed antioplate activity in the ileum from morphine-tolerant animals as measured by attenuation of the agonistic effect of DAMGO, which inhibits elec. stimulated contractions of the ileum. The binding activity of the analogs at mu opiate receptors was determined by displacement of [³H]-DAMGO in rat brain. Tyr-W-MIF-1 and its analogs were more potent than Tyr-MIF-1 and its analogs in this binding assay. Thus, Tyr-MIF-1, Tyr-W-MIF-1, and several of their analogs could act as opiate agonists as well as antagonists.

IT 149475-00-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPK (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 RN 149475-00-3 CAPLUS
 CN Glycinamide, L-tyrosyl-trans-4-hydroxy-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

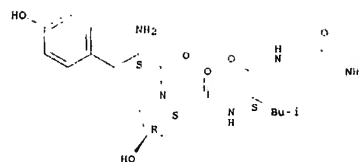
Absolute stereochemistry.



RN 147821-96-3 CAPLUS
 CN L-Ornithine, N2-[trans-4-((α-L-glucopyranosyloxy)-1-[N6-[(phenylmethoxy)carbonyl]-N2-[N-[(phenylmethoxy)carbonyl]-L-threonyl]-L-lysyl]-L-prolyl]-N5-[imino(nitroamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 147838-43-5 CAPLUS
 CN L-Ornithine, N5-[imino(nitroamino)methyl]-N2-[1-[N6-[(phenylmethoxy)carbonyl]-N2-[N-[(phenylmethoxy)carbonyl]-L-threonyl]-L-lysyl]-trans-4-[(2,3,4,6-tetra-O-acetyl)-β-D-glucopyranosyloxy]-L-prolyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

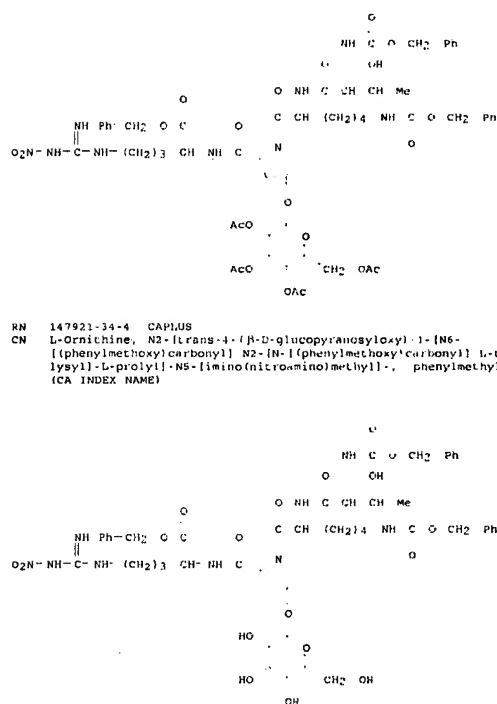


L6 ANSWER 364 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1993:255308 CAPLUS
 DOCUMENT NUMBER: 118:255308
 TITLE: Synthesis and biological activity of [L-hydroxyproline]2 tuftsin analog and its α- or β-O-D-glucosylated derivatives
 AUTHOR(S): Blondi, L.; Filira, P.; Rocchi, P.; Tzehoval, E.; Fridkin, M.
 CORPORATE SOURCE: Biopolym. Res. Cent., CNR, Padua, Italy
 SOURCE: International Journal of Peptide & Protein Research (1993), 41(1), 43-51
 CODEN: IJPPC; ISSN: 0367-8177

DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Syntheses are described of the Hyp3-tuftsin analog and of its derivs. α- or β-O-glucosylated at the side chain function of the hydroxyproline residue. The carbohydrate free tetrapeptide was prepared by reacting Z-Thr-Lys(2)-OH (Z = PhCH₂CO) with H-Hyp-Arg(NH₂)-OBzl (Bzl = benzyl) by the mixed anhydride procedure. In the synthesis of the α-glucosylated analog, the O-glucosyl amino acid was incorporated by reacting Boc-(Glcα-β-Hyp)-OH (Glc = D-glucopyranosyl) with H-Arg(NH₂)-OBzl through the same procedure. The α-glucosylated dipeptide was isolated from the diastereomeric mixture, selectively deblocked, and acylated with Z-Thr-Lys(2)-OH by the mixed anhydride procedure. In the preparation of the β-glucosylated analog, the BOP procedure was used for reacting Boc-(Glcβ-Ac14β)-Hyp-OH with H-Arg(NH₂)-OBzl as well as for the final coupling to tetrapeptide. Removal of protecting groups from crude tetrapeptides was achieved by catalytic hydrogenation. Deacetylation of the sugar moiety of the β-glucosylated tetrapeptide was achieved by treatment with sodium methoxide in methanol. The synthetic compds. were isolated by ion exchange chromatog., and characterized by elemental anal., amino acid anal., optical rotation and proton NMR. Their capacity to evoke the release of interleukin 1 from mouse peritoneal macrophages and to modulate immunogenic activity of antigen-fed cells was evaluated, in comparison with tuftsin and rigin. All of the analogs were found to possess tuftsin-like activity.

IT 147821-92-9P 147821-96-3P 147838-43-5P
 147821-34-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 RN 147821-92-9 CAPLUS
 CN L-Ornithine, N2-[trans-4-hydroxy-1-[N6-[(phenylmethoxy)carbonyl]-N2-[N-[(phenylmethoxy)carbonyl]-L-threonyl]-L-lysyl]-L-prolyl]-N5-[imino(nitroamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

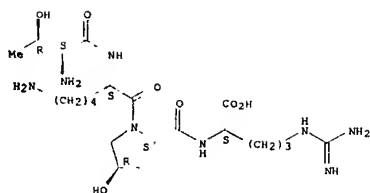
Absolute stereochemistry.



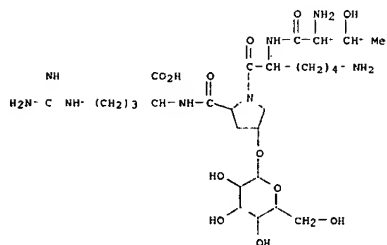
RN 147821-34-4 CAPLUS
 CN L-Ornithine, N2-[trans-4-((β-D-glucopyranosyloxy)-1-[N6-[(phenylmethoxy)carbonyl]-N2-[N-[(phenylmethoxy)carbonyl]-L-threonyl]-L-lysyl]-L-prolyl]-N5-[imino(nitroamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

IT 136497-72-8P 144739-92-4P 147921-15-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 RN 136497-72-8 CAPLUS
 CN L-Arginine, N2-[trans-4-hydroxy-1-[N2-L-threonyl]-L-lysyl]-L-prolyl- (9CI) (CA INDEX NAME)

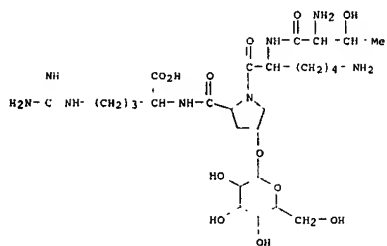
Absolute stereochemistry.



RN 144739-92-4 CAPLUS
CN L-Arginine, N2-[(trans-4-((alpha-D-glucopyranosyloxy)-1-(N2-L-threonyl-L-lysyl)-L-prolyl)- (9CI) (CA INDEX NAME)]



RN 147921-35-5 CAPLUS
CN L-Arginine, N2-[(trans-4-((beta-D-glucopyranosyloxy)-1-(N2-L-threonyl-L-lysyl)-L-prolyl)- (9CI) (CA INDEX NAME)]

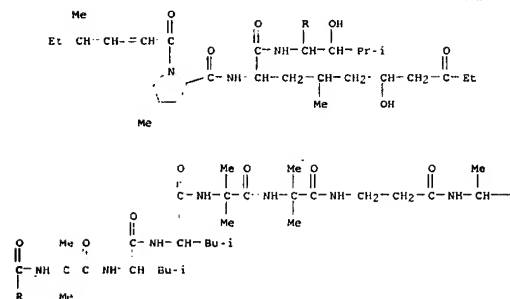


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—CH₂ NMe₂

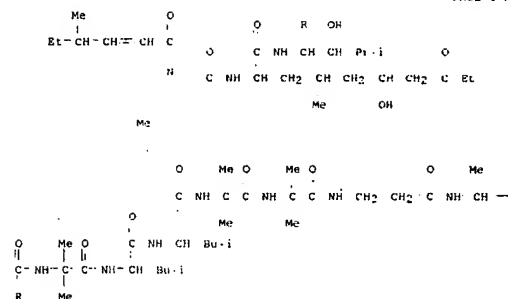
RN 76663-52-0 CAPLUS
CN Leucinoctatin B (9CI) (CA INDEX NAME)

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L6 ANSWER 365 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1993:229771 CAPLUS
DOCUMENT NUMBER: 118:229771
TITLE: Structural elucidation of minor components of peptidyl antibiotic P166s (leucinoctatins) by tandem mass spectrometry
AUTHOR(S): Isogai, Akira; Nakayama, Jiro; Takayama, Seiji; Kusai, Akihiko; Suzuki, Akinori
CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Bioscience, Biotechnology, and Biochemistry (1992), 56(7), 1079-85
CODEN: BBSIEJ; ISSN: 0916-8451
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Tandem mass spectrometry with a four sector type mass spectrometer was used to elucidate the structures of minor components of the peptidyl antibiotic P166s (leucinoctatins). As N-terminal fragments, ions by B-type cleavage were dominant, while V-type cleavages were observed along with X, Y, and Z types as C-terminal ions. The V-type ions were predominant in the cleavages of the amino terminals of leucyl and hydroxyleucyl residues. The structures of several minor components could be deduced from the tandem mass spectra.
IT 76660-38-9, Leucinoctatin A 76643-52-0, Leucinoctatin B 100349-85-7, Leucinoctatin F 108426-90-0, Leucinoctatin D 109539-57-3, Leucinoctatin K 109539-58-4, Leucinoctatin H 110483-88-0, Leucinoctatin C 147450-25-7 147450-26-8 147450-28-0 147450-35-9, Leucinoctatin Q 147468-21-1, Leucinoctatin U 147468-22-2, Leucinoctatin V 147468-23-3, Leucinoctatin W 147468-24-4, Leucinoctatin O 147468-25-5, Leucinoctatin R 147468-26-6, Leucinoctatin S
RL: BIOL (Biological study)
(antibiotic from Paecilomyces lilacinus, structure of)
RN 76660-38-9 CAPLUS
CN Leucinoctatin A (9CI) (CA INDEX NAME)

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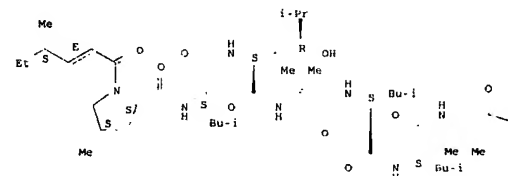
PAGE 1-B

CH₂—NMe

RN 100349-85-7 CAPLUS
CN Leucinoctatin F (4CI) (CA INDEX NAME)

Absolute stereochemistry,
Double bond geometry as shown

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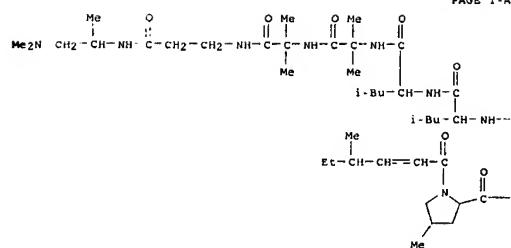


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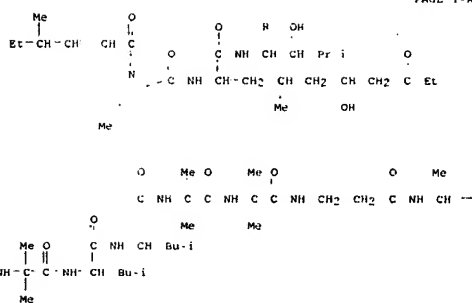
RN 108426-90-0 CAPLUS
CN Leucinoctatin D (9CI) (CA INDEX NAME)

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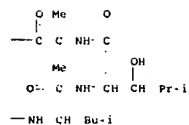


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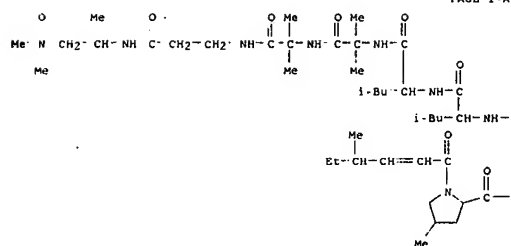


RN 109539-57-3 CAPLUS
CN Leucicostatin K (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ || \\ \text{CH}_2 - \text{N} - \text{Me} \\ | \\ \text{Me} \end{array}$$

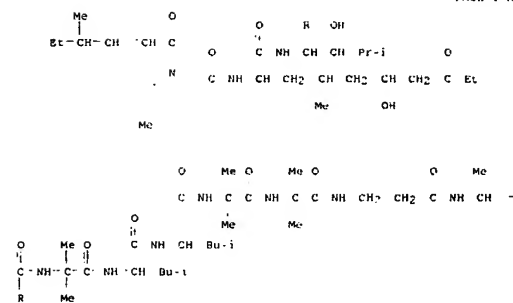
RN 109539-58-4 CAPIUS
CN Leucinoctatin II (9CI) (CA INDEX NAME)

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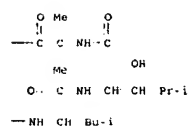


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PAGE 1-A



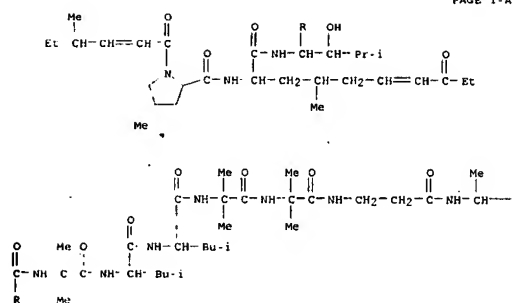
PAGE 1 - B



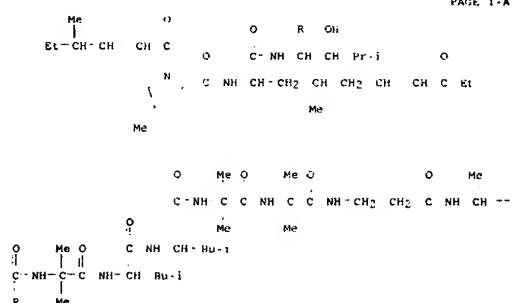
RN 110483-88-0 CAPLUS
CN Leucinosatin C (9CI) (CA INDEX NAME)

 CH_2-NH_2

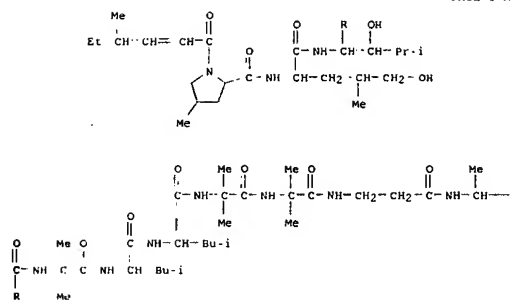
RN 147450-25-7 CAPIUS
CN Leucinostatin A 2 (9CI) (CA INDEX NAME)

-CH₂-NMe₂

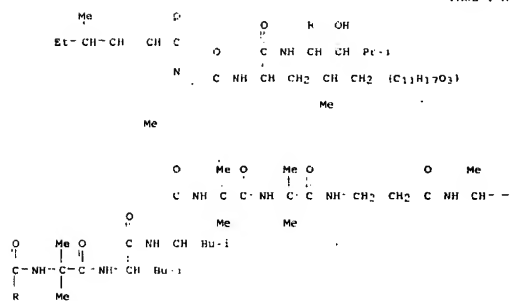
RN 147450-26-8 CAPLUS
CN Leucinoctatin B 2 (9CI) (CA INDEX NAME)

-CH₂-NMe

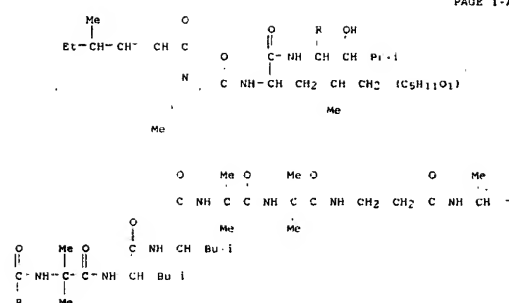
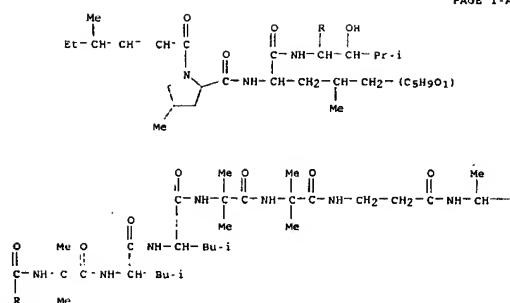
RN 147450-28-0 CAPLUS
CN Leucinoctatin N (9CI) (CA INDEX NAME)

-CH₂-NMe

RN 147450-35-9 CAPLUS
CN Leucinoctatin Q (9CI) (CA INDEX NAME)

-CH₂-NMe

RN 147460-21-1 CAPLUS
CN Leucinoctatin U (9CI) (CA INDEX NAME)



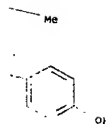
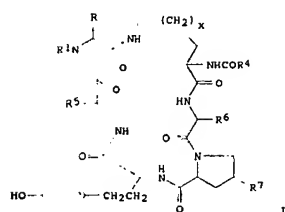
-CH2-NMe2

RN 147468-26-6 CAPLUS
CN Leucinostatin S (9CI) (CA INDEX NAME)

L6 ANSWER 366 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:192287 CAPLUS
DOCUMENT NUMBER: 118:192287
TITLE: Cyclic hexapeptides having antibiotic activity
INVENTOR(S): Hammond, Milton L.; Heck, James V.; Zambias, Robert A.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 33 pp
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 500170	A2	19920826	EP 1992 200343	19920212

EP 500170 A3 19921119
R: CH, DE, FR, GB, IT, LI, NL
US 5229363 A 19930720
CA 2061432 A1 19920820 CA 1992-2061432 19920218
JP 05070495 A 19930323 JP 1992-31149 19920219
PRIORITY APPLN. INFO.: MARPAT 118:192287
OTHER SOURCE(S):
GI



IT 145609-91-2DP, polymer bound
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cleavage of, from polymer)
RN 145609-91-2 CAPLUS
CN L-Proline, N5-[[[2-chlorophenyl]methoxy]carbonyl]-N2-[4-(octyloxy)benzoyl]-L-ornithyl-O-(phenylmethyl)-L-threonyl-trans-4-(phenylmethoxy)-L-prolyl-4-[[2,6-dichlorophenyl]methoxy]phenyl-L-2-aminobutanoyl-O-(phenylmethyl)-L-threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

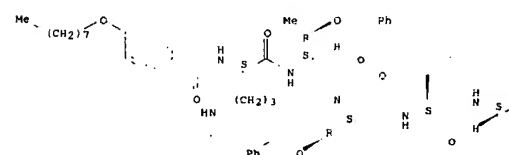
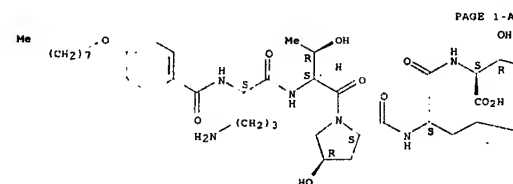
AB cyclic peptides I [R = amino acid, R1 = H; RR1 = CHR2CHR3CH2; R2 = H, OH; R3 = H, OH, Me; R4 = C5-23 alkyl, alkenyl, aryl, substituted aryl; R5 = CH2OH, CHMeOH, CH(OH)CH2CONH2; R6 = CH2OH, CHMeOH; R7 = H, OH; x = 1, 2] were prepared by solid-phase synthesis and cyclization of the linear peptide with (PhO)2P(O)N3. I [RR1 = CH(OH)CHMeCH2, R4 = 4-Me(CH2)7OC6H4, R5, R6 = CHMeOH, R7 = OH, x = 1] had min. inhibitory concns. of 1-2 µg/mL against 3 strains of *Candida albicans*.

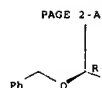
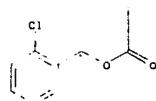
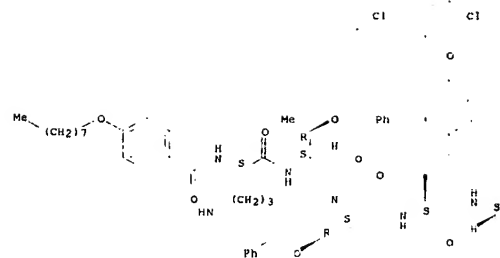
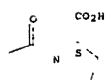
IT 141806-18-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and benzyloxycarbonylation of)

RN 141806-18-0 CAPLUS

CN L-Threonine, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



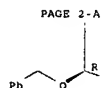
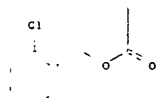
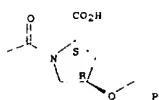


Me

IT 147018-77-7DP, polymer-bound, partially deprotected
 147018-78-8DP, polymer-bound
 PL, SPN (Synthetic preparation); PREP (Preparation)
 (preparation and cleavage of, from resin)

RN 147018-77-7 CAPLUS
 CN L-Proline, N5-[[[(2-chlorophenyl)methoxycarbonyl]-N2-[4-(octyloxy)benzoyl]-L-ornithyl-O-(phenylmethyl)-L-threonyl-trans-4-(phenylmethoxy)-L-prolyl-4-[[[(2,6-dichlorophenyl)methoxy]phenyl]-L-2-aminobutanoyl-O-(phenylmethyl)-L-threonyl-4-(phenylmethoxy)-, trans- (9CI) (CA INDEX NAME)

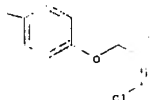
Absolute stereochemistry.



Me

RN 147018-78-8 CAPLUS
 CN L-Threonine, N5-[[[(2-chlorophenyl)methoxycarbonyl]-N2-[4-(octyloxy)benzoyl]-L-ornithyl-O-(phenylmethyl)-L-threonyl-trans-4-(phenylmethoxy)-L-prolyl-4-[[[(2,6-dichlorophenyl)methoxy]phenyl]-L-2-aminobutanoyl-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

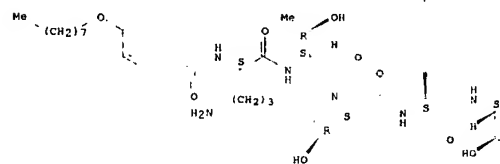
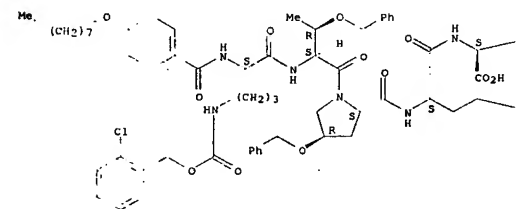


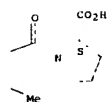
IT 141806-06-6P 141806-07-7P 141806-24-6P
 145609-87-6P 145609-89-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and cyclization of)

RN 141806-06-6 CAPLUS
 CN L-Proline, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



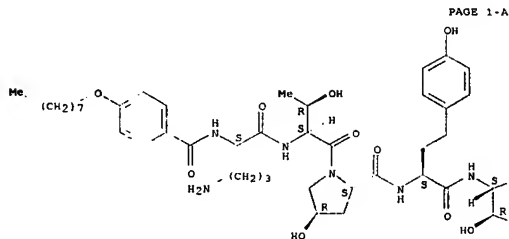


RN 141806-07-7 CAPLUS

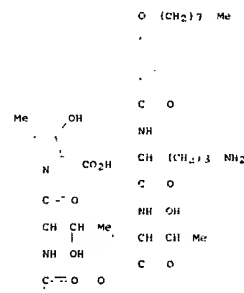
CN L-Proline, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl-4-hydroxy-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

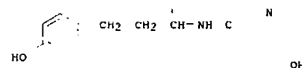
PAGE 1-A



PAGE 1-A



PAGE 2-A



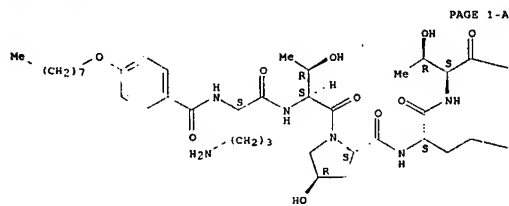
RN 145609-87-6 CAPLUS

CN L-Threonine, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl- (9CI) (CA INDEX NAME)

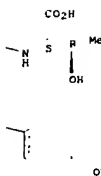
Absolute stereochemistry.

PAGE 1-A

OH



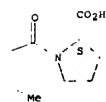
PAGE 1-B



RN 145609-89-8 CAPLUS

CN L-Proline, N2-[4-(octyloxy)benzoyl]-L-lysyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



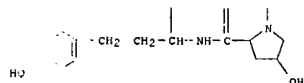
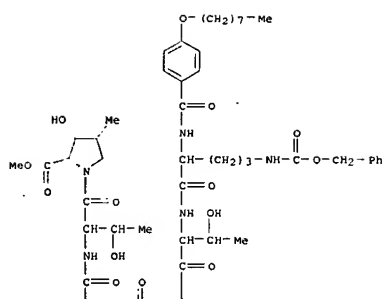
IT 141806-21-5P 141806-22-6P 141806-23-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

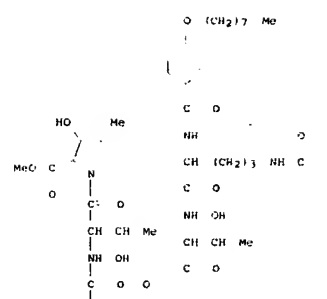
(preparation and deblocking of)

RN 141806-21-5 CAPLUS

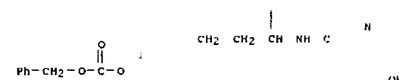
CN L-Proline, N2-[4-(octyloxy)benzoyl] N5 [(phenylmethoxycarbonyl)-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl-3-hydroxy-4-methyl-, methyl ester, (2α,3β,4β)- (9CI) (CA INDEX NAME)



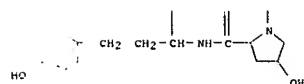
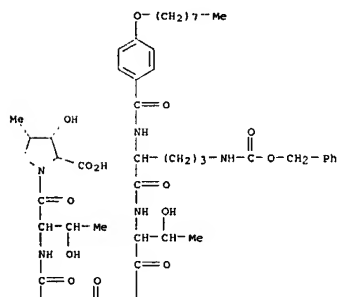
RN 141806-22-6 CAPLUS
CN L-Proline, N2-[4-(octyloxy)benzoyl]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-[4-[[[(phenylmethoxy)carbonyl]oxy]phenyl]-L-2-aminobutanoyl-L-threonyl-3-hydroxy-4-methyl-, methyl ester, (2α,3β,4β)- (9CI) (CA INDEX NAME)



— O—CH₂—Ph



RN 141806-23-7 CAPLUS
CN L-Proline, N2-[4-(octyloxy)benzoyl]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-[4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl-3-hydroxy-4-methyl-, (2α,3β,4β)- (9CI) (CA INDEX NAME)

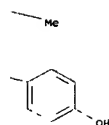
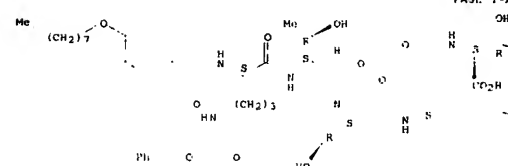


IT 141806-19-1P 141806-20-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with proline derivative)

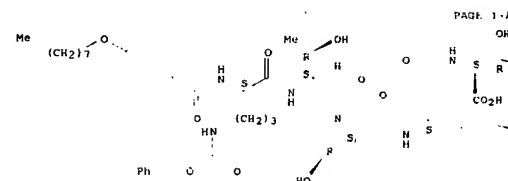
RN 141806-19-1 CAPLUS
CN L-Threonine, N2-[4-(octyloxy)benzoyl]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-[4-[[[(phenylmethoxy)carbonyl]oxy]phenyl]-L-2-aminobutanoyl- (9CI) (CA INDEX NAME)

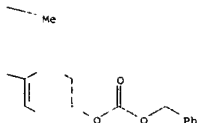
Absolute stereochemistry.



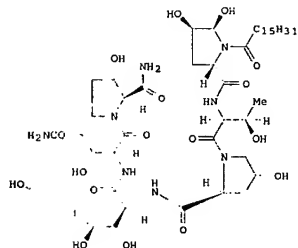
RN 141806-20-4 CAPLUS
CN L-Threonine, N2-[4-(octyloxy)benzoyl]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-[4-[[[(phenylmethoxy)carbonyl]oxy]phenyl]-L-2-aminobutanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L6 ANSWER 367 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1993:192249 CAPLUS
 DOCUMENT NUMBER: 118:192249
 TITLE: Pneumocandins from *Zalerion arboricola*. III. Structure elucidation
 AUTHOR(S): Hensens, Otto D.; Liesch, Jerrold M.; Zink, Deborah L.; Smith, Jack L.; Wichmann, Carol F.; Schwartz, Robert E.
 CORPORATE SOURCE: Dep. Nat. Prod. Chem., Merck Res. Lab., Rahway, NJ, 07065-0900, USA
 SOURCE: Journal of Antibiotics (1992), 45(12), 1875-85
 CODEN: JANTAJ; ISSN: 0021-8820
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



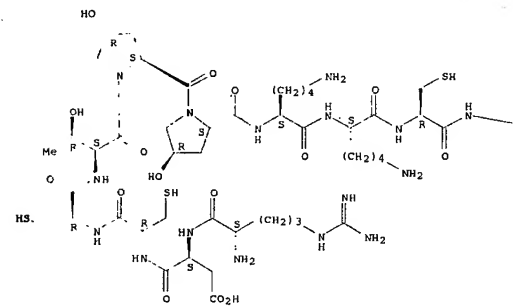
AB Pneumocandin B0 and six related lipopeptides are antifungal and anti-Pneumocystis carinii agents from mutants of *Zalerion arboricola*, whose structures were determined mainly on the basis of NMR spectroscopic anal. They belong, along with pneumocandin A0 (L-671,329) previously isolated (Wichmann, C. F.; et al., 1989), to the echinocandin class of antifungal agents. The product from base-catalyzed ring opening involving the hemiaminal position of the dihydroxyornithine residue of B0, has been clearly defined as I. Modifications were limited to the 3-hydroxy-4-methylproline, 3,4-dihydroxyhomotyrosine, and

AB The principal voltage-sensitive sodium channel from human heart has been cloned, sequenced, and functionally expressed. The cDNA, designated hH1, encodes a 2016-amino acid protein that is homologous to other members of the sodium channel multigene family and bears >90% identity to the tetrodotoxin-insensitive sodium channel characteristic of rat heart and of immature and denervated rat skeletal muscle. Northern blot anal. demonstrates an ~9.0-kilobase transcript expressed in human atrial and ventricular cardiac muscle but not in adult skeletal muscle, brain, myometrium, liver, or spleen. When expressed in *Xenopus* oocytes, hH1 exhibits rapid activation and inactivation kinetics similar to native cardiac sodium channels. The single channel conductance of hH1 to sodium ions is about twice that of the homologous rat channel and hH1 is more resistant to block by tetrodotoxin (IC50 = 5.7 μM). The hH1 is also resistant to μ-conotoxin but sensitive to block by therapeutic concns. of lidocaine in a use-dependent manner.

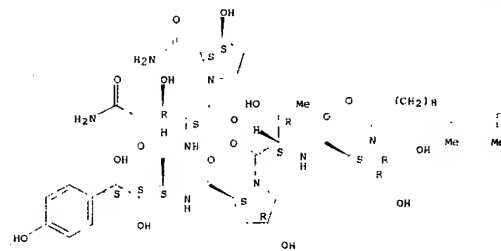
IT 86:94-16-3, Geographotoxin I (reduced)
 KL: BIOL (Biological study)
 (sodium transport by voltage-dependent channel of human heart response to)

RN 86:94-16-3 CAPLUS
 CN μ-Conotoxin G IIIA (reduced) (9CI) (CA INDEX NAME)

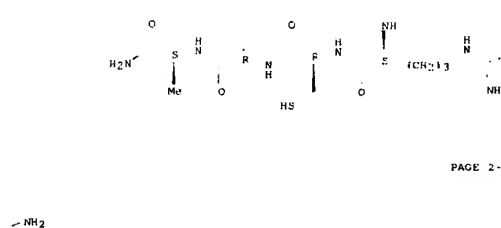
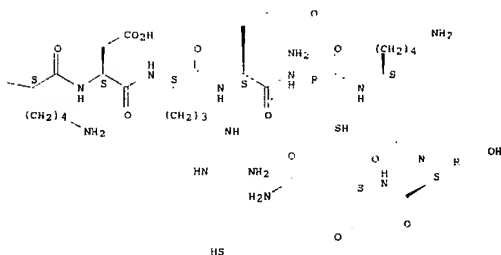
Absolute stereochemistry.



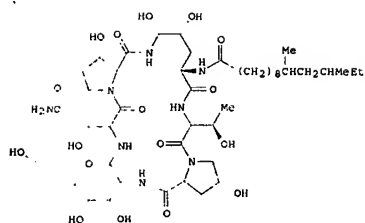
4,5-dihydroxyornithine residues of pneumocandin A0.
 IT 146669-22-9
 RL: PRP (Properties)
 (mol. structure of, by NMR)
 RN 146669-22-9 CAPLUS
 CN L-Prolinamide, 1-(10,12-dimethyl-1-oxotetradecyl)-
 (2a,4a,5a)-4,5-dihydroxy-L-prolyl-L-threonyl-trans-4-hydroxy-L-prolyl-(S)-4-hydroxy-4-(4-hydroxyphenyl)-L-threonyl-threo-3-hydroxy-L-glutamyl-3-hydroxy-, trans- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



L6 ANSWER 368 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1993:185994 CAPLUS
 DOCUMENT NUMBER: 118:185994
 TITLE: Primary structure and functional expression of the human cardiac tetrodotoxin-insensitive voltage-dependent sodium channel
 AUTHOR(S): Gellens, Mary E.; George, Alfred L., Jr.; Chen, Liqiong; Chahine, Mohamed; Horn, Richard; Barchi, Robert L.; Kallen, Roland G.
 CORPORATE SOURCE: Dep. Med., Univ. Pennsylvania, Philadelphia, PA, 19104, USA
 SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1992), 89(12), 554-8
 CODEN: PNASAC; ISSN: 0027-8424
 DOCUMENT TYPE: Journal
 LANGUAGE: English



L6 ANSWER 369 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1993:164833 CAPLUS
 DOCUMENT NUMBER: 118:164833
 TITLE: Pneumocandins from *Zalerion arboricola*. I. Discovery and isolation
 AUTHOR(S): Schwartz, Robert E.; Sesin, David F.; Joshua, Henry; Wilson, Kenneth E.; Kempf, August J.; Goklen, Kent A.; Kuehner, Daniel; Galliot, Patrick; Gleason, Carolyn
 CORPORATE SOURCE: Merck Res. Lab., Rahway, NJ, 07065-0900, USA
 SOURCE: Journal of Antibiotics (1992), 45(12), 1853-66
 CODEN: JANTAJ; ISSN: 0021-8820
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



I

AB HPLC bioautog. of the directed biosynthesis of *Z. arboricola* led to the discovery of pneumocandin B0 (L-688,786) (I), a new antifungal and anti-Pneumocystis carinii lipopeptide. Isolation techniques were developed to sep. I from pneumocandin A0 (L-671,329) in ferms. of a mutant of *Z. arboricola*. A number of related compds. were also isolated, which differ from I and pneumocandin A0 in the hydroxylation patterns on the ornithine, homotyrosine, and proline.

IT 146669-22-9P

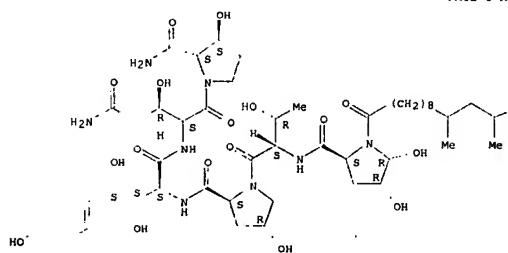
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 146669-22-9 CAPLUS

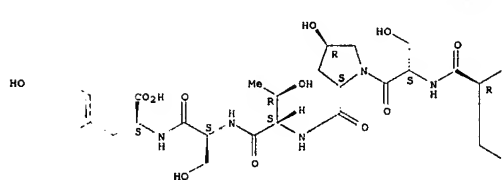
CN L-Prolinamide, 1-((10,12-dimethyl-1-oxotetradecyl)-
(2*u*,4*u*,5*u*)-4,5-dihydroxy-L-prolyl-L-threonyl-trans-4-
hydroxy-L-prolyl-(5*u*)-4-hydroxy-4-(4-hydroxyphenyl)-L-threonyl-threo-3-
hydroxy-L-glutamyl-3-hydroxy-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

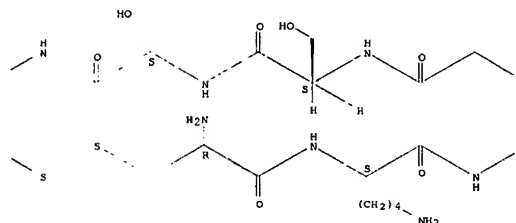
PAGE 1-A



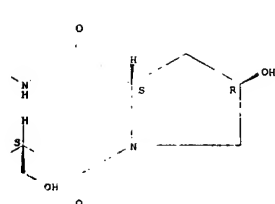
PAGE 1-A



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PAGE 1-C



RN 146644-69-1 CAPLUS

CN L-Tyrosine, L-seryl-(4*R*)-4-hydroxy-L-prolylglycyl-L-seryl-L-seryl-L-

Et

L6 ANSWER 370 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:162824 CAPLUS

DOCUMENT NUMBER: 118:162824

TITLE: Synthesis and characterization of a disulfide bond
isomer of omega-conotoxin GVIA

AUTHOR(S): Pennington, M. W.; Festin, S. M.; Maccacchini, M. L.;

Kew, W. R.

CORPORATE SOURCE: Dep. Pept. Chem., BACHEM Biosci. Inc., Philadelphia,
PA, 19104, USA

SOURCE: Toxicon (1992), 30(7), 755-64

CODEN: TOXIA6; ISSN: 0041-0101

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Solid phase peptide synthesis and air oxidation of omega-conotoxin GVIA yielded, in addition to the desired product, an isomeric peptide which could be completely separated from the native toxin by repeated HPLC. A chymotrypsin-trypsin digest of this peptide, when subjected to HPLC peptide mapping, provided peptides identical with synthetic disulfide containing peptides predicted for the omega-conotoxin isomer containing C1-C2, C3-C5, C4-C6 cystinyl pairings. The shaking potency (ED50 = 1500 pmoles/kg, i.c.v.) of the isomeric peptide upon cannulated rats was 1.31 of the potency of native conotoxin (ED50 = 30 pmol/kg). Considering that all three disulfide pairings in the isomer are different from the native toxin, its retention of h101. activity is of interest.

IT 146644-67-9 146644-68-0 146644-69-1

146663-71-0 146663-73-2

RL: PROC (Process)

(isolation of, during oxidation and preparation of native toxin)

RN 146644-67-9 CAPLUS

CN omega-Conotoxin G VIA (reduced), cyclic (1-8), (15-19), (16
fwdarw.26)-tris(disulfide) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 146644-68-0 CAPLUS

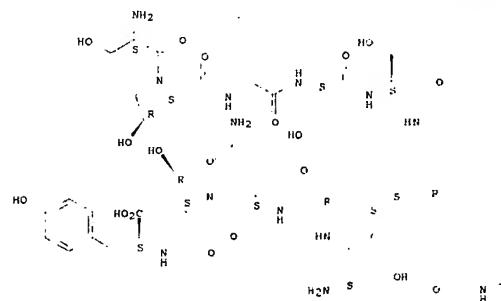
CN L-Tyrosine, L-cysteinyl-L-lysyl-L-seryl-trans-4-hydroxy-L-prolylglycyl-L-
seryl-L-seryl-L-cysteinyl-L-seryl-trans-4-hydroxy-L-prolyl-L-threonyl-L-
seryl-, cyclic (1-8)-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

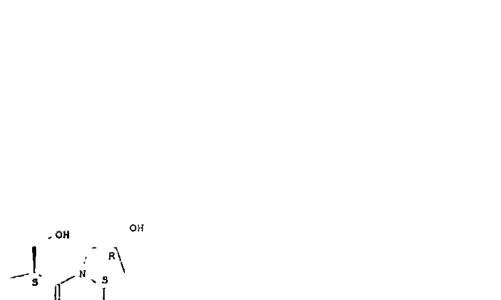
cysteinyl-L-seryl-(4*R*)-4-hydroxy-L-prolyl-L-threonyl-L-seryl-,
(6-21)-disulfide with L-seryl-L-cysteinyl-L-asparaginyl-(4*R*)-4-
hydroxy-L-prolyl-L-tyrosine (9CI) (CA INDEX NAME)

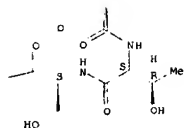
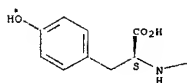
Absolute stereochemistry.

PAGE 1-A



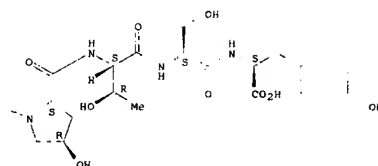
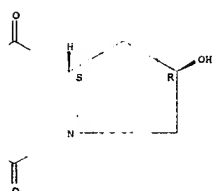
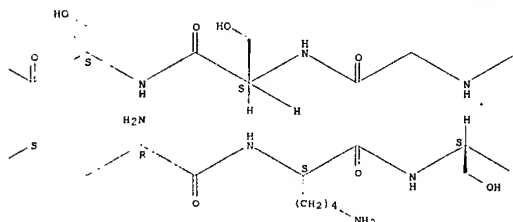
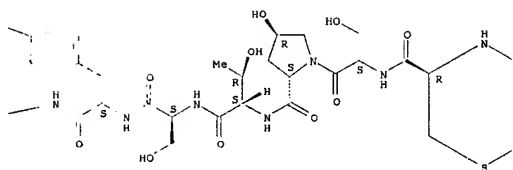
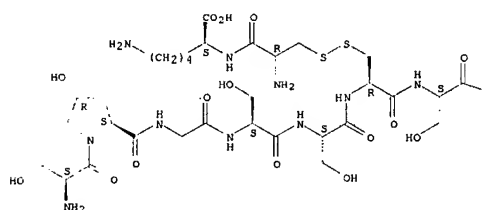
PAGE 1-B





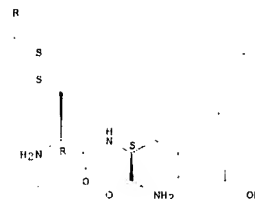
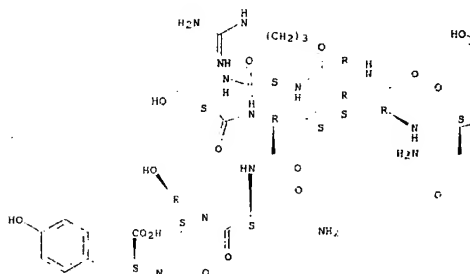
RN 146663-71-0 CAPLUS
 CN L-Tyrosine, L-eryl-trans-4-hydroxy-L-prolylglycyl-L-eryl-L-eryl-L-
 cysteinyl-L-eryl-trans-4-hydroxy-L-prolyl-L-threonyl-L-eryl-,
 (6-11)-disulfide with L-cysteinyl-L-lysine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

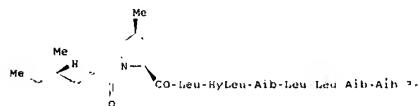


RN 146663-73-2 CAPLUS
 CN m-Conotoxin G VIA (reduced), [seco-22/23]-23-de-L-threonine-24-de-L-
 lysine-25-de-L-arginine-, cyclic (1-8), (15-19)-
 bis(disulfide), (16-26)-disulfide (9CI) (CA INDEX NAME)

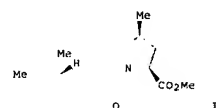
Absolute stereochemistry.



L6 ANSWER 371 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1993:81409 CAPLUS
 DOCUMENT NUMBER: 118:81409
 TITLE: Total synthesis of leucostatin D
 AUTHOR(S): Kuvata, Shigeru; Nakanishi, Akihiro; Yamada, Takashi;
 Miyazawa, Toshiyumi
 CORPORATE SOURCE: Fac. Sci., Konan Univ., Kobe, 658, Japan
 SOURCE: Tetrahedron Letters (1992), 33(46), 6995-8
 CODEN: TELEAY; ISSN: 0040-4029
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

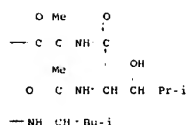
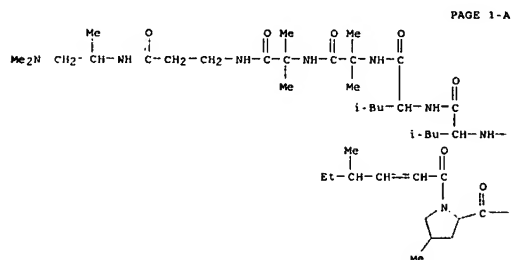


--Ala-(S)-NHCHMeCH2NMe2



AB Peptide antibiotic leucostatin D (I; Aib = α -aminoisobutyric acid, Ryleu = L-threo-3-hydroxyleucine) was synthesized via the stepwise elongation method, starting from β -alanine tert Bu ester. Octapeptide ester Z-Leu-Ryleu-Aib-Leu Aib-Aib- β -Ala-OMe3 (Z = PhCH2O2C) was de-tert-butylated with CF3CO2H and then amidated with (S)-N2NCHMeCH2NMe2 by DCC/1-hydroxybenzotriazole (HOBt) to give octapeptide

amide Z-Leu-HyLeu-Aib-Leu-Leu-Aib-Aib-β-Ala-(S)NHCHMeCH2NMe2. The latter was Z-deblocked by hydrogenolysis and then coupled with proline derivative (II) by DCC/HOBt to give I. II was prepared in 4 steps from Z L-β-homoleucine and L-cis-4-methylproline Me ester.
 IT 108426-90-OP, Leucinostatin D 145841-17-4P,
 Leucinostatin D monohydrochloride
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (total synthesis of)
 RN 108426-90-0 CAPLUS
 CN Leucinostatin D (9CI) (CA INDEX NAME)

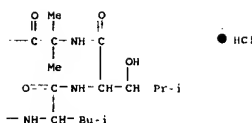
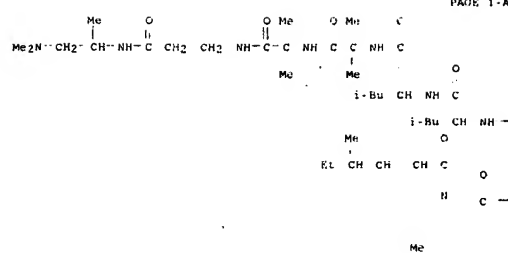
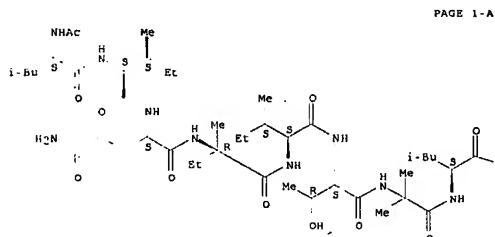


RN 145841-17-4 CAPLUS
 CN Leucinostatin A, 2-L-leucine-, monohydrochloride (9CI) (CA INDEX NAME)

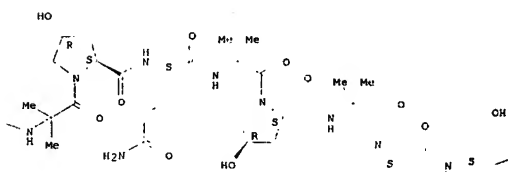
did not indicate the nature of a possible ion channel. The structure of Leu-zervamicin, Ac-Leu-Ile-Gln-Iva-Ile-Thr-Aib-Leu-Aib-Hyp-Gln-Aib-Hyp-Aib-Pro-Phol, has been determined in 4 different polymorphs in space groups P21 and P21211. In each, the mol. folds into a bent helix. The degree of bending (20° to 45°) varies with the water content in the 4 polymorphs. The polar residues 3, 6, 10 and 13 (i-3 or 4) all occur on the convex side of the bent helix. In addition, the side-chain of glutamine 11 is not extended to the nonpolar face, but folds back against the backbone so that its polar end augments the polar face. In each of the 4 polymorphs, the helices assemble to form a water channel that is lined with carbonyls and hydroxyls and that is interrupted by lateral hydrogen bonds between peptides involving the Gln11 residue. It appears that Gln11 may be involved in a gating mechanism for cation passage. Crystal parameters are: space group P21, a = 21.857(4) Å, b = 9.381(3) Å, c = 26.744(6) Å, β = 105.22°, resolution = 1.1 Å.

IT 175995-68-5
 RL: BIOL (Biological study)
 (conformation of polymorph of, x-ray crystallog. study of, ion channel in relation to)
 RN 175995-68-5 CAPLUS
 CN L-Prolinamide, N-acetyl-L-leucyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



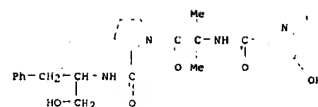
L6 ANSWER 372 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1993:75566 CAPLUS
 DOCUMENT NUMBER: 118:75566
 TITLE: Implications for an ion channel in Leu-zervamicin: crystal structure of polymorph 5
 AUTHOR(S): Karle, Isabella L.; Flippen-Anderson, Judith L.; Agrawal, Sanjay; Balaram, Padmanabhan
 CORPORATE SOURCE: Lab. Struct. Matter, Nav. Res. Lab., Washington, DC, 20375-5000, USA
 SOURCE: Struct. Funct., Proc. Conversation Discip. Biomol. Stereodyn., 7th (1992), Meeting Date 1991, Volume 1, 97-111, Editor (s): Sharma, Kamagamy H.; Sharma, Mukti H. Adenine Press Schenectady, N Y
 CODEN: 58HXAL
 CONFERENCE
 DOCUMENT TYPE: English
 LANGUAGE: English
 AB A group of naturally occurring, antibiotic peptides that transport ions across cell membranes contains a number of Aib (aminoisobutyric acid) residues. These peptides are helical and contain mostly apolar residues. The crystal structure of alamethicin established a bent helix with its few polar groups all on the same side of the helix; but the crystal packing



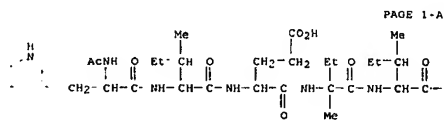
L6 ANSWER 373 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1993:14630 CAPLUS
 DOCUMENT NUMBER: 118:14630
 TITLE: The properties of ion channels formed by zervamicins
 AUTHOR(S): Balaram, P.; Krishna, K.; Sukumar, M.; Mellor, I. R.; Sansom, Mark S. P.
 CORPORATE SOURCE: Mol. Biophys. Unit, Indian Inst. Sci., Bangalore, 560 012, India
 SOURCE: European Biophysics Journal (1992), 21(2), 117-28
 CODEN: EBJOEH; ISSN: 0175-1571
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The zervamicins (Zrv) are a family of 16 residue peptide ion channel formers, related to the 20 residue pepalaibol alamethicin (Alm), but containing a higher proportion of polar sidechains. Zrv 11b forms multi-level channels in planar lipid (diphytanoyl phosphatidylcholine) bilayers in response to cis pos. voltages. Anal. of the voltage and concentration dependence of macroscopic conductances induced by Zrv 11b suggests that, on average, channels contain approx 13 peptide monomers. Anal. of single channel conductance levels suggests a similar value. The pattern of successive conductance levels is consistent with a modified helix bundle model in which the higher order bundle are distorted within the plane of the bilayer toward a torpedo shaped cross-section. The kinetics of

intra-burst switching between adjacent conductance levels are shown to be approx. an order of magnitude faster for Zrv-IIB than for Alm. The channel forming properties of the related naturally occurring peptaibols, Zrv-Leu and Zrv-IC, have also been demonstrated, as have those of the synthetic apolar analog Zrv-Al-16. The exptl. studies on channel formation are combined with the known crystallog. structures of Zrv-Al-16 and Zrv-Leu to develop a mol. model of Zrv-IIB channels.

IT 79392-51-1, Zervamicin-IC 79395-85-0, Zervamicin-IIB 135995-68-5
 RL: BIOL (Biological study)
 (ion channel of, functional and structural properties of)
 RN 79392-51-1 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-D-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

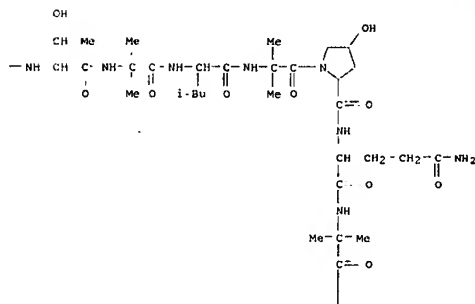


RN 79395-85-0 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl] (CA INDEX NAME)

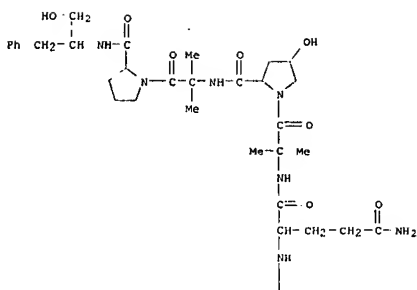


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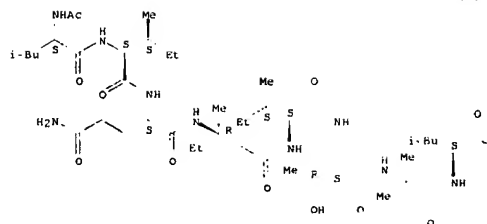
PAGE 1-A



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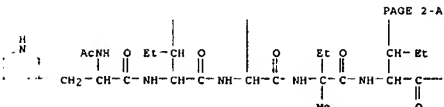


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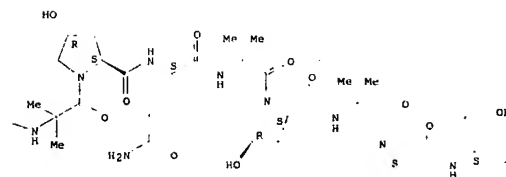


PAGE 1-A

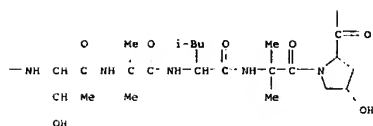
PAGE 1-B



PAGE 2-A



PAGE 2-B



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RN 135995-68-5 CAPLUS
 CN L-Prolinamide, N-acetyl-L-leucyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Ph

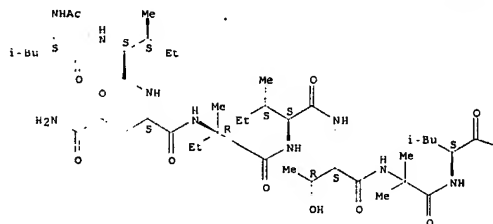
ACCESSION NUMBER: 1993:34628 CAPLUS
DOCUMENT NUMBER: 118:34628
TITLE: Molecular conformation of leucine zervamicin in solution using one- and two-dimensional NMR spectroscopy
AUTHOR(S): Krishnan, V. V.; Krishna, K.; Kumar, Anil; Balaram, P.
CORPORATE SOURCE: Dep. Phys., Indian Inst. Sci., Bangalore, 560 012, India
SOURCE: Magn. Reson. (1991), 150-5. Editor(s): Khetrapi, Chundi Lal; Govil, Girjesh. Narosa Publ. House: New Delhi, India.
CODEN: SABPAZ
DOCUMENT TYPE: Conference
LANGUAGE: English

AB The solution conformation of Leu-Zervamicin (Ac-Leu-Ile-Gln-Iva-Ile5-Thr-Aib-Leu-Aib-Hyp10-Gln-Aib-Hyp-Aib-Pro15-Phol), a membrane modifying fungal peptide, has been investigated using one and two dimensional NMR spectroscopy in dimethylsulfoxide solution. The NMR studies suggest that Leu-Zervamicin is in a helical conformation with a partially unwound N-terminus.

IT 135995-68-5
RL: PRP (Properties)
RN 135995-68-5 CAPLUS
CN L-Prolineamide, N-acetyl-L-leucyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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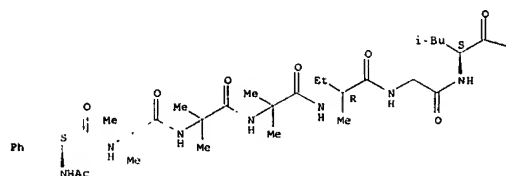


concluded that the mode of action of peptaibols in mosquito larvae is mediated through the damage of mitochondria. The structure-mosquitocidal effect of these compds., their potential mode of action, and role in the natural fungal entomopathogenic process are briefly discussed.

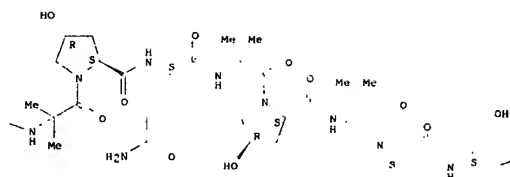
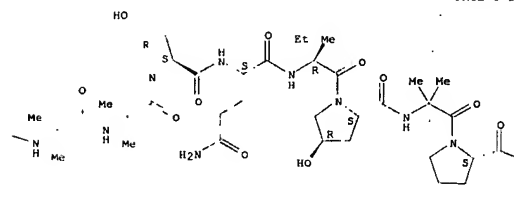
IT 64347-37-1, Antiamebin I
RL: BIOL (Biological study)
(from fungus, mosquito larva response to)
RN 64347-37-1 CAPLUS
CN Antiamebin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L6 ANSWER 375 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:4220 CAPLUS
DOCUMENT NUMBER: 118:4220
TITLE: Morphological alterations accompanying the effect of peptaibiotics, α -aminoisobutyric acid-rich secondary metabolites of filamentous fungi, on *Culex pipiens* larvae
AUTHOR(S): Macha, Vladimir; Jegorov, Alexandr; Kiess, Michael; Bruckner, Hans
CORPORATE SOURCE: Res. Unit, Galena Res. Dev., Ceske Budejovice, 37005, Czech.
SOURCE: Tissue & Cell (1992), 24(4), 559-64
CODEN: TICEBI; ISSN: 0040-8166
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The effect of different representatives of the group of peptaibiotics, α -aminoisobutyric acid-rich secondary metabolites of filamentous fungi, on *Culex pipiens* larvae was studied. Light and transmission electron microscopy techniques were used to localize the intracellular damage and to determine the target organelles for the mode of action of peptaibols in mosquito larvae. Though different in insecticidal activity, all tested compds. induced the same type of tissue damage, which was characterized by heavy challenge of mitochondria followed by partial swelling, crystalolysis, and destruction of mitochondrial walls. It is

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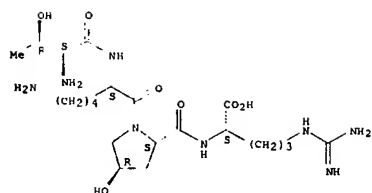


L6 ANSWER 376 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:236 CAPLUS
DOCUMENT NUMBER: 118:236
TITLE: Effect of O-glycosylation on the bioactivity of tuftsin
AUTHOR(S): Rocchi, R.; Biondi, L.; Filippa, F.; Tzheoval, E.; Fridkin, M.
CORPORATE SOURCE: Biopolym. Res. Cent., Univ. Padova, Padova, Italy
SOURCE: Pept.: Chem. Biol., Proc. Am. Pept. Symp., 12th (1992), Meeting Date 1991, 881-2. Editor(s): Smith, John A.; Rivier, Jean E.
CODEN: 57XGA9
DOCUMENT TYPE: Conference
LANGUAGE: English

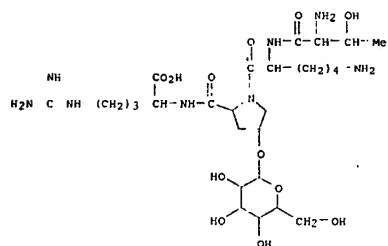
AB [Hyp]tuftsin and its glycosylated derivs. were prepared. The peptides were found to modulate the immunogenic capacity of antigen-presenting cells, i.e., macrophages, when applied to culture simultaneously with the antigen keyhole limpet hemocyanin (KLH). At a concentration of 5×10^{-8} M, tuftsin was able to augment (nearly 2-fold) [3H]thymidine incorporation into cells. [Hyp]tuftsin and its α -glycosylated derivative exhibited much higher effects than tuftsin when applied at 5×10^{-8} M. At concns. of 10^{-7} M, however, both were inhibitory while tuftsin was inactive. The α -D anomer, on the other hand, was very active at 10^{-7} M and inhibitory at 5×10^{-8} M. Thus, [Hyp]tuftsin and, even better, its glycosylated derivs. were capable of augmenting IL-1 production by macrophages. The results clearly demonstrate that hyp can substitute Pro3 in tuftsin with preservation of activity. Moreover, attachment of a glycosidic residue to the hydroxyl function of Hyp even enhance activity. Apparently, the sugar moiety increases the affinity of tuftsin towards its specific macrophage receptor with its consequent parallel activation.

IT 136497-72-8P 144739-92-4P 144789-48-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
RN 136497-72-8 CAPLUS
CN L-Arginine, N2-[trans-4-hydroxy-1-(N2-L-threonyl-L-lysyl)-L-prolyl]- (9CI) (CA INDEX NAME)

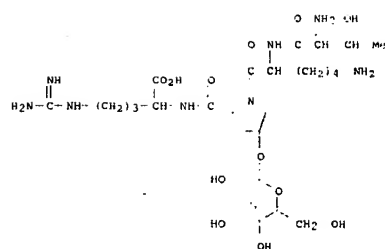
Absolute stereochemistry.



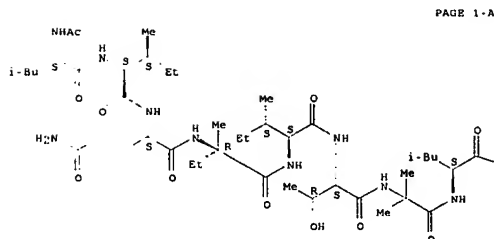
RN 144739-92-4 CAPLUS
CN L-Arginine, N2-[(trans-4-(4-D-glucopyranosyloxy)-1-(N2-L-threonyl-L-lysyl)-L-prolyl)- (9CI) (CA INDEX NAME)]



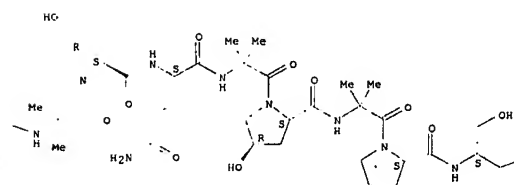
RN 144789-48-0 CAPLUS
CN L-Arginine, N2-[(trans-4-(4-D-glucopyranosyloxy)-1-(N2-L-threonyl-L-lysyl)-L-prolyl)- (9CI) (CA INDEX NAME)]



L6 ANSWER 377 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992 607211 CAPLUS
DOCUMENT NUMBER: 117207211
TITLE: Possible ion channel model in crystals of Leu-zervamicin
AUTHOR(S): Karle, I. L.; Flippen-Anderson, J. L.; Agarwalla, S.; Balaram, P.
CORPORATE SOURCE: Lab. Struct. Matter, Nav. Res. Lab., Washington, DC, 20375-5000, USA
SOURCE: Pept.: Chem. Biol., Proc. Am. Pept. Symp., 12th (1992), Meeting Date 1991, 1713. Editor(s): Smith, John A.; Rivier, Jean E.
ESCOM: Leiden, Neth.
CODEN: 57XGA9
DOCUMENT TYPE: Conference; General Review
LANGUAGE: English
AB A review and discussion with 7 refs. Possible conformations of Leu-zervamicin and its ion channel structure are presented based on crystal structure data.
IT 135995-68-5
RL: BIOL (Biological study)
(ion channel, structure of, protein conformation in relation to)
RN 135995-68-5 CAPLUS
CN L-Prolineamide, N-acetyl-L-leucyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.



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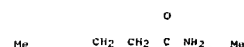
PAGE 1-B

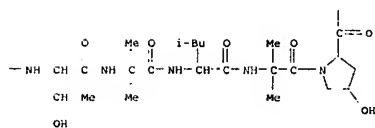
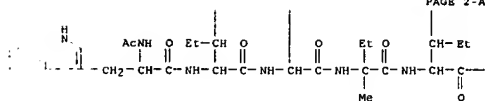
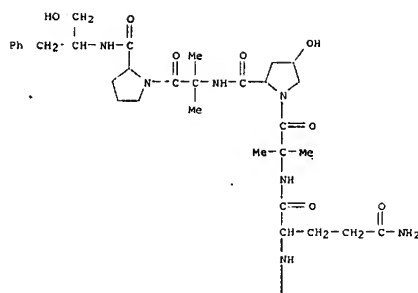
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PAGE 1-C

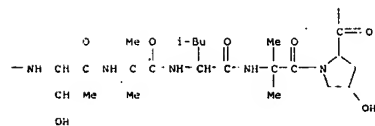
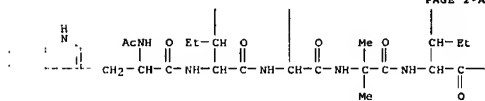
ACCESSION NUMBER: 1992-566034 CAPLUS
DOCUMENT NUMBER: 117156034
TITLE: Zervamicins, a structurally characterized peptide model for membrane ion channels
AUTHOR(S): Agarwalla, S.; Mellor, I. R.; Sanson, M. S. P.; Karle, I. L.; Flippen-Anderson, J. L.; Uma, P.; Krishna, K.; Sukumar, M.; Balaram, P.
CORPORATE SOURCE: Mol. Biophys. Unit, Indian Inst. Sci., Bangalore, 560 012, India
SOURCE: Biochemical and Biophysical Research Communications (1992), 186(1), 8-16
CODEN: BBRCAG; ISSN: 0006-291X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The voltage-dependent membrane channel-forming activity of the zervamicins, a group of α -aminoisobutyric acid-containing peptides, is described. The role of polar residues like Thr, Gln and Hyp in promoting helical bundle formation is established by dramatically reduced channel lifetimes for a synthetic apolar analog. Recently determined crystal structures of the zervamicins are related to channel gating and dynamics.
IT 79395-85-0, Zervamicin IIb 79395-86-1, Zervamicin IIa 135995-68-5, Zervamicin L 135995-68-5
RL: BIOL (Biological study)
(voltage-dependent membrane channel forming activity of, peptide structure in relation to)
RN 79395-85-0 CAPLUS
CN L-Prolineamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl)- (9CI) (CA INDEX NAME)

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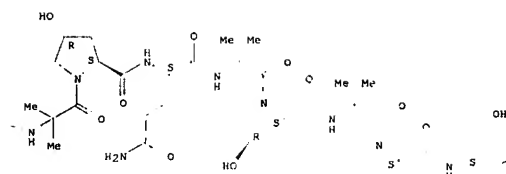
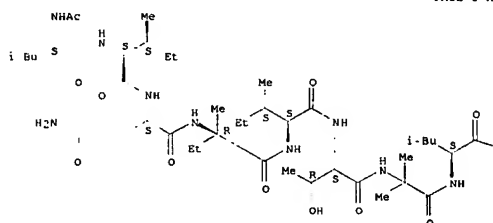


RN 79395-86-1 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)



RN 115995-68-5 CAPLUS
 CN L-Prolinamide, N-acetyl-L-leucyl-L-isoleucyl-L-glutamyl-D-isovaleryl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

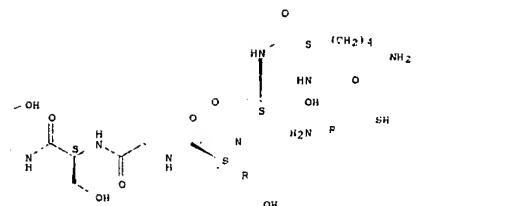
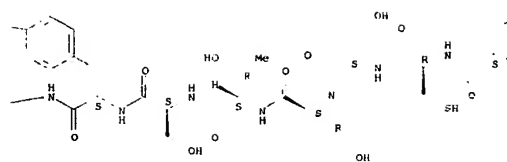
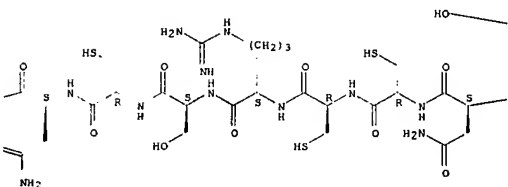
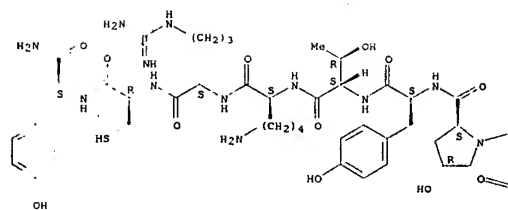
Absolute stereochemistry.



Ph

L6 ANSWER 379 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1992:551365 CAPLUS
 DOCUMENT NUMBER: 117116165
 TITLE: Solid phase synthesis of a number of venom toxins containing two to six cysteine residues
 AUTHOR(S): COLLIER, R.; DUTTA, A. S.; GILES, M. B.; HAYWARD, C. F.
 CORPORATE SOURCE: ICI Pharm., Macclesfield/Cheshire, SK10 4TG, UK
 SOURCE: Pept. Chem. Biol., Proc. Am. Pept. Symp., 12th (1992) 1, Meeting Date 1991, 639-40. Editor(s), Smith, John A.; Rivier, Jean E.
 ESCOM: Leiden, Neth.
 CODEN: 57XGA9
 DOCUMENT TYPE: Conference
 LANGUAGE: English
 AB A report from a symposium on the preparation of venom toxins secapin, peptide 401, tertiapin, iberitoxin, noxiustoxin, charybotoxin, leurotoxin, conotoxin, and dendrotoxin by solid-phase methods.
 IT 92078-76-7P, n-Conotoxin G VIA (reduced)
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, by solid-phase methods)
 RN 92078-76-7 CAPLUS
 CN n-Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

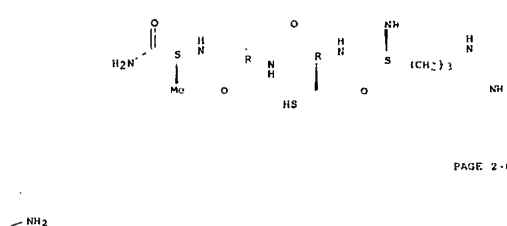
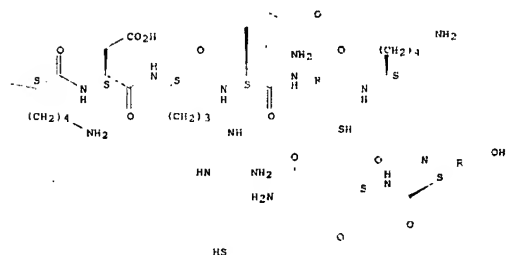
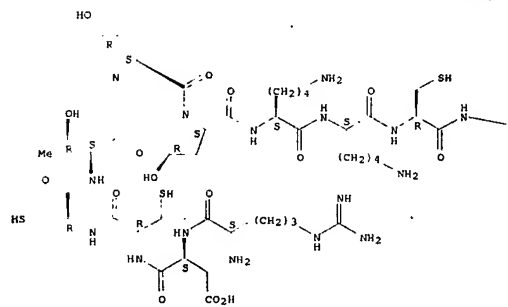


L6 ANSWER 380 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1992:526168 CAPLUS
 DOCUMENT NUMBER: 117:156168
 TITLE: Action of derivatives of μ -conotoxin GIIIA on sodium channels. Single amino acid substitutions in the toxin separately affect association and dissociation rates
 AUTHOR(S): Becker, S.; Prusak-Bochaczewski, E.; Zamponi, G.; Beck-Sickinger, A. G.; Gordon, R. D.; French, R. J.
 CORPORATE SOURCE: Max-Planck-Inst. Biophys., Frankfurt/Main, D-6000/71, Germany
 SOURCE: Biochemistry (1992), 31(35), 3229-35
 CODEN: BICHAW; ISSN 0006-2960
 JOURNAL
 LANGUAGE: English
 AB The authors have studied binding and block of sodium channels by 12 derivs. of the 22-residue peptide μ -conotoxin GIIIA (μ -CTX) in which single amino acids were substituted as follows: Arg or Lys by Gln, Gln-18 by Lys, Asp by Asn, and HO-Pro by Prr. Derivs. were synthesized as

described by S. Becker et al. (1989). Binding was measured by displacement of labeled saxitoxin from eel electroplax membranes (100 mM choline chloride, 10 mM HEPES-NaOH, pH 7.4). Blocking kinetics were evaluated from steady-state, single-channel recordings from rat skeletal muscle sodium channels incorporated into planar, neutral phospholipid/decane bilayers (200 mM NaCl, 10 mM HEPES-NaOH, pH 7). Blocking events generally appeared as periods of seconds to minutes in which current through the single channel was completely eliminated. A notable exception was seen for the substitution Arg-13-Gln for which the blocked events showed measurable conductances of about 20-40% of the open state. The substitution of Arg-13 reduced binding to electroplax membranes to undetectable levels and increased the apparent dissociation constant determined for skeletal muscle channels by >80-fold compared with the native peptide. Other substitutions caused smaller decreases in affinity. The decreased potency of the toxin derivs. resulted both from increases in the rates of dissociation from the channel, and from decreases in association rates. These data support the suggestion by S. Sato et al. (1991) that Arg-13 associates intimately with the binding site on the channel. In addition, these results suggest that certain residues affect almost exclusively the approach and docking of the toxin with its binding site, others appear to be important only to the strength of the association once binding has taken place, and yet others affect both.

IT 86394-16-3, Geographotoxin I (reduced)
 RL: PROC (Process)
 (binding of, to sodium channels, structure in relation to)
 RN 86394-16-3 CAPLUS
 CN μ -Conotoxin G IIIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 381 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1992:488732 CAPLUS
 DOCUMENT NUMBER: 117:88732
 TITLE: Manufacture of an antitumor peptide derivative by microrial transformation of a peptide precursor
 INVENTOR(S): Petuch, Brian R.; Arison, Byron H.
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA
 SOURCE: Brit. UK Pat. Appl., 22 pp.
 CODEN: BAXXDU
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2249311	A	19920506	GB 1991-22829	19911028
US 5219985	A	19930615	US 1990-607430	19901031
PRIORITY APPLN. INFO.:			US 1990-607430	A 19901031

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

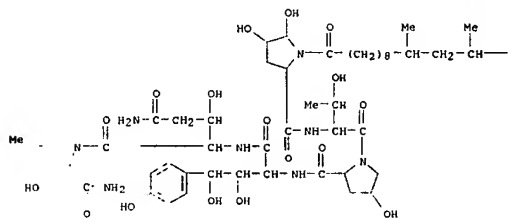
AB The antifungal antibiotic peptide derivative I is prepared from the cyclic hexapeptide II by microbial transformation with *Bacillus*, *Arthrobacter*, or *Pseudomonas*.

IT 158536-14-2P
RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP (Preparation)
(manufacture of, from cyclic hexapeptide derivative with *Arthrobacter* or *Bacillus* or *Pseudomonas*)

RN 158536-14-2 CAPLUS

CN 1 Pyrrolidinepentanamide, 2-(aminocarbonyl)-γ-[2-[[[1-(2-[[[1-(10,12-dimethyl-1-oxo-tetradecyl)-4,5-dihydroxy-2-pyrrolidinyl]carbonyl]amino]-3-hydroxy-1-oxobutyl]-4-hydroxy-2-pyrrolidinyl]carbonyl]amino]-3,4-dihydroxy-4-(4-hydroxyphenyl)-1-oxobutyl]amino]-β,3-dihydroxy-4-methyl-δ-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

Et

L6 ANSWER 382 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1592470296 CAPLUS
DOCUMENT NUMBER: 11770296
TITLE: Preparation and structure-activity relationships of simplified analogs of the antifungal agent cilofungin: a total synthesis approach

AUTHOR(S): Zambias, Robert A.; Hammond, Milton L.; Heck, James V.; Bartizel, Ken; Trainor, Charlotte; Abruzzo, George; Schmatz, Dennis M.; Nollstadt, Karl M. Merck Res. Lab., Rahway, NJ, 07065, USA

CORPORATE SOURCE: Merck Res. Lab., Rahway, NJ, 07065, USA

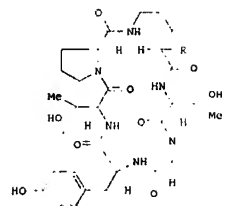
SOURCE: Journal of Medicinal Chemistry (1992), 35(15), 2843-55
CODEN: JMCMAK; ISSN: 0622-2625

DOCUMENT TYPE: English

LANGUAGE: English

OTHER SOURCE(S): CASREACT 11770296

GI



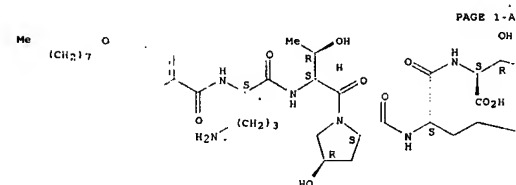
AB The echinocandins are a well-known class of lipopeptides characterized by their potent antifungal activity against *Candida* species. The mechanism of action of the echinocandins is generally thought to be the inhibition of β-1,3-glucan synthesis, an important structural component in the cell wall of *Candida* species. Extensive structure-activity studies on the fatty acid side chain of echinocandin B led to the preparation of the clinical candidate cilofungin. We now report the preparation, by solid-phase synthesis, of a series of simplified analogs of cilofungin in which the unusual amino acids found in the echinocandins were replaced with more readily accessible natural amino acids. The solid-phase approach to the total synthesis of these analogs allowed us to conveniently explore structural modifications that could not be accomplished by chemical modification of the natural product. The simplest analog 1 [R = p-[Me(CH₂)₇OC₆H₄CONH] showed no biol. activity. Structural complexity was then returned to the system in a systematic fashion so as to reapproach the original cilofungin structure. Antifungal activity and the inhibition of β-1,3-glucan synthesis were monitored at each step of the process, thereby revealing the basic structure-activity relationships of the amino acids and the minimal structural requirements for biol. activity in the echinocandin ring system. The results suggest that the 3-hydroxy-4-methylproline residue enhances activity but the L-homotyrosine residue is crucial for both antifungal activity and the inhibition of β-1,3-glucan synthesis.

IT 141806-18-0P
RL: RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation); RACT (Reactant or reagent)
(preparation and benzyloxycarbonylation of)

RN 141806-18-0 CAPLUS

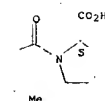
CN L-Threonine, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B

PAGE 1-B



RN 141806-07-7 CAPLUS

CN L-Proline, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl-4-hydroxy-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

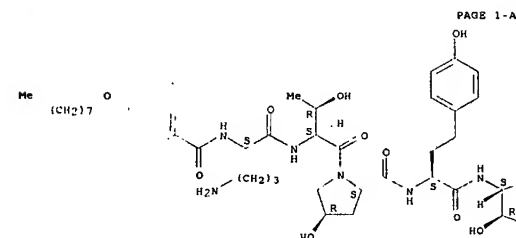
PAGE 1-A

IT 141806-06-6P 141806-07-7P 141806-24-8P
RL: RCT (Reactant), SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

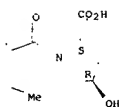
RN 141806-06-6 CAPLUS

CN L-Proline, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl- (9CI) (CA INDEX NAME)

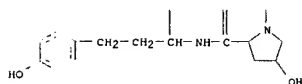
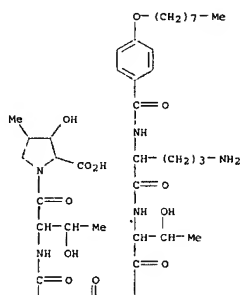
Absolute stereochemistry.



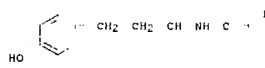
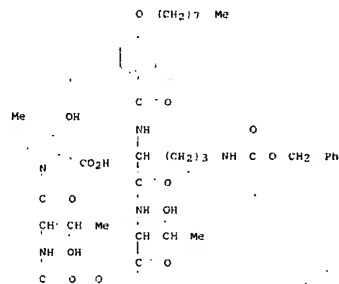
PAGE 1-A



RN 141806-24-8 CAPLUS
CN L-Proline, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl-3-hydroxy-4-methyl-, (2*α*,3*β*,4*β*)- (9CI) (CA INDEX NAME)

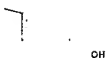
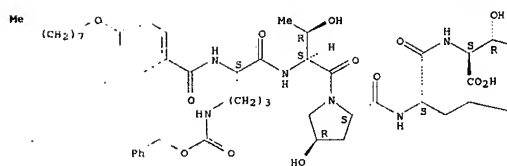


IT 141806-23-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)
RN 141806-23-7 CAPLUS
CN L-Proline, N2-[4-(octyloxy)benzoyl]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl-3-hydroxy-4-methyl-, (2*α*,3*β*,4*β*)- (9CI) (CA INDEX NAME)



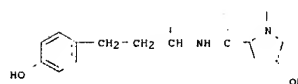
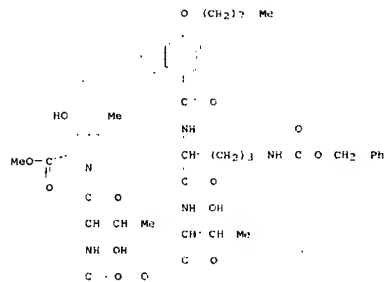
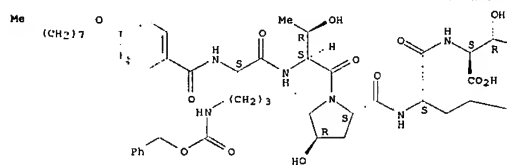
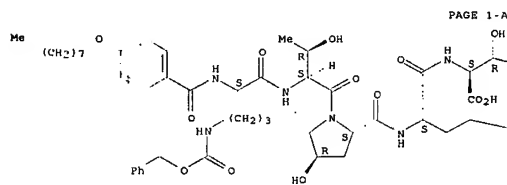
IT 141806-19-1P 141806-20-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and peptide coupling of, with proline derivative)
RN 141806-19-1 CAPLUS
CN L-Threonine, N2-[4-(octyloxy)benzoyl]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141806-20-4 CAPLUS
CN L-Threonine, N2-[4-(octyloxy)benzoyl]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-[(phenylmethoxy)carbonyl]oxyphenyl)-L-2-aminobutanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CN L-Proline, N2-[4-(octyloxy)benzoyl]-N5-[(phenylmethoxy)carbonyl]-L-
 ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-[4-
 [(phenylmethoxy)carbonyl]oxy]phenyl]-L-2-aminobutanoyl-L-threonyl-3-
 hydroxy-4-methyl-, methyl ester, (2 α ,3 β ,4 β)-, (9CI) (CA
 INDEX NAME)

COC(=O)N1C(C=C(O)C1C)C(=O)NC(=O)CC(C)(O)C(=O)NC(=O)CC(C)(O)C(=O)NC(=O)Cc1ccc(cc1)OC(C)C
$$-\text{O}-\text{CH}_2-\text{Ph}$$
$$\text{Ph-CH}_2\text{-O-C(=O)-} \left[\text{CH}_2\text{-CH}_2\text{-CH(CH}_3\text{)-NH-C(=O)-} \right]_n \text{-CH}_2\text{-CH}_2\text{-CH(CH}_3\text{)-NH-C(=O)-N(CH}_2\text{CH}_2\text{OH)-CH}_2\text{-CH}_2\text{-CH(CH}_3\text{)-NH-C(=O)-}$$
[illegible][illegible][illegible]

AB Ion channel side chains in channel-ion and channel-water interactions were studied using a 16-residue peptide, zervamicin-118 (Zrv 118). A hexameric model of a Zrv-118 channel suggests sidechain glutamines and carbonyl groups interact with K⁺ and water within the lumen of the channel. The interaction energy profile for K⁺ and H₂O interactions with the channel is presented. Hydrophobicity and flexibility of sidechains are considered important in the ion channel interactions.

IT are considered important in the ion channel interactions
79395-85-0, Zervamicin-III
RL: BIOL (Biological study)
(ion channel of hexamer of, potassium and water interactions with
sidechains of)

RN 79395-85-0 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

PAGE 1-A

LANGUAGE: English

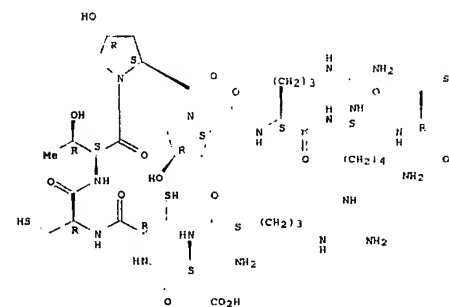
AB Assay method, isolation of geographotoxins I and II (GTX I and II) from marine snail *Conus geographus*, preparation of GTX I and II by solid-phase synthesis, and their properties are described

IT 86414-29-1

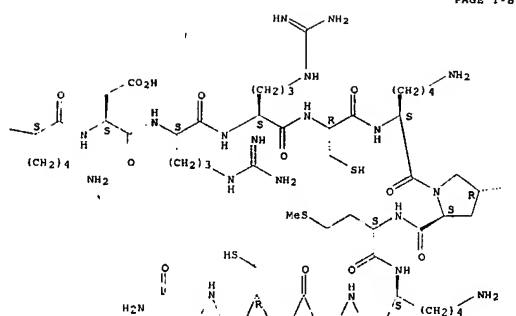
IT 86414-29-1
RL: BIOL (Biological study)
(isolation and preparation and properties of)
RN 86414-29-1 CAPIUS
CN μ -Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry

PAGE 1-A

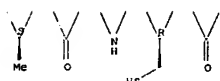


L6 ANSWER 384 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1992:442323 CAPLUS
DOCUMENT NUMBER: 117:42323
TITLE: Neurophaxoxins
AUTHOR(S): Nakamura, Hideshi; Sato, Kazuki; Ohizumi, Yasushi
CORPORATE SOURCE: Pac. Med., Hokkaido Univ., Sapporo, 060, Japan
SOURCE: Methods in Neurosciences (1992). 8(Neurotoxins),
271-82
CODEN: MENEES; ISSN: 1043-9471
DOCUMENT TYPE: Journal



PAGE 1-C

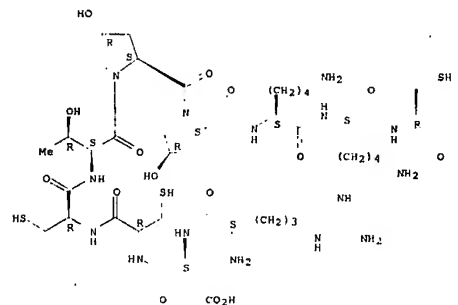
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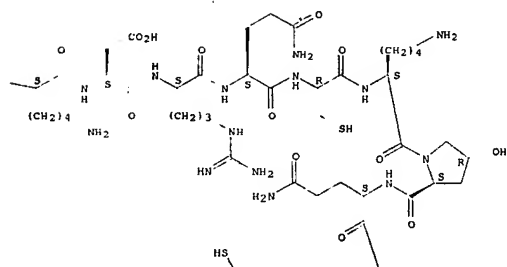
L6 ANSWER 385 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1992-442312 CAPLUS
 DOCUMENT NUMBER: 117:42312
 TITLE: Immunoreactivity, epitope mapping and protection studies with anti-conotoxin GI sera and various conotoxins

AUTHOR(S): Stiles, Bradley G.; Sexton, Francis W.
 CORPORATE SOURCE: Dep. Toxicol., US Army Med Res Inst. Infect. Dis., Frederick, MD, 21702-5011, USA
 SOURCE: Toxicon (1992), 30(4), 367-77
 CODEN: TOXIA6; ISSN 0041-0101
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Goat or rabbit anticonotoxin GI sera recognized native or dithiothreitol-reduced α -conotoxins GI, MI and SI in an ELISA. Native μ -conotoxin GIIIA or μ -conotoxin GVIA did not react with either anticonotoxin GI serum in the assay. The goat anticonotoxin GI serum neutralized 2.5 LD50s of α -conotoxins GI or MI in mouse lethal assays, while the rabbit antiserum had little protective capabilities. Epitope mapping of synthesized conotoxin peptide fragments revealed that both anticonotoxin GI sera recognized linear sequences from five different α -conotoxins: GI, GIA, GII, MI and SI. The CCNPAC sequence was optimally recognized by both antisera.
 IT 86294-16-3, Geographotoxin I (reduced) 92078-76-7, α -Conotoxin G VIA (reduced)
 RL: BIOL (Biological study)
 (immunol. properties of)
 RN 86294-16-3 CAPLUS
 CN μ -Conotoxin G IIA (reduced) (9CI) (CA INDEX NAME)
 Absolute stereochemistry

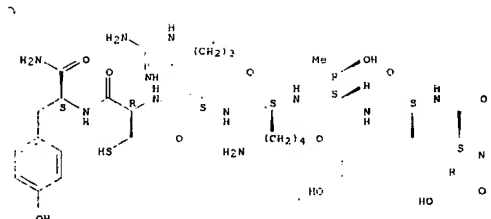
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PAGE 1-B

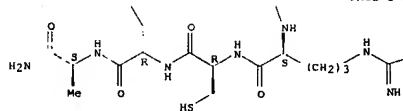


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PAGE 1-B

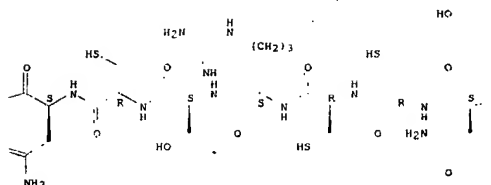
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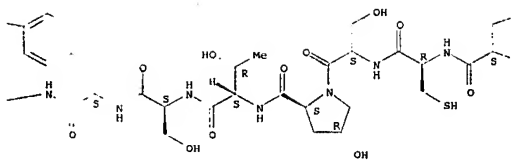
PAGE 2-C

NH2

RN 92078-76-7 CAPLUS
 CN α -Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



	RM:	AT	BB	BF	BJ	CF	CG	CH	CI	CM	DE	DF	ES	FR	GA	GB	GN
	GR	IT	LU	MJ	MR	NL	SE	SN	TD	TO							
ZA 9183054				A		19920302			ZA	1991	63054						19910729
AU 9106072				A		19930408			ZA	1991	6072						19910801
US 5679564						19971021			US	1995	400781						19950808
PRIORITY APPLN. INFO.:									US	1990	560615						A 19900801
									US	1991	654462						A 19910212
									WD	1991	EP1420						A 19910729
									US	1992	131973						R1 19931027



OTHER SOURCE(S): MARPAT 117:40432 05 1992-1997/9 01 1993/107

AB: Peptides are provided which bind major histocompatibility complex (MHC) mols. of interest and inhibit T-cell activation. The peptides are of 4-25 amino acid residues in length and have a core binding region comprising, in the direction from the N- to the C terminus, a hydrophobic L-amino acid or amino acid mimetic, a spacer sequence of 2-6 residues, and a Thr or Thr mimetic. At least one residue is a D-amino acid or amino acid mimetic. The peptides may be used to arrest or suppress the development of particular allergies, including autoimmune disease, e.g. rheumatoid arthritis. Sixty peptides were synthesized on a 430A peptide synthesizer by standard methods and tested for binding to HLA-DR1, -DR4w4, and -DR3w4 antigens. Synthetic peptide D-Ala-A-Ile-Ala-(Ala)4-Thr-Leu-Leu-(Ala)2-D-Ala-NH2 (C5a) in the L-cyclohexylalanine had the half-life of 256 min in 25% human blood serum, a binding affinity greater than that of influenza haemagglutinin peptide 907-319 for HLA-DR1 and DR3 and greater than that of a peptide for HLA-DR4w4, and inhibited antigen presentation in the cell line, 880EV.

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IT      142086-83-7P 142086-86-OP 142086-95-1P  

       142086-98-AP  

       RU: BAC (Biological activity or effector, except adverse); BSU (Biological  

       study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  

       BRG (Biological study); PRP (Preparation); USES (Uses)  

       (preparation and immunosuppressant activity of)  

RN      142086-83-7 CAPLUS  

CN      D-Alaninamide, D-tyrosine, L-alanyl-L-alanyl-L-alanyl-L-alanyl-L-alanyl-  

        L-alanyl-L-alanyl-L-alanyl-L-alanyl-L-alanyl-L-alanyl-L-alanyl-L-alanyl-  

        L-alanyl-L-alanyl; (%CI) [CA INDEX NAME]

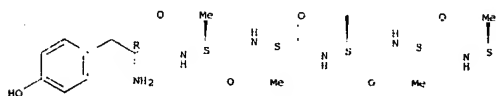
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Absolute stereochemistry.

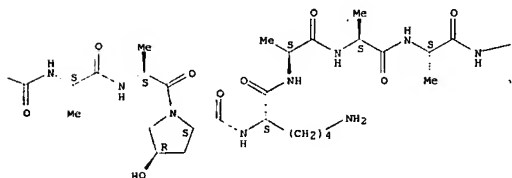
PAGE 1 - A

L6 ANSWER 386 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1992:440432 CAPLUS
DOCUMENT NUMBER: 117:40432
TITLE: Novel immunosuppressant peptides
INVENTOR(S): Gaeta, Federico C. A.; Powell, Michael F.; Grey,
Howard M.; Sette, Alessandro D.; Arrenhuis, Thomas S.
PATENT ASSIGNEE(S): Cytel Corp., USA; Sandos A.-G.; Sandos-Patent-G.m.b.H.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PFXDDZ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

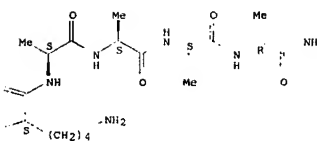
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9202543	A1	19920220	WO 1991-EP1420	19910729
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SV, US				



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PAGE 1-B



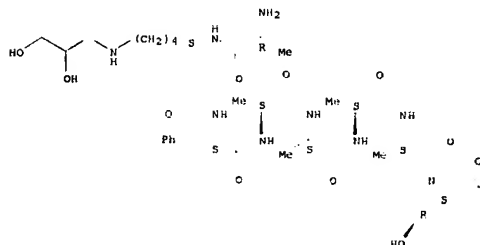
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RN      142086-95-1    CAPLUS
CN      L-Alaninamide, D-alanyl- N6-(2,3-dihydroxypropyl)- L-lysyl-L-phenylalanyl-L-
        alanyl-L-alanyl-L-alanyl-L-alanyl-(trans-4-hydroxy-L-prolyl-L-leucyl-N6-
        (2,3-dihydroxypropyl)-L-lysyl-L-alanyl- N-1-hydroxy-1-
        (hydroxymethyl)propyl]- (9C1) [CA INDEX NAME]

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Absolute stereochemistry.

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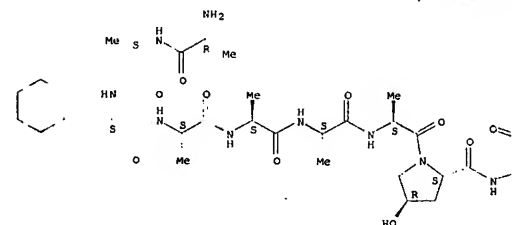
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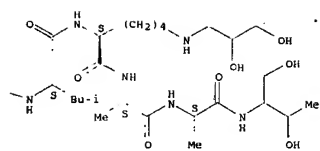
RN      142086-86-0  CAPLUS
CN      D-Alaninamide, D-alanyl-L-alanyl-3-cyclohexyl-L-alanyl-L-alanyl-L-
        alanyl-L-alanyl-trans-4-hydroxy-L-prolyl-L-lysyl-L-alanyl-L-alanyl-L-
        alanyl- (9CI) (CA INDEX NAME)

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Absolute stereochemistry

PAGE 1-A

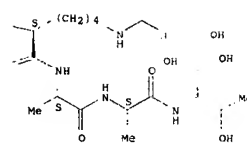
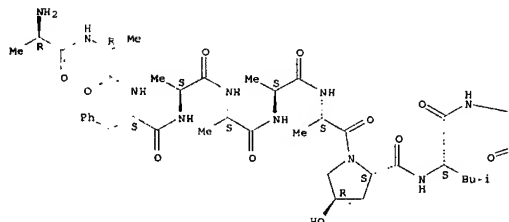




RN 142086-98-4 CAPLUS
 CN L-Alaninamide, D-alanyl-D-alanyl-L-phenylalanyl-L-alanyl-L-alanyl-L-alanyl-L-alanyl-trans-4-hydroxy-L-prolyl-L-leucyl-N6-(2,3-dihydroxypropyl)-L-lysyl-L-alanyl-N-[2-hydroxy-1-(hydroxymethyl)propyl]- (9CI) (CA INDEX NAME)

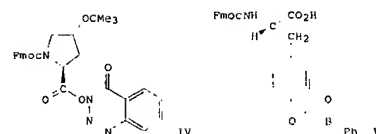
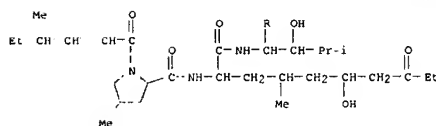
Absolute stereochemistry.

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L6 ANSWER 387 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1992:427139 CAPLUS
 DOCUMENT NUMBER: 117:27119
 TITLE: Conformational structure of the tetrapeptide Boc-Aib-Leu-Leu-Aib-OMe-the central fragment of the nonapeptide antibiotic leucicostatin A
 AUTHOR(S): Nandei, Fateh S.; Singh, Balvinder; Saran, Anil
 CORPORATE SOURCE: Dep. Biophys., Punjab Univ., Chandigarh, 160014, India
 SOURCE: International Journal of Quantum Chemistry (1992), 42(6), 1659-79
 CODEN: IJQC22; ISSN: 0020-7608
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The conformational structure of the tetrapeptide Boc-Aib-Leu-Leu-Aib-OMe (Boc = MeCO2C; Aib = N-aminoisobutyric acid) has been investigated by the PCIO method. The computational results show the formation of two closed H-turns, both of which are of type III, and the peptide backbone folds into a right-handed 310-helical conformation stabilized by two intramol. 4 → 1 hydrogen bonds. The helix thus formed generates a pore of approx 3 Å along helix axis with hydrophobic amino acid side chains located on the outside of the helix, and this tendency of leucine side chains may enable leucicostatin A to fit into the membrane bilayer. The pore thus formed is cation-selective, and through this pore, the cation can pass only in a single file.
 IT 76600-38-9 CAPLUS
 RL: PREP (Properties)
 (conformational potential energy of tetrapeptide model of, by PCIO method)
 RN 76600-38-9 CAPLUS
 CN Leucicostatin A (9CI) (CA INDEX NAME)

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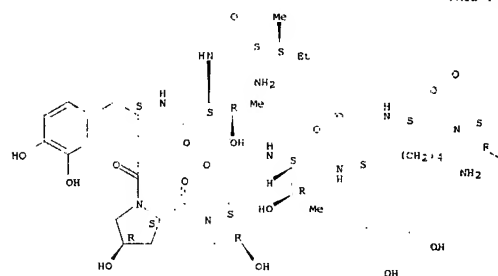


AB A symposium report on the synthesis of title peptides Ala-Lys-Hyp-Ser-Dopa-Hyp-Hyp-Thr-Dopa-Lys (I), [Le-Thr-Dopa-Hyp-Hyp-Thr-Dopa-Lys-Hyp-Lys (II), Ala-Gly-Dopa-Gly-Gly (III), bradykinin analog Arg-Pro-Hyp-Gly-Phe-Ser-Pro-Phe-Arg, and proctolin analog Ala-Dopa-Leu-Hyp-Thr by the solid-phase method using 9-fluorenylmethoxycarbonyl (Fmoc) amino acid derivs. IV and V. I, II, and III are peptide units of polyphenolic proteins of mussels.
 IT 142095-67-8P 142095-69-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, by solid-phase method using fluorenylmethoxycarbonyl derivs.)

RN 142095-67-8 CAPLUS
 CN L-Lysine, N2-[trans-4-hydroxy-1-[N2-[3-hydroxy-N-[N-[trans-4-hydroxy-1-[trans-4-hydroxy-1-[3-hydroxy-N-(N-L-isoleucyl-L-threonyl)-L-tyrosyl]-L-prolyl]-L-prolyl]-L-threonyl]-L-tyrosyl]-L-lysyl]-L-prolyl]- (9CI) (CA INDEX NAME)

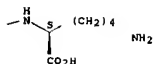
Absolute stereochemistry.

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-CH2-NMe2

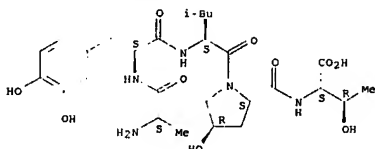
L6 ANSWER 388 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1992:427116 CAPLUS
 DOCUMENT NUMBER: 117:27116
 TITLE: Synthesis of peptides containing Hyp and/or Dopa with Fmoc-solid phase methods
 AUTHOR(S): Yamamoto, Yasuo; Nagai, Akira; Harushima, Yoshiaki; Senda, Takayuki
 CORPORATE SOURCE: Tsukuba Res. Lab., Hitachi Chem. Co. Ltd., Tsukuba, 300-42, Japan
 SOURCE: Peptide Chemistry (1992), Volume Date 1991, 29th, 121-6
 CODEN: PECHDP; ISSN: 0388-3698
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OT



RN 142095-69-0 CAPLUS

CN L-Threonine, N-[(1-[(N-L-alanyl-3-hydroxy-L-tyrosyl)-L-leucyl]-trans-4-hydroxy-L-prolyl)-(9CI) (CA INDEX NAME)]

Absolute stereochemistry.



L6 ANSWER 389 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1992:408409 CAPLUS

DOCUMENT NUMBER: 117:8409

TITLE:

Emerimicins III and IV and their ethylalanine12 epimers. Facilitated chemical-enzymatic synthesis and a qualitative evaluation of their solution structures. Slomczynska, Urszula; Beusen, Denise D.; Zabrocki, Janusz; Kociolk, Karol; Redlinski, Adam; Reusser, Fritz; Hutton, William C.; Lepawy, Mirosław T.; Marshall, Gerald R.

CORPORATE SOURCE: Inst. Org. Chem., Politech. Lodz, Lodz, 90-924, Pol.

SOURCE: Journal of the American Chemical Society (1992), 114(11), 4095-106

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE:

LANGUAGE:

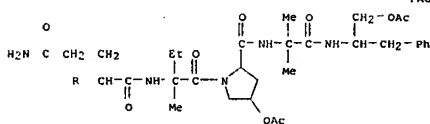
OTHER SOURCE(S):

English CASREACT 117:8409

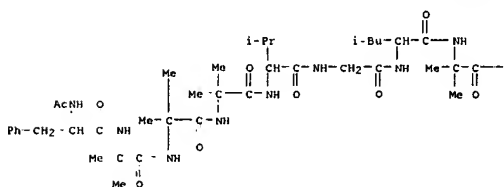
AB The peptaibol antibiotics, emerimicin III and IV (Ac-Phel-MeA2-MeA3-MeA4-Val5-Gly6-Leu7-MeA8-MeA9-Hyp10-Gln11-R-EtA12-Hyp13-Xxx14-Phol15, where Xxx = Ala for emerimicin III and Xxx = MeA for emerimicin IV, MeA = α-methylalanine, ECA = α-ethylalanine, Phol = L-phenylalaninol) and their ECA12 epimers have been synthesized using a combined approach involving solution-phase fragment condensation with a final papain-mediated coupling of the 1-6 and 7-15 fragments. The yield of this final step, ranging from 62 to 80% for the four peptides, was a dramatic improvement over efforts to couple these fragments chemical using DCC/HOBt. A qual. evaluation of the solution structures of these peptides in DMSO is

phenylethyl]-L-prolinamide]-, diacetate (ester), (S)- (9CI) (CA INDEX NAME)

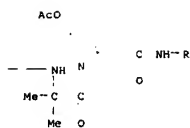
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IT 141345-81-5P 141345-82-6P 141374-94-9P

141434-09-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deblocking of)

RN 141345-81-5 CAPLUS

CN Alaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl-2-methylalanyl-2-methylalanyl-trans-4-hydroxy-L-prolyl-L-glutaminy-D-isovalyl-trans-4-hydroxy-L-prolyl-N-[1-(hydroxymethyl)-2-phenylethyl]-2-methyl-, (S)- (9CI) (CA INDEX NAME)

consistent with a right-handed, predominantly 310 helical conformation throughout the length of the sequence. The antimicrobial activity of synthetic emerimicins III and IV was found to be comparable to the native material. The absolute stereochem. at position 12 has minimal effect on either the biol. activity or the solution conformation of the emerimicins.

IT 64375-01-5P 142697-07-2P

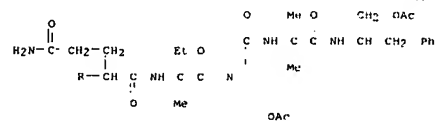
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antimicrobial activity of)

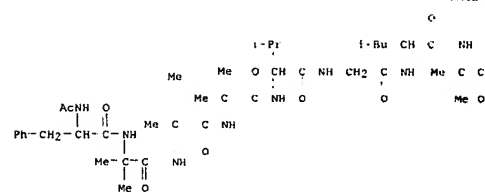
RN 64375-01-5 CAPLUS

CN Antiamebin I, 5-L-valine-14-[N-[(1-(acetyloxy)methyl)-2-phenylethyl]-2-methylalaninamide]-15-de[N-1-(hydroxymethyl)-2-phenylethyl]-L-prolinamide]-, diacetate (ester), (S) (9CI) (CA INDEX NAME)

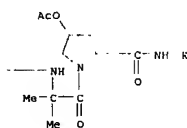
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PAGE 2-A



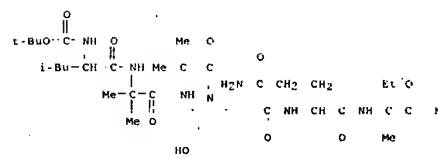
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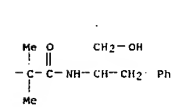
RN 142697-07-2 CAPLUS

CN Antiamebin I, 5-L-valine-12-L-isovaline-14-[N-[(1-(acetyloxy)methyl)-2-phenylethyl]-2-methylalaninamide]-15-de[N-1-(hydroxymethyl)-2-

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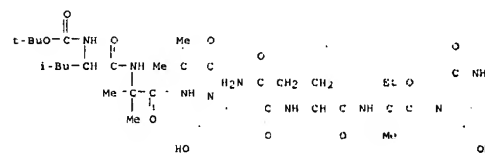
PAGE 1-B



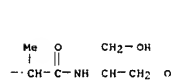
RN 141345-82-6 CAPLUS

CN L-Alaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl-2-methylalanyl-2-methylalanyl-trans-4-hydroxy-L-prolyl-L-glutaminy-D-isovalyl-trans-4-hydroxy-L-prolyl-N-[1-(hydroxymethyl)-2-phenylethyl]-2-methyl-, (S)- (9CI) (CA INDEX NAME)

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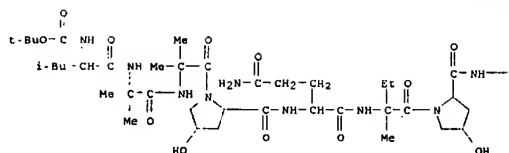
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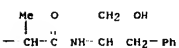
RN 141374-94-9 CAPLUS

CN L-Alaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl-2-methylalanyl-2-methylalanyl-trans-4-hydroxy-L-prolyl-L-glutaminy-D-isovalyl-trans-4-hydroxy-L-prolyl-N-[1-(hydroxymethyl)-2-phenylethyl]-2-methyl-, (S)- (9CI) (CA INDEX NAME)

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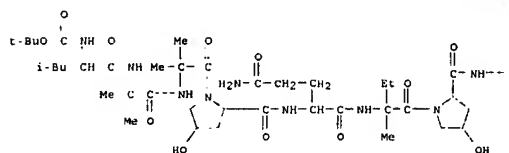


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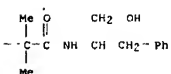


RN 141434-09-5 CAPLUS
CN Alaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl-2-methylalanyl-2-methylalanyl-trans-4-hydroxy-L-prolyl-L-glutamyl-L-isovalyl-trans-4-hydroxy-L-prolyl-N-[1-(hydroxymethyl)-2-phenylethyl]-2-methyl-, (S)- (9CI) (CA INDEX NAME)

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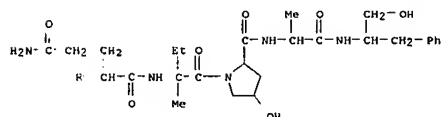


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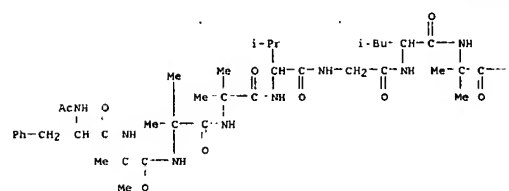


IT 141374-97-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and papain-catalyzed peptide coupling of, with hexapeptide benzyl ester)

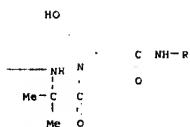
PAGE 1-A



PAGE 2-A

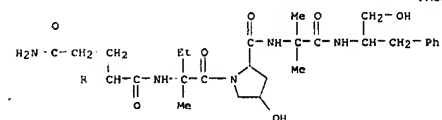


PAGE 2-B



RN 52931-43-8 CAPLUS
CN Emerimicin IV (9CI) (CA INDEX NAME)

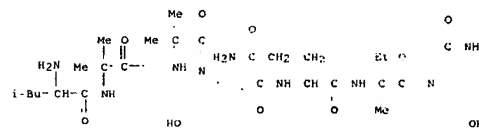
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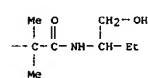
RN 141374-97-2 CAPLUS
CN Alaninamide, L-leucyl-2-methylalanyl-2-methylalanyl-trans-4-hydroxy-L-prolyl-L-glutamyl-D-isovalyl-trans-4-hydroxy-L-prolyl-N-[1-(hydroxymethyl)propyl]-2-methyl-, (S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1
CRN 141374-96-1
CMP C42 H74 N10 O12

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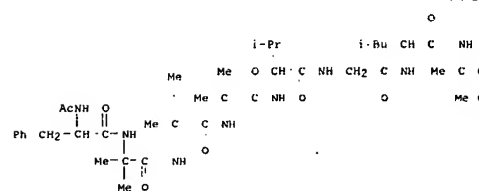


CM 2
CRN 76-05-1
CMP C2 H F3 O2

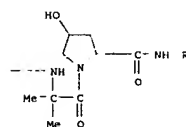


IT 52931-42-7P 52931-43-8P 141434-10-8P
141434-11-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, conformation, and antimicrobial activity of)
RN 52931-42-7 CAPLUS
CN Emerimicin III (9CI) (CA INDEX NAME)

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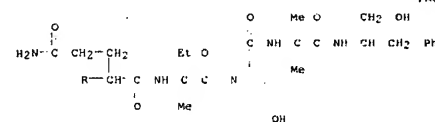


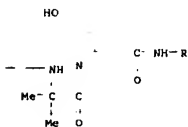
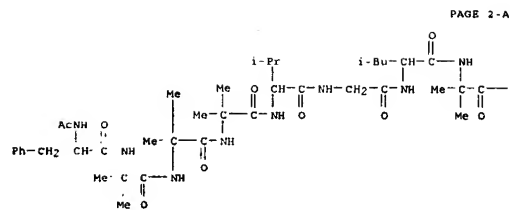
PAGE 2-B



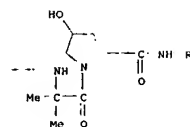
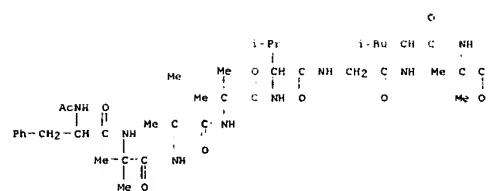
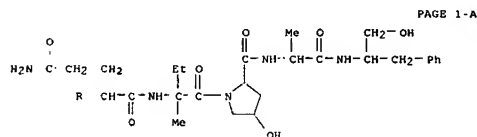
RN 141434-10-8 CAPLUS
CN Antiamerin I, 5-L-valine-12-L-isovaline-14-[N-(1-(hydroxymethyl)-2-phenylethyl)-2-methylalaninamide]-15-de[N-(1-(hydroxymethyl)-2-phenylethyl)-L-prolinamide], (S)- (9CI) (CA INDEX NAME)

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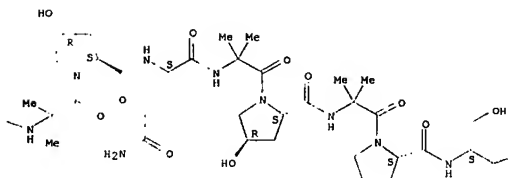
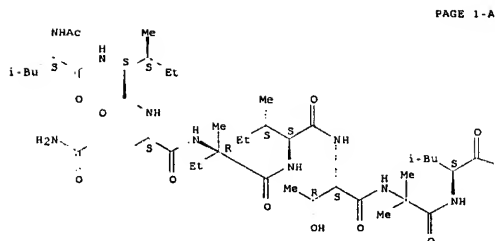


RN 141434-11-9 CAPLUS
CN Antiamebin 1, 5-L-valine-12-L-isovaline-14-[N-[1-(hydroxymethyl)-2-phenylethyl]-L-alaninamide]-15-de[N-[1-(hydroxymethyl)-2-phenylethyl]-L-prolinamide]-, (S)- (9CI) (CA INDEX NAME)



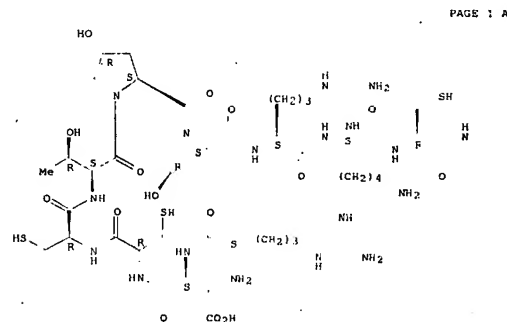
L6 ANSWER 390 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:462869 CAPLUS
DOCUMENT NUMBER: 117:2869
TITLE: The role of Pro/Hyp links in determining the transmembrane helix length and gating mechanism of a (Leu)zervamicin channel
AUTHOR(S): Ballesteros, Juan A.; Weinstein, Harel
CORPORATE SOURCE: Dep. Physiol. Biophys., Mt. Sinai Sch. Med., New York, NY, 10029, USA
SOURCE: Biophysical Journal (1992), 62(1), 110-11
CODEN: BIOJAU; ISSN: 0006-3435
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with 6 refs. (Leu)zervamicin ((L)ZVM) is a membrane-channel forming polypeptide of 16 residues rich in Pro and hydroxyproline (Hyp) residues. The crystal structure in methanol/water solution shows an assembly of amphiphilic helices that resembles a channel structure. The putative role of the Pro/Hyp residues in lengthening the helix to membrane spanning length, and in producing a gating mechanism for the channel is discussed.
IT 135995-68-5
RL: BIOL (Biological study)
(membrane channel, gating mechanism and transmembrane helix length of, proline and hydroxyproline kinks function in)
RN 135995-68-5 CAPLUS
CN L-Prolinamide, N-acetyl-L-leucyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl] (9CI) (CA INDEX NAME)

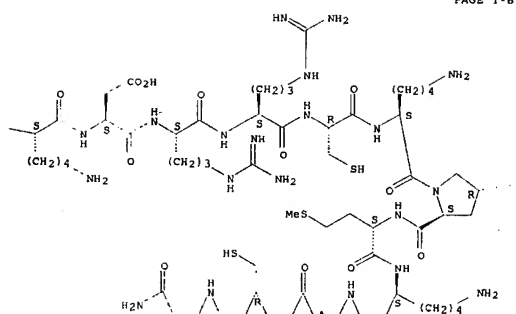
Absolute stereochemistry.



ACCESSION NUMBER: 1992:402485 CAPLUS
DOCUMENT NUMBER: 117:2485
TITLE: Apparent block of potassium currents in mouse motor nerve terminals by tetrodotoxin, μ -conotoxin and reduced external sodium
AUTHOR(S): Braga, M. F. M.; Anderson, A. J.; Harvey, A. L.; Rowan, E. G.
CORPORATE SOURCE: Strathclyde Inst. Drug. Res., Univ. Strathclyde, Glasgow, G1 1XA, UK
SOURCE: British Journal of Pharmacology (1992), 106(1), 91-4
CODEN: BJPCBM; ISSN: 0007-1188
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In mouse triangularis sterni nerve-muscle preps., reduced extracellular Na^+ concns. and low concns. of the Na^+ channel blocking toxins tetrodotoxin (TTX, 18-19 nM) and μ -conotoxin G11B (0.4-2.0 μM) selectively decreased the amplitude of the component of perineurial waveforms associated with nerve terminal K^+ currents, without affecting the main Na^+ spike. Intracellular recording of endplate potentials (e.p.ps) and miniature endplate potentials (m.e.p.ps) from triangularis sterni preps., revealed that TTX and μ -conotoxin G11B depressed the evoked quantal release of acetylcholine without significant effects on m.e.p.p. amplitude, frequency or time constant of decay. The apparent block of K^+ current by low concns. of TTX and μ -conotoxin is probably not a direct effect on K^+ channels but results from a decrease in the passive depolarization of nerve terminals following blockade of a small proportion of axonal Na^+ channels.
IT 86414-29-1
RL: BIOL (Biological study)
(potassium current in motor nerve terminals response to)
RN 86414-29-1 CAPLUS
CN μ -Conotoxin G 111B (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

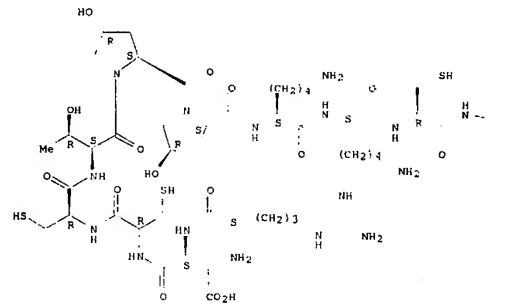




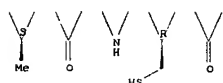
PAGE 1-B

CORPORATE SOURCE: Nakamura, Hideshi; Inagaki, Fuyuniko; Sato, Kazuki
 SOURCE: Fac. Eng., Gunma Univ., Furo, 176, Japan
 Peptide Chemistry (1992), Volume Date 1991, 29th, 49-52
 CODEN: PECHDP; ISSN: 0382-3696
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB In order to elucidate the structure-activity relationships of the peptide μ -conotoxin GIIIA, the authors precisely determined the three-dimensional structures of this peptide as well as of an inactive analog [Ala13]- μ -conotoxin GIIIA by proton NMR and mol dynamics calcs.
 IT 86394-16-3, μ -Conotoxin GIIIA
 RL: PRP (Properties)
 (tertiary conformation of, in study of sodium and nerve sodium channel structures)
 RN 86394-16-3 CAPLUS
 CN μ -Conotoxin GIIIA (reduced) (9C1) (CA INDEX NAME)
 Absolute stereochemistry.

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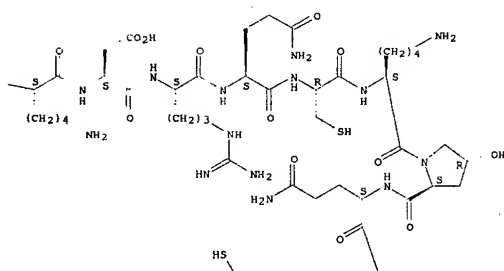


OH



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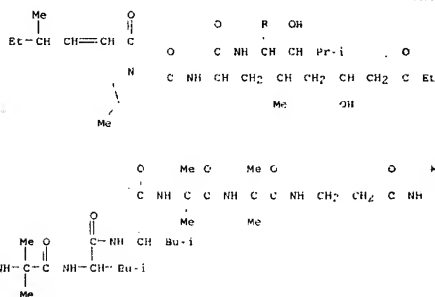
L6 ANSWER 392 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1992:253005 CAPLUS
 DOCUMENT NUMBER: 116:253005
 TITLE: Conformation-activity relationships of μ -conotoxin GIIIA
 AUTHOR(S): Wakamatsu, Kaori; Kohda, Daisuke; Ohya, Masanao;



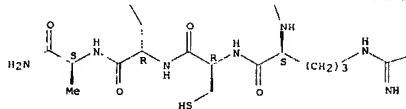
PAGE 1-B

leucinostatins, the nonapeptides obtained by submergen cultures of Paecilomyces marquandii or P. lilacinus. These compts are of pharmaceutical interest for their remarkable antibiotic, cytotoxic and phytotoxic activities. The proposed method allows the separation of leucinostatins from very complex mixts. and shows high efficiency, good resolution and a very short anal. time
 IT 76600-38-9, Leucinostatin A 76663-52-0, Leucinostatin B 100349-65-7, Leucinostatin P 108426-90-0, Leucinostatin D 109519-57-3, Leucinostatin X 109519-58-4, Leucinostatin H
 RL: PROC (Process)
 (separation of, by capillary zone electrophoresis)
 RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9C1) (CA INDEX NAME)

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PAGE 2-C

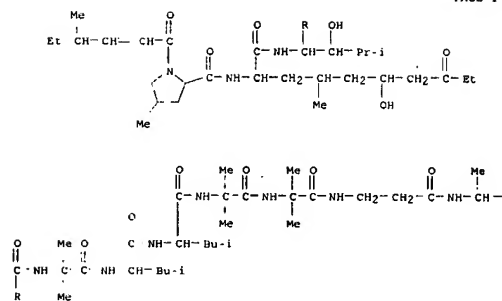
NH2

L6 ANSWER 393 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1992:190510 CAPLUS
 DOCUMENT NUMBER: 116:190510
 TITLE: Separation of leucinostatins by capillary zone electrophoresis
 AUTHOR(S): Quaglia, M. G.; Fanali, S.; Nardi, A.; Rossi, C.; Ricci, M.
 CORPORATE SOURCE: Dip. Stud. Farm., Univ. "La Sapienza", Rome, 00185, Italy
 SOURCE: Journal of Chromatography (1992), 593(1-2), 259-63
 CODEN: JOCKAM; ISSN: 0021-9673
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A capillary zone electrophoretic method was used to sep. some

CH2-NMe2
 RN 76663-52-0 CAPLUS
 CN Leucinostatin B (9C1) (CA INDEX NAME)

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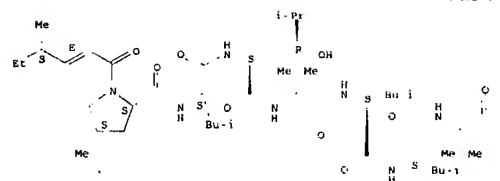
PAGE 1-A



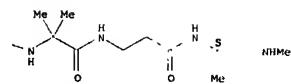
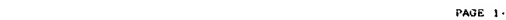
PAGE 1-B



PAGE 1-A

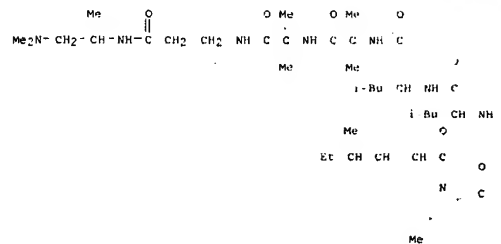


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RN 108426-90-0 CAPLUS
CN Leucinosatin D (9CI) (CA INDEX NAME)

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CH₂-NHMe

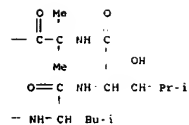
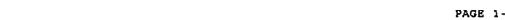
RN 100149-85-7 CAPLUS
CN Leucinosatin F (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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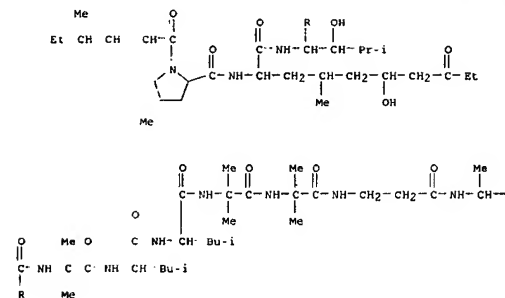


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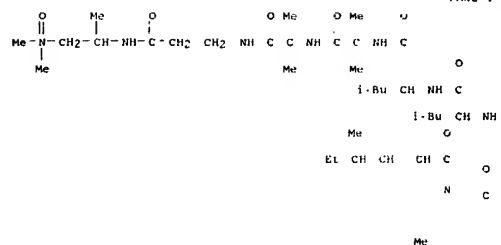


RN 109539-57-3 CAPLUS
CN Leucinosatin K (9CI) (CA INDEX NAME)

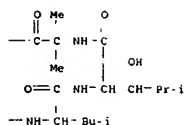
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RN 109539-58-4 CAPLUS
CN Leucinosatin H (9CI) (CA INDEX NAME)

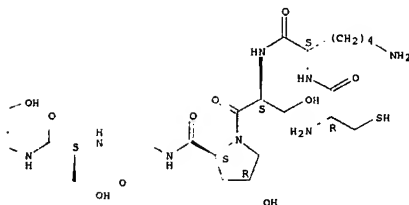
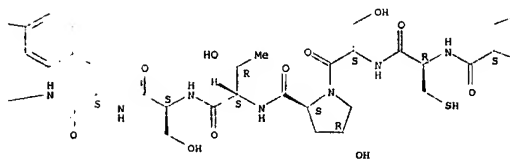
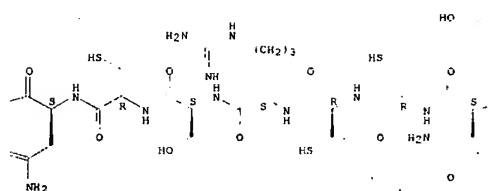
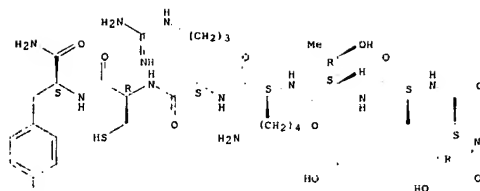


L6 ANSWER 394 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1992:189374 CAPLUS
 DOCUMENT NUMBER: 116:189374
 TITLE: Omega-conotoxin differentially blocks acetylcholine and adenosine triphosphate releases from Torpedo synaptosomes
 AUTHOR(S): Farinas, I.; Solsona, C.; Marsal, J.
 CORPORATE SOURCE: Fac. Med., Univ. Barcelona, Barcelona, Spain
 SOURCE: Neuroscience (Oxford, United Kingdom) (1992), 47(3), 641-8
 CODEN: NRSCDN; ISSN: 0306-4522
 DOCUMENT TYPE: Journal
 LANGUAGE: English

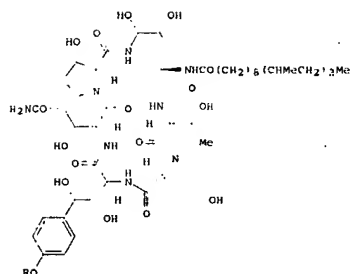
AB The effect of several blockers of voltage-sensitive Ca channels on the release of acetylcholine and ATP from synaptosomes isolated from *T. marmorata* elec. organ was studied. Depolarization of these nerve terminals with high K⁺-containing solns. resulted in a Ca-dependent release of both molts. Cd ions (10⁻⁶ to 10⁻³M) inhibited similarly releases whereas Ni ions (10⁻⁴ M) in the external medium did not affect neurotransmitter or nucleotide release. Both releases were completely resistant to the effect of 1,4-dihydropyridines (antagonists nimodipine, nifedipine and agonist Bay K 8644) and of a related compound (diltiazem) at concns. up to 10⁻⁵ M. These drugs failed to cause any effect even when synaptosomes were submaximally depolarized during incubation. ω-Conotoxin (10⁻⁸ to 5 × 10⁻⁵ M) showed a differential effect on acetylcholine and ATP releases. Nucleotide release was inhibited 90% at the highest concentration tested (50 μM) while acetylcholine release was only moderately decreased (30%). EC₅₀ for acetylcholine and ATP were of 167 and 2 μM, resp. The results suggest the implication of different types of Ca channels in the release of these molts.

IT 92078-76-7, ω-Conotoxin G VIA (reduced)
 RL: BIOL (Biological study)
 (acetylcholine and ATP release by synaptosomes of *Torpedo marmorata* response to, calcium channel in relation to)
 RN 92078-76-7 CAPLUS
 CN ω-Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

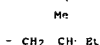
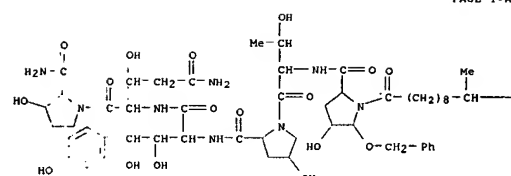


L6 ANSWER 395 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1992:84145 CAPLUS
 DOCUMENT NUMBER: 116:84145
 TITLE: Synthesis, stability, and biological evaluation of water-soluble prodrugs of a new echinocandin lipopeptide. Discovery of a potential clinical agent for the treatment of systemic candidiasis and *Pneumocystis carinii* pneumonia (PCP)
 AUTHOR(S): Balkovec, James M.; Black, Regina M.; Hammond, Milton L.; Heck, James V.; Zambias, Robert A.; Abruzzo, George; Bartizal, Ken; Kropp, Helmut; Trainor, Charlotte; et al.
 CORPORATE SOURCE: Dep. Synth. Chem. Res., Merck, Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA
 SOURCE: Journal of Medicinal Chemistry (1992), 35(1), 194-8
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OT



AB Nine water soluble prodrugs of an antifungal and antipneumocystis lipopeptide L-688,786 I [R = CONH(CH₂)_nCO₂H, CONMeCH₂CO₂H, CONHCH₂CH₂NMe₂, CO₂CH₂CH₂NMe₂, CO(CH₂)₃CO₂H, CO(CH₂)₃NH₂, PO₃H₂; n = 1, 2] were prepared by treating the parent compound I (R = H) with solid LiOH in DMF in the presence of the derivatizing agent. The deriva. I had water solubilities of >20 mg/mL in water or 0.1M pH 7 phosphate buffer. The hydrolytic stabilities were determined at pH 7. The phosphate ester I (R = PO₃H₂) (L-693,989) was the most stable followed by the carbamates, esters, and carbonate. I were evaluated in vitro against a membrane 1,3-β-glucan synthase preparation and in an antifungal assay. The results suggested that the phenol may be important for antifungal activity since there was an inverse relationship between hydrolytic stability and in vitro activity. I were evaluated in the two in vivo models: mice with systemic candidiasis and rats with *Pneumocystis carinii*. The phosphate ester I (R = PO₃H₂) was the only derivative that was equivalent to the parent drug in both of these models, suggesting that the ester is subject to rapid enzymic hydrolysis in vivo.

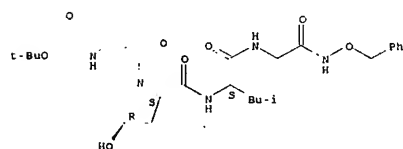
IT 138540-53-1P
 RL: SPW (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 138540-53-1 CAPLUS
 CN L-Prolinamide, 1-((10,12-dimethyl-1-oxotetradecyl)-4-hydroxy-5-(phenylmethoxy)-L-prolyl-L-threonyl-trans-4-hydroxy-L-prolyl-(S)-4-hydroxy-4-(4-hydroxyphenyl)-L-threonyl-threo-3-hydroxy-L-glutamyl-1-3-hydroxy- (9CI) (CA INDEX NAME)



L6 ANSWER 396 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1992:67185 CAPLUS
 DOCUMENT NUMBER: 116:67185
 TITLE: Nonperoxidizable unsaturated fatty acyl-containing lipolipino acid compositions
 INVENTOR(S): Morelle, Jean; Lauzanne Morelle, Eliane
 PATENT ASSIGNEE(S): Fr.
 SOURCE: Fr. Demande, 8 pp.
 CODEN: FRXXBL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

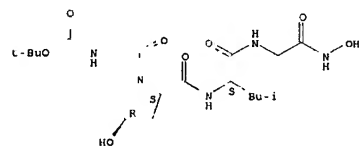
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2654107	A1	19910510	FR 1989-14400	19891103
FR 2654107	B1	19950331		

PRIORITY APPLN. INFO.: FR 1989-14400 19891103
 AB Methionine is added to amino acids or amino acid mixts. prior to acylation with unsatd. fatty acid chains to form unsatd. fatty acyl-containing lipolipino acids which are not peroxidizable and which are not subject to formation of malondialdehyde. The lipolipino acids of the invention are superior emollients. An emulsion containing 5% oleyl collagen (containing 10% methionine incorporated at the time of acylation) which was left in the air and sunlight for 21 days showed a total absence of peroxides. A composition for treatment of connective tissue inflammation included oleyl hydroxyproline-methionine (with 10% acylated methionine). Other formulations are described for e.g. anti-aging, dermatol. antiinflammatory, and sunburn protection.
 IT 138705-03-0
 RL: BIOL (Biological study)



IT 124168-72-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and inhibition by; of vertebrate collagenase)
 RN 124168-72-5 CAPLUS
 CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]glycyl-L-trans-4-hydroxy-L-prolyl-L-leucyl-N-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

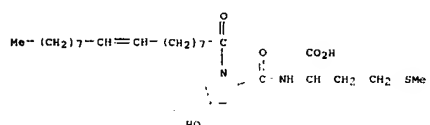


L6 ANSWER 398 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1992:811 CAPLUS
 DOCUMENT NUMBER: 116:811
 TITLE: Effects of bradykinin and bradykinin analogs on the opossum lower esophageal sphincter: characterization of an inhibitory bradykinin receptor
 AUTHOR(S): Saha, Joy K.; Sengupta, J. N.; Goyal, Raj K.
 CORPORATE SOURCE: Cent. Swallowing Motility Disorders, Charles A. Dana Res. Inst., Boston, MA, USA
 SOURCE: Journal of Pharmacology and Experimental Therapeutics (1991), 259(1), 265-73
 CODEN: JPETAB; ISSN: 0022-3565
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Bradykinin (BK) caused the circular muscle of opossum lower esophageal sphincter to relax and then contract in vitro. The effects of BK were not modified by indomethacin, tetrodotoxin, m-conotoxin, atropine, propranolol, phenolamine, haloperidol, methylergide, pyrilamine, or cimetidine. Apenin, but not tetraethylammonium, antagonized the inhibitory effect of BK and nifedipine antagonized its excitatory effect. Structure-activity relationships of 10 BK analogs known to be active BK receptors were studied. Six analogs had distinct excitatory response profile and the rank order of potency of these agonists according to pD2 (shown in parentheses) was D-Arg-[Hyp3,Thi5,8,D-Phe7]-BK (6.49) > [Thi5,8,D-Phe7]-BK (5.61) > Lys-Lys-[Hyp3,Thi5,8,D-Phe7]-BK (5.45) > BK (5.16) > [Des-Arg]-BK (4.95) > [D-Phe7]-BK (4.73). However, only BK was fully efficacious (100%) and Emax values of all the analogs were less than that of BK. On the inhibitory response, BK was the only agonist (pD2 =

(in antiinflammatory composition for connective tissue, peroxidn. resistance in relation to)

RN 138705-03-0 CAPLUS
 CN L-Methionine, N-[(trans-4-hydroxy-1-(1-oxo-9-octadecenyl)-L-prolyl)-, (2)-(9CI) (CA INDEX NAME)



L6 ANSWER 397 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1992:42016 CAPLUS
 DOCUMENT NUMBER: 116:42016
 TITLE: Vertebrate collagenase inhibitor. II. Tetrapeptidyl hydroxamic acids
 AUTHOR(S): Odake, Shinjiro; Okayama, Toru; Ohtsuka, Masami; Morikawa, Tadanori; Hattori, Shunji; Hori, Hisae; Nagai, Yutaka
 CORPORATE SOURCE: Res. Inst., Fuji Chem. Ind., Ltd., Takaoka, 933, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1991), 39(6), 1489-94
 CODEN: CPBTAL; ISSN: 0009-2363
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 116:42016

AB To develop a potent and specific collagenase inhibitor, a series of tetrapeptidyl hydroxamic acids were synthesized. Among the series of tetrapeptidyl derivs. synthesized, R-Gly-Pro-Leu-Ala-NHOH and R-Gly-Pro-D-Leu-D-Ala-NHOH were found to be highly specific and potent inhibitors against vertebrate collagenase with an IC50 of 10-6 M order, where R stands for Boc or acyl group. Anal. of their structure-activity relationships showed a characteristic feature of the substrate-binding site of collagenase as follows: 1) the S1 subsite forms a shallow hydrophobic pocket, although glycine residue corresponds to the subsite of the natural collagen substrate; 2) the S2 subsite constitutes a bulky pocket with less requirement for hydrophobicity; 3) the S3 subsite preferentially accommodates Pro residue, and 4) the accumulation of the P4-P1 subsites of peptidyl collagenase inhibitor to the S4-S1 subsites is required to form a tight binding of its hydroxamic acid moiety to the zinc ion at the catalytic site of the enzyme. The introduction of an enantiomeric dipeptide unit, D-Leu-D-Ala, to the P2-P1 subsites demonstrated an increased binding capacity to the extended S4-S1 subsites of collagenase, thus providing proteinase resistant inhibitor.
 IT 124169-20-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and hydrogenolysis of)

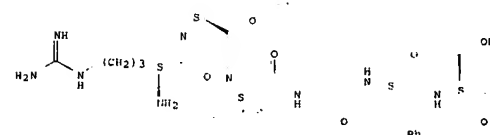
RN 124169-20-6 CAPLUS
 CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]glycyl-L-trans-4-hydroxy-L-prolyl-L-leucyl-N-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

5.48) and none of the BK analogs were agonistic. All the BK analogs were also inactive as antagonists except Lys-Lys-[Hyp3,Thi5,8,D-Phe7]-BK and Lys-Lys-[Hyp2,3,Thi5,8,D-Phe7]-BK which competitively and selectively antagonized the BK inhibitory response. The pD2 value of Lys-Lys-[Hyp3,Thi5,8,D-Phe7]-BK was 6.92. Thus, BK produced a biphasic response consisting of relaxation followed by contraction and acts directly on the sphincter muscle. The inhibition involves apamin-sensitive but tetraethylammonium-resistant K+ channel and the excitation involves, in part, nifedipine sensitive Ca2+ channel. The structure-activity profiles of the BK analogs on the excitatory receptor resemble those of the B4 receptor of the opossum esophageal longitudinal muscle. However, the structure-activity profiles of the BK analogs on the inhibitory receptor differ from the previously described BK receptors and may represent another type of BK receptor, here designated B5.
 IT 127634-27-9, B 5092
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (receptors or lower esophageal sphincter response to)

RN 127634-27-9 CAPLUS
 CN L-Arginine, L-arginyl-L-prolyl-L-prolylglycyl-L-phenylalanyl-L-seryl-D-phenylalanyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 399 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1991:606531 CAPLUS
 DOCUMENT NUMBER: 115:206531
 TITLE: Comparison of folding procedures on synthetic m-conotoxin
 AUTHOR(S): Pennington, M W.; Featin, S M.; Maccacchini, M. L.
 CORPORATE SOURCE: BACHEM Biosci., Philadelphia, PA, 19104, USA

SOURCE: Pept. 1990, Proc. Eur. Pept. Symp., 21st (1991),
Meeting Date 1990, 164-6. Editor(s): Giralt, Ernest,
Andreu, David. ESCOM Sci. Publ.: Leiden, Neth.
DOCUMENT TYPE: Conference
LANGUAGE: English
OI

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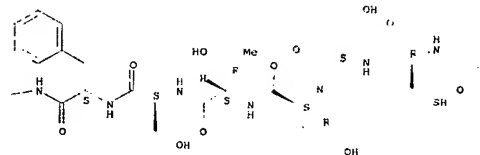
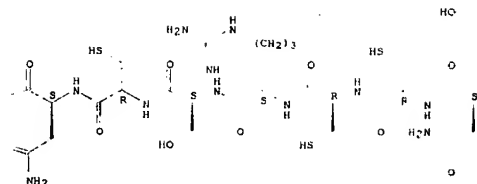
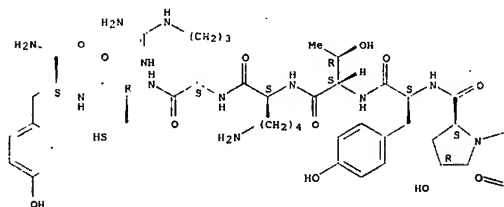
H--Cys¹-Lys-Ser-Hyp-Gly-Ser-Ser-Cys²-
Ser-Hyp-Thr-Ser-Tyr-Asn-Cys³-Cys⁴-
Arg-Ser-Cys⁵-Asn-Hyp-Tyr-Thr-Lys-
Arg-Cys⁶-Tyr-NH₂

AB A symposium report on a comparison of the air oxidation process to the
glutathione procedure for sequence I of α -conotoxin. Disulfide
mapping expts. showed that the glutathione oxidation product had the native
1-4, 2-5, 3-6 disulfide pairings. However, the 3-5, 4-6 arrangement was
found for the air oxidation product.
IT 92078-76-7, α -Conotoxin (reduced)
RL: PRP (Properties)
(oxidative disulfide coupling of, comparison of folding procedures for)
RN 92078-76-7 CAPLUS
CN α -Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)

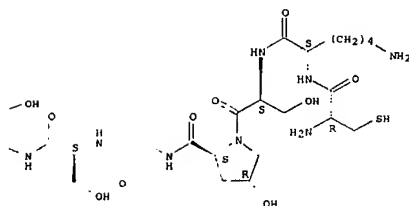
Absolute stereochemistry.

PAGE 1-C

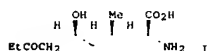
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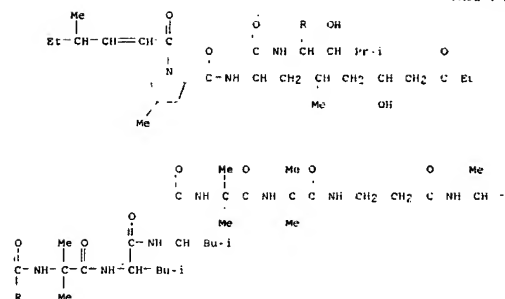
PAGE 1-D



L6 ANSWER 400 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:608485 CAPLUS
DOCUMENT NUMBER: 115:208485
TITLE: Synthesis of (2S,4S,6S)-2-amino-6-hydroxy-4-methyl-8-
oxodecanoic acid and (4S,6)-4-methylhex-2-enoic acid
constituents of leucinoastatin
AUTHOR(S): El Nadrami, Mestafa; Levergne, Jean Pierre;
Viallefont, Philippe; Itto, My Youssef Alt; Hsanaoui,
Aissa
CORPORATE SOURCE: Univ. Sci. Tech. Languedoc, Montpellier, 34095, Fr.
SOURCE: Tetrahedron Letters (1991), 32(32), 3985-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:208485
OI



AB The synthesis of the title compds., I and (4S,E)-EtCHMeCH:CHCO₂Et,
nonnatural acid and amino acid constituents of the peptide antibiotics
leucinoastatin is described.
IT 76600-38-9, Leucinoastatin A
RL: PREP (Preparation)
(nonnatural amino acid components amino(hydroxy)methyl(oxo)decanoic
acid and methylhexenoic acid, asym. synthesis of)
RN 76600-38-9 CAPLUS
CN Leucinoastatin A (9CI) (CA INDEX NAME)



PAGE 1-A

PAGE 1-B

CH₂-NH₂

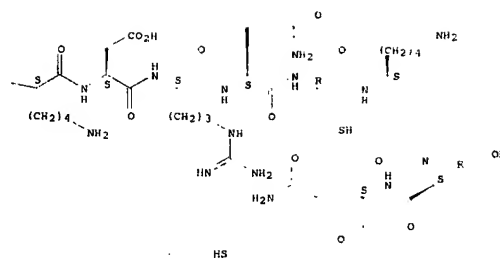
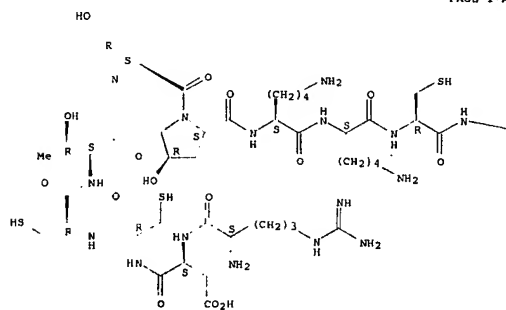
L6 ANSWER 401 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:608215 CAPLUS
DOCUMENT NUMBER: 115:200815
TITLE: Active site of μ conotoxin GIIIA, a peptide blocker
of muscle sodium channels
AUTHOR(S): Sato, Kazuki; Ishida, Yukisato; Wakamatsu, Kaori;
Kato, Rika; Honda, Hiromi; Onizumi, Yasushi; Nakamura,
Hideshi; Ohya, Masarino; Lancelin, Jean Marc; et al.
CORPORATE SOURCE: Mitsubishi Kasei Inst. Life Sci., Machida, 194, Japan
SOURCE: Journal of Biological Chemistry (1991), 266(26),
16989-91
CODEN: JBCHA3; ISSN: 0021-9254
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The amino acid sequence of μ -conotoxin GIIIA (otherwise called
geographotoxin I), a peptide having 22-amino acid residues with three

disulfide bridges, was modified by replacing each residue with Ala or Lys to elucidate its active center for blocking sodium channels of skeletal muscle. NMR and CD spectra were virtually identical between native and modified toxins, indicating the similarity of their conformation including disulfide bridges. The inhibitory effect of these modified peptides on twitch contractions of the rat diaphragm showed that Arg at the 13th position and the basicity of the mol. are crucial for the biol. action. The segment Lys11-Asp12-Arg13 is flexible (J.M. Lancelin et al., 1991), and this may represent a clue for the subtle fit of Arg13 to the specific site of sodium channels. Since shown ligands to sodium channels, such as tetrodotoxin, anothieulin-A, etc., contain guanidino groups as a putative binding moiety, Arg may be a general residue for peptide toxins to interact with the receptor site on sodium channels.

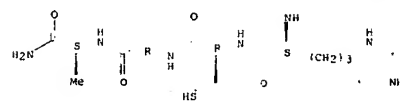
IT 86394-16-3, μ -Conotoxin GIIIA
 RL: BIOL (Biological study)
 (active site of, determination of, muscle contraction and sodium channels in relation to)
 RN 86394-16-3 CAPLUS
 CN μ -Conotoxin G IIIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-B



PAGE 2-C

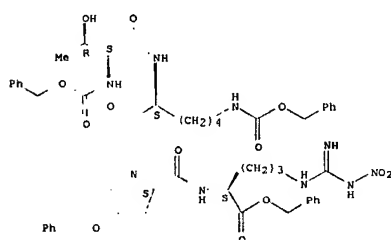
-NH2

L6 ANSWER 402 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1991:583937 CAPLUS
 DOCUMENT NUMBER: 115:183937
 TITLE: (Hyp)-tuttsin (Hyp)-TU synthesis and biological activity
 AUTHOR(S): Galasik-Bartoszek, Urszula; Konopinska, Danuta; Plech, Andrzej; Najjar, Victor A.; Brus, Ryszard
 CORPORATE SOURCE: Dep. Pharmacol., Silesian Acad. Med., Zabrze, 41-808, Pol.
 SOURCE: International Journal of Peptide & Protein Research (1991), 38(2), 176-80
 CODEN: IJPPCJ; ISSN: 0367-8377
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The title compound, N-Thr-Lys-Hyp-Arg-OH (I), has been synthesized by the liquid-phase method and tested for antinociceptive and diuretic effects in rats. The presence of the hydroxyl substituent in pyrrolidine ring of proline slightly modifies antinociceptive effect of tuttsin and is responsible for the increased diuretic activity of I.

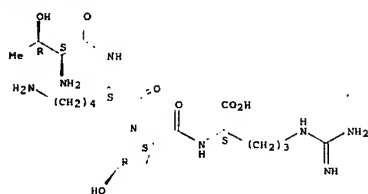
IT 136497-71-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and catalytic hydrogenolysis of)
 RN 136497-71-7 CAPLUS
 CN L-Ornithine, N5-[imino(nitroamino)methyl]-N2-[trans-4-(phenylmethoxy)-1-[N6-[(phenylmethoxy)carbonyl]-N2-[N-[(phenylmethoxy)carbonyl]-L-tyrosyl]-L-lysyl]-L-prolyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 136497-72-8P 136497-73-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation, antinociceptive, and diuretic activity of)
 RN 136497-72-8 CAPLUS
 CN L-Arginine, N2-[trans-4-hydroxy-1-(N2-L-threonyl-L-lysyl)-L-prolyl]-, triacetate (salt) (9CI) (CA INDEX NAME)

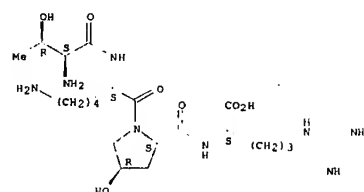
Absolute stereochemistry.



RN 136497-73-9 CAPLUS
 CN L-Arginine, N2-[trans-4-hydroxy-1-(N2-L-threonyl-L-lysyl)-L-prolyl]-, triacetate (salt) (9CI) (CA INDEX NAME)

CM 1
 CRN 136497-72-8
 CMP C21 H40 N8 O7

Absolute stereochemistry.

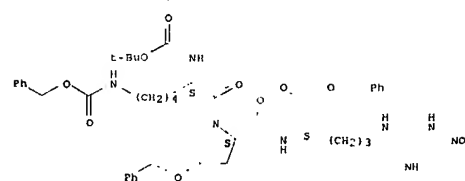


CM 2
 CRN 64-19-7
 CMP C2 H4 O2



IT 136497-70-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation, deblocking, and peptide coupling of, with threonine active ester)
 RN 136497-70-6 CAPLUS
 CN L-Ornithine, N2-[1-[N2-[(1,1-dimethylethoxy)carbonyl]-N6-[(phenylmethoxy)carbonyl]-L-lysyl]-trans-4-(phenylmethoxy)-L-prolyl]-N5-[imino(nitroamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 403 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1991:577094 CAPLUS
 DOCUMENT NUMBER: 115:177094

TITLE: Structure-activity relationship of geophrophotoxin analogs

AUTHOR(S): Sato, Kazuki; Nakamura, Hideshi; Ishida, Yukisato; Kobayashi, Junichi; Kato, Rika; Muroyama, Akiko; Honda, Hiromi; Ohizumi, Yasuaki

CORPORATE SOURCE: Mitsubishi Kasei Inst. Life Sci., Machida, 194, Japan

PEPT. 1990, Proc. Eur. Pept. Symp., 21st (1991), Meeting Date 1990, 234-5. Editor(s): Giralt, Ernest; Andreu, David. ESCOM Sci. Publ., Leiden, Neth.

CODEN: 57HNAI

DOCUMENT TYPE: Conference

LANGUAGE: English

AB The mode of action of geophrophotoxin I and II analogs is different from that of tetrodotoxin and saxitoxin, although they bind to the same receptor on sodium channels.

IT 86414-29-1D, analogs

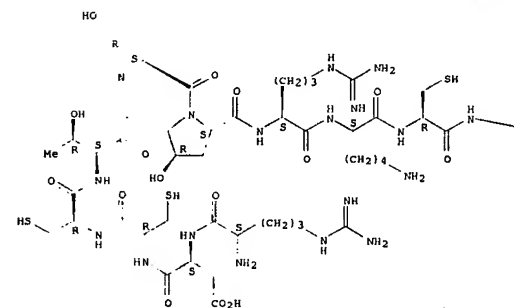
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(biol. activity of, structure in relation to)

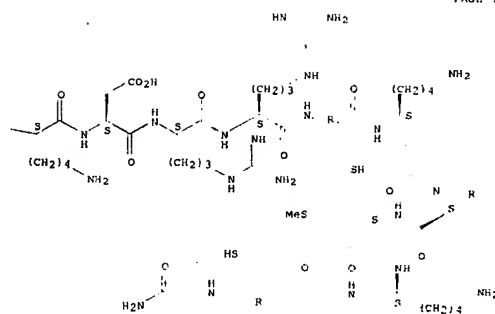
RN 86414-29-1 CAPLUS

CN μ -Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

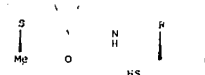


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PAGE 1-C

OH

PAGE 2-B



L6 ANSWER 404 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:550740 CAPLUS

DOCUMENT NUMBER: 115:150740

TITLE: Toward nonpeptidyl substance P mimetic analogs: design, synthesis, and biological activity

AUTHOR(S): Chorev, Michael; Roubini, Eli; Gilon, Chaim; Selinger,

CORPORATE SOURCE: Zvi

Dep. Pharm. Chem., Hebrew Univ. Jerusalem, Jerusalem, 91120, Israel

SOURCE: Biopolymers (1991), 31(6), 725-33

CODEN: BIPMAA; ISSN: 0006-3525

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 1,4-Piperazine and 4-hydroxyproline, two small cyclic polyfunctional systems with defined stereochem., were introduced as "mol. scaffolds". We define a "bioactive topol.", which is a derived putative low-energy conformation obtained through theor. conformational anal. of substance P. Substitution of these mol. scaffolds by pharmacophors characteristic of the bioactive topol. of the C-terminal hexapeptide of substance P resulted in active, partially nonpeptidyl substance P mimetic agonists. The study discusses the concepts and tools used to achieve this structural transformation, and points out the need to address flexibility-rigidity issues in an attempt to maintain sufficient mol. plasticity.

IT 106815-24-1 106820-39-7 106863-25-6

116273-61-5

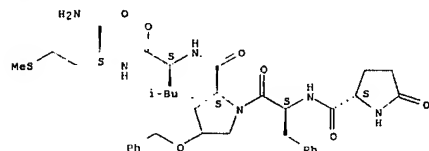
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(biol. activity of)

RN 106815-24-1 CAPLUS

CN L-Methioninamide, 5-oxo-L-prolyl-L-phenylalanyl-trans-4-(phenylmethoxy)-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

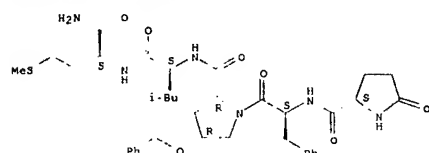
Absolute stereochemistry.



RN 106820-39-7 CAPLUS

CN L-Methioninamide, 5-oxo-L-prolyl-L-phenylalanyl-cis-4-(phenylmethoxy)-D-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

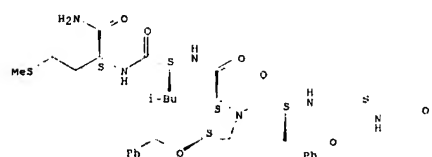
Absolute stereochemistry.



RN 106863-25-6 CAPLUS

CN L-Methioninamide, 5-oxo-L-prolyl-L-phenylalanyl-cis-4-(phenylmethoxy)-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

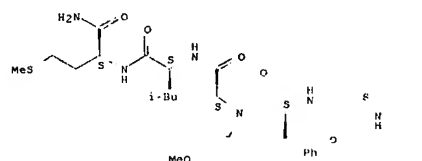
Absolute stereochemistry.



RN 116273-61-5 CAPLUS

CN L-Methioninamide, 5-oxo-L-prolyl-L-phenylalanyl-trans-4-methoxy-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 405 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:530156 CAPLUS

DOCUMENT NUMBER: 115:130156

TITLE: Crystal structure of [Leu]zervamicin, a membrane ion-channel peptide: implications for gating mechanisms

AUTHOR(S): Karle, Isabella L.; Flippen-Anderson, Judith L.; Agarwalla, Sanjay; Balaram, Padmanabhan

CORPORATE SOURCE: Lab. Struct. Matter, Nav. Res. Lab., Washington, DC, 20375-5000, USA

SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1991), 88(12), 5307-11

CODEN: PNASAA; ISSN: 0027-8424

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Structures in four different crystal forms of [Leu]zervamicin (zervamicin 2-L, Ac-Ileu-Ile-Gln-Iva-Ile5-Thr-Alb-Leu-Alb-Hyp10-Gln-Alb-Hyp-Alb-Pro15-Phol, where Iva is isovaline, Alb is α -amino isobutyric acid, Hyp is 4-hydroxyproline, and Phol is phenylalaninol). A membrane channel-forming polypeptide from Emericella nidulans, have been determined by x-ray diffraction. The helical structure is amphiphilic with all the polar moieties on the convex side of the bent helix. Helices are bent at Hyp10 from approx. 30° to approx. 45° in the different crystal forms. In all crystal forms, the peptide helices aggregate in a similar fashion to form water channels that are interrupted by hydrogen bonds between H2N(Gln11) and OH(Hyp10) of adjacent helices. The Gln11 side chain is folded in an unusual fashion in order to close the channel. Space is available for an extended conformation for Gln11, in which case the channel would be open, suggesting a gating mechanism for cation transport. Structural details are presented for one crystal form

derived from methanol/water solution: C85H140N18O22. 10H2O, space group P21,
a = 23.068 Å, b = 9.162 Å, c = 26.727 Å, β = 108.69
Å.

IT 135995-68-5, Zervamicin Z-L

RL: BIOL (Biological study)

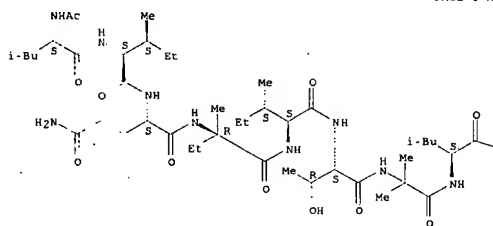
(helical conformation and crystal structure of, as cation channel of
Emricellopsis salmosynemata membrane, gating mechanism in relation
to)

RN 135995-68-5 CAPLUS

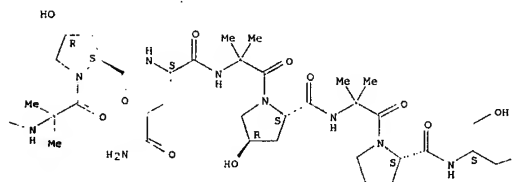
CN L-Prolineamide, N-acetyl-L-leucyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-
isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-
L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-
methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

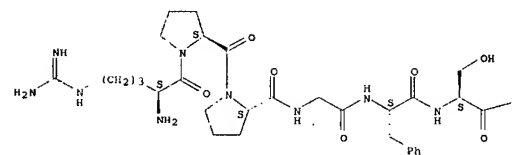
PAGE 1-A



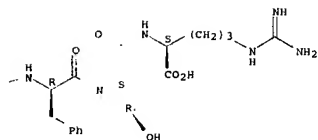
PAGE 1-B



PAGE 1-A



PAGE 1-B



L6 ANSWER 407 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 1991:444472 CAPLUS

DOCUMENT NUMBER: 115:44472

TITLE: Tertiary structure of conotoxin G11IA in aqueous solution

AUTHOR(S): Lancelin, Jean Marc; Kohda, Daisuke; Tate, Shinichi;
Yanagawa, Yuchio; Abe, Teruo; Satake, Mei; Inagaki,
Fuyuhiko

CORPORATE SOURCE: Dep. Mol. Physiol., Tokyo Metrop. Inst. Med. Sci.,
Tokyo, 113, Japan

SOURCE: Biochemistry (1991), 30(28), 6908-16

CODEN: BICHAW; ISSN: 0006-2960

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The three-dimensional structure of conotoxin G11IA, an important
constituent of the venom from the marine hunting snail Conus geographus
L., was determined in aqueous solution by 2-dimensional proton NMR and
simulated
annealing based methods. On the basis of 162 assigned nuclear Overhauser
effect (NOE) connectivities obtained at the medium field strength
frequency of 400 MHz, 74 final distance constraints of sequential and
tertiary ones were derived and used together with 18 torsion angle .phi.
x1) constraints and 9 distance constraints derived from disulfide
bridges. A total of 32 converged structures were obtained from 200 runs
of calcs. The atomic root-mean-square (RMS) difference about the mean
coordinate positions (excluding the terminal residues 1 and 22) is 0.8
Å for backbone atoms (N, C, O). Conotoxin G11IA is
characterized by a particular folding of the 22 amino acid peptide chain,
which is stabilized by three disulfide bridges arranged in cage at the
center of a discoidal structures of approx. 20 Å diameter. The seven
cationic side chains of lysine and arginine residues project radially into

PAGE 1-C

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L6 ANSWER 406 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 1991:506296 CAPLUS

DOCUMENT NUMBER: 115:106296

TITLE: Structure-activity studies of bradykinin and related
peptides: B2-receptor antagonists

AUTHOR(S): Rhaieb, Nour Eddine; Telemque, Samine; Rouissi,
Nouredine; Dion, Stephane; Jukic, Daniela; Drapeau,
Guy; Regoli, Domenico

CORPORATE SOURCE: Med. Sch., Univ. Sherbrooke, Sherbrooke, QC, J1H 5N4,
Can.

SOURCE: Hypertension (1991), 17(1), 107-15

CODEN: HPRTDN; ISSN: 0194-911X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Thirty-seven compds. were tested as antagonists of kinin B2- and
B1-receptors to identify the chemical changes required to obtain antagonism.
Improve antagonist affinity, and eliminate residual agonistic activities.
Apparent affinity of antagonists was evaluated in terms of pA2 on the
rabbit jugular vein, the dog carotid and renal arteries, the hamster
urinary bladder, the guinea pig ileum, the rat vas deferens, the guinea
pig trachea, and the rabbit aorta, using bradykinin and desArg9-bradykinin
as B2- and B1-receptor activators, resp. Replacement of Pro7 of
bradykinin with D-Phe led to antagonism, substitution of Pro7 by Hyp and
extension of the peptide chain at the N-terminal with a D-Arg residue
improved the affinity of antagonists; acetylation of N-terminal amine
function reduced residual agonistic activity. These changes, combined
with the replacement of Phe8 by Leu, as in Ac-D-Arg(Hyp)7,D-Phe7,Leu8-
bradykinin, led to potent full B2-receptor antagonists. Affinity of
antagonists differed markedly between highly sensitive (rabbit jugular
vein and dog carotid and renal artery), moderately sensitive (hamster
urinary bladder, guinea pig ileum, and rat vas deferens), and insensitive
preps. (the guinea pig trachea) in which antagonists acted as potent
stimulants. High concns. of antagonists blocked bradykinin completely in
the rabbit jugular vein but not in the guinea pig ileum, suggesting that
kinins stimulate the moderately sensitive tissues by 2 mechanisms, only 1
of which is blocked by antagonists. Apparently, kinins act on various
B2-receptor subtypes or by different action mechanisms.

IT 127634-27-9

RL: BIOL (Biological study)

(bradykinin receptor response to, structure in relation to)

RN 127634-27-9 CAPLUS

CN L-Arginine, L-arginyl-L-prolyl-L-prolyl-L-phenylalanyl-L-argyl-L-
phenylalanyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

the solvent and form potential sites of interaction with the skeletal
muscle sodium channel for which the toxin is a strong inhibitor. The
present results provide a mol. basis to elucidate the remarkable physiol.
properties of this neurotoxin.

IT 86394-16-3, Geographotoxin I (reduced)

RL: PRP (Properties)

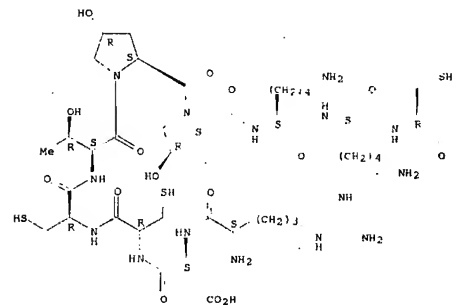
(tertiary structure of, of Conus geographus)

RN 86394-16-3 CAPLUS

CN μ-Conotoxin G 11IA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

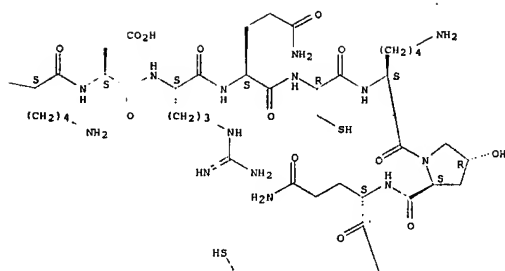



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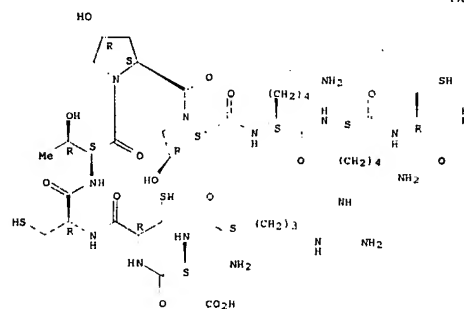
IT  86394-16-3, Geographutoxin I (reduced) 86414-29 ),
    Geographutoxin II (reduced)
    RL: BIOL (Biological Study)
        (sodium channel blocking by, mol structure in relation to)
RN  86394-16-3  CAMPUS
CN   $\mu$ -Conotoxin G IITA (reduced) (9CI)  (CA INDEX NAME)

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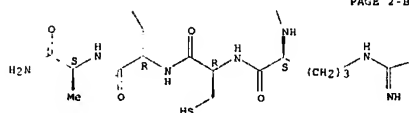
Absolute stereochemistry.



PAGE 1 - A



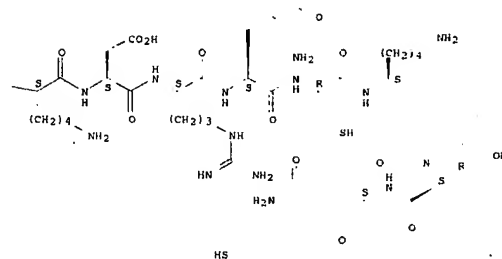
PAGE 16



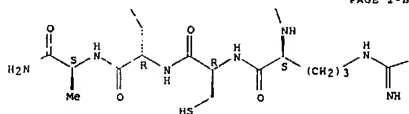
PAGE 2-C

 NH_2

L6 ANSWER 408 of 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1991:423769 CAPLUS
 DOCUMENT NUMBER: 115:23769
 TITLE: Sodium channel blocker. Geographotoxin
 AUTHOR(S): Nakamura, Hideshi; Sato, Kazuki
 CORPORATE SOURCE: Fac. Sci., Hokkaido Univ., Sapporo, 060, Japan
 SOURCE: Kagaku (Kyoto, Japan) 1991, 46(4), 288
 CODEN: KAKYAU ISSN: 0451-1964
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: Japanese
 AB A review with 8 refs. on geographotoxin, one of the toxic peptides from *Conus geographus*. The mol. structure and possible mol. mechanism of this peptide are discussed. It is suggested to eliminate the Na channel of skeletal muscle cell from that of nerve cell.



PAGE 2-B

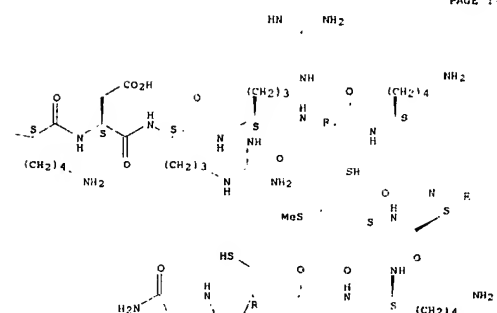


PAGE 2 - C

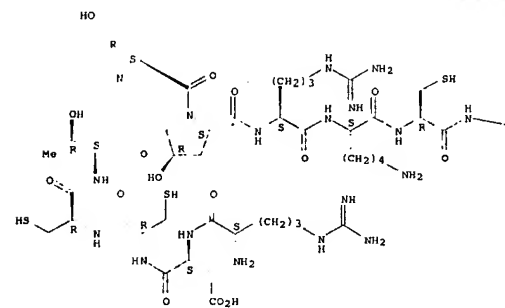
 NH_2

RN 86414-29-1 CAPLUS
 CN μ -Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

PAGE 1 - B

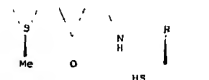


PAGE 1 - C



OH

PAGE 2 - B



L6 ANSWER 409 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1991:409644 CAPLUS
DOCUMENT NUMBER: 115:9644
TITLE: A process for preparing copoly(amides/peptides)
INVENTOR(S): Bhattacharjee, Himangshu R.; Williams, Jon I.;
Swartloff, Michael D.; Berenbaum, Morris B.

PATENT ASSIGNEE(S): Allied-Signal, Inc., USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9012052	A2	19901018	WO 1990-08711	19900208
WO 9012052	A3	19901227		

W: JP
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE
US 5041497 A 19910820 US 1989-335243 19890410
CA 2014136 A1 19901010 CA 1990-2014136 19900409
PRIORITY APPLN. INFO.: US 1989-335243 A 19890410
OTHER SOURCE(S): MARPAT 115:9644

AB Polyamide-peptides are manufactured by reaction of 22 reactants 21 of which is a polyamide, an oligomeric polyamide, or a polyamide precursor and 21 of which is a peptide, an oligomeric peptide, or a peptide precursor in the presence of R1O(R2O)P(O)N3 (R1 = (un)substituted phenyl; R2 = alkyl, haloalkyl, nitroalkyl, H, (non)metal cation, or R1). Thus, a mixture containing 2 g α -aminocaproic acid, 2 mL Me2SO, 4 mL (PhO)2PON3, and 5 mL Et3N was kept at room temperature 24 h to give a solution of

oligomer (I). Sep., a mixt containing 500 mg L-alanylglycine, 1 mL Me2SO, 1 mL (PhO)2PON3, and 1.25 mL Et3N was kept at room temperature for 24 h to give a solution of another oligomer (II). Aliquots of I solution and II solution

were mixed (50:50) at room temperature for 72 h to give a block copolymer with m.p. 175°, compared with 177-178 and 179° before and after quenching from the molten state for I after 72 h at room temperature in solution and no definite m.p. for II after 72 h at room temperature in solution

IT 134364-36-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(oligomeric, reaction of, with oligomeric polyamides)

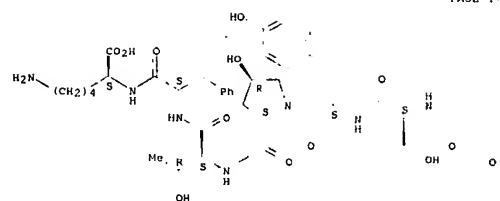
RN 134364-36-6 CAPLUS

CN L-Lysine, N2-[N-[N-[N-[N-[N-(2-L-alanyl-L-lysyl)-L-prolyl]-L-eryl]-L-tyrosyl]-trans-4-hydroxy-L-prolyl]-L-threonyl]-L-phenylalanyl]-homopolymer (9CI) (CA INDEX NAME)

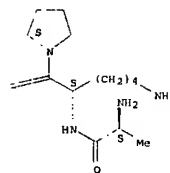
CM 1

CRN 134364-35-5
CMP C50 H75 N11 O14

Absolute stereochemistry.



PAGE 1 B



L6 ANSWER 410 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 1991:409332 CAPLUS

DOCUMENT NUMBER: 115:9332

TITLE: Synthetic study on leucinoctatin D fragment

AUTHOR(S): Kuwata, Shigeru; Naknishi, Akihito; Onda, Norihiro;

Yamada, Takashi; Miyazawa, Toshiyumi

CORPORATE SOURCE: Fac. Sci., Konan Univ., Kobe, 650, Japan

SOURCE: Peptide Chemistry (1991), 23:1, 109-12

CODEN: PECHDP; ISSN: 0368-3699

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A report from a symposium on the preparation of N-(4-methyl-2-hexenoyl)proline derivs. (S,E)-ELCHMECH:CHCO-Pro-OR (R = H, Me) as leucinoctatin D fragment models, starting from L-isoleucine and H-L Pro OMe. The purity of the methylhexenoyl fragment was maintained in the homologation and Hofmann rearrangement reactions, as shown by 1H NMR and comparisons with natural materials

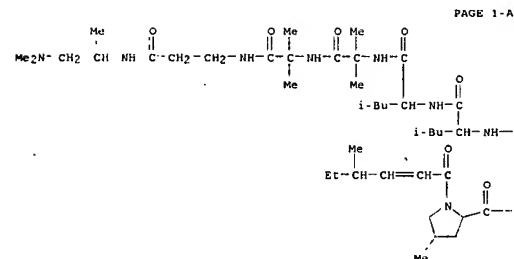
IT 108426-90-0P. Leucinoctatin D

RL: SPN (Synthetic preparation); PREP (Preparation)

(methylhexenoyl)proline fragment of, preparation and configuration of)

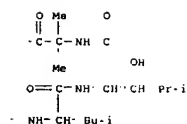
RN 108426-90-0 CAPLUS

CN Leucinoctatin D (9CI) (CA INDEX NAME)



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PAGE 1-B



L6 ANSWER 411 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 1991:78115 CAPLUS

DOCUMENT NUMBER: 114:78115

TITLE: Synthesis and characterization of an N-terminal-specific iodine-125 photoaffinity derivative of μ -conotoxin GIIIA which binds to the voltage-dependent sodium channel

AUTHOR(S): Becker, Stefan; Liebe, Reinhardt; Gordon, Robert D.

CORPORATE SOURCE: Max-Planck-Inst. Biophys., Frankfurt/Main, D-6000, Germany

SOURCE: FEBS Letters (1990), 272(1-2), 152-4

CODEN: FEUJAL; ISSN: 0014-5793

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An N-terminal, iodine-125 photoaffinity derivative of μ -Conotoxin GIIIA, 4-azido-salicyl- μ -Conotoxin GIIIA (CTXASA), was synthesized by solid phase peptide synthesis. The binding of 125I-CTXASA to the voltage dependent sodium channel from electroplax of Electrophorus electricus was specific, as demonstrated by saturation binding expts. Using autoradiog.,

125I-CTXASA labeled a protein with a mol. mass of 2-6 kDa, consistent with the apparent mol. mass of the sodium channel. This labeling could be suppressed by excess of tetrodotoxin and μ -Conotoxin GIIIA

IT 132035-35-9DP. Iodine-125-labeled 132035-35-9P

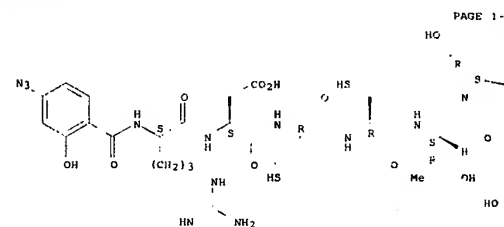
RL: PREP (Preparation)

(preparation and sodium channel binding by)

RN 132035-35-9 CAPLUS

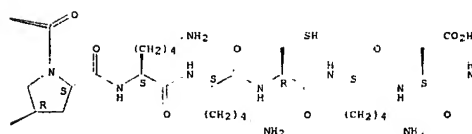
CN μ -Conotoxin G IIIA (reduced), N2-(4-azido-2-hydroxybenzoyl)- (9CI) (CA INDEX NAME)

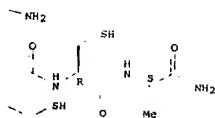
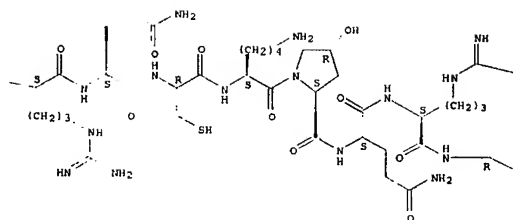
Absolute stereochemistry.



PAGE 1-A

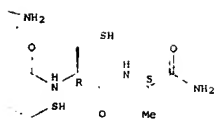
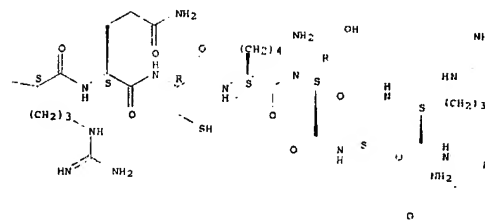
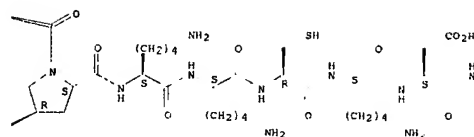
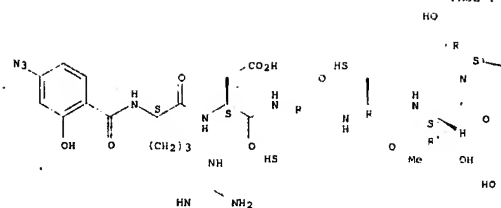
PAGE 1 B



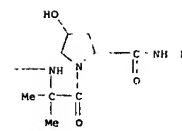
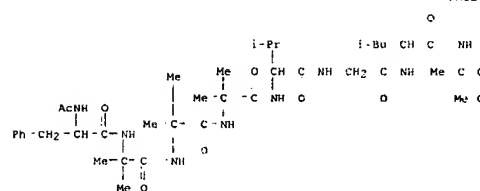
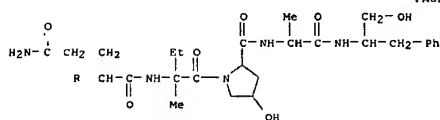


RN 132035-35-9 CAPLUS
 CN μ -Conotoxin G IIIA (reduced), N2-(4-azido-2-hydroxybenzoyl)- (9CI) (CA INDEX NAME)

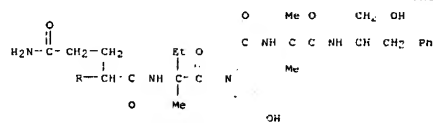
Absolute stereochemistry.

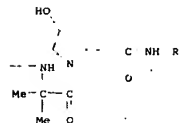
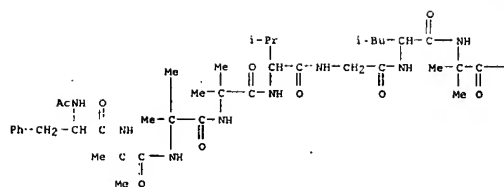


L6 ANSWER 112 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1991:62706 CAPLUS
 DOCUMENT NUMBER: 114:62706
 TITLE: Chemical-enzymic synthesis of emerimicin IV and III, membrane-active pentadecapeptide antibiotics
 AUTHOR(S): Lepavly, Miroslaw T.; Kociolek, Karol; Redlinski, Adam
 S.; Slomczynska, Urszula; Zabrocki, Janusz; Dunbar, James B., Jr.; Marshall, Garland R.
 CORPORATE SOURCE: Inst. Org. Chem., Politech., Lodz, P-90-924, Pol.
 SOURCE: Pept.: Chem., Struct. Biol., Proc. Am. Pept. Symp., 11th (1990), Meeting Date 1989, 493-5. Editor(s): Rivier, Jean E.; Marshall, Garland R. ESCOM Sci. Pub., Leiden, Neth.
 CODEN: S6KTA7
 DOCUMENT TYPE: Conference
 LANGUAGE: English
 AB A symposium report on the preparation of the title compds. by solution fragment couplings. The final 6 + 9 segment coupling was performed with papain as the coupling catalyst.
 IT 52931-42-7P, Emerimicin III 52931-43-8P, Emerimicin IV
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, by solution fragment couplings, papain catalyst in)
 RN 52931-42-7 CAPLUS
 CN Emerimicin III (9CI) (CA INDEX NAME)



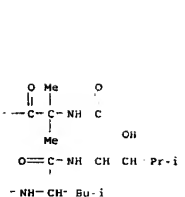
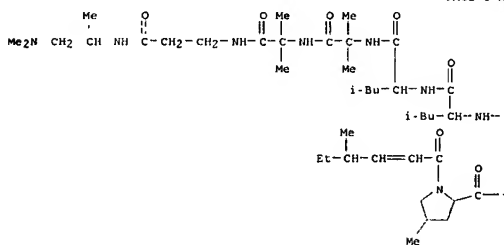
RN 52931-43-8 CAPLUS
 CN Emerimicin IV (9CI) (CA INDEX NAME)



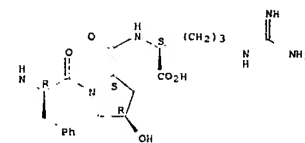
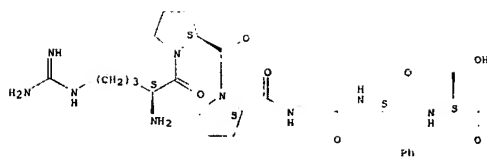


L6 ANSWER 413 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1991:36558 CAPLUS
 DOCUMENT NUMBER: 114:36558
 TITLE: Luminal responses to bradykinin on the isolated canine tracheal epithelium: effects of bradykinin antagonists
 AUTHOR(S): Rangachari, P. K.; Donoff, B.; Vavrek, R. J.; Stewart, J. M.
 CORPORATE SOURCE: Dep. Med., McMaster Univ., Hamilton, ON, Can.
 SOURCE: Regulatory Peptides (1990), 30(3), 221-30
 CODEN: REPPDY; ISSN: 0167-0115
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The ability of several B2 antagonists were tested on the responses of the open-circuited isolated canine tracheal epithelium to the luminal addition of bradykinin (BK), Lys-BK, and substance P (SP). All 3 peptides produced biphasic changes in transmural p.d., an initial decrease followed by an increase. The B2 antagonist D-Arg0 [Hyp3, This, 8, D-Phe7]BK (B 5630) reversibly inhibited both the dip and the rise with IC50 values of 2.01 x 10-8 and 1.54 x 10-7 M, resp. The responses to SP were unaffected even with high concns. of the antagonist. Other antagonists tested [D-Phe1,7, This, 8]BK (B 4158), [D-Phe2,7]BK (B 4404), and [D-Phe7, Hyp8]BK (B 5092) were ineffective.
 IT 127634-27-9, B 5092
 RL: Biol. (Biological study)
 (bradykinin effect on trachea epithelium elec. activity in presence of)
 RN 127634-27-9 CAPLUS
 CN L-Arginine, L-arginyl-L-prolyl-L-prolyl-L-phenylalanyl-L-eryl-D-phenylalanyl (4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

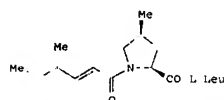
AB A symposium report on a study of the synthesis of leucinostatin D (I, HyLeu + 3-hydroxy-leucine). DL-threo-3-hydroxy-leucine was resolved and (S)-H2NCHMeCH2NMe2, (S,E)-MeCH2CHMeCH:CHCO2H, and C-terminal peptide fragments were prepared
 IT 108426-90-0, leucinostatin D
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (synthetic studies on)
 RN 108426-90-0 CAPLUS
 CN Leucinostatin D (9CI) (CA INDEX NAME)



L6 ANSWER 415 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1990:631997 CAPLUS
 DOCUMENT NUMBER: 113:231997
 TITLE: Synthetic study of mu-conotoxin
 AUTHOR(S): Kubo, Shigeru; Kuroda, Hisaya; Chino, Naoyoshi; Watanabe, Takashi X.; Kimura, Terutoshi; Sakakibara, Shunpei
 CORPORATE SOURCE: Protein Res. Found., Pept. Inst. Inc., Minoh, 562, Japan
 SOURCE: Peptide Chemistry (1990), Volume Date 1989, 27th, 257-62



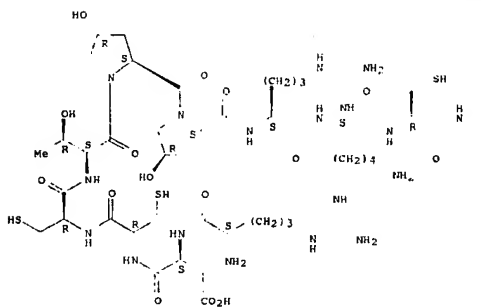
L6 ANSWER 414 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1991:7175 CAPLUS
 DOCUMENT NUMBER: 114:7175
 TITLE: Synthetic study on leucinostatin D
 AUTHOR(S): Kuwata, Shigeru; Onda, Norihiro; Kumano, Yoshiyasu; Yamada, Takashi; Miyazawa, Toshiyumi
 CORPORATE SOURCE: Fac. Sci., Konan Univ., Kobe, 658, Japan
 SOURCE: Peptide Chemistry (1990), Volume Date 1989, 27th, 221-6
 CODEN: PECHDP; ISSN: 0388-3698
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

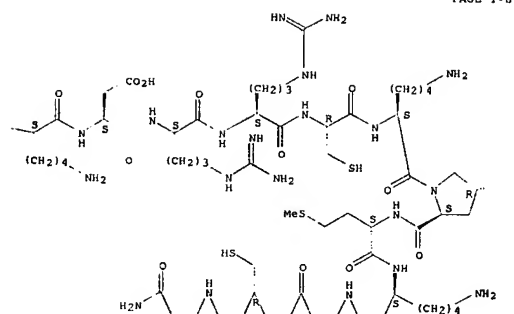


L-threo-HyLeu-Aib-L-Leu-L-Leu-Aib-
 Aib-7-Ala-NHCHMeCH2NMe2
 S

DOCUMENT TYPE: CODEN: PECHDP; ISSN: 0388 3698
 LANGUAGE: Journal
 GI English
 H-Arg-Asp-Cys-Cys-Thr-Hyp-Hyp-Arg-
 Lys-Cys-Lys-Asp-Arg-Cys Lys-Hyp
 Met-Lys-Cys-Cys-Ala-NH2
 1

AB A symposium report on the synthesis of mu-conotoxin GIIb with a sequence of peptide I. Synthetic mu-conotoxin GIIb has a disulfide structure identical with that of the natural product; the location of the disulfide bonds in now being determined
 IT 86414-29-1P, mu-Conotoxin GIIIB
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (total synthesis of)
 RN 86414-29-1 CAPLUS
 CN mu-Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)
 Absolute stereochemistry.





PAGE 1-C

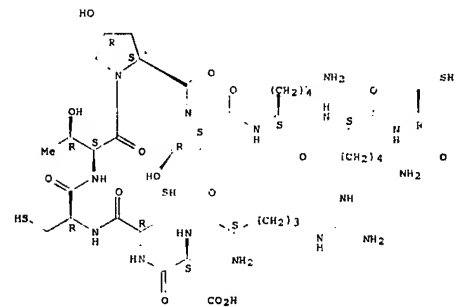
Kato, Rika; Muroyama, Akiko; Ohizumi, Yasushi
 CORPORATE SOURCE: Mitsubishi Kasei Inst. Life Sci., Machida, 194, Japan
 SOURCE: Peptide Chemistry (1990), Volume Date 1989, 27th, 97-102
 CODEN: PECHDP; ISSN: 0388 3698
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

H Arg-Asp-Cys-Cys-Thr-Hyp-Hyp-Lys
 Lys-Cys-Lys-Asp-Arg-Gln-Cys-Lys
 Hyp-Gln-Arg-Cys-Cys-Ala-NH2 I
 H-Arg-Asp-Cys-Cys-Thr-Hyp-Hyp-Arg
 Lys-Cys-Lys-Asp-Arg-Arg-Cys-Lys
 Hyp-Met-Lys-Cys-Cys-Ala-NH2 II

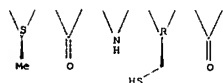
AB A symposium report on the synthesis of geographutoxin (GTX) I and II with linear sequences I and II, resp. Seven GTX-I analogs were also prepared. Linear peptides were prepared by the solid-phase method on a methylbenzylhydramine resin.
 IT 86394-16-3P, Geographutoxin I (reduced) 86414 29-1P
 RL SPN (Synthetic preparation); PREP (Preparation) (total synthesis of)
 RN 86394-16-3 CAPLUS
 CN μ -Conotoxin G IIIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



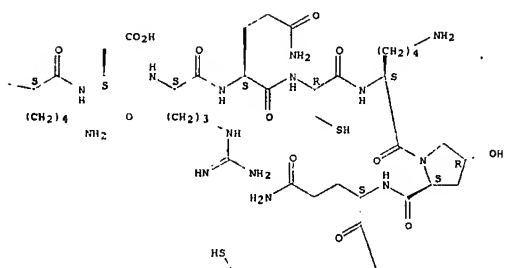
OH



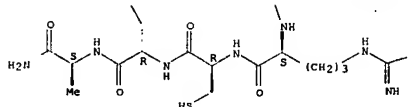
PAGE 2-B

L6 ANSWER 416 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1990:631993 CAPLUS
 DOCUMENT NUMBER: 113:231993
 TITLE: Synthesis and properties of geographutoxins and their analogs
 AUTHOR (S): Sato, Kazuki; Nakamura, Hideshi; Kobayashi, Junichi;

PAGE 1-B



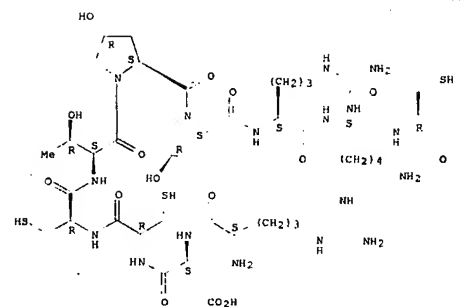
PAGE 2-B



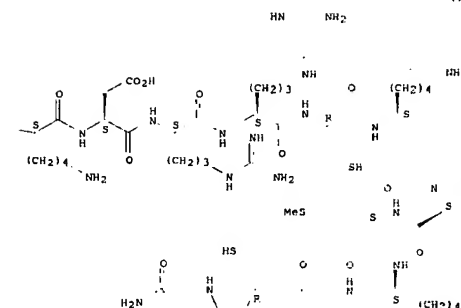
PAGE 2-C

RN 86414-29-1 CAPLUS
 CN μ -Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

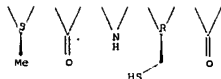
PAGE 1-A



PAGE 1-B



OH



L6 ANSWER 417 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1990:624629 CAPLUS
 DOCUMENT NUMBER: 113:224629
 TITLE: Development of bradykinin antagonists: structure-activity relationships for new categories of antagonist sequences
 AUTHOR(S): Vavrek, Raymond J.; Stewart, John M.
 CORPORATE SOURCE: Med. Sch., Univ. Colorado, Denver, CO, 80262, USA
 SOURCE: Advances in Experimental Medicine and Biology (1989), 247B(Kinins 5, Pt. B), 395-400
 CODEN: AEMBAP; ISSN: 0065-2598
 DOCUMENT TYPE: Journal
 LANGUAGE: English

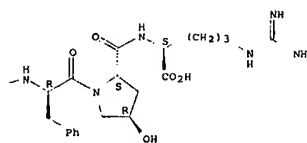
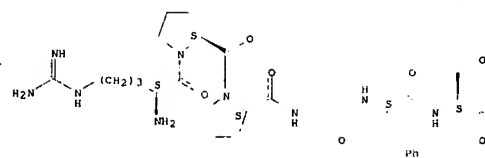
AB Bradykinin analogs were examined with regard to agonist or antagonist activities in several tests of the biol. activity of bradykinin, and structure-activity relations were discussed. The analogs involved exchanges, addns., and/or deletions of amino acid moieties in the peptide structure, and bradykinin antagonist activity was exhibited by a broad range of representative peptides.

IT 127634-27-9 130598-36-6 130598-37-7
 130598-38-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (biol. activity of, structure in relation to)

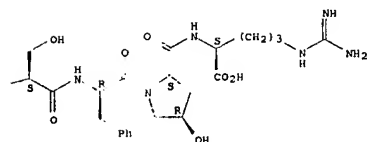
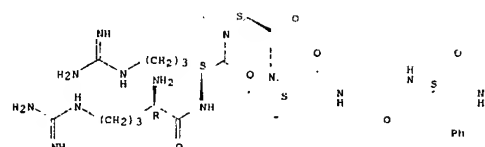
RN 127634-27-9 CAPLUS
 CN L-Arginine, L-arginyl-L-prolyl-L-prolylglycyl-L-phenylalanyl-L-eryl-D-phenylalanyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



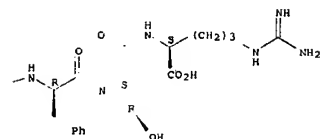
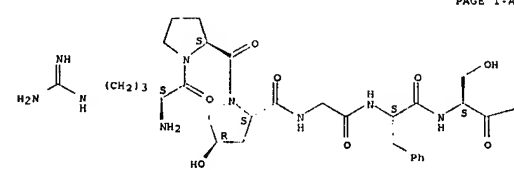
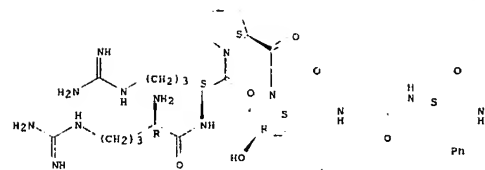
RN 130598-36-6 CAPLUS
 CN Bradykinin, N2-D-arginyl-7-D-phenylalanine-8-(trans-4-hydroxy L-proline)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



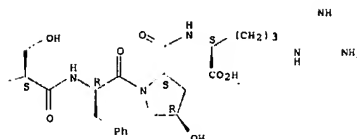
RN 130598-37-7 CAPLUS
 CN Bradykinin, 3-(trans-4-hydroxy-L-proline)-7-D-phenylalanine-8-(trans-4-hydroxy-L-proline)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 130598-38-8 CAPLUS
 CN Bradykinin, N2-D-arginyl-3-(trans-4-hydroxy-L-proline)-7-D-phenylalanine-8-(trans-4-hydroxy-L-proline)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 418 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1990:612444 CAPLUS
 DOCUMENT NUMBER: 113:212644
 TITLE: Selective alkaline protease catalyzed hydrolysis of peptide esters
 AUTHOR(S): Chen, Shui Tein; Chang, Chung Ho; Lin, Johnson; Wang, Jung Tsung
 CORPORATE SOURCE: Grad. Inst. Biochem. Sci., Natl. Taiwan Univ., Taipei, Taiwan
 SOURCE: Journal of the Chinese Chemical Society (Taipei, Taiwan) (1990), 37(3), 299-305
 CODEN: JCCTAC; ISSN: 0009-4536
 DOCUMENT TYPE: Journal
 LANGUAGE: English

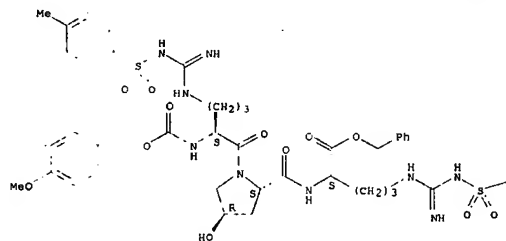
AB Procedures for preparing C-terminal free peptides from hydrolysis of the corresponding Me or benzyl esters catalyzed by alkaline protease has been developed. N-protected peptides having side-chain ester protecting groups or successive hydrophobic amino acid residues in its sequence are hydrolyzed selectively at the C-terminal only, and other bonds (beta and gamma-ester or peptide bonds) are left intact. Compds. which cause side reactions in base-mediated saponification could be hydrolyzed safely by this procedure. Products of this hydrolysis are useful intermediates for fragment couplings in solid phase peptide synthesis.

IT RL: RCT (Reactant); RACT (Reactant or reagent) (hydrolysis of, in the presence of alkalase, protected C-terminal free peptide from)
 RN 130240-47-0 CAPLUS
 CN L-Ornithine, N2-[4-hydroxy-1-[[N2-[[[4-methoxyphenyl]methoxy]carbonyl]-N5-

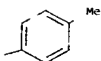
[imino[[4-methylphenyl)sulfonyl]amino]methyl-L-ornithyl-L-prolyl]-N5-
[imino[[4-methylphenyl)sulfonyl]amino]methyl-, phenylmethyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



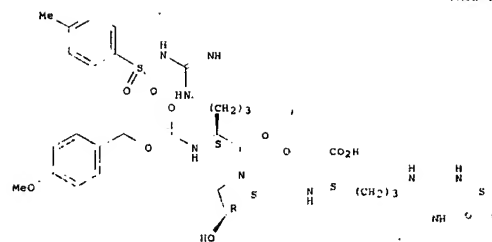
PAGE 1-B



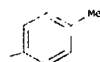
IT 130240-68-BP
RL: SPM (Synthetic preparation); PREP (Preparation)
(preparation of, by selective hydrolysis of peptide ester)
RN 130240-68-5 CAPLUS
CN L-Ornithine, N2-[4-hydroxy-1-[(4-methoxyphenyl)methoxycarbonyl]-N5-
[imino[[4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl]-L-prolyl]-N5-
[imino[[4-methylphenyl)sulfonyl]amino]methyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1 A



PAGE 1-B



L6 ANSWER 419 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:606445 CAPLUS
DOCUMENT NUMBER: 113:206445
TITLE: Conotoxin GIIIA: selective inhibition of sodium-22
influx via voltage dependent sodium channels in
adrenal medullary cells
AUTHOR(S): Wada, Akiniko; Uezono, Yasuhiko; Arita, Masahide;
Yanagawa, Yuchio, Satake, Mei; Izumi, Futoshi
CORPORATE SOURCE: Sch. Med., Univ. Occup. and Environ. Health,
Kitakyushu, 807, Japan,
SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology (1990),
342(3), 323-7
CODEN: NSAPCC; ISSN: 0029-1298
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In bovine adrenal medullary cells, μ Conotoxin GIIIA inhibited
veratridine-induced influx of ^{22}Na and ^{45}Ca and secretion of
catecholamines with IC_{50} of $6\text{ }\mu\text{mol/L}$, whereas saxitoxin suppressed
veratridine-induced responses with an IC_{50} of $6\text{ }\mu\text{mol/L}$. $^{[3]\text{H}}$ saxitoxin
binding to the cells was inhibited by unlabeled saxitoxin with an IC_{50} of
 5.1 nmol/L , but was slightly reduced by $10\text{ }\mu\text{mol/L}$ conotoxin GIIIA.
Conotoxin GIIIA at $10\text{ }\mu\text{mol/L}$, did not alter carbachol-induced influx

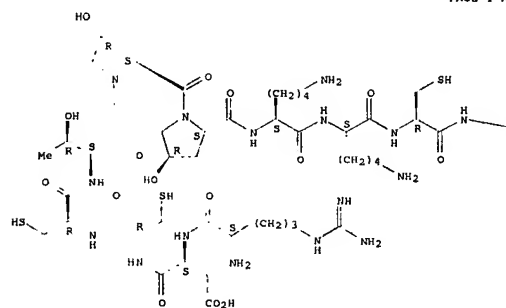
PAGE 1 B

of ^{22}Na and ^{45}Ca and secretion of catecholamines as well as high K-induced
 ^{45}Ca influx and catecholamine secretion. These results indicate that
conotoxin GIIIA, at concns. 950 fold higher than saxitoxin, inhibits Na
influx via voltage-dependent Na channels, but has no effect on the
nicotinic receptor-ion channel complex or the voltage-dependent Ca
channels. Conotoxin GIIIA seems to bind at the sites which are distinct
from saxitoxin, but are functionally linked to the voltage-dependent Na
channels. Conotoxins may be useful for the classification of Na channels
in excitable cell membranes.

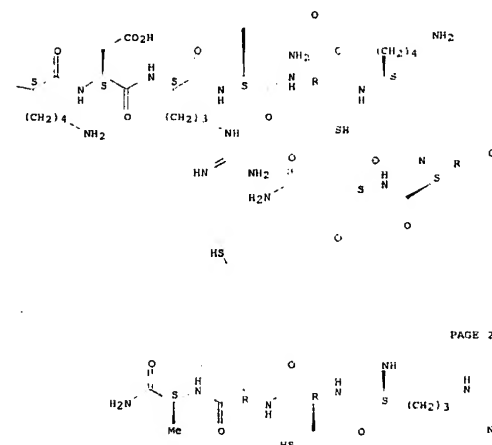
IT 86394-16-3, μ -Conotoxin GIIIA
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); BIOL (Biological study)
(sodium channel of adrenal medulla response to)
RN 86394-16-3 CAPLUS
CN μ -Conotoxin GIIIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 2 B



PAGE 2 C

NH2

L6 ANSWER 420 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:591977 CAPLUS
DOCUMENT NUMBER: 113:191977
TITLE: Preparation of polymers containing
dihydroxyphenylalanine and their adhesiveness
INVENTOR(S): Benedict, Christine V., Chaturvedi, Nishith
PATENT ASSIGNEE(S): Bio-Polymers, Inc., USA
SOURCE: U.S., 15 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4908404	A	19900313	US 1988-234896	19880822
FI 8503854	A	19900223	FI 1989-3854	19890816
AU 8940014	A	19900222	AU 1989-40014	19890817
AU 618834	B2	19920109		
EP 359996	A2	19900328	EP 1989-115132	19890817
EP 359996	A3	19910807		
EP 359996	B1	19940413		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 104318	T	19940415	AT 1989-115132	19890817
DK 8904108	A	19900223	DK 1989-4108	19890821
NO 8903350	A	19900223	NO 1989-3350	19890821
NO 175006	B	19940509		
NO 175006	C	19940817		
CN 1042162	A	19900516	CN 1989-107587	19890821
JP 02191629	A	19900727	JP 1989-215689	19890822

PRIORITY APPL. INFO.:

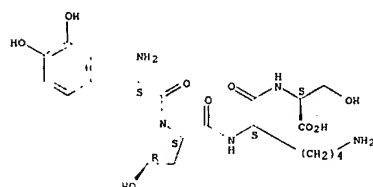
AB Amino group-containing polymers, e.g., polyallylamine, were reacted with 3,4-dihydroxyphenylalanine (DOPA) or peptides containing DOPA to give polymers of high mol. wts. (30,000 to 50,000) with good bioadhesiveness. tert-Butoxycarbonyl-DOPA reacted with polyallylamine-HCl in THF containing N-hydroxysuccinimide and dicyclohexylcarbodiimide to give, after dialysis and lyophilization, a DOPA-containing polymer (I) with a mol. weight of 70,000. In a test using bioadhesive polyphenolic protein on alumina toil adhesiveness of I was 84 gm/cm²/μg protein vs. 74 gm/cm²/μg protein for polyallylamine.

IT 129987-33-2DP, reaction products with amino-containing polymers 110014-43-6DP, reaction products with amino-containing polymers RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as bioadhesive)

RN 129987-33-3 CAPLUS

CN L-Serine, N-[N2-(trans-4-hydroxy-1-(3-hydroxy-L-tyrosyl)-L-prolyl)-L-lysyl]- (9C1) (CA INDEX NAME)

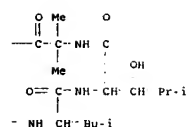
Absolute stereochemistry.



RN 110014-43-6 CAPLUS

CN L-Lysine, L-alanyl-L-lysyl-L-prolyl-L-seryl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-hydroxy-L-tyrosyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 422 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1990:564932 CAPLUS

DOCUMENT NUMBER: 113:164932

TITLE: Development of MDL 28,050, a small stable antithrombin agent based on a functional domain of the leech protein, hirudin

AUTHOR(S): Krstenansky, John L.; Broersma, Robert J.; Owen, Thomas J.; Payne, Marguerite H.; Yates, Mark T.; Mac, Simon J. T.

CORPORATE SOURCE: Merrell Dow Res. Inst., Cincinnati, OH, 45215, USA

SOURCE: Thrombosis and Haemostasis (1990), 63(2), 208-14

CODEN: THHADO; ISSN: 0340-6245

DOCUMENT TYPE: Journal

LANGUAGE: English

AB MDL 28,050 is a decapeptide antithrombin agent that inhibits α-thrombin-induced fibrin clot formation by binding to a non-catalytic site on α-thrombin. It was prepared by chemical and structural optimization of a functional domain of the leech anticoagulant hirudin. Despite polyanionic nature of this C-terminal functional domain governing its interaction with α-thrombin, systematic study of this region has shown the importance of the lipophilic residues for providing the functionality necessary for potent binding to α-thrombin. The development of MDL 28,050 and other effective antithrombin agents are outlined through the description of the structure-activity relationships for these peptides. The peptides are effective in vitro and in vivo models of thrombosis.

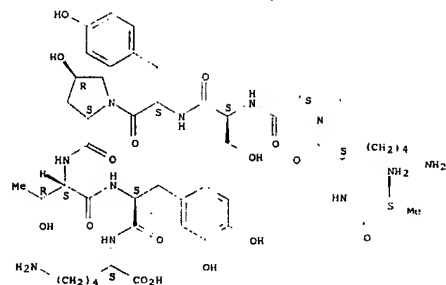
IT 117517-75-6

RL: BIOL (Biological study) (antithrombin activity of hirudin-derived, structure in relation to)

RN 117517-75-6 CAPLUS

CN L-Glutamine, N2-[N-[N-[N-[N-[N-[N-[N-(N-glycyl)-L-α-aspartyl]-L-phenylalanyl]-L-α-glutamyl]-L-α-glutamyl]-L-isoleucyl]-trans-4-hydroxy-L-prolyl]-L-α-glutamyl]-L-α-glutamyl]-L-tyrosyl]-L-leucyl]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 421 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1990:591925 CAPLUS

DOCUMENT NUMBER: 113:191925

TITLE: Synthetic study on leucinoastatin D

AUTHOR(S): Kuvata, Shigeru; Yamada, Takashi

CORPORATE SOURCE: Fac. Sci., Kwansei Univ., Kobe, 658, Japan

SOURCE: Proc. Akabari Conf.: Ger.-Jpn. Symp. Pept. Chem., 3rd (1989), 41-4. Editor(s): Wuensch, Erich, Max-Planck-Inst. Biochem. Martinsried, Fed. Rep. Ger. CODEN: 56UOAH

DOCUMENT TYPE: Conference

LANGUAGE: English

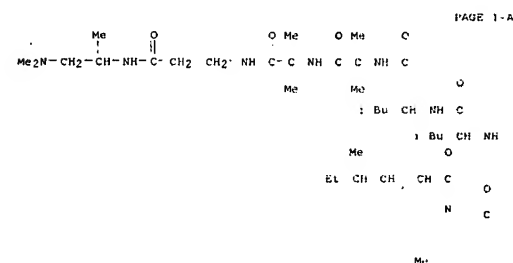
AB A conference report.

IT 108426-90-0P, Leucinoastatin D

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

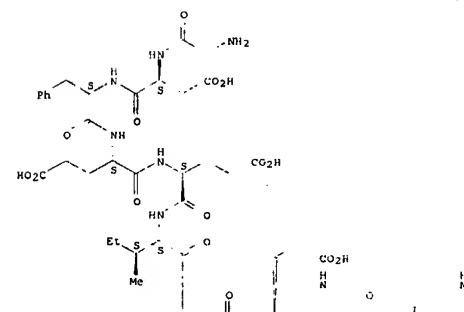
RN 108426-90-0 CAPLUS

CN Leucinoastatin D (9C1) (CA INDEX NAME)

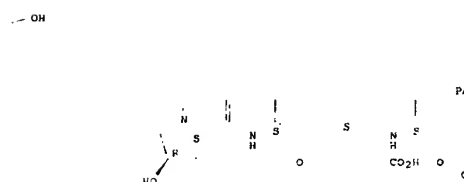


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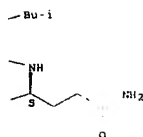


PAGE 1 B

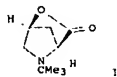


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HO2C

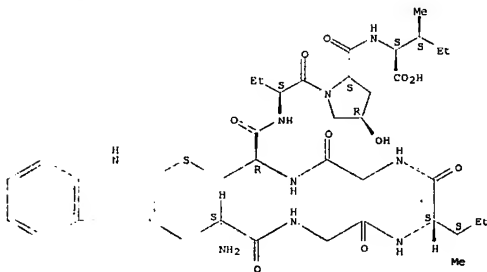


L6 ANSWER 423 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1990:553014 CAPLUS
 DOCUMENT NUMBER: 113:153014
 TITLE: Simple synthesis of cis-4-hydroxy-L-proline and derivatives suitable for use as intermediates in peptide synthesis
 AUTHOR(S): Papaloannou, Dionissios; Stavropoulos, George; Karagiannis, Kostas; Francis, George W.; Brekke, Trond; Akenes, Dagfinn W.
 CORPORATE SOURCE: Dep. Chem., Univ. Patras, Patras, Greece
 SOURCE: Acta Chemica Scandinavica (1990), 44(3), 243-51
 CODEN: ACHSE7; ISSN: 0904-213X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 113:153014
 GI



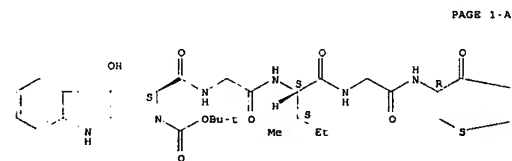
AB An intramolecular Mitsunobu reaction in the presence of PhIP-EtO₂CN:CO₂Et resulted in the conversion of trans-4-hydroxy-N-trityl-L-proline to bicyclic lactone 1. 1 was a key intermediate in the synthesis of cis-4-hydroxy-L-proline and deriva. thereof. 1 was converted into the corresponding Me ester, amide, and hydroxy acid. Treatment of the lactone, ester and amide with 4-MeC₆H₄SO₃H led to deprotection and formation of the corresponding p-toluenesulfonates. Saponification of 1 provided cis-4-hydroxy-N-trityl-L-proline, which was first benzylated and then elaborated to the 1-hydroxybenzotriazolyl ester. This last ester and the 3 tosylates prepared above were used for the incorporation of cis-4-hydroxy-L-proline in the synthesis of model peptides.
 IT 129431-09-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 RN 129431-09-0 CAPLUS
 CN Glycinamide, N,S-bis-(triphenylmethyl)-L-cysteinyl-cis-4-(phenylmethoxy)-L-prolyl-N6-(triphenylmethyl)-L-lysyl- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

Absolute stereochemistry.

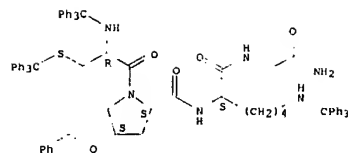


IT 129274-07-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 RN 129274-07-3 CAPLUS
 CN L-Isoleucine, N-[[[1,1-dimethylethoxy]carbonyl]-1,2,3,3a,8,8a-hexahydro-3a-hydroxypyrrolo[2,3-b]indol-2-yl]carbonyl]glycyl-L-isoleucylglycyl-S-[(triphenylmethyl)-L-cysteinyl-L-2-aminobutanoyl]-trans-4-(1,1-dimethylethoxy)-L-prolyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

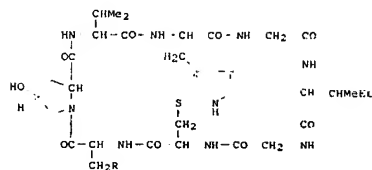
Absolute stereochemistry.



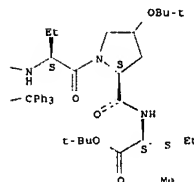
PAGE 1-A



L6 ANSWER 424 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1990:532769 CAPLUS
 DOCUMENT NUMBER: 113:132769
 TITLE: S-Dexeo-Abu1,1le3-aminamide, an inactive amatoxin analog
 AUTHOR(S): Zanotti, Giancarlo; Wieland, Theodor; D'Auria, Gabriella; Paolillo, Livio; Trivellone, Enrico
 CORPORATE SOURCE: Cent. Pharm. Chem. Stud., Univ. "La Sapienza", Rome, Italy
 SOURCE: International Journal of Peptide & Protein Research (1990), 35(3), 263-70
 CODEN: IJPPCJ; ISSN: 0367-8377
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 113:132769
 GI

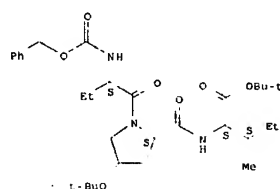


AB The title compound 1 (R = Me), an amatoxin analog containing L-α-aminobutyric acid instead of L-asparagine in position 1, as in natural toad stool peptides, has been synthesized. 1 (R = Me) does not inhibit the eukaryotic DNA-dependent RNA polymerase form I (or B) in concns. up to 10-4M, whereas 50% inhibition is exerted in 10-6M solution by the corresponding Asn-analog 1 (R = CONH2). The striking difference seems to be due to a relatively small variation of the conformation recognized by sensitive NMR spectroscopic methods.
 IT 129274-08-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 RN 129274-08-4 CAPLUS
 CN L-Isoleucine, 2-mercapto-L-tryptophylglycyl-L-isoleucylglycyl-L-cysteinyl-(2S)-2-aminobutanoyl-(4R)-4-hydroxy-L-prolyl-, cyclic (11-5) thioether (9CI) (CA INDEX NAME)



IT 129274-09-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 RN 129274-09-5 CAPLUS
 CN L-Isoleucine, N-[(phenylmethoxy)carbonyl]-L-2-aminobutanoyl-trans-4-(1,1-dimethylethoxy)-L-prolyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

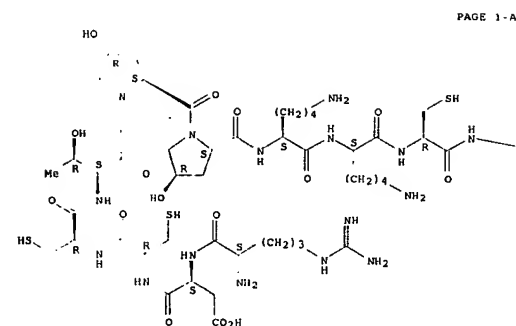
Absolute stereochemistry.



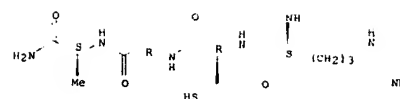
L6 ANSWER 425 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1990:527727 CAPLUS
 DOCUMENT NUMBER: 113:127727
 TITLE: Peptide toxins from cone shells: conotoxins. Chemical probe for ion-channels
 AUTHOR(S): Hatanaka, Yasumaru; Kobayashi, Junichi; Kanaoka, Yuichi
 CORPORATE SOURCE: Fac. Pharm. Sci., Hokkaido Univ., Sapporo, Japan
 SOURCE: Kagaku (Kyoto, Japan) (1990), 45(2), 136-7
 CODEN: KAKYAU; ISSN: 0451-1964
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: Japanese
 AB A review with 12 refs. on the chemical synthesis of μ-conotoxins (G IIIA and G IIIB), structure-activity relations of the venom peptides analyzed by 2-dimensional NMR, and μ-conotoxin derivs as the photoaffinity labeling reagents for studying several ion channels.
 IT 86394-16-3P
 RN 86394-16-3 CAPLUS

Absolute stereochemistry.

PAGE 2-B



PAGE 1-A



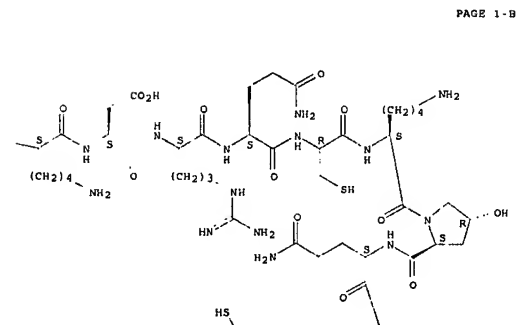
PAGE 2-C

NH₂

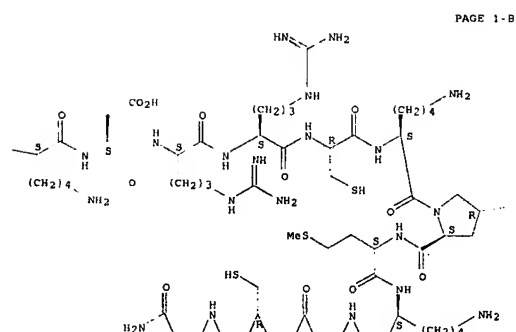
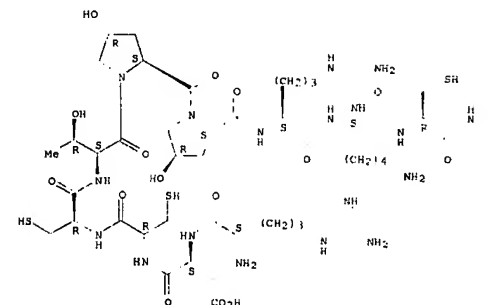
RN 86414-29-1 CAPLUS
CN μ -Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



PAGE 1-B

AUTHOR(S): Krishna, K.; Sukumar, M.; Balaram, P.
CORPORATE SOURCE: Mol. Biophys. Unit, Indian Inst. Sci., Bangalore, 560 012, India
SOURCE: Pure and Applied Chemistry (1990), 62(7), 1417-20
CODEN: PACHAS; ISSN 0033-4545
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The fungal polypeptides zervamicins, antiameobins and efrapeptins were fractionated into several polypeptide components by HPLC. A zervamicin fraction lacking tryptophan was characterized and shown to possess an N-terminal leucine residue. The conformations of zervamicin IIA and a synthetic analog in solution are compared with those determined for the related peptide, antiameobin. The results are consistent with a completely helical structure for the apolar analog of zervamicin in chloroform, with partial unfolding in DMSO. A similar conformation was determined for natural zervamicin IIB. A synthetic analog of efrapeptin forms a continuous helix in apolar solvents while, partial unfolding is seen in polar solvents. Natural zervamicin is an effective uncoupler of mitochondrial oxidative phosphorylation. Significant differences in membrane modifying activity are noted for the natural peptide and the synthetic apolar analog of zervamicin.

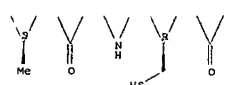
IT 64347-37-1, Antiameobin I 79395-86-1, Zervamicin IIA
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(structure and membrane modifying activity of)

RN 64347-37-1 CAPLUS
CN Antiameobin I (9CI) (CA INDEX NAME)

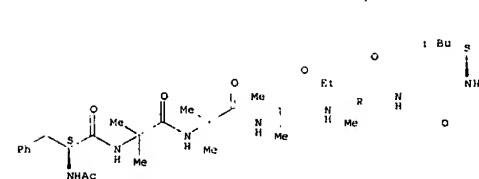
Absolute stereochemistry.

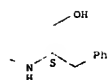
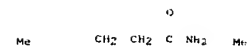
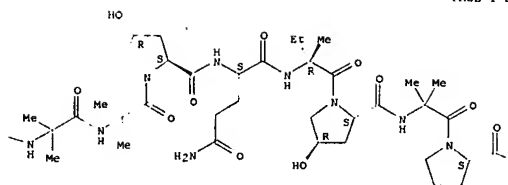
PAGE 1-A

OH

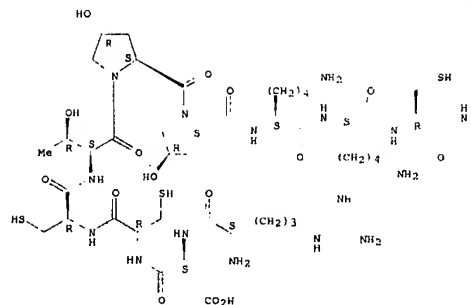
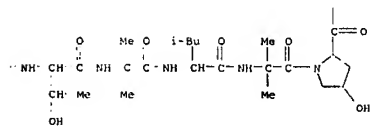
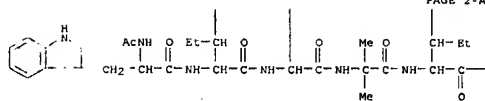
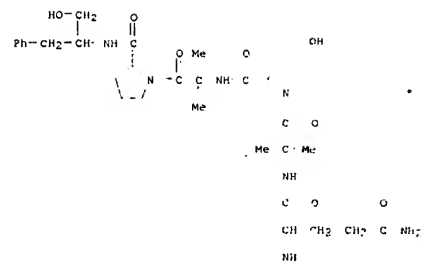


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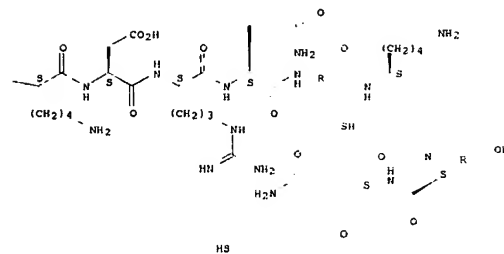


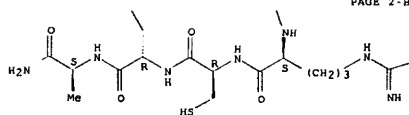
RN 79395-46-1 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 427 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1990:459825 CAPLUS
 DOCUMENT NUMBER: 113:59825
 TITLE: Amino acids and peptides. XI. Synthesis of μ -conotoxin GIIIA: a chemical probe for sodium channels
 Hatanaka, Yasumaru; Yoshida, Eiichi; Nakayama, Hitoshi; Kanaoka, Yuichi
 CORPORATE SOURCE: Fac. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1990), 38(1), 236-8
 CODEN: CPBTAL; ISSN: 0009-2363
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB μ -Conotoxin GIIIA, a 22 amino acid peptide paralytic toxin which inhibits the muscle voltage-activated sodium channels, was synthesized by a solid phase method. No purification of intermediates was necessary for the synthesis, and a simple air oxidation of the deprotected crude peptide gave the desired toxin. By all criteria applied, the synthetic material was indistinguishable from the authentic natural toxin.
 IT 86394-16-3P, Geographotoxin I (reduced)
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (Preparation of, by solid-phase method)
 RN 86394-16-3 CAPLUS
 CN μ -Conotoxin G IIIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.





PAGE 2-B

PAGE 2-C

NH₂

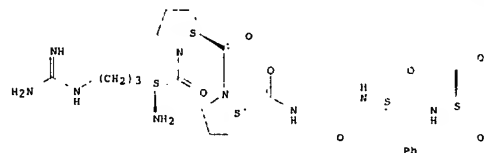
L6 ANSWER 428 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1990:210666 CAPLUS
 DOCUMENT NUMBER: 111:1066
 TITLE: Effect of bradykinin on opossum esophageal longitudinal smooth muscle: evidence for novel bradykinin receptors
 AUTHOR(S): Saha, Joy K.; Sengupta, J. N.; Goyal, Raj K.
 CORPORATE SOURCE: Harvard Dig. Dis. Cent., Charles A. Dana Res. Inst., Boston, MA, USA
 SOURCE: Journal of Pharmacology and Experimental Therapeutics (1990), 252(3), 1012-20
 CODEN: JPETAB; ISSN: 0022-3565
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Bradykinin (BK) produced a concentration-dependent contraction of the longitudinal but not the circular muscle of the opossum (Didelphis virginiana) esophagus. The pD₂ value of BK on the longitudinal muscle was 6.58 M. The maximal response of the longitudinal muscle to BK was 44% compared to carbachol. The putative B1 agonist des-Arg⁹-BK produced contraction of longitudinal muscle but the putative B1 receptor antagonist des-Arg⁹-(Leu⁸)-BK. The putative B2 antagonists D-Phe⁷-BK, This⁸-D-Phe⁷-BK, and Arg⁹-Hyp³-This⁸-D-Phe⁷-BK (B 6572) were found to be agonists in this tissue. The rank order of potency with respect to Emax obtained from cumulative concentration-response curves was BK > This⁸-D-Phe⁷-BK > B 6572 > D-Phe⁷-BK, and pD₂ values of these compds. were 6.58, 6.30, 6.74, and 5.05 M, resp. The excitatory effect of BK and This⁸-D-Phe⁷-BK was not modified by tetrodotoxin (1 and 10 μM), atropine (1 μM), or indomethacin (1 and 10 μM), but was inhibited by nifedipine (1 and 10 μM). Three putative tissue selective BK antagonists, D-Phe^{2,7}-BK (B 4404), D-Phe⁷-Hyp³-BK and Phe²-D-Phe⁷-BK also had an agonistic effect on longitudinal muscle. The rank order of potency of these 3 BK analogs with respect to Emax obtained from single concentration-response curves was BK > Phe²-D-Phe⁷-BK > D-Phe⁷-Hyp³-BK > B 4404, and pD₂ values were 5.21, 5.37, and 5.29 M, resp. These analogs showed rapid desensitization and cross tachyphylaxis among themselves and against BK and D-Phe⁷-BK but not This⁸-D-Phe⁷-BK and B 6572. The excitatory effect of B 4404 was not antagonized by tetrodotoxin (1 μM) and atropine (1 μM), but was abolished by indomethacin (1 μM). These results show that BK produces contraction of the opossum esophageal longitudinal but not circular muscle; the effect of BK is mediated by activation of 2 distinct receptors which are different from currently known B1 and B2 types and are named B3 and B4; they are both present postjunctionally and are activated by BK and

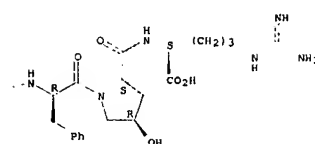
D-Phe⁷-BK; and they can be distinguished by the actions of the desensitization to BK analogs. The B3 receptor is characterized by rapid desensitization; it causes contraction via prostaglandins and is activated by Phe²-D-Phe⁷-Hyp³-BK, and B 4404. The B4 receptor shows no tachyphylaxis; its action does not involve prostaglandins and it is activated by This⁸-D-Phe⁷-BK and B 6572.
 IT 127634-27-9, B 5092
 RL: BIOL (Biological study)
 (esophagus longitudinal muscle from opossum response to, other tissue responses comparison with)
 RN 127634-27-9 CAPLUS
 CN L-Arginine, L-arginyl-L-prolyl-L-prolylglycyl-L-phenylalanyl-L-seryl-D-phenylalanyl-(4R)-4-hydroxy-L-prolyl- (5CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



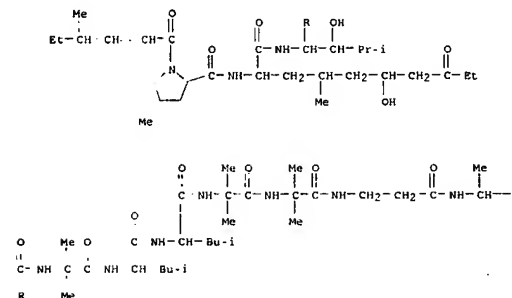
PAGE 1-B



L6 ANSWER 429 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1990:210604 CAPLUS
 DOCUMENT NUMBER: 112:210604
 TITLE: Dual inhibitory effects of the peptide antibiotics leucinostatins on oxidative phosphorylation in mitochondria
 AUTHOR(S): Shima, Atsushi; Fukushima, Kazutaka, Arai, Tadashi; Terada, Hiroshi
 CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokushima, Tokushima, 770, Japan
 SOURCE: Cell Structure and Function (1990), 15(1), 53-8
 CODEN: CSFUDY; ISSN 0368 7194
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The effects of the hydrophobic peptide antibiotics leucinostatins A and B

on the functions of rat liver mitochondria were examined At a concentration of 240 μM, these compds. completely inhibited state 3 respiration and ATPase activity that was stimulated by weakly acidic uncouplers. However, at higher concns., they induced uncoupling, probably by their protonophoric action. The uncoupling action was potentiated by known phosphoryl transfer inhibitors such as venturicidin, DCCD and oligomycin. The binding site of leucinostatins at lower concns. was suggested to be located at, or very close to that of venturicidin. The potencies of the two analogs of leucinostatin were almost the same for all their actions. Their effects were very similar to those of the peptide antibiotics A20668's, which have been used as leucinostatins without any chemical and biol. confirmation that they are in fact leucinostatins. Thus, leucinostatins are thought to be analogs of the A20668's.
 IT 76600-38-9, Leucinostatin A 76663-52-0, Leucinostatin B
 RL: BIOL (Biological study)
 (oxidative phosphorylation in mitochondria inhibition by, mechanism of)
 RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9CI) (CA INDEX NAME)

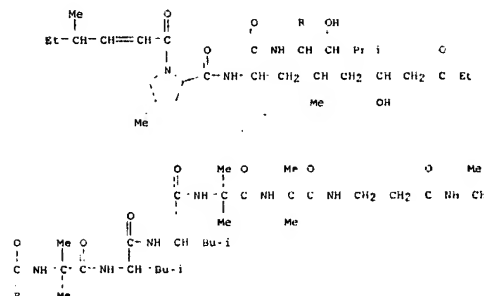
PAGE 1-A



CH₂-NMe₂

RN 76663-52-0 CAPLUS
 CN Leucinostatin B (9CI) (CA INDEX NAME)

PAGE 1-A



—CH₂:NHMe

L6 ANSWER 430 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1990:175055 CAPLUS
 DOCUMENT NUMBER: 112:175055
 TITLE: Photolabile μ -conotoxins with a chromogenic phenyldiazirine. A novel probe for muscle-type sodium channels
 AUTHOR(S): Hatanaoka, Yasumaru; Yoshida, Eiichi; Nakayama, Hitoshi; Abe, Teruo; Satake, Mei; Kanaoka, Yuichi
 CORPORATE SOURCE: Fac. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan
 SOURCE: FEBS Letters (1990), 269(1), 27-30
 CODEN: FEBSLB; ISSN: 0014-5793
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Three photoreactive derivs. of μ -conotoxin G IIIA were prepared as photoaffinity labeling reagents for muscle-type Na channels. The reagents competitively inhibited the binding of saxitoxin to the eel Na channel with K_i values of 11-18 nM. The introduced chromogenic phenyldiazirine group on the toxin was photolyzed efficiently, and spectroscopic properties of the reagents demonstrated that irradiation and detection can be performed in a spectral region where the absorptions due to most of biol. macromols. are negligible.

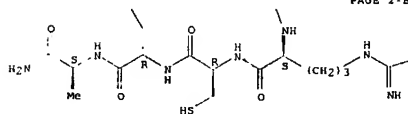
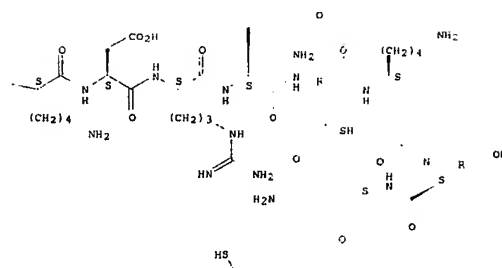
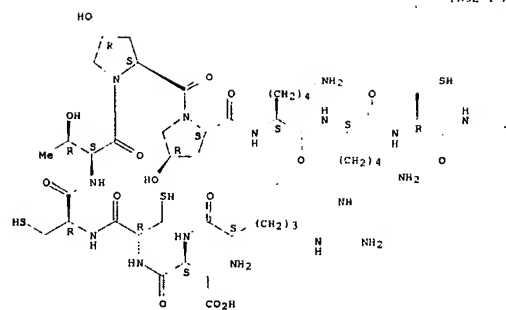
IT 86394-16-3DP, Geographotoxin I (reduced), reaction products with [(nitro(trifluoromethyl)diaziriny]phenoxy]acetic acid hydroxysuccinimide ester 126605-78-5P

RL: PREP (Preparation)
 (preparation and photoaffinity labeling by, of muscle sodium channel)

RN 86394-16-3 CAPLUS

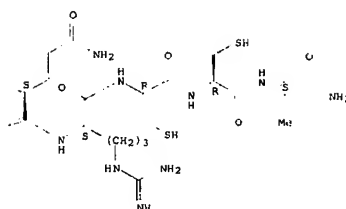
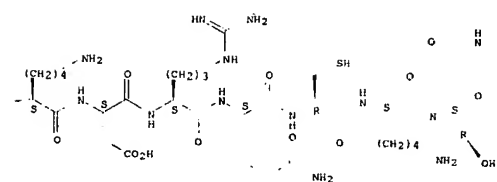
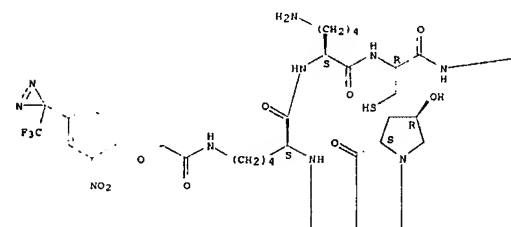
CN μ -Conotoxin G IIIA (reduced) (9CI) (CA INDEX NAME)

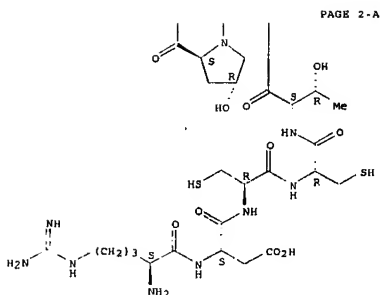
Absolute stereochemistry.

—NH₂

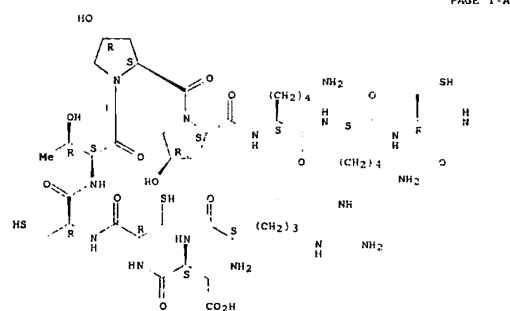
RN 126605-78-5 CAPLUS
 CN μ -Conotoxin G IIIA (reduced), 8-[N6-[(2-nitro-4-[3-(trifluoromethyl)-3H-diazirin-5-yl]phenoxy]acetyl]-L-lysine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

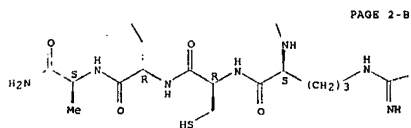
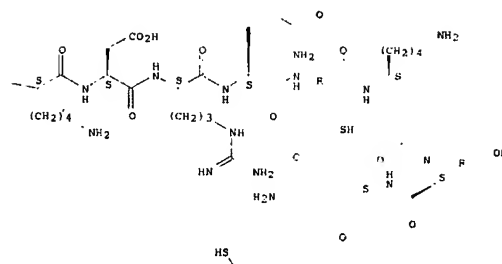




L6 ANSWER 431 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1990:113628 CAPLUS
 DOCUMENT NUMBER: 112:113628
 TITLE: Sodium channel reagent. Geographotoxin
 (μ-conotoxin)
 AUTHOR(S): Ohizumi, Yasushi
 CORPORATE SOURCE: Mitsubishi Kasei Seimei Kagaku Kenkyusho, Machida,
 Japan
 SOURCE: Seitai no Kagaku (1989), 40(4), 426-7
 CODEN: SEKAA6; ISSN: 0370-9531
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: Japanese
 AB A review, with 5 refs., of the structure, properties, and action mechanism
 of geographotoxin I and II as selective Na channel blockers in the
 skeletal muscle, as tools for studying Na channel subunits.
 IT 86394-16-3, Geographotoxin I (reduced) 86414-29-1
 RL: BIOL. (biological study)
 (as sodium channel blocker in muscle)
 RN 86394-16-3 CAPLUS
 CN μ-Conotoxin G IIIA (reduced) (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

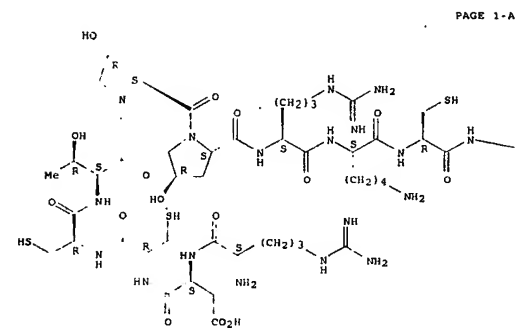
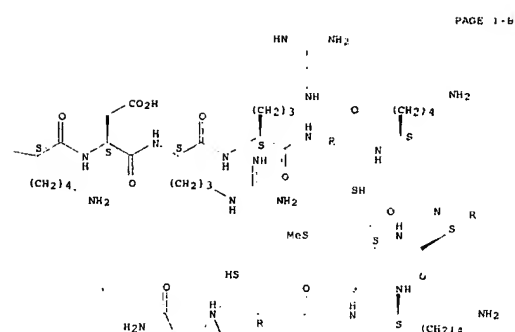


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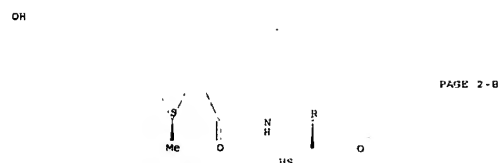


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—NH₂
 RN 86414-29-1 CAPLUS
 CN μ-Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



PAGE 1-C



L6 ANSWER 432 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1990:56643 CAPLUS
 DOCUMENT NUMBER: 112:56643
 TITLE: Structural studies of leucinostatin A and its
 Boc-Aib-Leu-Leu-Aib-OMe tetrapeptide fragment
 AUTHOR(S): Vertuani, G.; Falcomer, C.; Roggiani, M.; Pochetti, G.

CORPORATE SOURCE: Cerrini, S.; Ricci, M.; Rossi, C.; Scatturin, Angelo
SOURCE: Dep. Pharm. Sci., Univ. Ferrara, Ferrara, 44100, Italy
International Journal of Peptide & Protein Research
(1989), 33(3), 162-70
CODEN: IJPPCJ; ISSN: 0367-8377
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:56643

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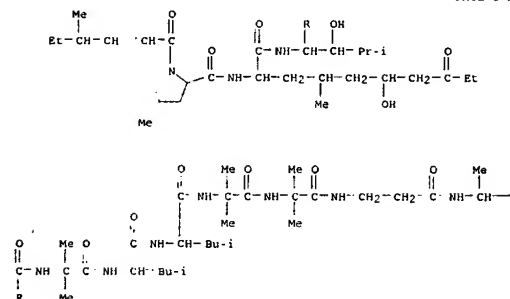
AB The conformational behavior of the peptide antibiotic leucenostatin A has been studied in solvents of different polarity by CD and IR. IR studies provided evidence of an intramolecular hydrogen-bonded structure in CDCl₃, while CD studies suggested a helical conformation in leucenostatin A in lipophilic solvents. The tetrapeptide Boc-Alb-Leu-Leu-Alb-OMe (Alb = aminoisobutyric acid, Boc = Me₃CO₂C), a fragment of leucenostatin A, was also studied both in solution and in solid state using x-ray diffraction. The crystal structure shows that the peptide backbone folds into a right-handed 310-helical conformation stabilized by two intramol. 4 → 1 hydrogen bonds. The spectroscopic anal. in solution is consistent with the conformation found in solid state.

IT 76600-38-9, Leucenostatin A
RL: PRP (Properties)
(conformation of, by CD and IR)

RN 76600-38-9 CAPLUS

CN Leucenostatin A (9CI) (CA INDEX NAME)

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CH₂ NMe₂

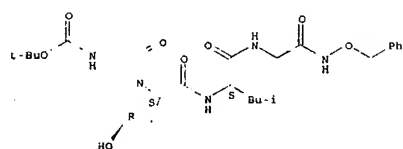
L6 ANSWER 433 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:7933 CAPLUS
DOCUMENT NUMBER: 112:7933
TITLE: Preparation of hydroxamic acid derivatives of tetrapeptides as specific inhibitors of vertebrate collagenase
INVENTOR(S): Otake, Shinjiro; Okayama, Toru; Obata, Masami; Morikawa, Tadanori; Nagai, Yutaka
PATENT ASSIGNEE(S): Fuji Chemicals Industrial Co., Ltd., Japan
SOURCE: PCT Int. Appl., 43 pp
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8905819	A1	19890629	WO 1988-JP1281	19881216
W: US				
RW: DE, FR, GB, IT				
JP 81160997	A	19890623	JP 1987-31164	19871217
JP 2573006	B2	19970116		
EP 345359	A1	19891213	EP 1989-90653	19881216
EP 345359	B1	19970409		
R: DE, FR, GB, IT				
US 5100874	A	19920311	US 1989-392931	19890804
PRIORITY APPLN. INFO.:			JP 1987-317364	A 19871217
			WO 1988 JP1281	W 19881216

OTHER SOURCE(S): MARPAT 112:7933
AB Tetrapeptide N-hydroxyamides X₁-X₂-X₃-X₄-NHOH [I; X₁ X₄ = α-amino acid residue, where α-amino group of X₁ may be acylated with (un)substituted aliphatic or aromatic hydroxycarbonyl or acyl] were prepared as specific inhibitors of vertebrate collagenase. Hydrogenolysis of 2-Gly-Pro-D-Leu-D-Ala-OMe in MeOH over 10% Pd/C followed by amidation with p-(BzLO)C₆H₄COCl (BzL = PhCH₂ in DMF gave O-Gly-Pro-D-Leu-D-Ala-OMe [Q = (p-BzLO)C₆H₄CO] which was condensed with NH₂OH in MeOH to give, after hydrogenolysis in MeOH over 10% Pd/C, p-HOC₆H₄CO-Gly-Pro-D-Leu-D-Ala-NHOH (II).
IT 124169-20-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for tripeptide hydroxamide collagenase inhibitor)
RN 124169-20-6 CAPLUS
CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]glycyl-L-trans-4-hydroxy-L-

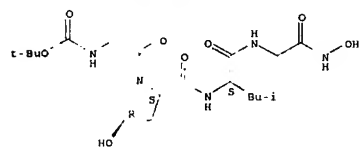
propyl-L-leucyl-N-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

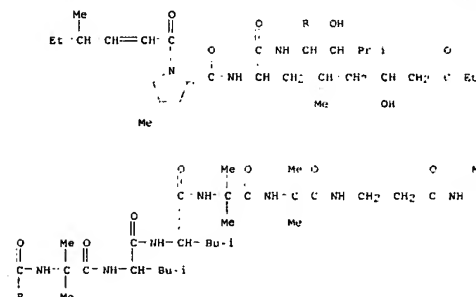


IT 124168-72-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as selective inhibitor of vertebrate collagenase)
RN 124168-72-5 CAPLUS
CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]glycyl-L-trans-4-hydroxy-L-propyl-L-leucyl-N-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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PAGE 1-B

L6 ANSWER 434 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:613589 CAPLUS
DOCUMENT NUMBER: 111:233589
TITLE: Conformational studies of synthetic leucenostatin A fragments
AUTHOR(S): Falcomer, C.; Vertuani, G.; Boggian, M.; Pochetti, G.; Cerrini, S.; Scatturin, A.
CORPORATE SOURCE: Dip. Sci. Farm., Univ. Ferrara, Ferrara, 44100, Italy
SOURCE: Colloque INSERM (1989), 174 (Forum Pept., 2nd, 1988), 383-6
CODEN: CINMDE; ISSN: 0768-3154
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A report from a forum on peptides. The effect of chain length and amino acid sequence on the leucenostatin A conformation has been investigated by synthesis and conformational studies on some fragments of this peptide antibiotic. The IR absorption and the NMR results are consistent with the presence in the fragments of an intramol. H-bonded structure (R-bend or 310-helix).
IT 76600-38-9, Leucenostatin A
RL: RCT (Reactant); RACT (Reactant or reagent)
(conformation of synthetic fragments of)
RN 76600-38-9 CAPLUS
CN Leucenostatin A (9CI) (CA INDEX NAME)

CH₂ NMe₂

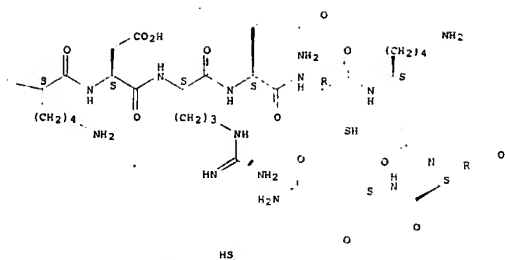
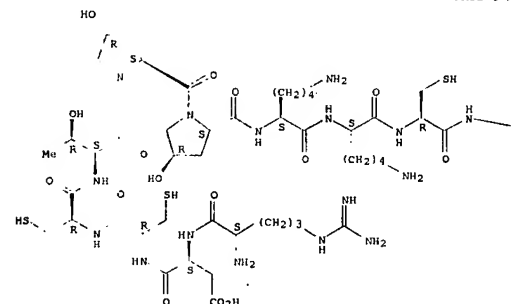
L6 ANSWER 435 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:610350 CAPLUS
DOCUMENT NUMBER: 111:210380
TITLE: Synthesis and characterization of μ-conotoxin IIIa
AUTHOR(S): Becker, Stefan; Acherton, Eric; Gordon, Robert D.
CORPORATE SOURCE: Max-Planck-Inst. Biophys., Frankfurt/Main, D-6000/70, Fed. Rep. Ger
SOURCE: European Journal of Biochemistry (1989), 185(1), 79-84
CODEN: EJBCEJ; ISSN: 0014-2956
DOCUMENT TYPE: Journal
LANGUAGE: English
AB μ-Conotoxin IIIa, a voltage-dependent Na channel neurotoxin, was synthesized using solid-phase peptide synthesis employing 9-(fluorenylmethoxycarbonyl) chemical. After cleavage from the resin, the peptide was isolated by reverse-phase HPLC and then the 6 acetamidomethyl groups were removed by treatment with Hg(AcO)₂. The reduced product so

formed was purified by reverse-phase HPLC. Protocols were developed to optimize the oxidation of the cysteine residues to form disulfide bonds. Protocols employed using air oxidation together with 2-mercaptoethanol were the most effective. As complete oxidation was never obtained, the oxidized peptide was purified by reverse-phase HPLC. The activity of the products was monitored using [³H]saxitoxin binding to cell membranes. The oxidized product was able to completely block [³H]saxitoxin binding in a competitive manner. Lineweaver-Burke anal. of [³H]saxitoxin binding gave a *K_i* of 1.5 nM, *I*C₅₀ was determined as 26.6 nM. It was also shown that the pure synthetic μ -conotoxin IIIa had the same retention time on reverse-phase HPLC as the natural conotoxin IIIa. Thus, an active toxin was synthesized that can be used to probe Na channels.

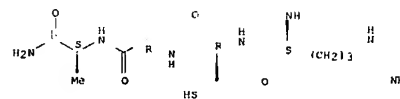
IT 86394-16-3P, μ -Conotoxin IIIA
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (preparation and characterization of)
 RN 86394-16-3 CAPLUS
 CN μ -Conotoxin G IIIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 2-B



PAGE 2-C

-NH₂

L6 ANSWER 436 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1989:492855 CAPLUS
 DOCUMENT NUMBER: 111:92855
 TITLE: Serine protease inhibitors, their preparation, and pharmaceutical compositions containing them
 INVENTOR(S): Glover, George Irvin, McWhorter, Charles Allan, Schastee, Charles Steven
 PATENT ASSIGNEE(S): Monsanto Co., USA
 SOURCE: Eur. Pat. Appl., 20 pp
 CODEN: EPXNDX
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 252057	A2	19880107	EP 1987-870078	19870610
EP 252057	A3	19890823		
EP 252057	B1	19930428		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4829052	A	19890509	US 1987-45833	19870508
JP 63022027	A	19880129	JP 1987-144997	19870610
JP 2520131	B2	19960731		
CA 1308864	C	19921013	CA 1987-539375	19870610
AT 88720	T	19930515	AT 1987-870078	19870610
ES 2053588	T3	19940801	ES 1987-870078	19870610
PRIORITY APPLN. INFO.:				
			US 1986-871014	A 19860611
			US 1987-45833	A 19870508
			EP 1987-870078	A 19870610

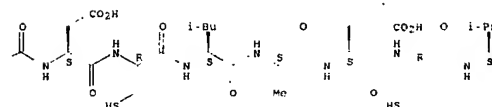
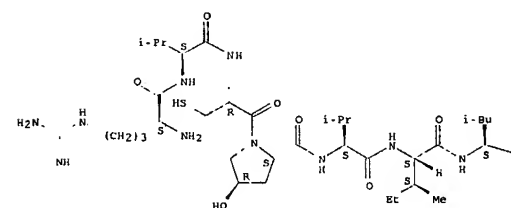
PAGE 1-B

OTHER SOURCE(S): MARPAT 111:92855
 AB Synthetic serine protease inhibitors Arg-Val-Cys-Pro-X-Ile-Leu-Met-Lys-Cys-Lys-Lys-Asp-Ser-Asp-Cys-Leu-Ala-Glu-Cys-Val-Cys-Leu-Glu-His-Gly-Tyr-Cys-Gly and homologous variations thereof (X = amino acid imparting inhibitory activity towards target serine proteases) are disclosed. Methods and compns. useful for treating conditions caused by unwanted serine protease activity are also disclosed. Peptides were prepared by solid-phase synthesis and assayed for activity towards trypsin, elastase, cathepsin G, and chymotrypsin. Peptide 8, RVCPTLMCKKDSCLACVCLHGYCG, inhibited elastase >50% at an inhibitor:protease ratio of 10:1-50:1.

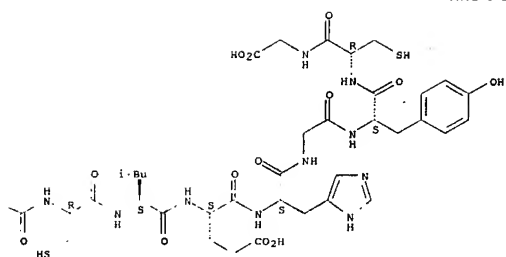
IT 120871-20-7
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (serine protease inhibitor)
 RN 120871-20-7 CAPLUS
 CN Trypsin inhibitor III (Cucurbita maxima seed reduced), 4-(trans-4-hydroxy-L-proline)-5-L-valine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-C

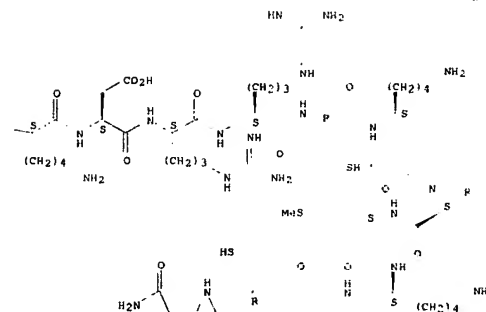
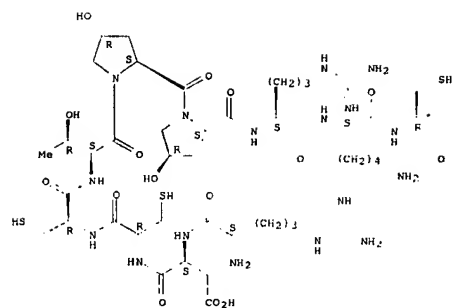


L6 ANSWER 437 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1989:492090 CAPLUS
 DOCUMENT NUMBER: 111:92090
 TITLE: Use of geographotoxin II (μ -conotoxin) for the study of neuromuscular transmission in mouse
 AUTHOR(S): Hong, S. J.; Chang, C. C.
 CORPORATE SOURCE: Coll. Med., Natl. Taiwan Univ., Taipei, Taiwan
 SOURCE: British Journal of Pharmacology (1989), 97(3), 934-40
 CODEN: BJPCBM; ISSN: 0007-1188
 DOCUMENT TYPE: Journal
 LANGUAGE: English

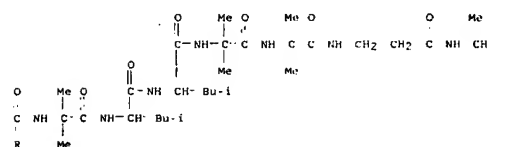
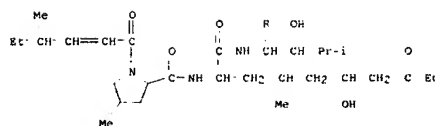
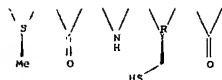
AB Endplate potentials (e.p.ps) were investigated in the presence of geographotoxin II (GT XII) in the mouse phrenic nerve diaphragm preparation. This toxin preferentially blocks muscle Na^+ channels which allows the study of e.p.ps in the absence of nicotinic receptor antagonists or substances to depress acetylcholine release. GT XII abolished muscle action potentials and antagonized the depolarization of the muscle membrane produced by the procaine-induced opening of Na^+ channels. E.p.ps as large as 19-25 mV were observed after 2-4 $\mu\text{g}/\text{mL}$ GT XII. These concns. of GT XII did not cause discernible changes of resting membrane potential and frequency and amplitude of miniature e.p.ps. Lower concns. (1-2 $\mu\text{g}/\text{mL}$) of GT XII caused incomplete blockade of the muscle Na^+ channel resulting in exaggerated e.p.ps, while higher concns. of GT XII (8 $\mu\text{g}/\text{mL}$) abolished e.p.ps by a prejunctional effect. Trains of e.p.ps on repetitive stimulation after GT XII neither ran down, as in tubocurarine-treated preps., nor facilitated, as in low Ca^{2+} and/or high Mg^{2+} -treated preps., and were indistinguishable from those of untreated cut muscle preparation. In cut muscle preps., GT XII did not affect the rise and decay times, amplitude, or rundown of e.p.ps. Thus, GT XII is a useful agent for studying neuromuscular transmission. This method provides e.p.ps which are neither attenuated nor modified because manipulations that alter transmitter release and postjunctional receptor responses are avoided.

IT 86414-29-1
 RL: BIOL (Biological study)
 (neuromuscular transmission response to)
 RN 86414-29-1 CAPLUS
 CN μ -Conotoxin G IIB (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OH



L6 ANSWER 438 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1989:420788 CAPLUS
 DOCUMENT NUMBER: 111:20788
 TITLE: Evaluation of the mutagenic activity of leucinoastatins, a novel class of antibiotic peptides produced by Paecilomyces marquandii, in the mold Aspergillus nidulans
 AUTHOR(S): Crebelli, R.; Carere, A.; Conti, G.; Conti, L.; Rossi, C.; Turtobello, L.
 CORPORATE SOURCE: Ist. Super. Sanita, Rome, 00161, Italy
 SOURCE: Microbiologica (1988), 11(4), 299-305
 CODEN: MIBLDR; ISSN: 0391-5352
 DOCUMENT TYPE: Journal
 LANGUAGE: English

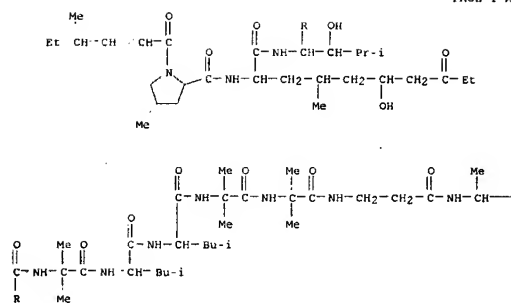
AB Leucinoastatins A, B, C, D, E, G, H, and K were thoroughly investigated for their genotoxic activity using *A. nidulans* as the test organism. The results of assays for gene mutation (8-azaguanine resistance and methionine suppressors), gene conversion, mitotic crossing-over and mitotic aneuploidy induction suggest that these peptide antibiotics lack significant mutagenicity and that nongenotoxic mechanism(s) underlie their cytotoxic properties.

IT 76600-38-9, Leucinoastatin A 76663-52-0, Leucinoastatin B 108426-90-0, Leucinoastatin D 109539-57-3, Leucinoastatin K 109539-58-4, Leucinoastatin H 110483-88-0, Leucinoastatin C
 RL: DAC (Biological activity or effector, except adverse), BSU (Biological study, unclassified); BIOL (Biological study)
 (mutagenic activity of, in *Aspergillus nidulans*)
 RN 76600-38-9 CAPLUS
 CN Leucinoastatin A (9CI) (CA INDEX NAME)

-- CH₂-NMe₂

RN 76663-52-0 CAPLUS
 CN Leucinoastatin B (9CI) (CA INDEX NAME)

PAGE 1 - A

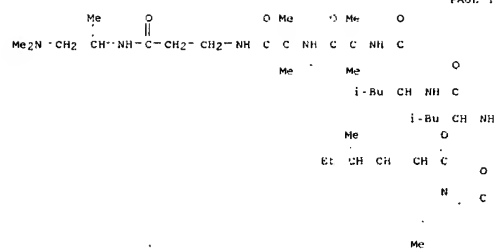


PAGE 1-B

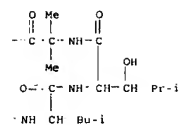
$$-\text{CH}_2-\text{NHMe}$$

RN 108426-90-0 CAPLUS
CN Leucinostatin D (9CI) (CA INDEX NAME)

PAGE 1 - A

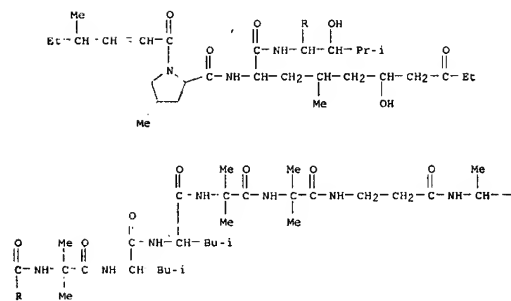


PAGE 1-B



RN 109539-57-3 CAPLUS
CN Leucinostatin K (9CI) (CA INDEX NAME)

PAGE 1 - A

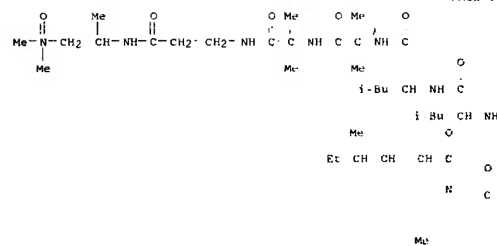


PAGE 1-B

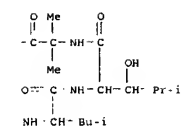
$$\begin{array}{c} \text{O} \\ | \\ -\text{CH}_2 - \text{N} - \text{Me} \\ | \\ \text{Me} \end{array}$$

RN 109539-58-4 CAPLUS
CN Leucinostatin H (9CI) (CA INDEX NAME)

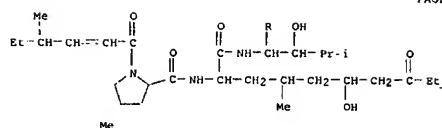
PAGE 1 - A



PAGE 1 - B



RN 110483-88-0 CAPLUS
CN Leucinostatin C (9CI) (CA INDEX NAME)



specifically blocks Na channels in muscle, was synthesized by a solid-phase method. The 3 SS bridges were formed by air oxidation. After HPLC purification, the synthetic product was identical with the native conotoxin GIITA from *C. geographus*. A high-specific-activity, 125I-labeled derivative of μ -conotoxin was prepared and used for binding assays to the Na channel from *Electrophorus elec. organ*. Specific binding could be abolished by competition with Letrotoxidol. The radiolabeled toxin was specifically linked to the Na channel. Thus, the μ -conotoxin GIITA can be used to define the quinuclidinium toxin binding site and will be a useful ligand for understanding functionally important differences between Na channel subtypes.

IT 86394-16-3P, μ -Conotoxin GIIIA

RL: PREP (Preparation)

```

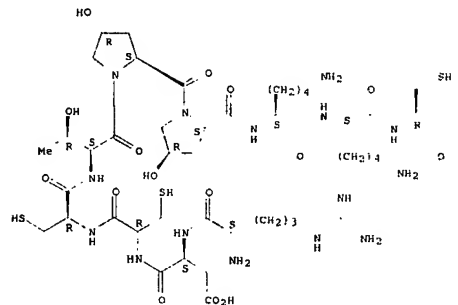
      (preparation and radioiodination and receptors characterization of)
  
```

RN 86394-16-3 CAPLUS

CN μ -Conotoxin G IIIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A


$$-\text{CH}_2\text{NH}_2$$

L6 ANSWER 439 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:169737 CAPLUS

DOCUMENT NUMBER: 110:169737

TITLE: μ -Conotoxin GIIIA, a peptide ligand for muscle sodium channels: chemical synthesis, radiolabeling

AUTHOR(S): Cruz, Lourdes J.; Kupryszewski, Gotfryd; LeCheminant, Garth W.; Gray, William R.; Olivera, Baldomero M.

CORPORATE SOURCE: Clayton Found. Lab. Peptide Biol., Salk Inst., La

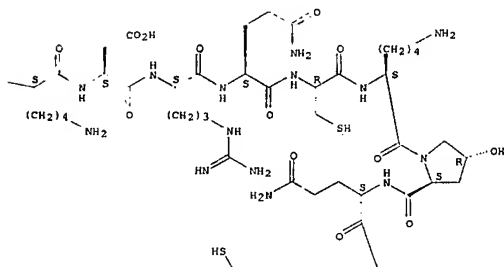
SOURCE: Jolla, CA, 92037, USA
Biochemistry (1989), 28(8), 3437-42
CODEN: BICHAS; ISSN: 0006-2860

DOCUMENT TYPE: Journal
LANGUAGE: English

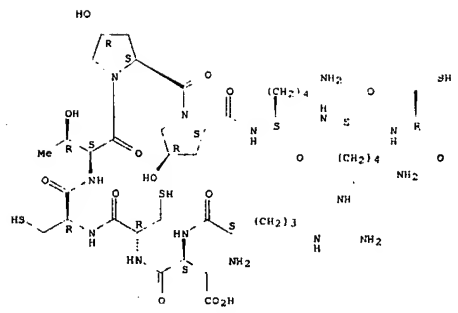
AB The peptide conotoxin GlIIA from *Conus geographus* venom, which

PAGE 1-B

PAGE 1 - B

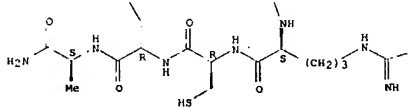


PAGE 1-A



PAGE 1 - B

PAGE 2 - B



PAGE 2 - C

 —NH_2

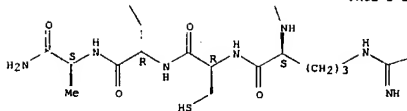
IT 86394-16-3DP, μ -Conotoxin GIIIA, reaction products with
radioiodinated succinimidyl(hydroxyphenyl)propionate
RL: PREP (Preparation)

```

      (preparation and sodium channel labeling by)
RN      86394-16-3  CAPLUS
CN      μ-Conotoxin G IIIA (reduced) (9CI)  (CA INDEX NAME)

```

Absolute stereochemistry.

NH₂

L6 ANSWER 440 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1989:169319 CAPLUS
 DOCUMENT NUMBER: 110:169319
 TITLE: Dipeptide derivatives, a method for synthesizing them, and their use in protease determination
 INVENTOR(S): Quentin, Gerard
 PATENT ASSIGNEE(S): Serbio, Fr.
 SOURCE: Eur. Pat. Appl., 19 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 280610	A1	19880831	EP 1988-400304	19880210
EP 280610	B1	19921021		

R1	DE	FR 2611205	A1	19880826	FR 1987-2259	19870220
		FR 2611205	B1	19900302		

PRIORITY APPLN. INFO.: FR 1987-2259 A 19870220
 OTHER SOURCE(S): MARPAT 110:169319

AB Dipeptides Q-A1-A2-R1 [I], Q = ROC(O)(C(R2)(R3))nCO; R = H, C1-4 alkyl, (un)substituted Ph, (un)substituted benzyl, tosylmethoxy, with preferred substituents being Me, OMe, alkylendioxy; R2, R3 = H, C1-4 alkyl; n = 1-5; R1 = NH-X, X = an enzymically cleavable marker; A1 = nonbasic amino acid; A2 = basic amino acid) are prepared and used in determination of proteases

such as thrombin, plasmin, etc. MeOC(O)CH₂C(O)-Pro-Arg-p-nitroanilide was prepared by standard procedures. This compound was a better substrate for protein

C than com. available tripeptide derivative D-Lys(nCbo)-Pro-Arg-p-nitroanilide.

IT 119876-38-9P 119876-50-5P

RL: PREP (Preparation)
 (preparation of, for blood coagulation protease determination)

RN 119876-38-9 CAPLUS

CN L-Argininamide, trans-4-hydroxy-1-(3-methoxy-1,3-dioxopropyl)-L-prolyl-N-(4-nitrophenyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

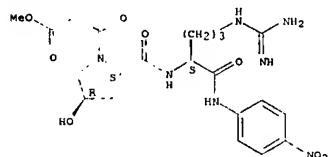


IT 119876-37-8P
 RL: PREP (Preparation)
 (preparation of, plasmin determination with)

RN 119876-37-8 CAPLUS

CN L-Argininamide, trans-4-hydroxy-1-(3-methoxy-1,3-dioxopropyl)-L-prolyl-N-(4-nitrophenyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 441 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1989:168455 CAPLUS
 DOCUMENT NUMBER: 110:168455
 TITLE: The crystal and molecular structure of the α-helical nonapeptide antibiotic leucinoastatin A
 AUTHOR(S): Cerrini, S.; Lamba, D.; Scatturin, A.; Rossi, C.; Ughetto, G.
 CORPORATE SOURCE: Ist. Strutt. Chim. "G. Giacomello", CNR, Monterotondo, 00016, Italy
 SOURCE: Biopolymers (1989), 20(1), 409-20
 CODEN: BIPMAA; ISSN: 0006-3525
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The crystal and mol. structure of the nonapeptide antibiotic leucinoastatin A, containing some uncommon amino acids and 3 α-aminoisobutyric acid residues, has been determined by x-ray diffraction anal. The mol. crystallizes in the orthorhombic space group P2₁2₁2₁. a = 10.924, b = 17.810, c = 40.50 Å, C₂H₂11N₁₁O₁₃, HCl.H₂O, Z = 4. The peptide backbone folds in a regular right-handed α-helix conformation with 6 intramol. i → (i + 4) H bonds, forming C13 rings. The nonapeptide chain includes at the C end an unusual β-alanine residue, which also adopts the helical structure of the other 8 residues. In the crystal, the helices are linked head to tail by electrostatic and H-bond interactions, forming continuous helical rods. The crystal packing is formed by adjacent parallel and antiparallel helical rods. Between adjacent parallel helical columns there are only van der Waals contacts, while between adjacent antiparallel helical columns H-bond interactions are formed.

IT 76600-38-9, Leucinoastatin A

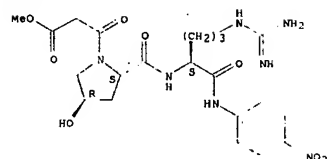
RL: PREP (Properties)

RN 76600-38-9 CAPLUS

CN Leucinoastatin A (9CI) (CA INDEX NAME)

CRN 119876-37-8
 CMP C21 H29 N7 O8

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMP C2 H F3 O2

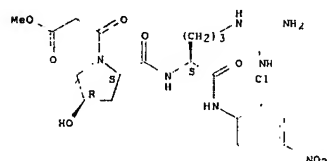


RN 119876-50-5 CAPLUS
 CN L-Argininamide, trans-4-hydroxy-1-(3-methoxy-1,3-dioxopropyl)-L-prolyl-N-(2-chloro-4-nitrophenyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

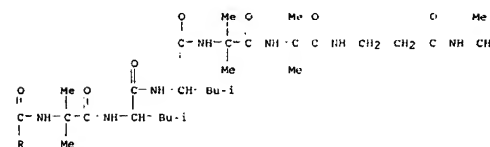
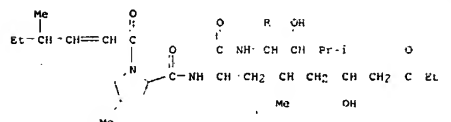
CRN 119876-49-2
 CMP C21 H29 Cl N7 O8

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMP C2 H F3 O2

CH₂-NMe₂

L6 ANSWER 442 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1989:115306 CAPLUS
 DOCUMENT NUMBER: 110:115306
 TITLE: Synthetic amatoxin analogs. II. A proton NMR study of 5-deoxy-11e3 (D-Ala5 and 5-deoxy 11e3-(D)-Ala5-aminamide
 AUTHOR(S): Zanotti, Giancarlo; D'Auria, Gabriella; Paolillo, Livio; Trivellone, Enrico
 CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Rome, Rome, 00134, Italy
 SOURCE: International Journal of Peptide & Protein Research (1988), 32(1), 9-20
 CODEN: IJPPCS; ISSN: 0367-8377
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 110:115306
 AB Amatoxin analogs with D and L-Ala substitutions in position 5 have been

studied by means of 1- and 2-dimensional NMR spectroscopy at 500 MHz. The assignment of all resonances for both analogs has been carried out mostly with the use of COSY and NOESY type expts. Temperature coeffs. for the amide

PAGE 1 A

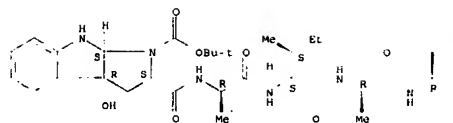
NH protons have been measured and the data compared to known amatoin structures. The rigidity of the bicyclic amatoin framework is preserved in the D and L-Ala5 analogs, although the temperature coeffs. point to intramol.

hydrogen bonds stronger in the case of the L-Ala analog. The 10-fold decrease of biol. activity is discussed in terms of structural features involving also the Trp1 indole accessibility.

IT 119351-89-2 119351-90-5 119372-69-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(acidolytic deblocking of)

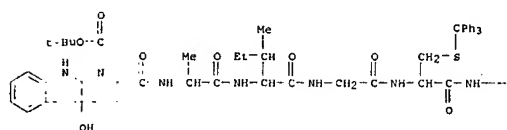
RN 119351-89-2 CAPLUS

CN L-Isoleucine, N-[trans-4-(1,1-dimethylethoxy)-1-[N2-[N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-1,2,3,4a,8a-hexahydro-3a-hydroxypyrrolo[2,3-b]indol-2-yl]carbonyl]-D-alanyl]-L-isoleucyl]glycyl]-S-(triphenylmethyl)-L-cysteinyll-L-asparaginyll-L-prolyll-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

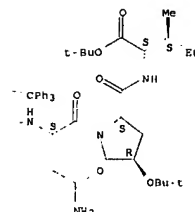
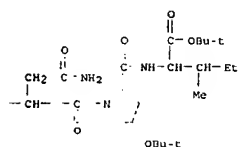


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RN 119372-69-9 CAPLUS

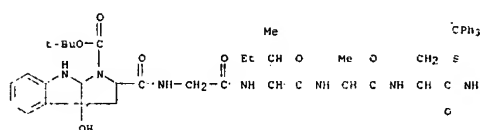
CN L-Isoleucine, N-[trans-4-(1,1-dimethylethoxy)-1-[N2-[N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-1,2,3,4a,8a-hexahydro-3a-hydroxypyrrolo[2,3-b]indol-2-yl]carbonyl]-D-alanyl]-L-isoleucyl]glycyl]-S-(triphenylmethyl)-L-cysteinyll-L-asparaginyll-L-prolyll-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

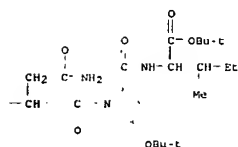
RN 119351-90-5 CAPLUS

CN L-Isoleucine, N-[trans-4-(1,1-dimethylethoxy)-1-[N2-[N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-1,2,3,4a,8a-hexahydro-3a-hydroxypyrrolo[2,3-b]indol-2-yl]carbonyl]-D-alanyl]-L-isoleucyl]-D-alanyl]-S-(triphenylmethyl)-L-cysteinyll-L-asparaginyll-L-prolyll-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B

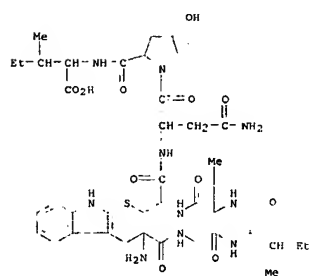


IT 119351-91-6P 119433-70-4P 119434-32-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

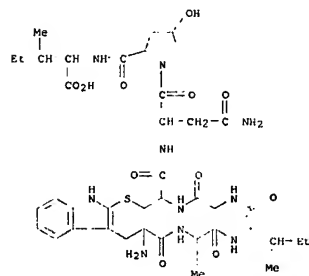
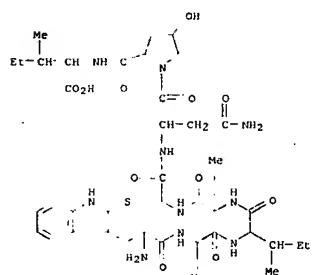
RN 119351-91-6 CAPLUS

CN L-Isoleucine, 2-mercapto-L-tryptophyl-D-alanyl-L-isoleucyl-D-alanyl-L-cysteinyll-L-asparaginyll-(4R)-4-hydroxy-L-prolyll-, cyclic (1-5)-thioether (9CI) (CA INDEX NAME)



RN 119434-32-1 CAPLUS

CN L-Isoleucine, 2-mercapto-L-tryptophyl-D-alanyl-L-isoleucylglycyl-L-cysteinyll-L-asparaginyll-(4R)-4-hydroxy-L-prolyll-, cyclic (1-5)-thioether (9CI) (CA INDEX NAME)



RN 119433-70-4 CAPLUS

CN L-Isoleucine, 2-mercapto-L-tryptophylglycyl-L-isoleucyl-D-alanyl-L-cysteinyll-L-asparaginyll-(4R)-4-hydroxy-L-prolyll-, cyclic (1-5)-thioether (9CI) (CA INDEX NAME)

L6 ANSWER 443 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1988:631560 CAPLUS
DOCUMENT NUMBER: 109:231560
TITLE: Preparation of hirudin segments as anticoagulants
INVENTOR(S): Krstenansky, John L., Mao, Simon J T
PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals, Inc., USA
SOURCE: Eur. Pat. Appl., 21 pp
CODEN: EPKXDA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PRIORITY APPLN. INFO.:

OTHER SOURCE(S) :

MARPAT 109:211560

AB **1-2** **3-4** **5-6** **7-8** **9-10** **11-12** **13-14** **15-16** **17-18** **19-20** **21-22** **23-24** **25-26** **27-28** **29-30** **31-32** **33-34** **35-36** **37-38** **39-40** **41-42** **43-44** **45-46** **47-48** **49-50** **51-52** **53-54** **55-56** **57-58** **59-60** **61-62** **63-64** **65-66** **67-68** **69-70** **71-72** **73-74** **75-76** **77-78** **79-80** **81-82** **83-84** **85-86** **87-88** **89-90** **91-92** **93-94** **95-96** **97-98** **99-100** **101-102** **103-104** **105-106** **107-108** **109-110** **111-112** **113-114** **115-116** **117-118** **119-120** **121-122** **123-124** **125-126** **127-128** **129-130** **131-132** **133-134** **135-136** **137-138** **139-140** **141-142** **143-144** **145-146** **147-148** **149-150** **151-152** **153-154** **155-156** **157-158** **159-160** **161-162** **163-164** **165-166** **167-168** **169-170** **171-172** **173-174** **175-176** **177-178** **179-180** **181-182** **183-184** **185-186** **187-188** **189-190** **191-192** **193-194** **195-196** **197-198** **199-200** **201-202** **203-204** **205-206** **207-208** **209-210** **211-212** **213-214** **215-216** **217-218** **219-220** **221-222** **223-224** **225-226** **227-228** **229-230** **231-232** **233-234** **235-236** **237-238** **239-240** **241-242** **243-244** **245-246** **247-248** **249-250** **251-252** **253-254** **255-256** **257-258** **259-260** **261-262** **263-264** **265-266** **267-268** **269-270** **271-272** **273-274** **275-276** **277-278** **279-280** **281-282** **283-284** **285-286** **287-288** **289-290** **291-292** **293-294** **295-296** **297-298** **299-300** **301-302** **303-304** **305-306** **307-308** **309-310** **311-312** **313-314** **315-316** **317-318** **319-320** **321-322** **323-324** **325-326** **327-328** **329-330** **331-332** **333-334** **335-336** **337-338** **339-340** **341-342** **343-344** **345-346** **347-348** **349-350** **351-352** **353-354** **355-356** **357-358** **359-360** **361-362** **363-364** **365-366** **367-368** **369-370** **371-372** **373-374** **375-376** **377-378** **379-380** **381-382** **383-384** **385-386** **387-388** **389-390** **391-392** **393-394** **395-396** **397-398** **399-400** **401-402** **403-404** **405-406** **407-408** **409-410** **411-412** **413-414** **415-416** **417-418** **419-420** **421-422** **423-424** **425-426** **427-428** **429-430** **431-432** **433-434** **435-436** **437-438** **439-440** **441-442** **443-444** **445-446** **447-448** **449-450** **451-452** **453-454** **455-456** **457-458** **459-460** **461-462** **463-464** **465-466** **467-468** **469-470** **471-472** **473-474** **475-476** **477-478** **479-480** **481-482** **483-484** **485-486** **487-488** **489-490** **491-492** **493-494** **495-496** **497-498** **499-500** **501-502** **503-504** **505-506** **507-508** **509-510** **511-512** **513-514** **515-516** **517-518** **519-520** **521-522** **523-524** **525-526** **527-528** **529-530** **531-532** **533-534** **535-536** **537-538** **539-540** **541-542** **543-544** **545-546** **547-548** **549-550** **551-552** **553-554** **555-556** **557-558** **559-560** **561-562** **563-564** **565-566** **567-568** **569-570** **571-572** **573-574** **575-576** **577-578** **579-580** **581-582** **583-584** **585-586** **587-588** **589-590** **591-592** **593-594** **595-596** **597-598** **599-600** **601-602** **603-604** **605-606** **607-608** **609-610** **611-612** **613-614** **615-616** **617-618** **619-620** **621-622** **623-624** **625-626** **627-628** **629-630** **631-632** **633-634** **635-636** **637-638** **639-640** **641-642** **643-644** **645-64**

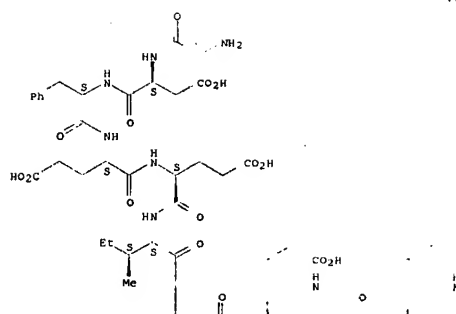
IT 117517-75-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anticoagulant)

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RN      117517-75-6  CAPLUS
CN      L-Glutamine, N2-[N-[N-[N-[1-[N-[N-[N-[N-[N-glycyl-L-aspartyl]-L-
phenylalanyl]-L-α-glutamyl]-L-α-glutamyl]-L-isoleucyl]-trans-4-
hydroxy-L-prolyl]-L-α-glutamyl]-L-α-glutamyl]-L-tyrosyl]-L-
leucyl]- (9CI), (CA INDEX NAME)

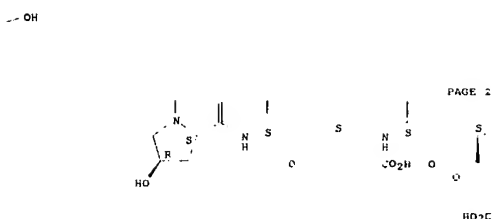
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Absolute stereochemistry.



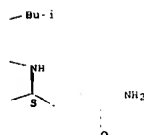
PAGE 1-A

PAIR 1.5



PAGE 2 - B

PAGE 1-A



L6 ANSWER 444 OF 551 CAPLUS COPYRIGHT 2007 ACS CO STN

ACCESSION NUMBER:

1988:547779

DOCUMENT NUMBER:

109:147779
Antagonism of voltage-gated calcium channels in small cell carcinomas of patients with and without Lambert-Eaton myasthenic syndrome by autoantibodies, ω -conotoxin and adenosine

AUTHOR(S) :

De Aizpurua, Henry J.; Lambert, Edward H.; Griesmann, Guy E.; Olivera, Baldomero M.; Lennon, Vanda A. *Dep. Immunol., Mayo Clin., Rochester, MN, 55905, USA Cancer Research* (1988), 48(17), 4719-24

CORPORATE SOURCE:

Dep. Immunol., Ma

SOURCE :

Cancer Research (

CODEN: CNREAS; IS

DOCUMENT TYPE:

Journal

LANGUAGE:

English

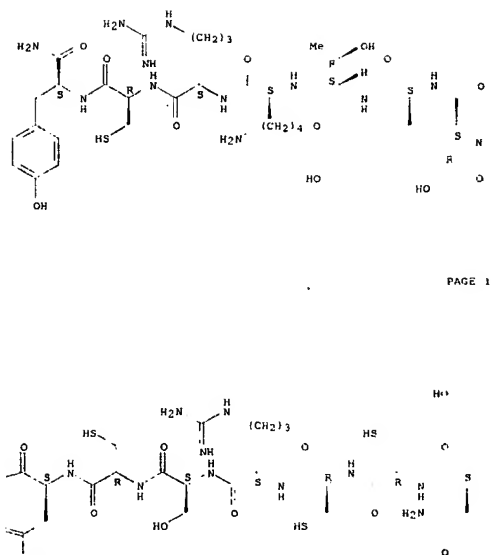
A8 The Lambert-Eaton myasthenic syndrome (LES) is an autoimmune presynaptic disorder of peripheral cholinergic neurotransmission in which there is often an associated small cell lung carcinoma (SCLC). SCLC lines established from patients with LES have exhibited voltage-gated calcium channel depolarization by K⁺ that is consistent with the presence of voltage-gated Ca_v2⁺ channels. Autoantibodies antagonistic to SCLC Ca_v2⁺ channel activity were found exclusively in patients with LES, independent of cancer status. Depolarization-induced uptake of 45Ca²⁺ by SCLC lines was reduced maximally after 3-4 days of exposure to serum IgG from 14 of 19 LES patients, while control IgG containing patients with SCLC, other tumors, other autoimmune neuromuscular syndromes, or other neurologic autoimmune diseases were without effect. The small neurotoxin ω-conotoxin of subtype GV1A, which is a specific antagonist of presynaptic Ca_v2⁺ channels, inhibited K⁺-stimulated Ca_v2⁺ uptake in a dose-dependent manner that was essentially irreversible. Adenosine, reported to be a specific antagonist of neuronal Ca_v2⁺ channels, also impaired voltage-stimulated Ca_v2⁺ influx in SCLC. Use of these patient IgG as a toxin in further studies of SCLC may facilitate identification and purification of the LES antigen(s) and yield a quantitative test for diagnosing this autoimmune presynaptic syndrome.

IT 92078-76-7

for diagnosing this autoimmune paraneoplastic syndrome
1 study)
s of small cell lung carcinoma inhibition by, in human
rt syndrome)

RN 92078-76-7 CAPLUS

CN ω-Conotoxin G VIA (1



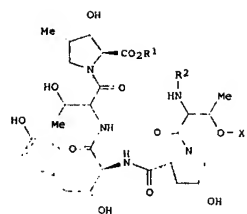
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62273997	A	19871128	JP 1986-118022	19860522
PRIORITY APPLN. INFO.:			JP 1986-118022	19860522
GI				

$$=CH-CH_2-CH=CH-(CH_2)_4-Me$$

Absolute stereochemistry. Rotation (-).

CC(O)C(C)C

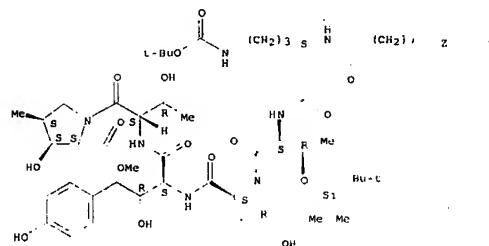
IT	104197-61-7P
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
	(preparation and deprotection of)
RN	104197-61-7 CARBUS
CN	L-Proline, 1-[N-[N-[1-[N-[N-(1-[1,1-dimethylethoxy]carbonyl)-N2-(1-oxo-9,12-octadecadienyl)-L-ornithinyl]-O-[(1,1-dimethylthyl)dimethylsilyl]-L-threonyl]-trans-4-hydroxy-L-prolyl]-4-(4-hydroxyphenyl)-L-threonyl]-L-threonyl]-1-hydroxy-4-methyl-, methyl ester, [192,123],20,3P,4 [1- [9C1] (CA INDEX NAME)



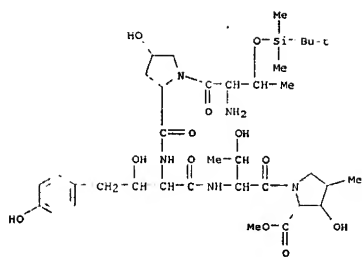
AB	The title hexapeptides (I): R ₁ = H, lower alkyl; R ₂ = H, Ph,CH ₂ CO ₂ C, (S)-COCH(NHCO(CH ₂) ₃ N ₃) (CH ₂) ₃ NHRR ₃ , R ₃ = H, CO ₂ CM ₂ Me ₃ , X = H, SiMe ₂ CM ₂ Me ₃ , useful as intermediates for echinocandins, were prepared (E)HO ₂ C(Ph)(CN) and Et ₃ N were added at 0° to a solution of (S)-HO ₂ CCH(NH(Si)(CH ₂) ₃ CH ₂ CO ₂ CM ₂ Me ₃) (O = linoleoyl) and O-(tert-butyldimethylsilyl)l-threonyl[(4R)-4- hydroxy]propyl[(3R)-3-hydroxy]dimethylthreonyl[(3S,4S)-3-hydroxy-4- methyl]proline Me ester (the preparation given) in DMF and the mixture was stirred 3 h at 0° to give 68% (I). (I) = (S)-COCH(NH(Si)(CH ₂) ₃ NHCO ₂ CM ₂ Me ₃ , X = SiMe ₂ CM ₂ Me ₃) (II).
IT	(S)-HO ₂ C(Ph)(CN) (CH ₂) ₃ NHCO ₂ CM ₂ Me ₃ , X = SiMe ₂ CM ₂ Me ₃ (II).
RL	RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
	(preparation and cyclization of, echinocandin D from)
RN	115074-16-3 CAPLUS
CN	L-Proline-3,4-dihydroxy-1-[N,N'-[trans-4,4'-hydroxy-1-[N-(2-(1-oxo-9,12- octadecadienyl)-2-methyl]-L-threoninyl]-L-threoninyl]-L-prolyl]-L-(4-hydroxyphenyl)-L- threoninyl]-L-threoninyl-4-methyl-, monohydrochloride, [1,92,122),2,2,3,3,4,4]- (9C1) (CA INDEX NAME)

$\text{C} \quad \text{NH} \quad \text{C} \quad (\text{CH}_2)_3 \quad \text{CH}$
 $\text{O} \quad \text{NH} \quad \text{C} \quad \text{H} \quad (\text{CH}_2)_3 \quad \text{NH}_2$
 $\text{C} \quad \text{CH} \quad \text{CH} \quad \text{Me}$
 $\text{N} \quad \text{OH}$
 OH
 $\bullet \quad \text{HC1}$

PAGE 1-A


$$\text{---} \frac{2}{\text{---}} \text{---} (\text{CH}_2)_4 \text{---} \text{Me}$$

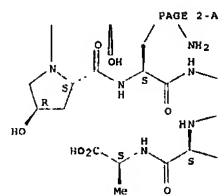
IT 104213-54-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and peptide coupling of. with ornithine derivative)
RN 104213-54-9 CAPLUS
CN L-Proline, 1-[N-[1-[O-[[1,1-dimethylethyl]dimethyl[1:1yl]] L-threonyl]-
trans-4-hydroxy-L-propyl]-4-(4-hydroxyphenyl) L-Serine L-threonyl]-3-
hydroxy-4-methyl, methyl ester, [2s,3s,4s]-[5C]1 (CA
[XREF,NAMES]



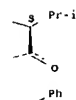
L6 ANSWER 146 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1988:163832 CAPLUS
 DOCUMENT NUMBER: 108:163832
 TITLE: Hydroxyamino acid specificity of smooth muscle myosin light chain kinase
 AUTHOR(S): Pearson, Richard B.; Floyd, David M.; Hunt, John T.; Lee, Ying G.; Kemp, Bruce E.
 CORPORATE SOURCE: Repatriation Gen. Hosp., Univ. Melbourne, Heidelberg, 3081, Australia
 SOURCE: Archives of Biochemistry and Biophysics (1988), 260(1), 37-44
 CODEN: ABBIA4; ISSN: 0003-9861
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Synthetic peptides corresponding to the phosphorylation sites in the myosin regulatory light chain from smooth muscle, Lys-Lys-Arg-Ala-Arg-Ala-Thr-Ser-Asn-Val-Phe-Ala [(Ala14,15)MLC(11-23)] (MLC = myosin light chain) and containing a variety of hydroxyamino acid analogs at position 19, were tested as substrates for the smooth muscle MLC kinase. Peptide analogs containing either D-serine or cis-hydroxyproline were not phosphorylated. The corresponding trans-hydroxyproline containing peptide was poorly phosphorylated, with a Km of 2.3 µM and a Vmax of 3 × 10⁻³ µmol/min/mg, compared to a Km of 12.5 µM and a Vmax of 1.43 µmol/min/mg for the parent peptide. All 3 hydroxyamino acid analog peptides acted as relatively potent inhibitors of MLC phosphorylation with Ki values in the range 7.5-10 µM, comparable to 7 µM for the parent peptide. Thus, the failure of the hydroxyamino acid analog peptides to act as effective substrates was not the result of poor binding to the enzyme. In contrast, the same substitutions made in the peptide substrate for the cAMP-dependent protein kinase resulted in poor inhibitors. It is likely that the OH group of the substituting amino acids in the MLC peptide analogs is not presented in the correct orientation in the active site for transfer of the phosphate group.

IT 113775-24-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and cAMP-dependent protein kinase inhibition kinetics with)
 RN 113775-24-9 CAPLUS
 CN Glycine, N-[N-[cis-4-hydroxy-1-[N-(N2-L-leucyl-L-arginyl)-L-arginyl]-L-alanyl]-L-prolyl]-L-leucyl]- (9CI) (CA INDEX NAME)

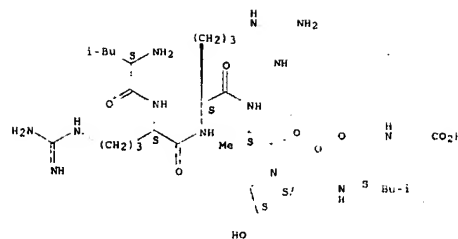
Absolute stereochemistry.



PAGE 2-B



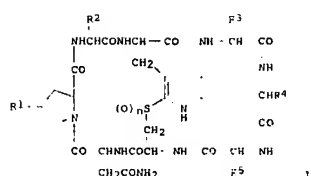
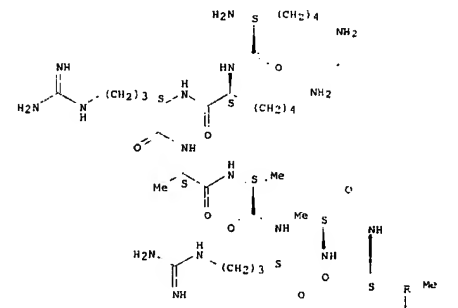
L6 ANSWER 147 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1988:145076 CAPLUS
 DOCUMENT NUMBER: 109:145076
 TITLE: Synthesis of analogs of amaninamide, an amatoxin from the white Amanita virosa mushroom
 AUTHOR(S): Zanotti, Giancarlo; Moehring, Claudius; Wieland, Theodor
 CORPORATE SOURCE: Inst. Pharm. Chem., Univ. "La Sapienza", Rome, Italy
 SOURCE: International Journal of Peptide & Protein Research (1987), 30(4), 450-9
 CODEN: IJPPCI; ISSN: 0367-8377
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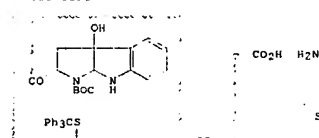
IT 113715-83-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and reaction kinetics with myosin light chain kinase)
 RN 113715-83-6 CAPLUS
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Absolute stereochemistry.

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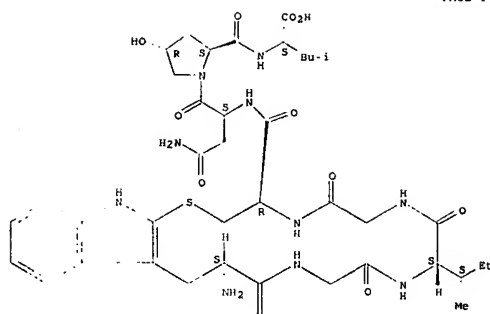
Obu-tert



AB Analogs of amaninamide, due to the absence of a 6 OH group in the tryptophan moiety, are more easily accessible by synthesis than derivs. of amanin. Syntheses of bicyclic octapeptide thioethers II, R1 = H or OH, R2 = CHMeEt, Me, or CHMe2, R3 = H or Me, R4 = Me or CHMeEt, R5 = H or Me, n = 0 or 1) were carried out starting from linear Hpi-S-trityl-octapeptides (III). cyclization by intramol 2'-indolylthioether formation yielding monocyclic peptides III and final cyclization by DCC1. One of the bicyclic thioethers was oxidized to yield the corresponding chromatog. separated (R)- and (S)-sulfoxides, resp. The products were characterized by RI values, UV and CD spectra as well as by mass spectroscopy. The widely differing inhibitory activities on RNA polymerase II (for B) from calf thymus are listed
 IT 112772-32-4P 112772-33-5P 112772-35-7P
 112772-36-8P 112861-78-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and cyclization of)
 RN 112772-32-4 CAPLUS
 CN L-Leucine, 2-mercapto-L-tryptophylglycyl L-isoleucylglycyl L-cysteinyl-L-asparaginyl-(R)-4-hydroxy-L-prolyl-, cyclic (1-5)-thioether (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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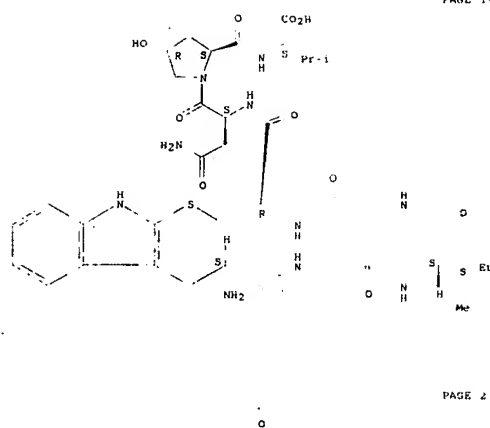


PAGE 2-A

RN 112772-33-5 CAPLUS
CN L-Valine, 2-mercapto-L-tryptophylglycyl-L-isoleucylglycyl-L-cysteinyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl-, cyclic (1-5)-thioether (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

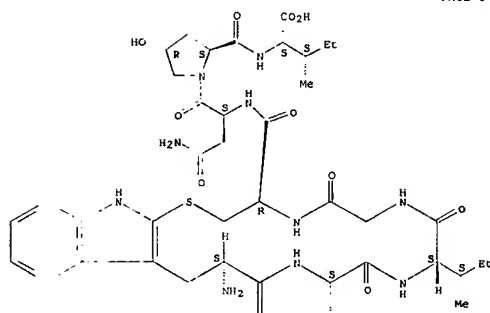


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RN 112772-35-7 CAPLUS
CN L-Isoleucine, 2-mercapto-L-tryptophyl-L-alanyl-L-isoleucylglycyl-L-cysteinyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl-, cyclic (1-5)-thioether (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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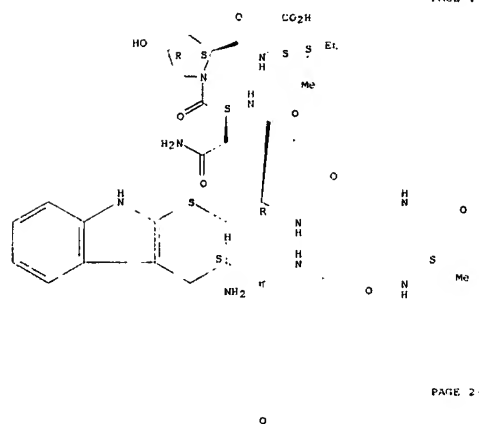


PAGE 2-A

RN 112772-36-8 CAPLUS
CN L-Isoleucine, 2-mercapto-L-tryptophylglycyl-L-alanyl-L-isoleucyl-L-cysteinyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl-, cyclic (1-5)-thioether (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

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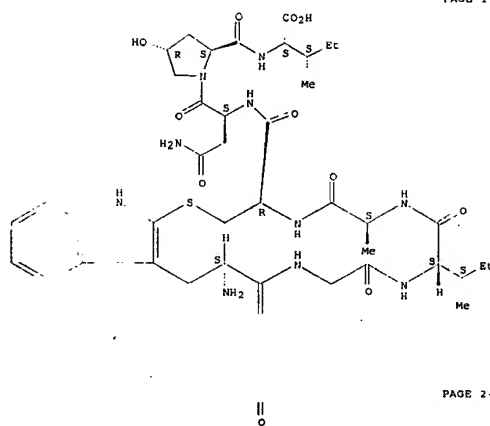


PAGE 2-A

RN 112861-78-6 CAPLUS
CN L-Isoleucine, 2-mercapto-L-tryptophylglycyl-L-isoleucyl-L-alanyl-L-cysteinyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl-, cyclic (1-5)-thioether (9CI) (CA INDEX NAME)

Absolute stereochemistry.

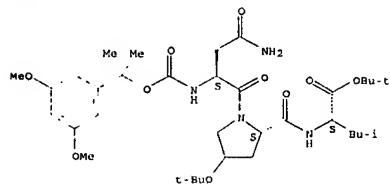
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PAGE 2-A

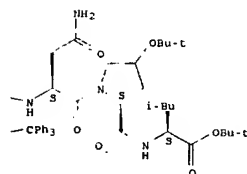
IT 112772-47-1P 112796-94-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and deprotection and reaction with peptide derivative)
 RN 112772-47-1 CAPLUS
 CN L-Leucine, N-[1-[N2-[[1-(3,5-dimethoxyphenyl)-1-methylethoxy]carbonyl]-L-asparaginy]]-4-[(1,1-dimethylethoxy)-L-prolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112796-94-8 CAPLUS
 CN L-Valine, N-[1-[N2-[[1-(3,5-dimethoxyphenyl)-1-methylethoxy]carbonyl]-L-asparaginy]]-4-[(1,1-dimethylethoxy)-L-prolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

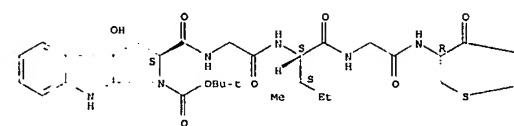
PAGE 1-B



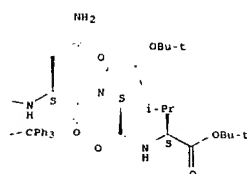
RN 112772-39-1 CAPLUS
 CN L-Valine, N-[4-[(1,1-dimethylethoxy)-1-[N2-[N-[N-[N-[1-[(1,1-dimethylethoxy)carbonyl]-1,2,3,3a,8,8a-hexahydro-3a-hydroxypyrrolo[2,3-b]indol-2-yl]carbonyl]glycyl]-L-isoleucyl]glycyl]-S-(triphenylmethyl)-L-cysteinyl]-L-asparaginy]]-L-prolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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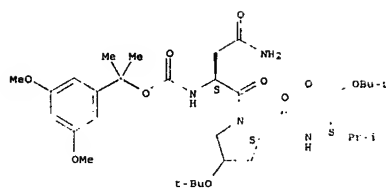
PAGE 1-B



RN 112772-41-5 CAPLUS
 CN L-Isoleucine, N-[4-[(1,1-dimethylethoxy)-1-[N2-[N-[N-[N-[1-[(1,1-dimethylethoxy)carbonyl]-1,2,3,3a,8,8a-hexahydro-3a-hydroxypyrrolo[2,3-b]indol-2-yl]carbonyl]glycyl]-L-alanyl]glycyl]-S-(triphenylmethyl)-L-

(9CI) (CA INDEX NAME)

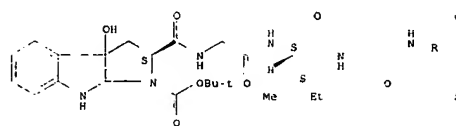
Absolute stereochemistry.



IT 112772-38-0P 112772-39-1P 112772-41-5P
 112772-42-6P 112796-92-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and intramol. cyclization of)
 RN 112772-38-0 CAPLUS
 CN L-Leucine, N-[4-[(1,1-dimethylethoxy)-1-[N2-[N-[N-[N-[1-[(1,1-dimethylethoxy)carbonyl]-1,2,3,3a,8,8a-hexahydro-3a-hydroxypyrrolo[2,3-b]indol-2-yl]carbonyl]glycyl]-L-isoleucyl]glycyl]-S-(triphenylmethyl)-L-cysteinyl]-L-asparaginy]]-L-prolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

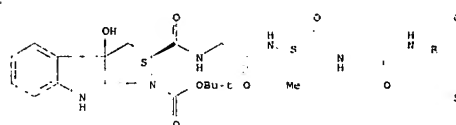
PAGE 1-A



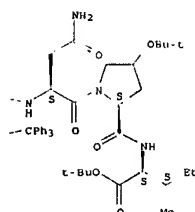
cysteinyl]-L-asparaginy]]-L-prolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

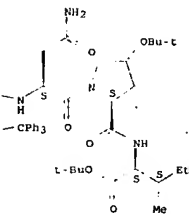
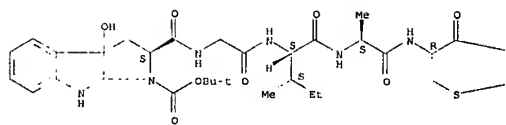


PAGE 1-B



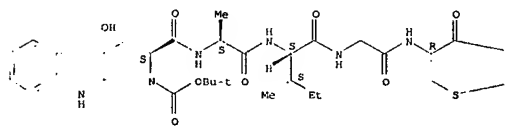
RN 112772-42-6 CAPLUS
 CN L-Isoleucine, N-[4-[(1,1-dimethylethoxy)-1-[N2-[N-[N-[N-[1-[(1,1-dimethylethoxy)carbonyl]-1,2,3,3a,8,8a-hexahydro-3a-hydroxypyrrolo[2,3-b]indol-2-yl]carbonyl]glycyl]-L-isoleucyl]-L-alanyl]-S-(triphenylmethyl)-L-cysteinyl]-L-asparaginy]]-L-prolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112796-92-6 CAPLUS
CN L-Isoleucine, N-[4-[(1,1-dimethylethoxy)-1-[N2-[N-[N-[N-[1-[(1,1-dimethylethoxy)carbonyl]-1,2,3,4a,8a-hexahydro-3a-hydroxypyrrolo[2,3-b]indol-2-yl]carbonyl]-L-alanyl]-L-isoleucyl]glycyl]-S-(triphenylmethyl)-L-cysteinyl]-L-asparaginyl]-L-prolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

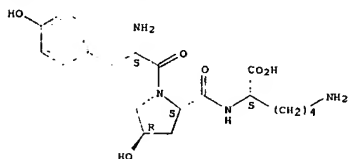
Absolute stereochemistry.



resistance of the glycopeptides under the basic conditions of β -elimination and their susceptibility to hydrolysis by trifluoroacetic acid. This treatment yielded arabinose as the only cleavage product. Arabinose transfer to the various peptide substrates was found to be stimulated by low concns. of detergent, to require divalent cations, and to proceed optimally at pH values around 7.0. The smallest arabinose acceptor peptide was the tripeptide Tyr-Hyp-Lys. The glycosyl acceptor activity increased with increasing nos. of repeated Hyp residues, suggesting that Hyp clusters critically affect substrate recognition by the Volvox transferase(s).

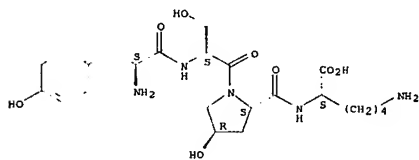
IT 111863-90-2 111863-91-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with UDP-arabinose-hydroxyproline O-glycosyltransferase of Volvox microsomes, kinetics of, structure in relation to)
RN 111863-90-2 CAPLUS
CN L-Lysine, N2-(trans-4-hydroxy-1-L-tyrosyl-L-prolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



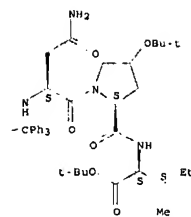
RN 111863-91-3 CAPLUS
CN L-Lysine, N2-(trans-4-hydroxy-1-(N-L-tyrosyl-L-seryl)-L-prolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



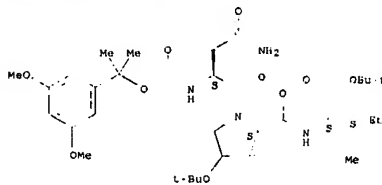
IT 111863-88-8 11128-73-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with UDP-arabinose-hydroxyproline O-glycosyltransferase of Volvox microsomes, structure in relation to)
RN 111863-88-8 CAPLUS
CN L-Lysine, N2-(trans-4-hydroxy-1-(N-L-tyrosyl-L-alanyl)-L-prolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

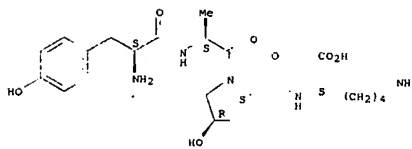


IT 79775-11-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with peptide derivative)
RN 79775-11-4 CAPLUS
CN L-Isoleucine, N-[1-[N2-[1-[(3,5-dimethoxyphenyl)-1-methylethoxy]carbonyl]-L-asparaginyl]-trans-4-(1,1-dimethylethoxy)-L-prolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

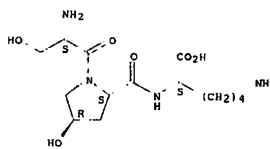


L6 ANSWER 449 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:108673 CAPLUS
DOCUMENT NUMBER: 108:108673
TITLE: UDP-L-arabinose-hydroxyproline-O glycosyltransferases in Volvox carteri
AUTHOR(S): Guenther, Roland; Bause, Ernst; Jaenicke, Lothar
CORPORATE SOURCE: Inst. Biochem., Cologne, 5000/1, Fed. Rep. Ger.
SOURCE: FEBS Letters (1987), 221(2), 293-8
CODEN: FEBSL; ISSN: 0014-5793
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Hydroxyproline (Hyp)-containing peptides of different length and amino acid sequence were used to demonstrate UDP-L-arabinose Hyp O-glycosyltransferases in a crude microsomal fraction from the green alga V. carteri. The formation of O-glycosidic linkages by transfer of UDP-activated arabinose to the side chain of Hyp was concluded from the



RN 11128-73-7 CAPLUS
CN L-Lysine, N2-(trans-4-hydroxy-1-L-seryl-L-prolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

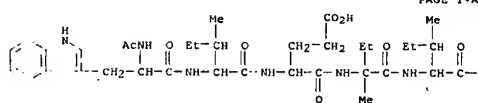


L6 ANSWER 449 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:34711 CAPLUS
DOCUMENT NUMBER: 108:34711
TITLE: The antibacterial activity of alamethicins and zervamicins
AUTHOR(S): Jen, W. C.; Jones, G. A.; Brevet, D.; Parkinson, V. O.; Taylor, A.
CORPORATE SOURCE: Dep. Appl. Microbiol. Food Sci., Univ. Saskatchewan, Saskatoon, SK, S7N 0W0, Can.
SOURCE: Journal of Applied Bacteriology (1987), 63(4), 293-8
CODEN: JASBAA; ISSN: 0021-8847
DOCUMENT TYPE: Journal
LANGUAGE: English

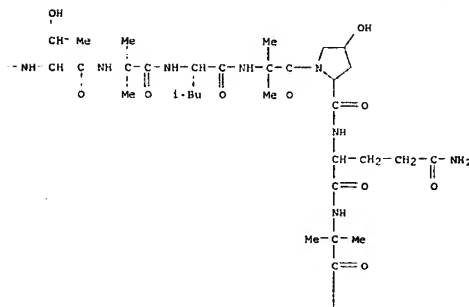
AB Consistent results were obtained in bioassays of alamethicins on agar gels only when the antibiotics were allowed to diffuse under strictly defined conditions of temperature and time before inoculation. In liquid culture,

obligatory anaerobic rumen bacteria were sensitive to these antibiotics and, in certain cases, their ability to produce volatile fatty acids was reduced. Among the bacteria examined, there was a 1000-fold difference in their sensitivity. Modifications of the structure of the peptide, e.g. substitution on an alanine residue for a 2-methylalanine residue, resulted in approx. 2-fold changes in activity.

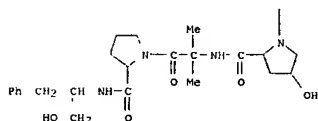
IT 79392-51-1, Zervamicin IC 79395-85-0, Zervamicin IIB
79395-86-1, Zervamicin IIA
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOU (Biological study)
(antibacterial activity of, determination of)
RN 79392-51-1 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L- α -glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-14R-4-hydroxy-L-



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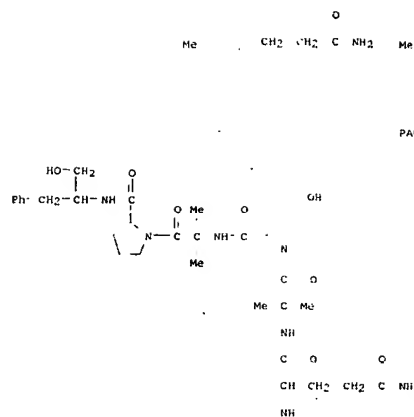


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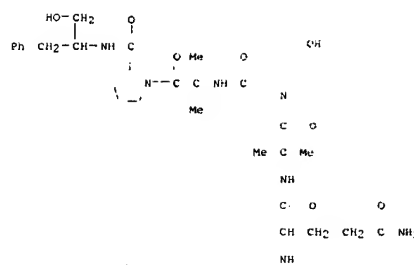


RN 79395-85-0 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

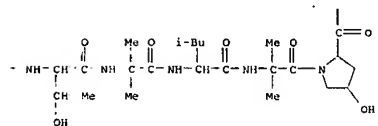
PAGE 1-B



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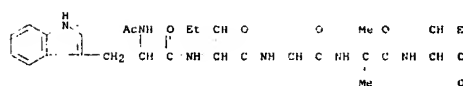
PAGE 2-B



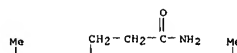
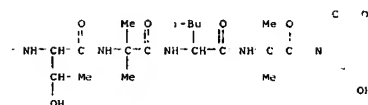
RN 79395-86-1 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

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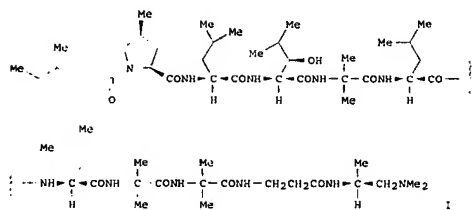
PAGE 2-B



L6 ANSWER 450 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:22242 CAPLUS
DOCUMENT NUMBER: 108:22242
TITLE: Leucinoastatin D, a novel peptide antibiotic from Paecilomyces marquandii
AUTHOR(S): Rossi, Carlo; Tullio, Lorenzo; Ricci, Maurizio; Casinovi, Carlo G.; Radics, Lajos
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Studi Perugia, Perugia, I-06100, Italy

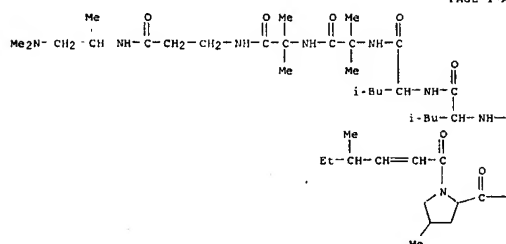
SOURCE: Journal of Antibiotics (1987), 40(1), 130-3
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:22242
GI

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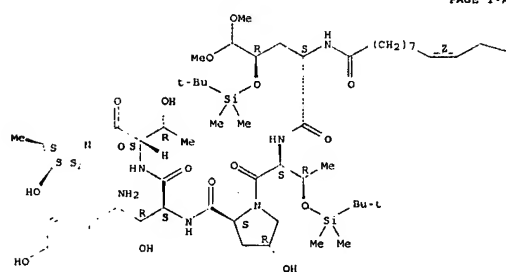
AB The structure of leucinostatin D (I) was determined by NMR and mass spectrometry and chemical transformations.
IT 108426-90-0
RL: PROC (Process)
(mol. structure determination of)
RN 108426-50-0 CAPLUS
CN Leucinostatin D (9CI) (CA INDEX NAME)

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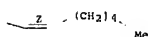


Absolute stereochemistry.
Double bond geometry as shown.

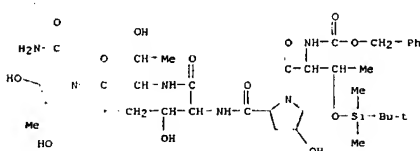
PAGE 1-A



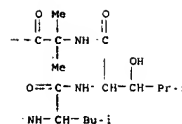
PAGE 1-B



RN 106391-79-1 CAPLUS
CN L-Proline, 3-hydroxy-1-[N-(1,1-dimethylethyl)dimethylsilyl]-N-[(phenylmethoxy)carbonyl]-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, (2a,3b,4b)- (9CI) (CA INDEX NAME)



L6 ANSWER 452 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1987:637279 CAPLUS
DOCUMENT NUMBER: 107:237279
TITLE: Synthesis of the cyclic hexapeptide echinocandin D. New approaches to the asymmetric synthesis of β -hydroxy α -amino acids
AUTHOR(S): Evans, David A.; Weber, Ann E.



L6 ANSWER 451 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1988:5854 CAPLUS
DOCUMENT NUMBER: 108:5854
TITLE: Preparation of threonylpyrrolidines derivatives as intermediate for the fungicide echinocandin
INVENTOR(S): Ofuna, Yasushi; Kurokawa, Naoko
PATENT ASSIGNOR(S): Suntory, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62059259	A	19870314	JP 1985-199284	19850909

PRIORITY APPLN. INFO.: JP 1985-199284 19850909
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

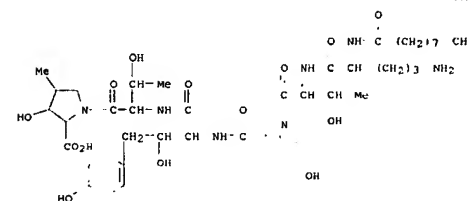
AB The title compds. [I; R1, R3 = H, tert-butyl; R2 = H, 2-tetrahydropyranyl (THP); A = OH, pyridyl; B, O; R4 = H, CO2Bu-tert, O2 wherein R5 = H, benzoyloxycarbonyl, etc.], useful as intermediates for the agrochem. and medical fungicide echinocandin (no data), were prepared. A mixture of 35 mg MeCH(OSiMe2Bu-tert)CH(NH2)CO2H and 15.5 mg thioester (2S,3R)-II in DMP containing trimethylsilylimidazole was stirred at room temperature for 15 h to give the corresponding peptide which was treated with 16.7 mg diethylaldehyde in the presence of Ph3P to give 631 I (R1 = R3 = tert-butyl; R2 = THP, R4 = CO2Bu-tert, A = pyridyl; B, O) (III).
IT 104197-59-3P 106391-79-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for echinocandin)
RN 104197-59-3 CAPLUS
CN L-Proline, 3-hydroxy-1-[N-(1,1-dimethylethyl)dimethylsilyl]oxy]-5,5-dimethoxy-N-(1-oxo-9,12-octadecadienyl)-L-ornithyl-L-threonyl-L-threonyl-L-threonyl-L-threonyl-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, (19Z,12Z,2a,3b,4b)- (9CI) (CA INDEX NAME)

CORPORATE SOURCE: Dep. Chem., Harvard Univ., Cambridge, MA, 02138, USA
SOURCE: Journal of the American Chemical Society (1987), 109(21), 7151-7
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:237279
GI

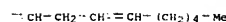
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The total synthesis of echinocandin D (I, Lin = linoleyl) was achieved using asym. glycine enolate aldol method for the preparation of 2 constituent β -hydroxy amino acids. Protected hydroxy amino acids II and III were prepared in 4 steps each from oxazolidinones IV (R = CH2Ph, R1 = H, R2 = NCS) and IV (R = H, R1 = CH2Ph, R2 = Br), resp. In both preps., asym. aldol addition was used to establish the absolute stereochem. relationships at both OH and N-bearing asym. centers. II and III were integrated into the synthesis of I.
IT 104197-62-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 104197-62-8 CAPLUS
CN L-Proline, 3-hydroxy-1-[N-(1,1-dimethylethyl)dimethylsilyl]oxy]-5,5-dimethoxy-N-(1-oxo-9,12-octadecadienyl)-L-ornithyl-L-threonyl-L-threonyl-L-threonyl-L-threonyl-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, (19Z,12Z,2a,3b,4b)- (9CI) (CA INDEX NAME)

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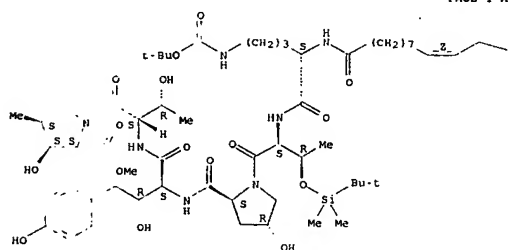


L6 ANSWER 452 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1987:637279 CAPLUS
DOCUMENT NUMBER: 107:237279
TITLE: Synthesis of the cyclic hexapeptide echinocandin D. New approaches to the asymmetric synthesis of β -hydroxy α -amino acids
AUTHOR(S): Evans, David A.; Weber, Ann E.

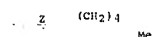
octadecadienyl)-L-ornithyl]-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl]-trans-4-hydroxy-L-prolyl]-4-(4-hydroxyphenyl)-L-threonyl]-L-threonyl]-3-hydroxy-4-methyl-, methyl ester, [192,122],24,38,40]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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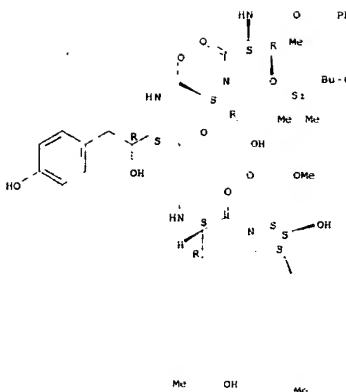
PAGE 1-B



IT 104213-53-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for echinocandin D)
RN 104213-53-8 CAPLUS
CN L-Proline, 1-[N-[(1-[O-[(1,1-dimethylethyl)dimethylsilyl]-N-[(phenylmethoxy)carbonyl]-L-threonyl]-trans-4-hydroxy-L-prolyl]-4-(4-hydroxyphenyl)-L-threonyl]-L-threonyl]-3-hydroxy-4-methyl-, methyl ester, [24,38,40]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

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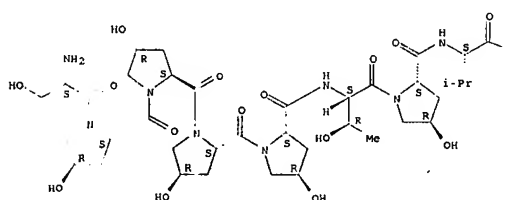
L6 ANSWER 453 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:637272 CAPLUS
DOCUMENT NUMBER: 107:237272
TITLE: Novel fragmentation process of peptides by collision-induced decomposition in a tandem mass spectrometer: differentiation of leucine and isoleucine
AUTHOR(S): Johnson, Richard S.; Martin, Stephen A.; Biemann, Klaus; Stults, John T.; Watson, J Throck
CORPORATE SOURCE: Dep. Chem., Massachusetts Inst. Technol., Cambridge, MA, 02139, USA
SOURCE: Analytical Chemistry (1987), 59(21), 2621-5
CODEN: ANCHAM; ISSN: 0003-2700
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The mass spectra produced upon collision-induced decomposition of the protonated ions of peptides often exhibit peaks that correspond to ions that are formed by cleavage of the N-CR bond along the peptide chain followed by cleavage of the R-Y bond if R has the general structure -CH-Cy-R1. Ions produced in this manner are assigned the notation wn and are helpful in the characterization of the amino acid at that position. Most important is the differentiation of leucine and isoleucine, which is generally difficult or impossible by mass spectrometry. Aromatic amino acids do not undergo this fragmentation because it would involve cleavage of a C-AR bond; neither does alanine, which would involve loss of a hydrogen radical, nor does glycine, which lacks a R-Y bond. The nature of this fragmentation process is

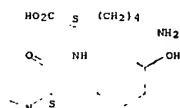
demonstrated by exact mass measurements and precursor-product ion studies.
IT 110144-02-0
RL: PKP (Properties)
(tandem mass spectrometry of, collision-induced decomposition in)
RN 110144-02-0 CAPLUS
CN L-Lysine, N2-[N-[(trans-4-hydroxy-1-[N-[(trans-4-hydroxy-1-[trans-4-hydroxy-1-[trans-4-hydroxy-1-(trans-4-hydroxy-1-L-seryl-L-prolyl)-L-prolyl]-L-prolyl]-L-prolyl]-L-threonyl]-L-prolyl]-L-valyl]-L-tyrosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L6 ANSWER 454 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:631075 CAPLUS
DOCUMENT NUMBER: 107:231075
TITLE: Pharmacological studies on toxins from marine organisms that act on ion channels
AUTHOR(S): Ohizumi, Yasushi
CORPORATE SOURCE: Mitsubishi-Kasei Inst. Life Sci., Machida, 194, Japan
SOURCE: Yakugaku Zasshi (1987), 107(7), 471-84
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

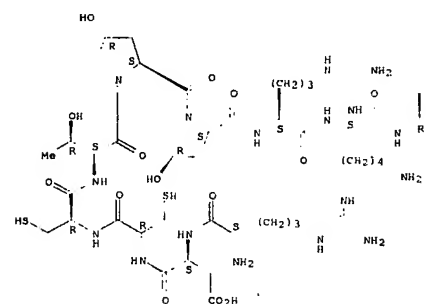
AB Ciguatera toxin (CTX), the principal toxin in ciguatera fish, produced a marked potentiation of contractile responses to agonists in the vas deferens. CTX markedly elevated the Na content of the vas deferens treated with ouabain. These effects of CTX were abolished by

tetrodotoxin. Apparently, CTX causes an increasing Na⁺ permeability across Na channels of smooth muscle cells, and this may play an important role in its mechanism of potentiation. Maitotoxin (MTX), the most potent marine toxin known from ciguatera fish, produces a Ca²⁺ dependent release of norepinephrine (NE) from a rat pheochromocytoma cell line, PC12 or adrenergic nerve terminals of the vas deferens and Ca²⁺ dependent contraction of smooth or cardiac muscle. These effects of MTX may be due to an increase in Ca²⁺ permeability which possibly occurred through Ca channels in muscle and nerve membranes. MTX has been widely used as a valuable tool, since Ca²⁺ plays an important role in the regulation of many cellular functions. Geographotoxin II (CTX II) was isolated from the venom extract of *Conus geographus*. CTX II has the novel action of blocking skeletal muscle Na channels without effect on nerve Na channels. CTX II inhibited [3H]saxitoxin binding to Na channels of skeletal muscles but not to nerves. Thus CTX II discriminates between the tetrodotoxin/saxitoxin receptor site on nerve and muscle Na channels. Anthopleurin-B (AP-B), a cardiotoxic polypeptide isolated from *Anthopleura xanthogramma*, caused powerful excitatory and inhibitory actions in the ileum, taenia caeci, and vas deferens. The AP-B-induced contractions of intestinal smooth muscles are due to the excitation of cholinergic nerves, while that of the vas deferens is caused by the NE release from adrenergic nerve endings. The AP-B-induced relaxation of the taenia caeci is due to the excitation of adrenergic nerves, while the relaxation of the ileum is mediated through nonadrenergic inhibitory mechanism. Palytoxin (PTX) caused a 1st rapid contraction followed by the slow phasic contraction of the vas deferens. The 1st component is the result of a direct action of PTX on smooth muscle site, whereas the 2nd phase is the result of an indirect action mediated through the NE release from adrenergic nerve endings

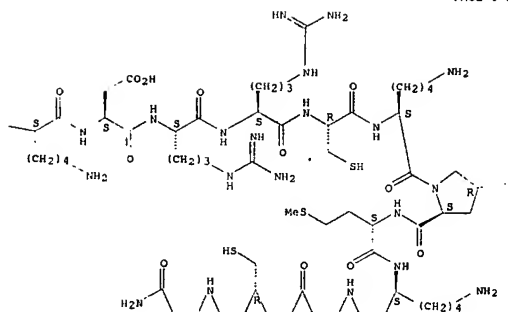
IT 86414-29-1
RL: BIOL (Biological study)
(isolation, from *Conus geographus* venom, muscle sodium channels blockade by)
RN 86414-29-1 CAPLUS
CN μ -Conotoxin O IIIB (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

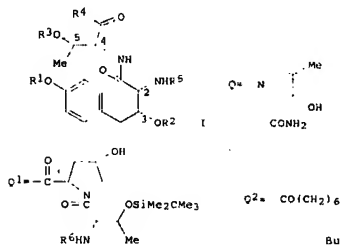


SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JXXXXF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:



PAGE 1 - C

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62084098	A	19870417	JP 1985-225497	19851009
PRIORITY APPLN. INFO.:			JP 1985-225497	19851009
GI				



AB The title intermediates [I], R1, R3 = H, Me3CO2S (TBS); R2 = H, tetrahydropyranyl (THP); R4 = OH, 2-pyridylthio (2P); Or R5 = H, TBS, Q1 where R6 = H, PhCH2CO2, COCH (NHCO2CH2CH2CO) (TBS) CH (OMe)2, which are useful as intermediates for echinocandins, have the same configuration as echinocandin C, and themselves are expected to show antihlial activities (no data). are prepared as a suspension of H-Thr(TBS)-OH in DMF was reacted with (trimethylsilyl) imidazole and to give 1-((2S,3R)-p-TBSOCH4CH2CH(THP)CH(NHCO2C(CH3)3COO3 (Q3 = 2-pyridylthio) (Q4-Q3) was added. The mixture was stirred for 1.5 h to give Q4-Thr(TBS)-OH as an oil which was treated with dipyrindyl disulfide and PhP in CH2Cl2 to give 631 Q4-Thr(TBS)-Q3 (II). Peptide coupling of II with (2S,3S,4S)-OH gave 804 Q4-Thr(TBS) (2S,3S,4S)-Q3 which was deprotected by treatment with TFA in MeCN to follow by aqueous 0.5N HCl to give (2S,3S,4S,5S) I (R1-R5 = H, R4 = TBS, 1011010).

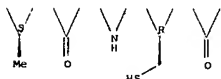
IT 106391-79-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and debenzoylation of)

```

      preparation and deacetylation of:
RN  106391-79-1 CAPLUS
CN  L-Prolinamide, O-[(1,1-dimethylethyl)dimethylsilyl]-N-
    [(phenylmethoxy)carbonyl]-L-threonyl-;trans-4-hydroxy-L-prolyl-4-(4-
    hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-,
    (2α,3β,4β)- (9CI) (CA INDEX NAME)

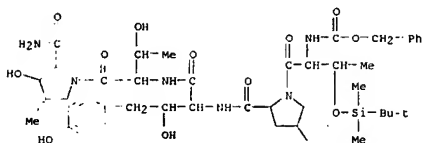
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L6 ANSWER 455 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:554757 CAPLUS
DOCUMENT NUMBER: 107:154757
TITLE: A process for the preparation of intermediates for
echinocandins
INVENTOR(S): Ofuna, Yasushi; Kurokawa, Natsuko
PATENT ASSIGNEE(S): Suntory, Ltd., Japan

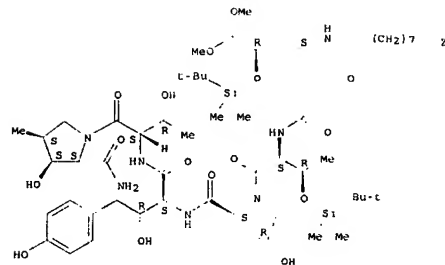


PAGE 2-B

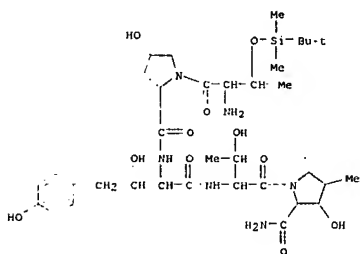
PAGE 1-A



IT	106391-80-4P
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
	(preparation and peptide coupling of, with threonine derivative)
RN	106391-80-4 CAPLUS
CN	L-Prolinamide, O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, (2 <i>u</i> ,3 <i>u</i> ,4 <i>u</i>) - (SCI) (CA INDEX NAME)



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IT 104197-59-3P
RL: SPH (Synthetic preparation); PREP (Preparation)
   [preparation of, as intermediate for echnocandans]
RN 104197-59-3 CAPLUS
CN  L-Prolinamide, erythro-4-[[[(1,1-dimethylethyl)dimethylsilyloxy]-5,5-
   dimethoxy-N-(1-oxo-9,12-octadecanecenyl)-L-norvalyl-O-[[1,1-
   dimethylethyl)silylmethylsilyl]-L-threonyl-trans-4-hydroxy-L-prolyl-4-[[4-
   dihydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-,
   (1R,2,12Z,2R,3R,4R)-] (9CI) (CA INDEX NAME)

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Absolute stereochemistry.
Double bond geometry as shown.

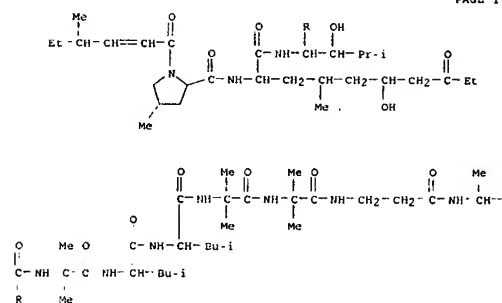
L6 ANSWER 456 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1987:554736 CAPLUS
 DOCUMENT NUMBER: 107:154736
 TITLE: The structure of leucinostatin C, a minor peptide from
 Paecilomyces marquandii
 AUTHOR(S): Casinovic, Carlo G.; Ross, Carlo; Tuttobello, Lorenzo;
 Ricci, Maurizio
 CORPORATE SOURCE: IRE. Super. Sanita, Rome, 00161, Italy
 SOURCE: European Journal of Medicinal Chemistry (1986), 21(6),
 527-8
 CODEN: EJMCAS; ISSN: 0223-5234
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 DA

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The structure of leucinostatin C (I) was determined by chemical and spectroscopic methods. I showed moderate activity against Gram pos bacteria and several fungi when compared to leucinostatin A and its mono-N-desmethyl

IT 76600-38-9, Leucicostatin A 76663-52 0
RL: RCT (Reactant); RACT (Reactant or reagent)
(antibacterial and antimycotic activity; oil)
RN 76600-38-9 CAPIUS

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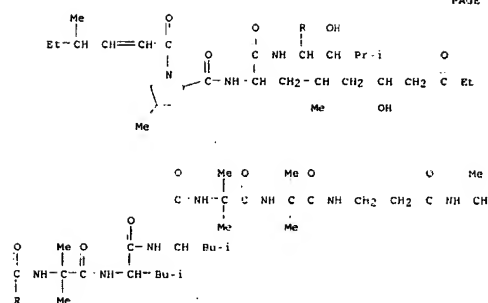


PAGE 1-B

 $\text{CH}_2 \cdot \text{NMe}_3$

RN 76663-52-0 CAPLUS
CN Leucinostatin B (9CI) (CA INDEX NAME)

PAGE 1-A



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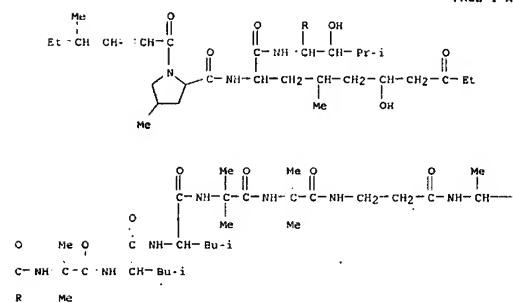
 $\text{CH}_2 \sim \text{NHMe}$

```

IT      110483-88-0
        RL: PROC (Process)
              (mol. structure determination of)
RN      110483-88-0 CAPLUS
CN      Leucinoastatin C (9CI) (CA INDEX NAME)

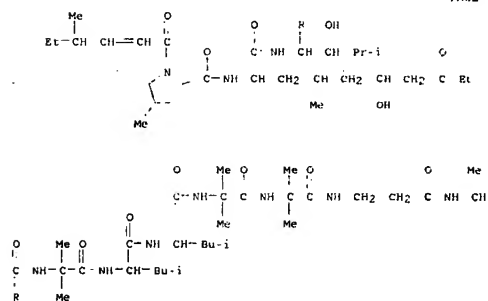
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PAGE 1 A



PAGE 1-8

 $\text{CH}_2 \quad \text{NH}_2$

IT 88234-57-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 88234-57-5 CAPLUS
 CN Leucinosatin A, 9-[N-[1-methyl-2-(trimethylammonio)ethyl]-β-alaninamide]-, iodide, [9(S)]-[9(C)] (CA INDEX NAME)

$$\text{CH}_2-\text{N}^+\text{Me}_3$$

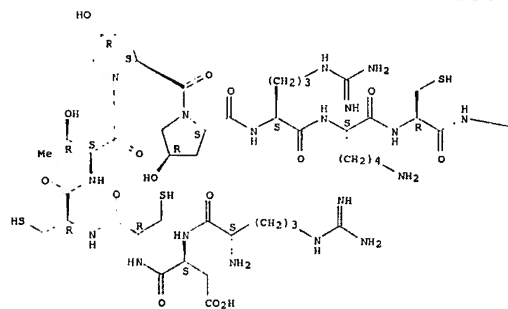
L6 ANSWER 457 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1987-494314 CAPLUS
 DOCUMENT NUMBER: 107-94314
 TITLE: The Conus toxin geographotoxin II distinguishes two functional sodium channel subtypes in rat muscle cells developing in vitro
 AUTHOR(S): Gonozi, Toru; Ozawa, Yasuaki; Nakamura, Hideshi; Kobayashi, Junichi; Cottrell, William A.
 CORPORATE SOURCE: Dep. Pharmacol., Univ. Washington, Seattle, WA, 98195, USA
 SOURCE: Journal of Neuroscience (1987), 7(6), 1728-31
 CODEN: JNRSDS; ISSN: 0270-6474
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Na currents in cultured rat muscle cells converted to myotalls by treatment with colchicine were recorded by a giga-ohm seal voltage-clamp

procedure in the whole-cell configuration. Geographotoxin II (GTX II), a novel polypeptide toxin from the piscivorous marine snail *C. geographus*, reduces Na currents in rat myoballs without marked alteration of the time course or voltage dependence of activation of the remaining current. Titration of the inhibition of Na currents by GTX II showed that, in individual myoballs, a fraction of the Na current averaging 49% was inhibited by saturating (25 μ M) concns. of GTX II. The concentration-effect curve fit a noncooperative, 1:1 binding isotherm with a single dissociation constant (KD) for GTX II of 19 nM characteristic of inhibition of the tetrodotoxin (TTX)-sensitive Na channels of adult rat muscle. Titration of the Na current remaining in the presence of 2.5 μ M GTX II with TTX gave complete inhibition. The dose-response curve fit a noncooperative, 1:1 binding isotherm with a single KD for TTX of 1.3 μ M characteristic of TTX-insensitive Na channels of embryonic muscle. The action of GTX II was not frequency dependent. The all-or-none inhibition of these 2 Na channel subtypes by GTX II suggests substantial structural differences in the region of neurotoxin receptor site 1 on TTX-sensitive and -insensitive Na channels, and provides definitive evidence that these 2 Na channel subtypes function in parallel in muscle cells developing in the absence of innervation.

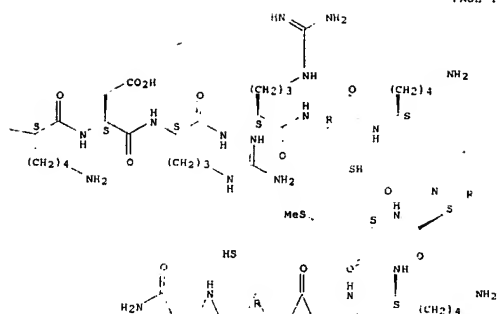
IT 86414-29-1
 RL: B10L (Biological study)
 (sodium transport by muscle of embryo inhibition by)
 RN 86414-29-1 CAPLUS
 CN μ -Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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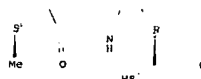
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OH

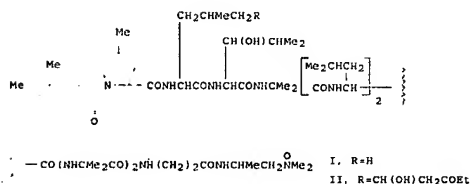
PAGE 2-B



L6 ANSWER 458 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1987:493347 CAPLUS
 DOCUMENT NUMBER: 107:93347
 TITLE: Leucinostatin H and K, two novel peptide antibiotics with tertiary amine-oxide terminal group, from *Paecilomyces marquandii*. Isolation, structure and

AUTHOR(S): biological activity
 Radics, Lajos; Kajtár-Peredy, Maria; Casinovi, Carlo
 CORPORATE SOURCE: Rossi, Carlo; Ricci, Maurizio; Tuccillo, Lorenzo
 SOURCE: Cent. Res. Inst. Chem., Budapest, H-1525, Hung.
 Journal of Antibiotics (1987), 40(5), 714-16
 CODEN: JANTAJ; ISSN: 0021-8620
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

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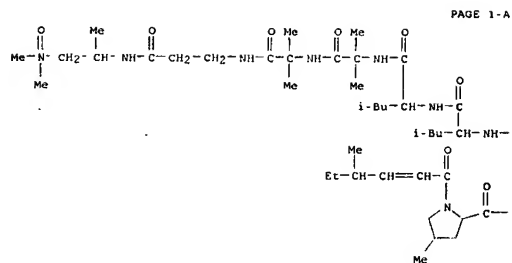
AB Leucinostatin H (I) and K (II) were isolated from submerged cultures of *P. marquandii* by silica gel chromatog. The elution solvent contained CHCl₃, MeOH and NH₃. The mol. structures were determined by physicochem. anal. Both I and II inhibited gram-pos. bacteria and fungi but had no significant activity against gram-neg. bacteria.

IT 109539-57-3 109539-58-4
 RL: B10L (Biological study)
 (antibiotic, from *Paecilomyces marquandii*)
 RN 109539-57-3 CAPLUS
 CN Leucinostatin K (9CI) (CA INDEX NAME)

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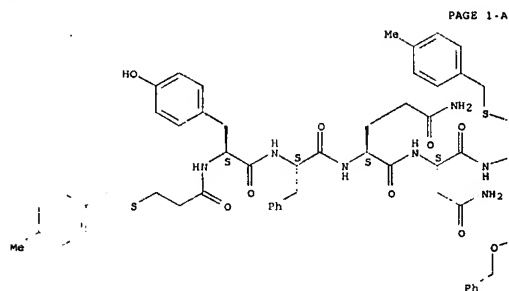
RN 109539-58-4 CAPLUS
 CN Leucinostatin H (9CI) (CA INDEX NAME)



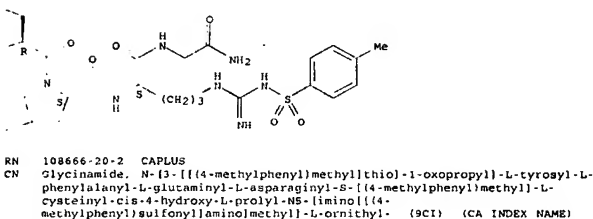
L6 ANSWER 459 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1987:459450 CAPLUS
 DOCUMENT NUMBER: 107:59450
 TITLE: Synthesis and biological activities of arginine-vasopressin analogs with 4-hydroxyproline in position 7
 AUTHOR(S): Buku, Angeliki; Schwartz, Irving L.; Yamin, Nacit; Wyszbrod, Herman R.; Gazis, Diana
 CORPORATE SOURCE: Mount Sinai Sch. Med., CUNY, New York, NY, 10029, USA
 SOURCE: Journal of Medicinal Chemistry (1987), 30(8), 1509-12
 CODEN: JMCWAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 107:59450
 AB Three arginine-vasopressin (AVP) analogs in which the proline residue in position 7 was substituted with 4-hydroxyproline were synthesized by solid-phase techniques, and their biol. activities were evaluated by antidiuretic, pressor, and uterotonic bioassays. [7-trans-4-Hydroxy-L-proline]AVP, 1-desamino[7-trans-4-hydroxy-L-proline]AVP, and 1-desamino[7-cis-4-hydroxy-L-proline]AVP showed high antidiuretic and uterine activities, a sharp decrease in pressor activity, and a better

phenylalanyl-L-glutamyl-L-asparagyl-S-[(4-methylphenyl)methyl]-L-cysteinyl-trans-4-(phenylmethoxy)-L-prolyl-N5-[imino]((4-methylphenyl)sulfonylamino)methyl-L-ornithyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



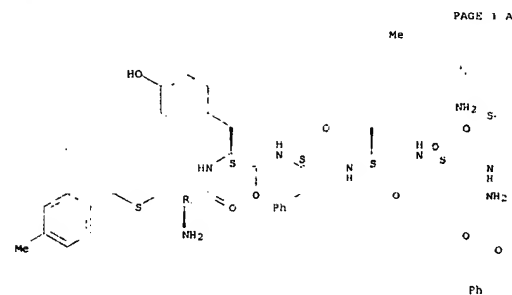
PAGE 1-B



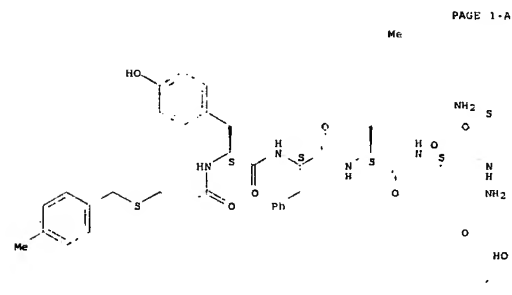
Absolute stereochemistry.

antidiuretic and uterine to pressor selectivity than AVP. The uterine activities are the highest so far assayed in AVP analogs with replacements in position 7.
 IT 108666-18-8DP, benzhydrylamine resin-bound 108666-19-5DP, benzhydrylamine resin-bound 108666-20-2DP, benzhydrylamine resin-bound
 RL: SPW (Synthetic preparation); PREP (Preparation)
 (Preparation of, as intermediate for arginine-vasopressin analog)
 RN 108666-18-8 CAPLUS
 CN Glycinamide, S-[(4-methylphenyl)methyl]-L-cysteinyl-L-tyrosyl-L-phenylalanyl-L-glutamyl-L-asparagyl-S-[(4-methylphenyl)methyl]-L-cysteinyl-trans-4-(phenylmethoxy)-L-prolyl-N5-[imino]((4-methylphenyl)sulfonylamino)methyl-L-ornithyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 108666-19-9 CAPLUS
 CN Glycinamide, N-[3-[[[(4-methylphenyl)methyl]thio]-1-oxopropyl]-L-tyrosyl]-L-



L6 ANSWER 460 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1987:459443 CAPLUS
 DOCUMENT NUMBER: 107:59443
 TITLE: Parallel packing of α -helices in crystals of the ceramycin III analog Boc-Trp-Ile-Ala-Aib-Ile-Val-Aib-Leu-Aib-Pro-OMe \cdot 2H₂O
 AUTHOR(S): Karle, Isabella L.; Sukumar, Muppalla; Salaram, Padmanabhan
 CORPORATE SOURCE: Lab. Struct. Matter, Nav. Res. Lab., Washington, DC, 20375 5000, USA
 SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1986), 83(23), 9284-8
 CODEN: PNASA6; ISSN: 0027-8124
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The crystal structure of the title peptide was determined. Despite the relatively few α -aminoisobutyric acid residues, the peptide maintains a helical form. The first intrahelical hydrogen bond is of the 310 type between N(3) and O(6), followed by five α -helix-type

hydrogen bonds. Solution ¹H NMR studies in chloroform also favor a helical conformation, with seven solvent-shielded NH groups. Continuous columns are formed by head-to-tail hydrogen bonds between the helical mols. along the helix axis. The absence of polar side chains precludes any lateral hydrogen bonds. Since the peptide crystallizes with one mol. in a triclinic space group, aggregation of the helical columns must necessarily be parallel rather than antiparallel. The packing of the columns is rather inefficient, as indicated by very few good van der Waals' contacts and the occurrence of voids between the mols.

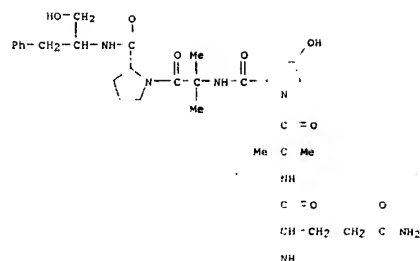
IT 79395-86-1D, Zervamicin IIA, decapeptide analog
RL: PRP (Properties)

(crystal structure of)

RN 79395-86-1 CAPLUS

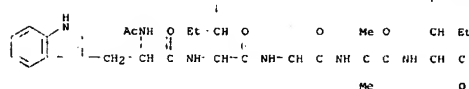
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-2-methylalanyl-L-isoleucyl-L-threonyl-L-methylalanyl-L-leucyl-L-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-L-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-2-methylalanyl-L-N-[1(S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

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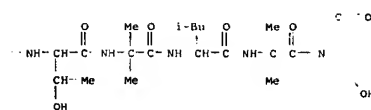


PAGE 1 B

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L6 ANSWER 461 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:454362 CAPLUS
DOCUMENT NUMBER: 107:54382
TITLE: Studies on the secondary structure of the peptide antibiotic antimioecin I
AUTHOR(S): Krishnaswamy, S.; Rattabhi, Vasantha
CORPORATE SOURCE: Dep. Crystallogr., Biophys., Univ. Madras, Madras, 600 025, India
SOURCE: Indian Journal of Biochemistry & Biophysics (1987), 24(1), 1-5

DOCUMENT TYPE: CODEN: 1JBBBQ; ISSN: 0301-1208
LANGUAGE: English

AB Restricted semi-empirical energy calcns. performed on fragments of antimioecin I are presented. A sterically allowed conformation, based on these results, arrived at by trial and error using computer simulation techniques is reported. The model consists of 2 hinged segments, viz. a left-handed near α -helical N-terminal and a variable C-terminal. It is proposed that a 5-residue N-terminal α -helix dipole (phenylalanine-1 to D-isovaline-5) extends to 7 residues by a conformational change of the turn at Gly-6-Leu-7, thereby slightly enhancing the limited pore formation capability. The aggregation of monomers is considered for channel formation. These features on comparison with the action models of alamethicin suggest that antimioecin should have weaker pore formation capabilities and a lesser number of monomer aggregates per channel.

IT 64347-37-1, Antimioecin I

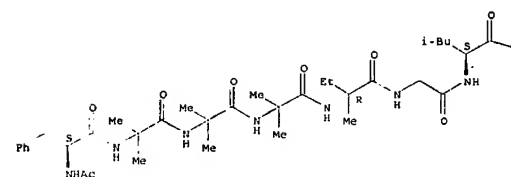
RL: PRP (Properties)
(conformation of)

RN 64347-37-1 CAPLUS

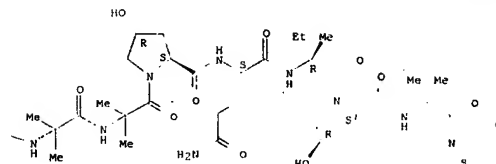
CN Antimioecin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.

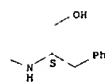
PAGE 1-A



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L6 ANSWER 462 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:434758 CAPLUS
DOCUMENT NUMBER: 107:34758
TITLE: ω -Conotoxin: direct and persistent blockade of specific types of calcium channels in neurons but not muscle
AUTHOR(S): McCleskey, R. W.; Fox, A. P.; Feldman, D. H.; Cruz, L. J.; Olivera, B. M.; Tsien, R. W.; Yoshikami, D.
CORPORATE SOURCE: Sch. Med., Yale Univ., New Haven, CT, 06510, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1987), 84(12), 4327-31
CODEN: PNASA6; ISSN: 0027-8424
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Blockade of Ca²⁺ channels by ω -conotoxin GVIA, a 27 amino acid peptide from the venom of the marine snail Conus geographus, was investigated with patch-clamp recordings of whole-cell and unitary currents in a variety of cell types. In dorsal root ganglion neurons, the toxin produces persistent block of L- and N-type Ca²⁺ channels but only transiently inhibits T-type channels. Its actions appear to be neuron-specific, since it blocks high-threshold Ca²⁺ channels in sensory, sympathetic, and hippocampal neurons of vertebrates but not in cardiac, skeletal, or smooth muscle cells. Block occurs through direct interaction of the toxin with an external site closely associated with the Ca²⁺ channel, without apparent involvement of a 2nd messenger or dependence on channel gating. The tissue and channel-type specificity and the directness and

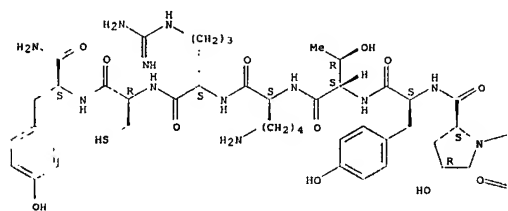
slow reversibility of the block are features that favor use of μ -conotoxin as a tool for purifying particular neuronal Ca_2^+ channels and defining their physiol. function.

IT 92078-76-7
 RL: B10L (Biological study)
 (calcium ion channels blockade by, in neurons)
 RN 92078-76-7 CAPLUS
 CN μ -Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)

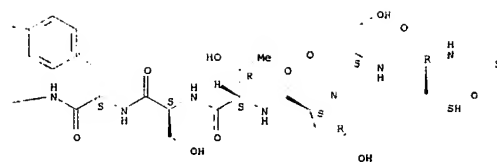
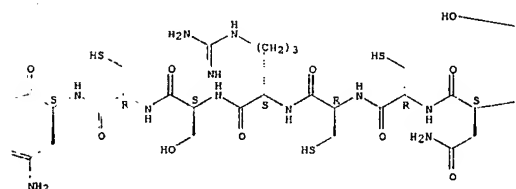
Absolute stereochemistry.

PAGE 1-C

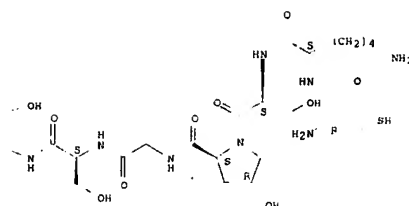
PAGE 1-A



PAGE 1-B



PAGE 1-D



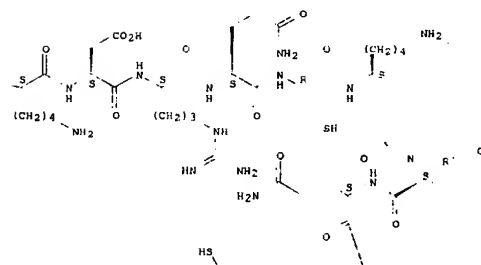
L6 ANSWER 463 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1987:434735 CAPLUS
 DOCUMENT NUMBER: 107:34735
 TITLE: μ -Conotoxins share a common binding site with tetrodotoxin/saxitoxin on eel electroplax sodium channels
 AUTHOR(S): Yanagawa, Yuchio; Abe, Teruo; Satake, Mei
 CORPORATE SOURCE: Brain Res. Inst., Niigata Univ., Niigata, 951, Japan
 SOURCE: Journal of Neuroscience (1987), 7(5), 1498-502
 CODEN: JNRSDS; ISSN: 0270-6474
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The binding characteristics of conotoxin GIIIA, purified from the venom of a marine snail, *Conus geographus*, were studied using a radiolabeled monopropionyl derivative of the toxin (3H-Pr-CGIIIA); membranes of *Electrophorus electricus* were used in the study. 3H-Pr-CGIIIA bound specifically to a single class of saturable binding sites in electroplax membranes with a dissociation constant of 1.1 nM and a maximal binding capacity of 11 pmol/mg of protein. The latter value was similar to the number of

specific binding sites (10 ± 2 pmol/mg of protein) for 3H-lysine-tetrodotoxin (3H-Lys-TTX). Monopropionyl CGIIIA had similar inhibitory effects on the binding of 3H-Lys-TTX (1 nM) to electroplax membranes with median inhibitory concentration (IC50) values of 3.5 and 0.9 nM, resp. The association and dissociation of 3H-Pr-CGIIIA and electroplax membranes were much slower than those of 3H-Lys-TTX and the membranes. μ -Conotoxins (CGIIIA and CGIIB) and guanidinium toxins (TTX and saxitoxin) inhibited 3H-Pr-CGIIIA (1 nM) binding to electroplax membranes with IC50 values of 0.6, 1.1, 7.1, and 2.2 nM, resp. However, several other kinds of neurotoxins and local anesthetics known to interact with Na channels did not affect 3H-Pr-CGIIIA binding. Thus, the μ -conotoxins must be classified in the same group of Na channel inhibitors as guanidinium toxins, since they competed with guanidinium toxins for binding sites on the Na channel. The peptide μ -conotoxins should be useful in studies on the functional and structural domains of Na channel proteins.

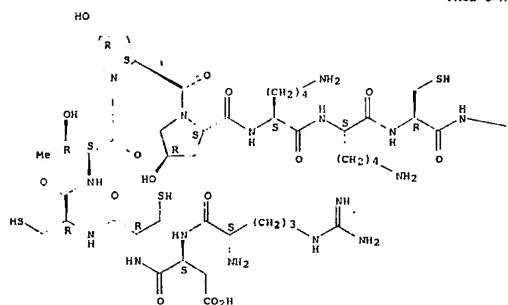
IT 86394-16-3 86414-29-1
 RL: B10L (Biological study)
 (binding characteristics of, to eel electroplax membranes, saxitoxin and tetrodotoxin in relation to)
 RN 86394-16-3 CAPLUS
 CN μ -Conotoxin G I I I A (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

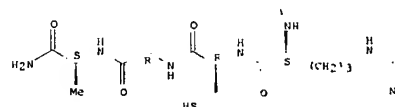
PAGE 1-B



PAGE 1-A



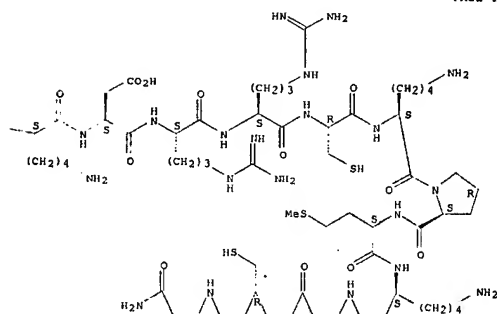
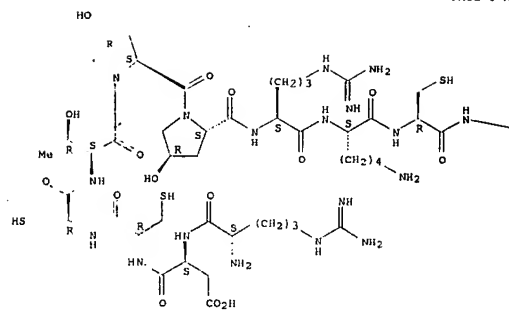
PAGE 2-B



PAGE 2-C

RN 86414-29-1 CAPLUS
 CN μ -Conotoxin G I I I B (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 464 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:12545 CAPLUS
DOCUMENT NUMBER: 106:12545
TITLE: Peptide, microbiological process for its production
and its use as an antibiotic, cytotoxic and
phytotoxic agent
INVENTOR(S): Tuccibello, Lorenzo; Casinovi, Carlo Giulio; Rossi,
Carlo
PATENT ASSIGNEE(S): Consiglio Nazionale delle Ricerche, Italy; Istituto
Superiore di Sanita
SOURCE: PCT Int. Appl. 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM.: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8605791	A1	19861009	WO 1986-1723	19860321
W: AU, JP, US				
RM: AT, BE, CH, DE, FF, GB, IT, IL, NL, SE				
AU 8656620	A	19861023	AU 1986-56260	19860321
EP 240501	A	19870114	EP 1986-001566	19860321
RM: AT, BE, CH, DE, FR, GB, IT, IL, NL, SE				
PRIORITY APPL. INFO.:		IT 1985-4789		A 19860328

AB A peptide, MeSe-MePro-Leu-HyLeu-Aib-Leu-Aib-His Aib HAlA-DAP
= 3 α -methylhexenoic acid, MePro = cis-4-methylproline, HyLeu =
-treo- β -hydroxy-leucine, Aib = α -aminoisobutyric acid, DAP =
dimethylamino-2-aminopropane (1) possessing antimicrobial, cytotoxic and
phytotoxic activities is manufactured by cultivation of *Bacillus*. A
composition containing it is also given. *P. marquandii* was cultivated in a medium
containing

0.1% yeast autolyzate and 3% glucose at pH 6.277 with agitation and aeration for 4 days. The culture filtrate was extracted with benzene and chromatographed on silica gel to yield I. The MICs of I against *Staphylococcus aureus* and *Trichophyton mentagrophytes* were 8.2 µmo/L in agar, and the ID₅₀ against KB cells was 0.95 µg/mL. I was also phytotoxic to Supermarmande tomato cuttings.

IT 108426-90-0
PREP (Bioindustrial manufacture), BIOL (Biological study); PREP (manufacture of, with *Pacilomyces marquandi*, as antibiotic and cytotoxic and phytotoxic agent)

EN 108426-90-0 CAPLUS
CN leucipogonin_D_(9CI) [CA INDEX NAME]

CORPORATE SOURCE: Brain Res. Inst., Niigata Univ., Niigata, 951, Japan
SOURCE: European Journal of Pharmacology (1987), 135(3),
337-43
CODEN: EJPHAZ; ISSN: 0014 2999
DOCUMENT TYPE: Journal
LANGUAGE: English

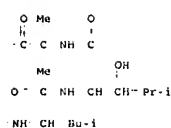
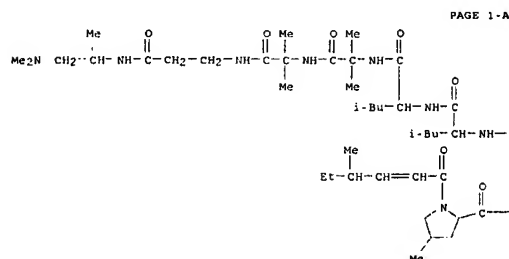
LANGUAGE: English
AB The effects of chemical synthesized ω -conotoxin GVIA I
92078-76-71 (a neurotoxic peptide from *Conus geographus*) on
synaptic transmission at the bullfrog sympathetic ganglion, frog
neuromuscular junction, and elec. organ of the ray, *Raja japonica*, were
studied. The synthetic toxin irreversibly suppressed synaptic
transmission at these synapses by arresting the release of transmission
from the nerve terminals without showing postsynaptic effects. This
action of the toxin of selectively antagonizing the high concn. of
extracellular Ca^{2+} . The synthetic toxin irreversibly blocked the
 Ca^{2+} -dependent action potential of bullfrog sympathetic ganglion cells.
Evidently ω -conotoxin GVIA blocks synaptic transmission by
interfering with the Ca^{2+} influx through the voltage sensitive Ca^{2+}
channel of the nerve terminal. The chemical synthesized ω -conotoxin
GVIA acts exactly like the natural ω -conotoxin GVIA. Thus, the
synthetic toxin can be used in place of the natural toxin as a useful
probe for the voltage-sensitive Ca^{2+} channel in the nervous system.

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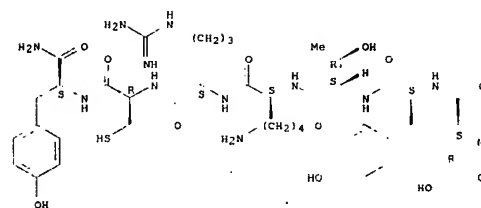
IT 92078-76-7
    RL: BIOL (Biological study)
        (neurotransmission inhibition by, calcium channel blockade in relation
        to)
RN 92078-76-7 CAPLUS
CN  ω-Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)

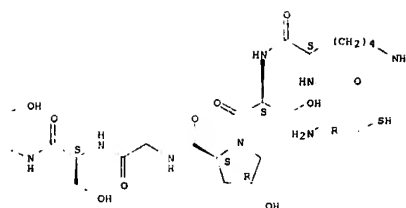
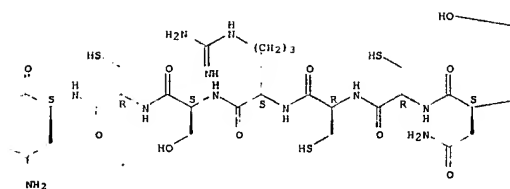
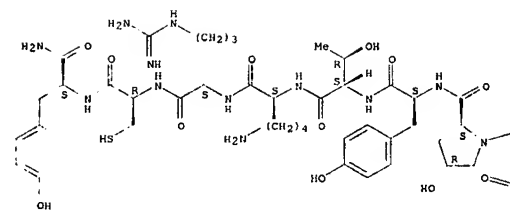
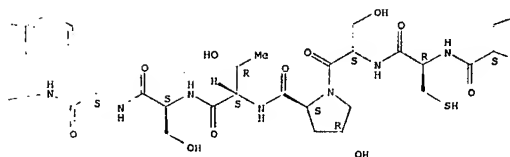
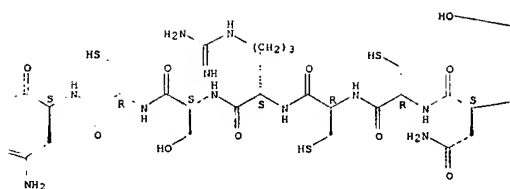
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Absolute stereochemistry.



L6 ANSWER 465 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:209144 CAPLUS
DOCUMENT NUMBER: 106:209144
TITLE: Effects of synthetic α -conotoxin on synaptic
transmission
AUTHOR(S): Koyano, Konomi; Abe, Teruo; Nishiuchi, Yuji;
Sakakibara, Shumpei



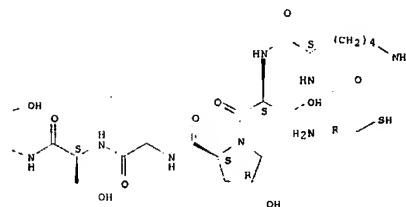
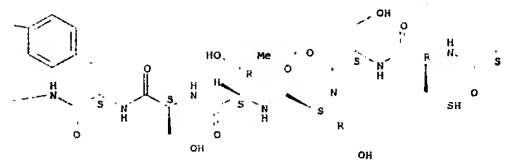


L6 ANSWER 466 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1987:209132 CAPLUS
 DOCUMENT NUMBER: 106:209132
 TITLE: Differential blocking action of synthetic
 m-conotoxin on components of calcium channel
 current in clonal GH3 cells
 AUTHOR(S): Suzuki, Nobuyuki; Yoshioka, Tooru
 CORPORATE SOURCE: Sch. Med., Kitasato Univ., Kanagawa, Japan
 SOURCE: Neuroscience Letters (1987), 75(2), 235-9
 CODEN: NELEDS; ISSN: 0304-3940
 DOCUMENT TYPE: Journal
 LANGUAGE: English

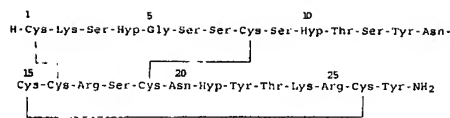
AB The effect of synthetic m-conotoxin (92078-76-7) on 2
 types of Ca²⁺ channels in clonal rat pituitary cells (GH3) was studied
 using the whole-cell variant of the patch-clamp technique. A low concentration
 of m-conotoxin (50 nM) was sufficient to block a long-lasting Ca²⁺
 channel current but a much higher concentration (1 μM) had little effect on a
 different type of Ca²⁺ channel current, which was activated at relatively
 more neg. voltages and showed marked inactivation. The synthetic toxin
 preferentially targeted a specific type of Ca²⁺ channel and might provide
 a unique and powerful tool for studying the mol. properties of Ca²⁺
 channels and the functional role in the process of hormonal secretion.

IT 92078-76-7
 RL: BIOL. (Biological study)
 (synthetic, calcium channels response to, in GH3 cells)
 RN 92078-76-7 CAPLUS
 CN m-Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 467 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1987:176840 CAPLUS
 DOCUMENT NUMBER: 106:176840
 TITLE: Synthesis of m-conotoxin GVIA with three
 intramolecular disulfide bridges
 AUTHOR(S): Nishiuchi, Yuji; Kumagaya, Kumiko Yoshizawa, Noda,
 Yuko; Watanabe, Takashi X.; Sakakibara, Shumpei
 CORPORATE SOURCE: Protein Res. Found., Peptide Inst. Inc., Osaka, 562,
 Japan
 SOURCE: Peptide Chemistry (1986), Volume Date 1985, 23rd,
 77-82
 CODEN: PECHDP; ISSN: 0308-3698
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



PAGE 1-B

AB Title peptide I was prepared by fragment condensations in solution using protected 1-5, 6-14, 15-21, and 22-27 segments. The final protected 27-peptide was deblocked by HF/anisole to give linear 27-peptide containing 6 Cys(Acm) residues in 60% yield. The latter was Acm-deblocked by Hg(OAc)₂ and then cyclized by air oxidation to give 30% I. The positions of the 3 disulfide bridges in synthetic I were determined via enzymic digests. Biol. activity data indicated that synthetic I possesses the same secondary structure as native peptide.

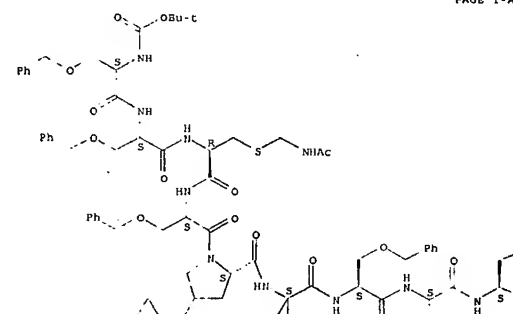
IT 107897-31-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(phenacyl cleavage and peptide coupling with protected
ω-conotoxin GVIA (fragment))

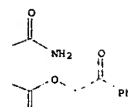
RN 107897-31-4 CAPLUS

CN L-Asparagine, N2-[N-[N-[N-[1-[N-[S-[(acetylamino)methyl]-N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-O-(phenylmethyl)-L-seryl]-L-cysteinyl]-O-(phenylmethyl)-L-seryl]-trans-4-(phenylmethoxy)-L-prolyl]-O-(phenylmethyl)-L-threonyl]-O-(phenylmethyl)-L-seryl]-O-[[[4-bromophenyl)methoxy]carbonyl]-L-tyrosyl]-, 2-oxo-2-phenylethyl ester (9CI)
(CA INDEX NAME)

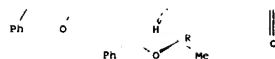
Absolute stereochemistry.



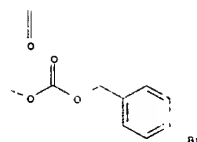
PAGE 1-A



PAGE 2-A



PAGE 2-B



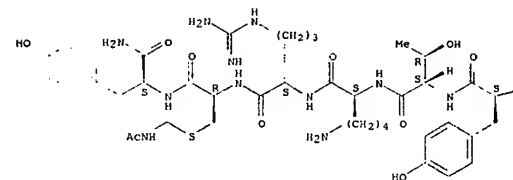
IT 107929-75-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking-oxidative cyclization of)

RN 107929-75-9 CAPLUS

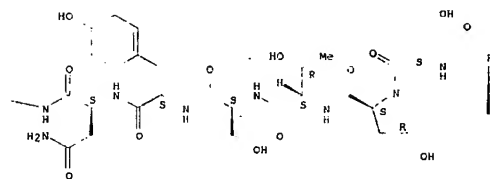
CN ω-Conotoxin G VIA (reduced), 1-[S-[(acetylamino)methyl]-L-cysteine]-8-[S-[(acetylamino)methyl]-L-cysteine]-15-[S-[(acetylamino)methyl]-L-cysteine]-16-[S-[(acetylamino)methyl]-L-cysteine]-19-[S-[(acetylamino)methyl]-L-cysteine]-26-[S-[(acetylamino)methyl]-L-cysteine]-9CI (CA INDEX NAME)

Absolute stereochemistry.



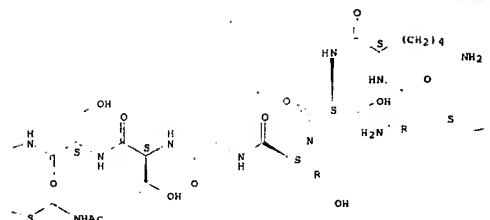
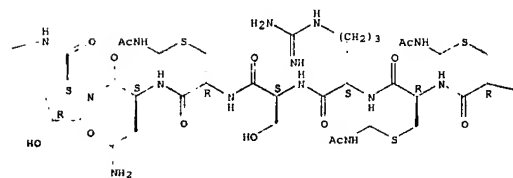
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PAGE 1-E

—NHAc

ACCESSION NUMBER: 1987:170698 CAPLUS
 DOCUMENT NUMBER: 106:170698
 TITLE: Presynaptic calcium antagonist ω -conotoxin irreversibly blocks N-type calcium channels in chick sensory neurons
 AUTHOR(S): Kasai, H., Aoyaki, T., Fukuda, J.
 CORPORATE SOURCE: Fac. Med., Univ. Tokyo, Tokyo, Japan
 SOURCE: Neuroscience Research (Oxford, United Kingdom) (1987), 4(3), 228-35
 CODEN: NERADN; ISSN: 0168-0102

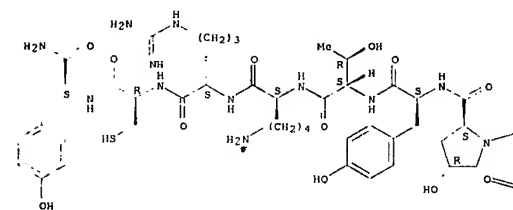
PAGE 1 B

DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Studies on differences of the 3 types of Ca currents (N-, L-, and T-types) in whole-cell clamped, cultured embryonic chick sensory neurons revealed that the majority (94%) of the Ca currents in the nerve cells were the N-type. ω -Conotoxin (ω CTX) [92078-76-7], a blocker of transmitter release at the presynaptic terminals, induced a complete and irreversible blockage of Ca currents elicited from the resting membrane potential (-60 mV) in 29 cells among 58. The Ca currents thus irreversibly blocked by the ω CTX (at 5 mM) were determined as the N-type (neuronal), as they were insensitive to nifedipine (5 μ M) or were reduced in amplitude by Bay K 8644 (5 μ M). A small fraction (12%) of the total Ca currents, which were still present after the ω CTX treatment (in the rest of 29 cells), were pure L-type (long-lasting) Ca currents, as they were enhanced by the Bay K and were blocked by the nifedipine. ω CTX was a partial and reversible blocker of the L-type Ca currents. Furthermore, T-type (transient) Ca currents elicited in the hyperpolarized membrane (at -100 mV) were blocked by ω CTX in an incomplete and reversible manner. The N-type Ca currents thus separated in the nerve cells exhibited various differences in features of the voltage-dependence and ionic selectivity from the L- and T-type Ca currents.

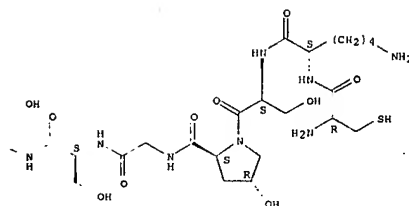
IT 92078-76-7
 RL: BIOL (Biological study)
 (N-type calcium channels blockade by, in sensory neurons)
 RN 92078-76-7 CAPLUS
 CN ω -Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-D

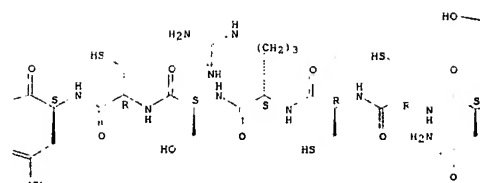


L6 ANSWER 469 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1987:151186 CAPLUS
 DOCUMENT NUMBER: 106:151186
 TITLE: Photocrosslinking labeling of the receptor for ω -conotoxin
 AUTHOR(S): Abe, Teruo; Saisu, Hideo
 CORPORATE SOURCE: Brain Res. Inst., Niigata Univ., Niigata, 951, Japan
 SOURCE: Proceedings of the Japan Academy, Series B: Physical and Biological Sciences (1986), 62(10), 416-18
 CODEN: PJABDW; ISSN: 0366-2208

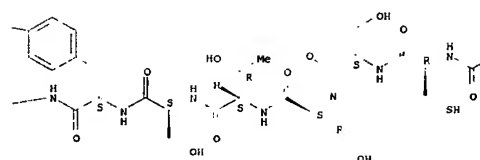
DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB An ¹²⁵I-labeled ω -conotoxin GVIA (I) [92078-76-7] derivative labeled 310,000-, 230,000-, and 34,000-dalton fractions of rat brain synaptic plasma membrane after UV radiation. These components apparently constitute the receptor for I in the synaptic plasma membrane. Since I probably attacks the Ca channel itself, these substances are very likely components of the Ca channel.

IT 92078-76-7
 RL: BIOL (Biological study)
 (receptors for, of brain membrane)
 RN 92078-76-7 CAPLUS
 CN ω -Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)

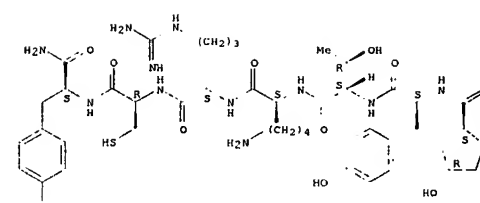
Absolute stereochemistry.



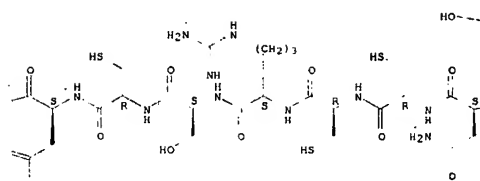
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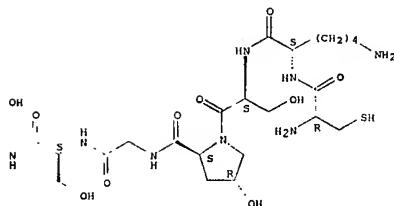
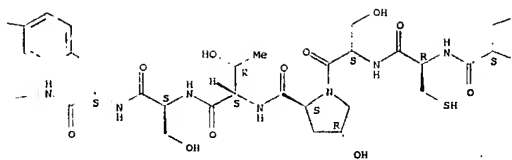


PAGE 1 A



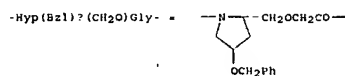
PAGE 1 B





L6 ANSWER 470 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1987:115597 CAPLUS
 DOCUMENT NUMBER: 106:115597
 TITLE: Specificity of prolyl endopeptidase
 AUTHOR(S): Nomura, Kohji
 CORPORATE SOURCE: Dep. Biochem., Tokyo Metrop. Inst. Gerontol., Tokyo, 173, Japan
 SOURCE: FEBS Letters (1986), 209(2), 235-7
 CODEN: FEBSL; ISSN: 0014-5793
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A series of tetrapeptides, Cbz(Bz)-Gly-X-Leu-Gly (where Cbz = N-benzyloxycarbonyl, Bz = N-benzoyl, and X = amino acid) were synthesized, and the kinetic parameters k_{cat} (catalytic rate constant) and k_{cat}/K_m were determined for their hydrolyses by prolyl endopeptidase from *Flavobacterium*. The peptides with X = N-Me-Ala, Sar, and Ala (where Ala and Sar = alanyl and sarcosyl, resp.) as well as the standard substrate (X = proline) were good substrates, while those with X = n-aminobutyl, hydroxypropyl (Hyp), seryl (Ser), and glycyl were poor substrates, and

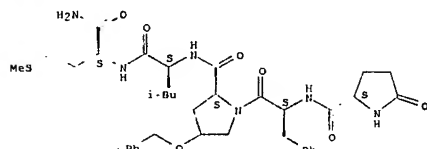
DOCUMENT TYPE: Conference
 LANGUAGE: English
 G1



AB Substance P (SP) hydroxyproline (Hyp) analogs, [pyroGlu⁶]SP6-11, [pyroGlu⁶, desGly⁹]SP1-11, [pyroGlu⁶, Hyp(Bzl¹⁴)]SP6-11 (I), and [pyroGlu⁶, Hyp(Bzl¹⁴), desGly⁹]SP6-11 (Bzl = PhCH₂, Hyp exists as trans-L, cis-L, and cis-D isomers) were prepared and their biol. activities measured. I were more active than the desGly⁹ analogs. Analogs containing the trans-L-Hyp(Bzl¹⁴) residue were the most active. This residue and retro-inverso bond CH2O were incorporated into peptide [pyroGlu⁶, trans-L-Hyp(Bzl¹⁴)](CH₂O)Gly⁹]SP6-11, which was 5 times more active than trans-L-I.

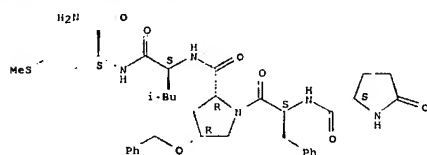
IT 106815-24-1P 106820-39-7P 106863-25-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and biol. activity of)
 RN 106815-24-1 CAPLUS
 CN L-Methioninamide, 5-oxo-L-prolyl-L-phenylalanyl-trans-4-(phenylmethoxy)-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 106820-39-7 CAPLUS
 CN L-Methioninamide, 5-oxo-L-prolyl-L-phenylalanyl-trans-4-(phenylmethoxy)-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

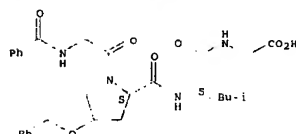
Absolute stereochemistry.



those with X = pipecolyl, n-aminobutyryl, N-Me-valyl, N-Me-leucyl, Hyp(O-Bzl), and Ser(O-Bzl) (where Bzl = benzyl) were not cleaved at all. These results suggest that the specificity-determining site or S1 subsite of the enzyme is designed to fit exactly the proline residue of the substrate with allowance for the residues carrying substituents at the N and/or C α , which must not exceed the size of the pyrrolidine ring of proline.

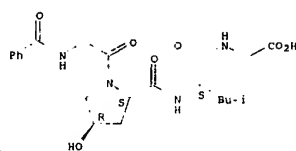
IT 107089-75-8
 RL: BIOL (Biological study)
 (prolyl endopeptidase specificity in *Flavobacterium* in relation to)
 RN 107089-75-8 CAPLUS
 CN Glycine, N-[N-[1-(N-benzoylglycyl)-trans-4-(phenylmethoxy)-L-prolyl]-L-leucyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 107077-79-2
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with prolyl endopeptidase of *Flavobacterium*, kinetics of)
 RN 107077-79-2 CAPLUS
 CN Glycine, N-[N-[1-(N-benzoylglycyl)-trans-4-hydroxy-L-prolyl]-L-leucyl]- (9CI) (CA INDEX NAME)

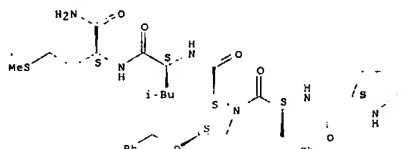
Absolute stereochemistry.



L6 ANSWER 471 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1987:102663 CAPLUS
 DOCUMENT NUMBER: 106:102663
 TITLE: Design, synthesis and biological activity of topologically related partial nonpeptidic peptidomimetic analogs of substance P
 AUTHOR(S): Rubini, Eli; Wormser, Uri; Levian-Teitelbaum, Dina; Laufer, Ralph; Gilon, Chaim; Selinger, Zvi; Chorev, Michael
 CORPORATE SOURCE: Dep. Pharm., Hebrew Univ. Jerusalem, Jerusalem, 91901, Israel
 SOURCE: Pept.: Struct. Funct., Proc. Am. Pept. Symp., 9th (1985), 635-8
 CODEN: 54ZNAJ

RN 106863-25-6 CAPLUS
 CN L-Methioninamide, 5-oxo-L-prolyl-L-phenylalanyl-cis-4-(phenylmethoxy)-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



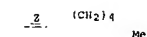
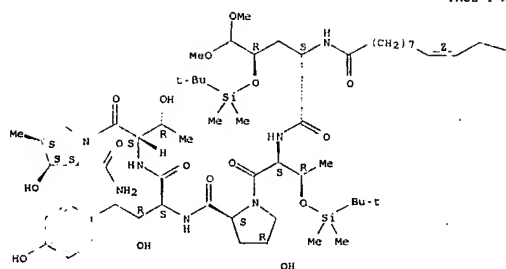
L6 ANSWER 472 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1987:67645 CAPLUS
 DOCUMENT NUMBER: 106:67645
 TITLE: Synthetic studies on macrocyclic peptide antibiotic echinocandins
 AUTHOR(S): Kurokawa, Natsuko; Ohfune, Yasufumi
 CORPORATE SOURCE: Suntory Inst. Biorg. Res., Japan
 SOURCE: Tennen Yuki Kagobutsu Toronkai Koen Yoshishu (1985), 27th, 275-82
 CODEN: TYKYDS
 DOCUMENT TYPE: Journal
 LANGUAGE: Japanese
 G1

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

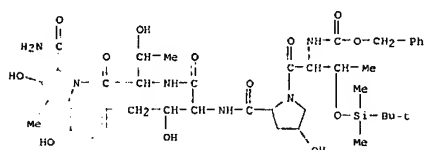
AB Approaches to the total synthesis of echinocandin C (I) are described. The syntheses of 4 unusual amino acid moieties 11-V were carried out from the allylglycine derivative and/or the vinylglycine equivalent in an efficient manner via a stereoselective introduction of β - or γ -hydroxyl group. The acyclic hexapeptide VI was prepared by solution methods. The cyclization step of VI to I is in progress.

IT 104197-59-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as acyclic hexapeptide precursor of echinocandin C)
 RN 104197-59-3 CAPLUS
 CN L-Prolinamide, erythro-4-[[[1,1-dimethyl-ethyl]dimethylsilyloxy]-5,5-dimethoxy-N-(1-oxo-4,12-octadecadienyl)]-L-homovalyl-O-[[[1,1-dimethylethyl]dimethylsilyl]-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, [1(92,122),2(4,3),4]]- (9CI) (CA INDEX NAME)

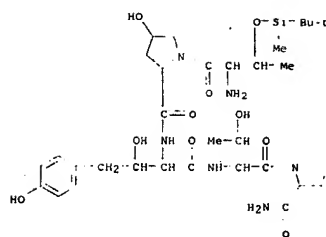
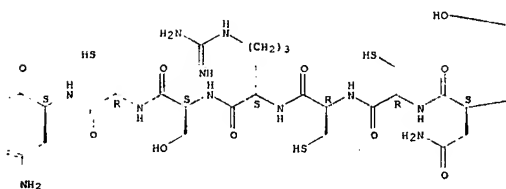
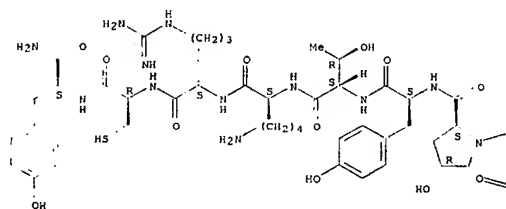
Absolute stereochemistry.
 Double bond geometry as shown.



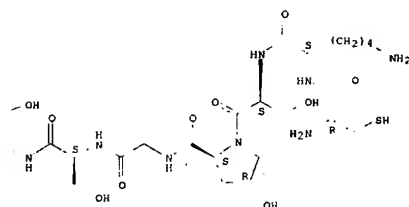
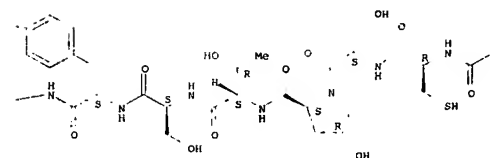
IT 106391-79-1P 106391-80-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as intermediate for acyclic hexapeptide precursor of echinocandin C)
 RN 106391-79-1 CAPLUS
 CN L-Prolinamide, O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, (2*α*,3*β*,4*β*)- (9CI) (CA INDEX NAME)



RN 106391-80-4 CAPLUS
 CN L-Prolinamide, O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, (2*α*,3*β*,4*β*)- (9CI) (CA INDEX NAME)



L6 ANSWER 473 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1987:62700 CAPLUS
 DOCUMENT NUMBER: 106:62700
 TITLE: Characterization of the ω -conotoxin target. Evidence for tissue-specific heterogeneity in calcium channel types
 AUTHOR(S): Cruz, Lourdes J.; Johnson, David S.; Olivera, Baldomero M.
 CORPORATE SOURCE: Dep. Biol., Univ. Utah, Salt Lake City, UT, 84112, USA
 SOURCE: Biochemistry (1987), 26(3), 820-4
 CODEN: BICHAH; ISSN: 0006-2960
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The characterization of a biol. active, homogeneous 125I-labeled moniodinated Tyr22 derivative of ω -conotoxin [92078-76-7] and its use in binding and cross-linking studies are described. The 125I-labeled toxin is specifically cross-linked to a receptor protein with an apparent mol. weight of 135,000. The stoichiometry between ω -conotoxin and nitrendipine [39562-70-4] binding sites in different chick tissues was determined. Skeletal muscle has a high concentration of [3H]nitrendipine binding sites (>1000 fmol/mg) but no detectable ω -conotoxin sites (<7 fmol/mg). Brain microsomes have both binding sites, but ω -conotoxin targets are in excess. These results, combined with recent electrophysiol. studies define 4 types of Ca channels in chick tissues, M, T, L_N (ω sensitive), and L_N (ω insensitive), and are consistent with the hypothesis that the α -subunits of certain neuronal Ca_v2 channels (L_N, N) are the mol. targets of ω -conotoxin.
 IT 92078-76-7
 RL: PRP (Properties)
 (characterization of, calcium channels in relation to)
 RN 92078-76-7 CAPLUS
 CN ω -Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



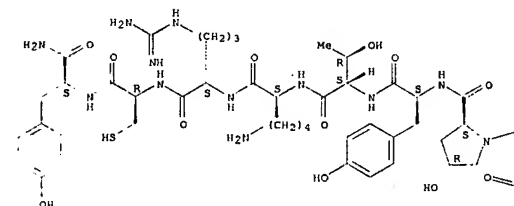
L6 ANSWER 474 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1987:45828 CAPLUS
 DOCUMENT NUMBER: 106:45828
 TITLE: Brain voltage-sensitive calcium channel subtypes differentiated by ω -conotoxin fraction GVIA
 AUTHOR(S): Reynolds, Ian J.; Wagner, John A.; Snyder, Solomon H.; Thayer, Stanley A.; Olivera, Baldomero M.; Miller, Richard J.
 CORPORATE SOURCE: Sch. Med., Johns Hopkins Univ., Baltimore, MD, 21205, USA
 SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1986), 83(22), 8804-7
 CODEN: PNASA6; ISSN: 0027-8424
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The voltage-activated influx of Ca²⁺ into synaptosomes was studied. Rapid 45Ca²⁺ influx into synaptosomes, measured at 1 s, was blocked by depolarization and by low concns. of Cd, as anticipated for voltage-sensitive Ca channels (VSCCs). However, fluxes were insensitive

to dihydropyridine drugs that block or activate VSCCs, including nitrendipine, Bay K 8644, and (+)- and (-)-PN202-791. Phenylalkylamine Ca antagonists, including verapamil and desmethoxyverapamil, blocked 45Ca^{2+} uptake in a nonspecific fashion. The peptide ω -conotoxin fraction GVIA (ω -CgTx GVIA) blocked 45Ca^{2+} uptake in a biphasic fashion, with a 30% reduction at 50 pM toxin and a further decrease at concns. ≥ 5 nM. The toxin inhibited neurotransmitter release from synaptosomes in nanomolar concns., corresponding to its low-affinity effects on 45Ca^{2+} influx. The ω -CgTx GVIA also inhibited depolarization-induced increases in intracellular Ca^{2+} concentration in single hippocampal and striatal neurons. Thus, ω -CgTx GVIA blocks VSCCs in both cell bodies and nerve terminals and the predominant form of VSCC in nerve terminals is the dihydropyridine-insensitive N type.

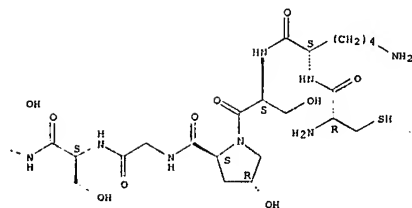
IT 92078-76-7
 RL: BIOL (Biological study)
 (voltage-sensitive calcium channels of brain differentiation by)
 RN 92078-76-7 CAPLUS
 CN ω -Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



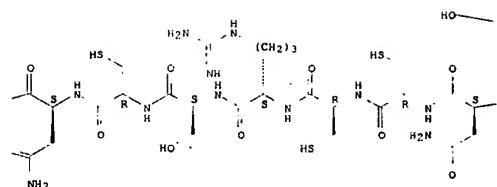
PAGE 1-D



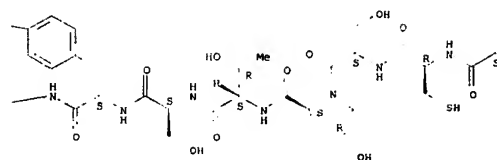
L6 ANSWER 475 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1987:13519 CAPLUS
 DOCUMENT NUMBER: 106:13519
 TITLE: Effects of calcium antagonists on the action potential and their relationship to the muscarinic ACh actions in isolated sympathetic neurons of rabbits
 AUTHOR(S): Mochida, Sumiko; Kobayashi, Haruo
 CORPORATE SOURCE: Dep. Physiol., Tokyo Med. Coll., Tokyo, 160, Japan
 SOURCE: Neuroscience Letters (1986), 72(2), 205-10
 CODEN: NELEDS; ISSN: 0304-3940
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Muscarinic induced depressions of the shoulder in the falling phase as well as the after-spike hyperpolarization and depolarization of the action potential in the isolated sympathetic neurons of rabbits were mimicked by a novel peptide Ca channel blocker, ω -conotoxin [92078-76-7] (0.1-0.5 μM). Co^{2+} ions (0.1-2 mM) showed bidirectional effects on the shoulder, an early depression followed by a later prolongation, whereas they consistently induced depressions of other components. Organic Ca channel blockers, verapamil [52-53-9] and D-600 [16662-47-8] (1-50 μM) and nifedipine [21829-25-4] (0.1-1 μM) appeared to have other effects as they caused a prolongation of the falling phase that was shortened by further application of acetylcholine (ACh) [51-84-3].
 IT 92078-76-7
 RL: BIOL (Biological study)
 (sympathetic neuron action potential response to)
 RN 92078-76-7 CAPLUS
 CN ω -Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

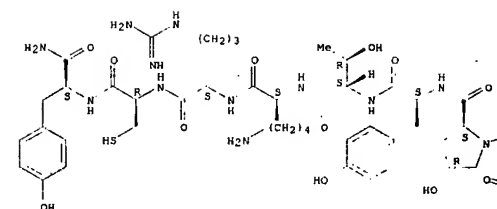
PAGE 1-B



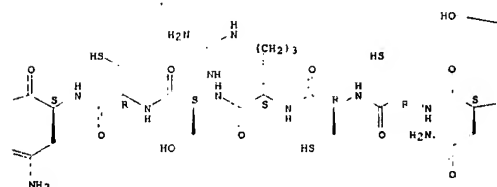
PAGE 1-C

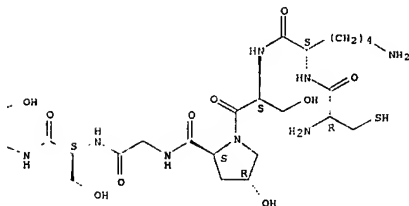
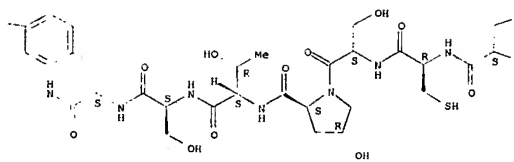


PAGE 1-A



PAGE 1-B



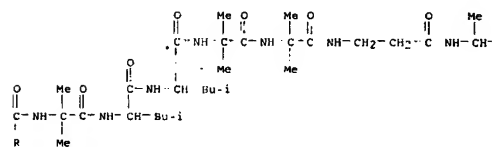
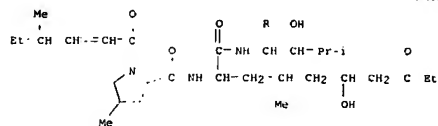


L6 ANSWER 476 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1986:624601 CAPLUS
 DOCUMENT NUMBER: 105:224601
 TITLE: Peptide Antibiotic 1907
 INVENTOR(S): Beppu, Teruhiko; Sato, Mitsukatsu; Ishikura, Tomoyuki
 PATENT ASSIGNEE(S): Sanraku Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
 CODEN: JXXXXF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61146195	A	19860703	JP 1984-265412	19841218
PRIORITY APPLN. INFO.: JP 1984-265412 19841218				

AB Peptide antibiotic 1907 [CA 98: 15160x] is produced in a good yield by cultivating *Paecilomyces lilacinus* Number 1907 in a medium at pH 6.5-7.5

until the total cellular nucleic acid content reaches 0.10 g/L and thereafter at pH 9.0-11.0. Thus, the strain was precultured at pH 9.6 and inoculated into a medium containing glucose 0.2, soluble starch 3, soybean meal 1.5, peptone 0.3, dry yeast 0.2, K₂HPO₄ 0.1, NaCl 0.1, MgSO₄·7H₂O 0.05%, and some Fe, Cu, Zn, and Mn at 28°C/pH 6.8 for 24 h. Thereafter, 5% KHCO₃ was added and the medium (pH approx. 9.2) aerated an addnl. 3 days to give 600 µg/mL antibiotic, vs. 86 µg/mL with initial addition of 1% Na₂CO₃ (pH approx. 10.25).
 IT 76600-38-9P
 RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP (Preparation)
 (manufacture of, with *Paecilomyces lilacinus*)
 RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9CI) (CA INDEX NAME)



CH₂-NMe₂

L6 ANSWER 477 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

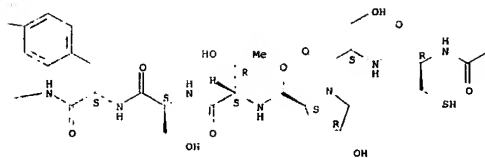
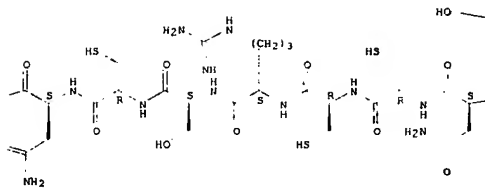
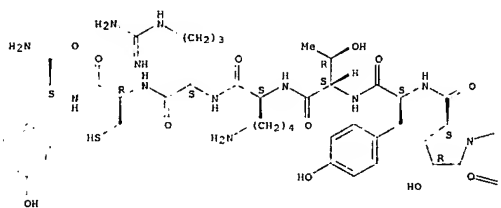
ACCESSION NUMBER: 1986:620613 CAPLUS
 DOCUMENT NUMBER: 105:220613
 TITLE: Blockade of transmitter release by a synthetic venom peptide, α-conotoxin
 AUTHOR(S): Enomoto, Kohichi; Sano, Kazuya; Shibuya, Yuzo; Maeno, Takeshi
 CORPORATE SOURCE: Dep. Physiol., Shimane Med. Univ., Shimane, 693, Japan
 SOURCE: Proceedings of the Japan Academy, Series B: Physical and Biological Sciences (1986), 62(7), 267-70
 CODEN: PJABDW; ISSN: 0386-2208
 DOCUMENT TYPE: Journal
 LANGUAGE: English

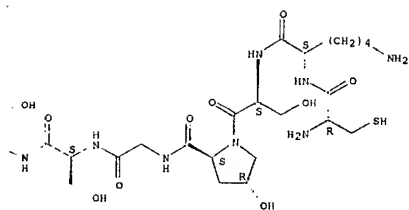
AB To determine whether synthetic α-conotoxin [19078-76-7] had the same effect on nerve-muscle preparation as the natural toxin (conotoxin GVIA) isolated from the venom of *Conus geographus*, frog pectoris and sartoris preps. were exposed to the synthetic toxin and the endplate potentials were determined in the presence of Ca at concns. of 0.9-10 mM; at 40 mM α-conotoxin, the endplate potential amplitude was reduced approx. 80% in 30 min at 0.9 mM Ca in the bathing Ringer solution. As the Ca concentration was increased, the amplitude reduction was reversed and at 10 mM

Ca, the effect of the toxin was abolished. Thus, the action of the synthetic toxin (Ca-channel blockade) paralleled that of the natural toxin and could serve as a useful tool in studying the difference in voltage-dependent Ca channels in various tissues.

IT 92078-76-7
 RL: BIOL (Biological study)
 (calcium channel blockade by, in nerve-muscle preps., endplate potential in relation to)
 RN 92078-76-7 CAPLUS
 CN α-Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



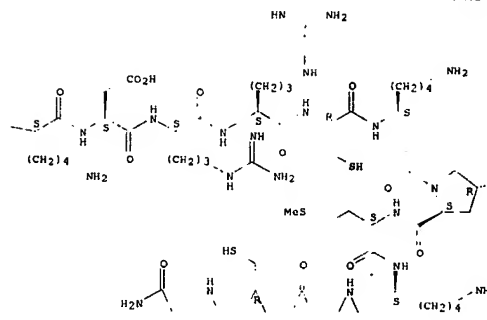
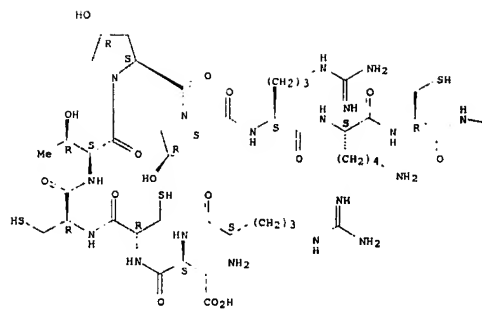


L6 ANSWER 478 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1986:620606 CAPLUS
 DOCUMENT NUMBER: 105:220606
 TITLE: Geographotoxin II, a novel peptide inhibitor of sodium channels of skeletal muscles and autonomic nerves
 AUTHOR(S): Ohizumi, Yasushi; Minoshima, Shinsei; Takahashi, Masami; Kanjiwara, Akiko; Nakamura, Hideshi; Kobayashi, Junichi
 CORPORATE SOURCE: Mitsubishi-Kasei Inst. Life Sci., Machida, 194, Japan
 SOURCE: Journal of Pharmacology and Experimental Therapeutics (1986), 239(1), 243-8
 CODEN: JPETAB; ISSN: 0022-3565
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Geographotoxin II (I) [86414-29-1] (3×10^{-9} - 10^{-7} M) inhibited twitch responses of the isolated mouse diaphragm to direct stimulation in a dose-dependent manner. The contraction of the diaphragm induced by grayanotoxin I or veratridine was abolished by I (3×10^{-7} M), whereas the contractile response to KCl or caffeine was not affected. I induced similar effects on isolated bullfrog sartorius muscles, but required higher concns. (6×10^{-7} - 3×10^{-6} M). I (10^{-6} M) inhibited or abolished the action potential evoked in sartorius muscles markedly. In the isolated guinea pig vas deferens and ileum, I caused a dose-dependent inhibition of the twitch responses to indirect nerve stimulation at concns. of 3×10^{-8} - 10^{-6} M and 10^{-7} - 10^{-6} M, resp. But the toxin had no effect on the dose-contractile-response curves for norepinephrine, carbamylcholine, or KCl in the vas deferens and for carbamylcholine or histamine in the ileum. I (5×10^{-8} - 10^{-6} M) decreased norepinephrine release induced by veratridine from the vas deferens in a dose-dependent manner. These results suggest that I blocks the voltage-sensitive Na channels in the cell membrane of skeletal muscles and autonomic nerves and these may play an important role in the mechanisms of inhibitory effects of I on contractile responses of these tissues to elec. stimulation.

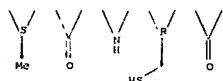
IT 86414-29-1
 RL, BIOL (Biological study)
 (voltage-sensitive sodium channels of autonomic nerve and muscle blockade by)
 RN 86414-29-1 CAPLUS
 CN μ -Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Absolute stereochemistry.

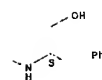
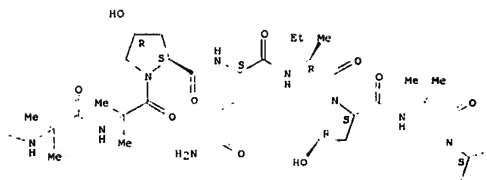
OH



L6 ANSWER 479 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1986:585982 CAPLUS
 DOCUMENT NUMBER: 105:25982
 TITLE: Membrane channel forming polypeptides. Molecular conformation and mitochondrial uncoupling activity of antiamebin, an α -aminoisobutyric acid containing peptide
 AUTHOR(S): Das, Manoj K.; Raghochama, S.; Balaram, P.
 CORPORATE SOURCE: Sophisticated Instrum. Facil., Indian Inst. Sci., Bangalore, 560 012, India
 SOURCE: Biochemistry (1986), 25(22), 7110-17
 CODEN: BICHAW; ISSN: 0006-2960
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The conformations of the 16-residue fungal peptide antiamebin I were studied in DMSO solution by 1- and 2-dimensional NMR techniques. A substantial number of resonances in the 270-MHz 1 H NMR spectrum were assigned. Intramolecularly H-bonded (solvent inaccessible) NH groups were identified by determining solvent and temperature dependence of NH chemical shifts and rates of H-D exchange. Ten backbone NH groups are inaccessible to solvent, whereas 3 NH groups assigned to phenylalanine-1, aminoisobutyrate-2, and -6 residues are exposed to solvent. The NMR results, together with the stereochem. constraints imposed by the presence of α -aminoisobutyryl, isovalyl, prolyl, and 4-hydroxypropyl residues, favor a highly ordered structure. Two backbone conformations consistent with the data are considered. Antiamebin is shown to be an effective uncoupler of oxidative phosphorylation in rat liver mitochondria, providing evidence for its membrane-modifying activity.

IT 64347-37-1
 RL, BIOL (Biological study)
 (conformation and phosphorylation uncoupling activity of)
 RN 64347-37-1 CAPLUS
 CN Antiamebin I (9CI) (CA INDEX NAME)



L6 ANSWER 480 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1986:573030 CAPLUS
 DOCUMENT NUMBER: 105:173030
 TITLE: Total synthesis of echinocandins. II. Total synthesis of echinocandin D via efficient peptide coupling reactions
 AUTHOR(S): Kurokawa, Natsuko; Ohfune, Yasufumi
 CORPORATE SOURCE: Sumitomo Inst. Bioorg. Res., Osaka, 618, Japan
 SOURCE: Journal of the American Chemical Society (1986), 108(19), 6043-5
 CODEN: JACSAT; ISSN: 0002-7863
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 105:173030
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

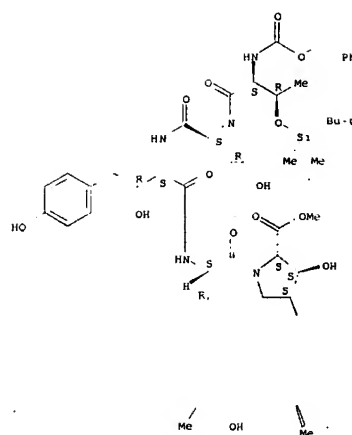
AB Echinocandin D (I, R = H) was prepared by deblocking hexapeptide II (R = OMe, R1 = CH2NHCOCMe3, R2 = H, R3 = Si(CMe3)Me2) (III) and cyclizing the resulting II (R = OH, R1 = CH2NH2, R2 = R3 = H) by diphenylphosphoryl azide. The deblocking of II (R = NH2, R1 = CH(OMe)2, R2 = OSi(CMe3)Me2, R3 = Si(CMe3)Me2) (IV) followed by an attempted cyclization failed to give echinocandin C (I, R = OH). III and IV were prepared from their amino acid constituents via peptide coupling reactions.

IT 104213-53-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and amidation-deblocking of)

RN 104213-53-8 CAPLUS

CN L-Proline, 1-[N-[1-[O-[(1,1-dimethylethyl)dimethylsilyl]-N-[(phenylmethoxy)carbonyl]-L-threonyl]-trans-4-hydroxy-L-prolyl]-4-(4-hydroxyphenyl)-L-threonyl]-L-threonyl-3-hydroxy-4-methyl-, methyl ester, (2a,3b,4b) - (9C1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

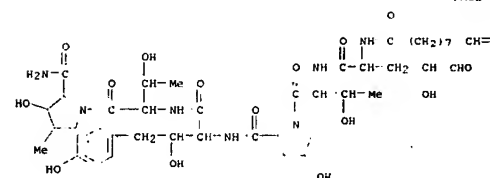


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PAGE 2-A

IT 104197-60-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and attempted cyclization of)
 RN 104197-60-6 CAPLUS
 CN L-Prolinamide, erythro-4-hydroxy-5-oxo-N-(1-oxo-9,12-octadecadienyl)-L-norvalyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, (19Z,12Z),2a,3b,4b,et a.) - (9C1) (CA INDEX NAME)

PAGE 1-A

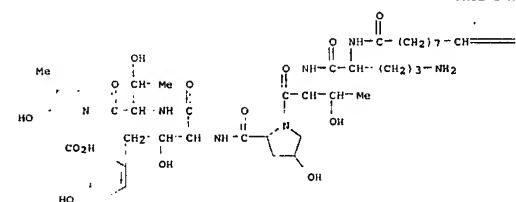


PAGE 1-B

- CH-CH2 CH-CH- (CH2)4 -Me

IT 104197-62-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and cyclization of)
 RN 104197-62-8 CAPLUS
 CN L-Proline, 3-hydroxy-1-[N-[N-(trans-4-hydroxy-1-[N-(2-(1-oxo-9,12-octadecadienyl)-L-ornithyl)-L-prolyl]-4-(4-hydroxyphenyl)-L-threonyl)-L-threonyl]-4-methyl-, (19Z,12Z),2a,3b,4b) - (9C1) (CA INDEX NAME)

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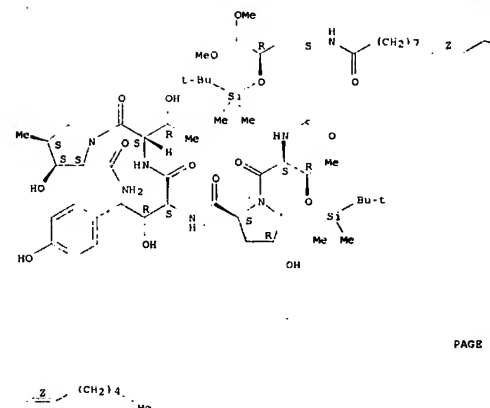
PAGE 1-B

- CH-CH2 CH-CH- (CH2)4 -Me

IT 104197-59-3P 104197-61-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and deblocking of)
 RN 104197-59-3 CAPLUS
 CN L-Prolinamide, erythro-4-[[[(1,1-dimethylethyl)dimethylsilyloxy]-5,5-dimethoxy-N-(1-oxo-9,12-octadecadienyl)-L-norvalyl-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-trans-4-hydroxy-L-prolyl]-4-(4-hydroxyphenyl)-L-threonyl-L-threonyl-3-hydroxy-4-methyl-, (19Z,12Z),2a,3b,4b) - (9C1) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

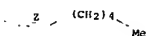
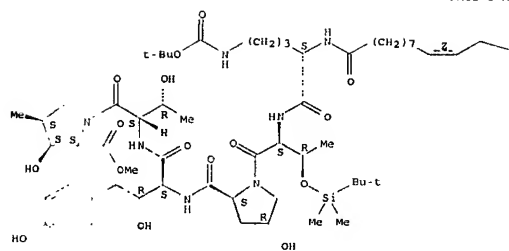
PAGE 1-A



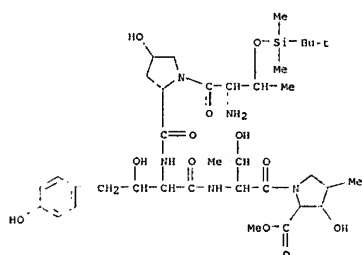
PAGE 1-B

RN 104197-61-7 CAPLUS
 CN L-Proline, 1-[N-[N-[1-[N-[N-(1,1-dimethylethoxy)carbonyl]-N-(1-oxo-9,12-octadecadienyl)-L-ornithyl]-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl]-trans-4-hydroxy-L-prolyl]-4-(4-hydroxyphenyl)-L-threonyl]-L-threonyl-3-hydroxy-4-methyl-, methyl ester, (19Z,12Z),2a,3b,4b) - (9C1) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

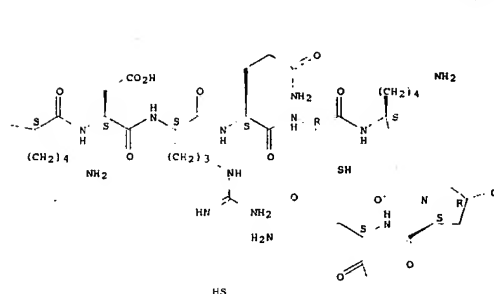
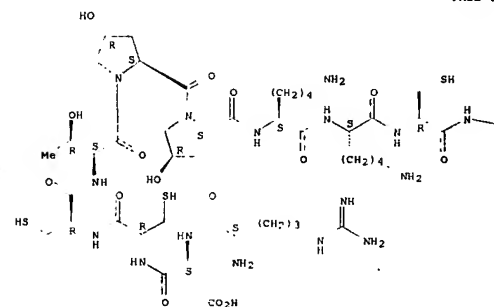
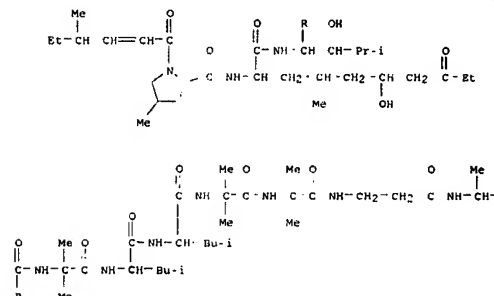


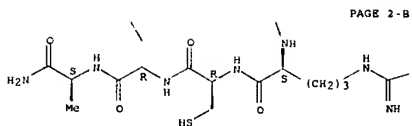
IT 104213-54-9P
 RL: RCT (Reactant); SPH (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and peptide coupling of)
 RN 104213-54-9 CAPLUS
 CN L-Proline, 1-[N-[N-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl]-
 trans-4-hydroxy-L-prolyl]-4-(4-hydroxyphenyl)-L-threonyl]-3-
 hydroxy-4-methyl-, methyl ester, (2S,3R,4R)- (9CI) (CA
 INDEX NAME)



L6 ANSWER 482 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1986:510686 CAPLUS
 DOCUMENT NUMBER: 105:110686
 TITLE: Discrimination of muscle and neuronal sodium-channel
 subtypes by binding competition between [3H]saxitoxin
 and μ -conotoxins
 AUTHOR(S): Moczydlowski, Edward; Olivera, Baldomero M.; Gray,
 William R.; Strichartz, Gary R.
 CORPORATE SOURCE: Coll. Med., Univ. Cincinnati, Cincinnati, OH,
 45267-0576, USA
 SOURCE: Proceedings of the National Academy of Sciences of the
 United States of America (1986), 83(14), 5321-5
 CODEN: PNASA6; ISSN: 0027-8424
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The effect of 2 μ -conotoxin peptides on the specific binding of
 [3H]saxitoxin was examined in isolated plasma membranes of various excitable
 tissues. μ -Conotoxins GIIIA and GIIIB inhibit [3H]saxitoxin binding in
 Electrophorus elec. organ membranes with similar dissociation constant values
 (Kds) of $\approx 50 \cdot 10^{-9}$ M in a manner consistent with direct
 competition for a common binding site. GIIIA and GIIIB similarly compete
 with the majority (80-95%) of [3H]saxitoxin binding sites in rat skeletal
 muscle with Kds of ≈ 25 and $\approx 140 \cdot 10^{-9}$ M, resp.
 However, the high-affinity saxitoxin sites in lobster axons, rat brain,
 and rat heart are virtually insensitive to GIIIA concns. up to 10μ M.
 These and previously published data suggest that 3 Na-channel subtypes can
 be distinguished on the basis of toxin pharmacol.: Na channels of skeletal
 muscle and Electrophorus electroplax have high affinity for
 μ -conotoxins and tetrodotoxin; neuronal Na channels have low affinity
 for μ -conotoxins and high affinity for tetrodotoxin, while heart Na
 channels and a similar subtype also found in denervated muscle have low
 affinity for both μ -conotoxin and tetrodotoxin.
 IT 86394-16-3 86414-29-3
 RL: Biol. (Biological study)
 (sodium channels of muscle and nerve binding of, saxitoxin competition
 with, channel discrimination in relation to)
 RN 86394-16-3 CAPLUS
 CN μ -Conotoxin G IIIA (reduced) (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L6 ANSWER 481 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1986:549849 CAPLUS
 DOCUMENT NUMBER: 105:149849
 TITLE: Inhibiting effect of leucinostatin A on growth and
 electrogenic proton extrusion in the absence and in
 the presence of fusicoccin in maize root segments.
 AUTHOR(S): Cerana, R.; Bonetti, A.; Spelta, M.; Lado, P.
 CORPORATE SOURCE: Dip. Biol., Univ. Milano, Milan, Italy
 SOURCE: Phytopathologia Mediterranea (1986), 24(3), 299-301
 CODEN: PYMDAU; ISSN: 0011-9465
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Leucinostatin A (1; $1 \cdot 10^{-6}$ M) suppressed extension growth of maize (Zea
 mays) root segments by 38-46%. H^+ extrusion, measured as pH change of the
 incubation medium or titratable acidity, was also inhibited by 1. The
 effects of 1 were reduced by fusicoccin. 1 strongly inhibited cation
 uptake from K^+ solns. Thus 1 apparently affected electrogenic H^+/K^+
 exchange at the cell membrane. Effects of 1 on growth were evidently
 associated with diminished cell wall acidity. A 20% decrease in tissue ATP
 following 1 treatment was apparently associated with mitochondrial
 inhibition.
 IT 76600-38-9
 RL: Biol. (Biological study)
 (electrogenic proton extrusion and growth inhibition by, in maize root
 segments, fusicoccin interaction with)
 RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9CI) (CA INDEX NAME)



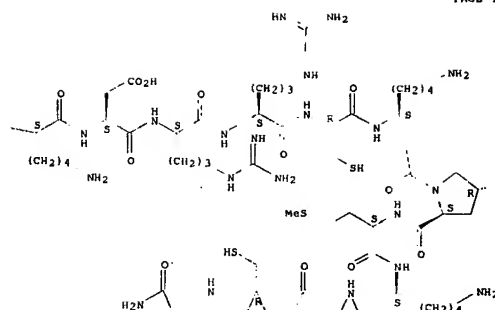
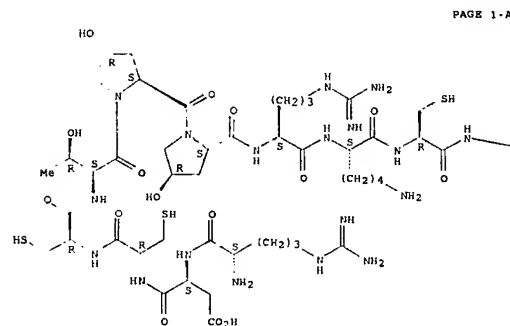


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NH2

RN 86414-29-1 CAPLUS
CN μ -Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)

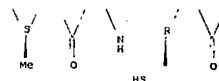
Absolute stereochemistry.



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OH

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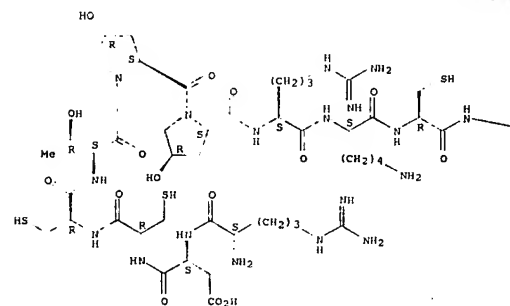
16 ANSWER 483 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:510242 CAPLUS
DOCUMENT NUMBER: 105:110242
TITLE: Preferential block of skeletal muscle sodium channels by geographutoxin II, a new peptide toxin from *Conus geographus*

AUTHOR(S): Kobayashi, Masaki; Wu, Chau H.; Yoshii, Mitsunobu; Iwawashi, Toshio; Nakamura, Hideshi; Kobayashi, Jun'ichi; Ohizumi, Yasushi
CORPORATE SOURCE: Mitsubishi-Kasei Inst. Life Sci., Machida, 194, Japan
SOURCE: Pfluegers Archiv (1986), 407(2), 241-3
CODEN: PFLABK; ISSN: 0031-6768
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The effects of geographutoxin II (GTX II) [86414-29-1], a novel polypeptide toxin isolated from the marine snail *C. geographus*, on nerves and muscles were studied by current clamp and voltage clamp techniques. GTX II (5×10^{-7} M) abolished the action potential of the guinea pig skeletal muscle without change in the resting potential. However, action potentials of the crayfish giant axon, mouse neuroblastoma N1E-115 cell, and guinea pig cardiac muscle were not affected by GTX II even at 21×10^{-6} M. In the voltage clamped bullfrog skeletal muscle fiber, Na currents were almost completely blocked by GTX II (1×10^{-6} M), and slowly recovered after washout. The time course of Na currents was not appreciably altered by GTX II. Apparently, GTX II selectively blocks skeletal muscle Na channels in much the same way as tetrodotoxin.

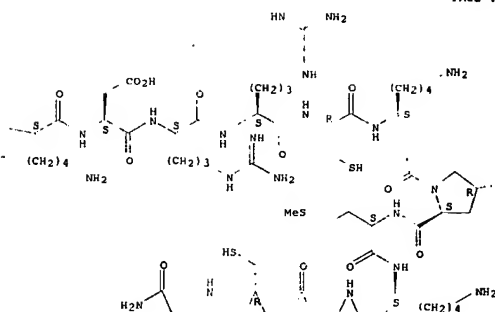
IT 86414-29-1
RL: BIOL (Biological study)
(sodium channel in skeletal muscle blockage by)
RN 86414-29-1 CAPLUS
CN μ -Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

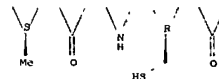


OH

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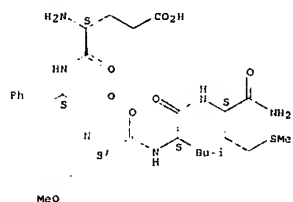


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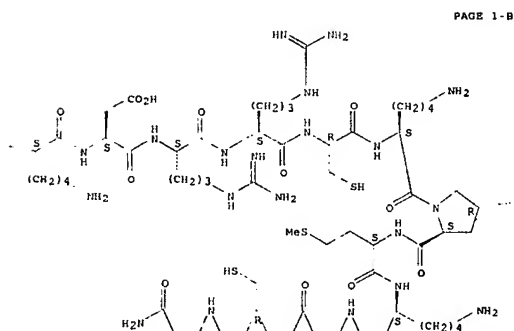
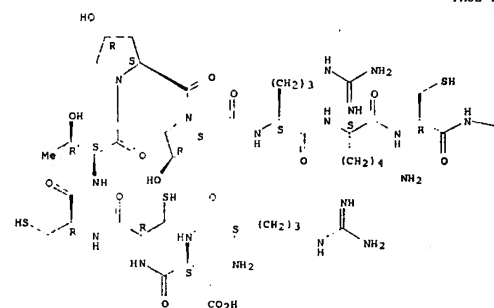
16 ANSWER 484 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:457318 CAPLUS
DOCUMENT NUMBER: 105:57318
TITLE: Sequence determination of N-terminal and C-terminal blocked peptides containing N-alkylated amino acids and structure determination of these amino acid

constituents by using fast-atom-bombardment/tandem mass spectrometry
 Eckart, Klaus; Schwarz, Helmut; Chorev, Michael; Gilon, Chaim
 CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ., Berlin, Fed. Rep. Ger.
 SOURCE: European Journal of Biochemistry (1986), 157(1), 209-16
 CODEN: EJBCEI; ISSN: 0014-2956
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Peptides, blocked either at the N or C terminus, and thus unsuited for Edman degradation, and those containing N-alkylated amino acids, which are not detectable when using conventional amino acid anal., can be easily sequenced by applying a method in which fast atom bombardment (FAB) is combined with tandem mass spectrometry (MS/MS). Moreover, the structure of the N-alkylated amino acid constituents is provided by this approach. A widely applicable strategy will be presented, and to demonstrate its scope and limitations eighteen analogs of sequences related to the C terminus of substance P, a bio. active neuropeptide were investigated. The power and reliability of the approach was demonstrated by analyzing an unknown peptide. Moreover, the detection and structure elucidation of N-alkylated amino acids which usually escape amino acid anal. will be described, as will be the unequivocal differentiation and identification of isomeric methyleucine-methylisoleucine. The influence of the N-alkylation on the mass spectrometric fragmentation behavior will be discussed. Furthermore, the sequencing of 2 adipokinetic hormones by using the combined FAB-MS/MS approach is described. Anal. of peptides can be achieved with sample sizes less than 0.1 µmol and be completed within 2-4 h.
 IT 103445-46-1
 RL: AJST (Analytical study)
 (sequence determination of, by fast-atom-bombardment tandem mass spectroscopy)
 RN 103445-46-1 CAPLUS
 CN L-Methioninamide, L-(n-glutamyl)-L-phenylalanyl-4-methoxy-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

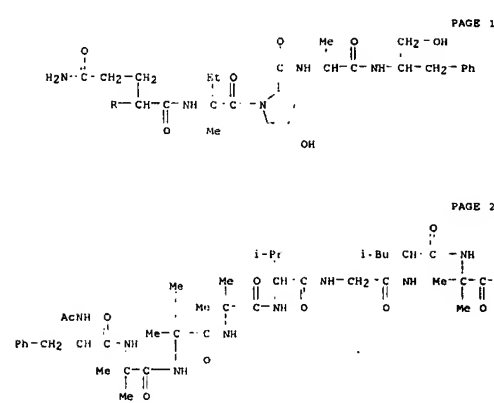
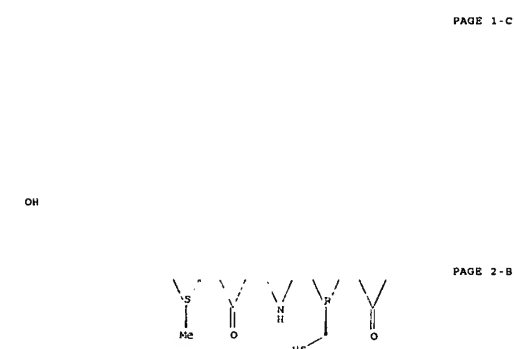


L6 ANSWER 485 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1986:401989 CAPLUS
 DOCUMENT NUMBER: 105:1989
 TITLE: Specific inhibition of [3H]saxitoxin binding to skeletal muscle sodium channels by geographotoxin II, a polypeptide channel blocker
 AUTHOR(S): Ohizumi, Yasushi; Nakamura, Hideshi; Kobayashi, Junichi; Catterall, William A.
 CORPORATE SOURCE: Mitsubishi-Kasei Inst. Life Sci., Machida-shi, 194.

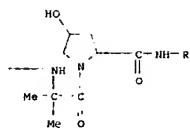
SOURCE: Japan
 Journal of Biological Chemistry (1986), 261(14), 6149-52
 CODEN: JBCHA3; ISSN: 0021-9258
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Geographotoxin II (GTX II) [86414-29-1], a peptide toxin isolated from Conus geographus, inhibited 3H-labeled saxitoxin [35523-89-8] binding to receptor sites associated with voltage-sensitive Na channels in rat skeletal muscle homogenates and rabbit T-tubular membranes in close agreement with concns. that block muscle contraction. Scatchard anal. of [3H]saxitoxin binding to T-tubular membranes gave values of KD = 9.3 nM and Bmax = 300 fmol/mg of protein and revealed a primarily competitive mode of inhibition of saxitoxin binding by GTX II. The calculated KD values for GTX II were 24 nM for T-tubules and 35 nM for homogenates, resp. In rat brain synaptosomes, GTX II caused a similar inhibitory effect on [3H]saxitoxin binding at substantially higher concns. (10.5 ± 2 µM). In contrast, binding of [3H]batrachotoxin A 20-n-benzoate and 125I-labeled scorpion toxin to receptor sites associated with Na channels in synaptosomes was not affected by GTX II at 10 µM. Furthermore, [3H]saxitoxin binding to membranes of rat superior cervical ganglion was only blocked 10% by GTX II at 10 µM. Thus, GTX II interacts competitively with saxitoxin in binding at neurotoxin receptor site 1 on Na channels in a highly tissue-specific manner. GTX II is the 1st polypeptide ligand for this receptor site and the 1st to discriminate between this site on nerve and adult muscle Na channels.
 IT 86414-29-1
 RL: BIOL (Biological study)
 (saxitoxin binding to muscle sodium channels inhibition by)
 RN 86414-29-1 CAPLUS
 CN µ-Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



CORPORATE SOURCE: Claudio, Bonora, Gian Maria
 Dip. Chim., Univ. Napoli, Naples, Italy
 SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1989), 83(7), 1988-92
 CODEN: PNASAA; ISSN: 0027-8424
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The crystal-state preferred conformation of the terminally blocked homooctapeptide of O-α,α-dimethylated α-aminobutyric acid (Aib), pBrBz(Aib)8-OBu^t (where pBrBz is p-bromobenzoyl and OBu^t is tert-butoxy), determined by x-ray diffraction anal. using direct methods, was a 310-helix stabilized by 6 consecutive intramol. N-H...O=C H bonds of the C10-I11 (or I11') type. This is the 1st observation at atomic resolution of a regular 310-helix longer than 2 complete turns. The solid-state structural anal. was extended to the terminally blocked, Aib-rich octapeptide corresponding to the 2-9 sequence of the peptaibol antibiotics emerimicins III and IV, pBrBz-Aib3-L-Val-Gly-L-Leu-Aib2-OMe. Again, this peptide adopts a (right-handed) 310-helical structure, although slightly distorted at the level of the L-leucine residue. The role of specific amino acid sequence and peptide main-chain length in stabilizing either the 310- or the α-helical conformation and their possible implications on the nature of the channel formed by peptaibol antibiotics in the membrane are also briefly discussed.
 IT 52931-42-7 52931-43-8
 RL: BIOL (Biological study)
 (conformation and crystal structure of model for)
 RN 52931-42-7 CAPLUS
 CN Emerimicin III (9CI) (CA INDEX NAME)



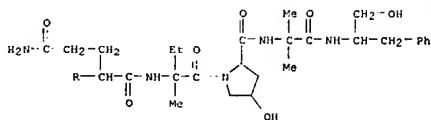
L6 ANSWER 486 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1986:220990 CAPLUS
 DOCUMENT NUMBER: 104:220990
 TITLE: Long polypeptide 310-helices at atomic resolution
 AUTHOR(S): Bavoso, Alfonso; Benedetti, Ettore; Di Blasio, Benedetto; Pavone, Vincenzo; Pedone, Carlo; Toniolo, C.



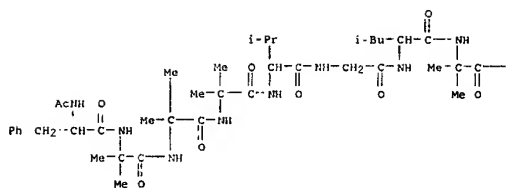
RN 52931-43-8 CAPLUS
CN Emerimicin IV (9CI) (CA INDEX NAME)

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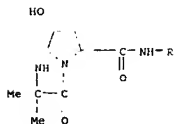
PAGE 1-A



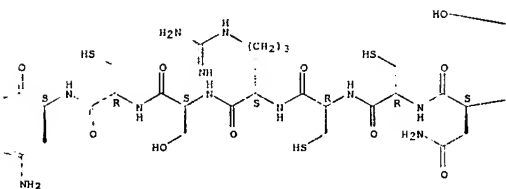
PAGE 2-A



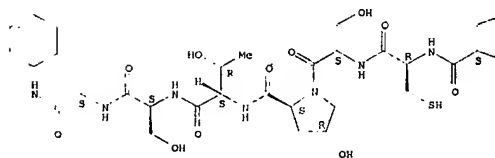
PAGE 2-B



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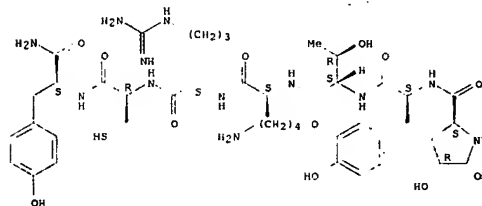
PAGE 1-C



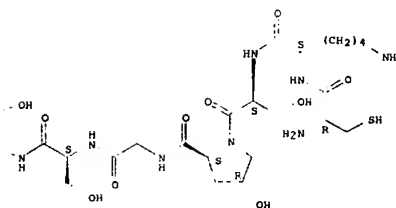
L6 ANSWER 487 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:220504 CAPLUS
DOCUMENT NUMBER: 104:220504
TITLE: Calcium channel Antagonists. ω -Conotoxin defines a new high affinity site
AUTHOR(S): Cruz, Lourdes J.; Olivera, Baldomero M.
CORPORATE SOURCE: Dep. Biol., Univ. Utah, Salt Lake City, UT, 84112, USA
SOURCE: Journal of Biological Chemistry (1986), 261(14), 6230-3
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English
AB ω -Conotoxin G VIA (92078-76-7), was radiolabeled with iodine, and the 125I-labeled toxin was shown to bind specifically to high affinity sites on chick brain synaptosomes. The toxin-receptor complex was extremely stable; addition of an excess of unlabeled toxin did not cause significant displacement of the labeled toxin after 2 h. Binding competition data suggest that ω -conotoxin defines a new high affinity receptor site affecting voltage-activated Ca^{2+} channels, distinct from both the verapamil and dihydropyridine target sites.
IT 92078-76-7
RL: BIOL (Biological study)
(brain synaptosome binding by)
RN 92078-76-7 CAPLUS
CN ω -Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-D



L6 ANSWER 488 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:207670 CAPLUS
DOCUMENT NUMBER: 104:207670
TITLE: Structure-activity relationships for the competitive angiotensin antagonist (sarcosine), O-methyltyrosine(angiotensin II (sarmesin)
AUTHOR(S): Goghari, Mahesh H.; Franklin, Kevin J.; Moore, Graham J.
CORPORATE SOURCE: Dep. Med. Biochem., Univ. Calgary, Calgary, AB, T2N 4N1, Can.
SOURCE: Journal of Medicinal Chemistry (1986), 29(6), 1121-4
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Angiotensin II analogs H-X-Arg-Val-X1-Ile-His-Pro-X2-OH [I; X = Sar, Asp, Ala, Pro; X1 = Tyr(Me), Tyr(Et), D-Tyr, Phe, D-Phe, Ile, Thr, Hyp; X2 = Phe, Ile] were prepared by the solid-phase method and their agonist and antagonist potencies were determined in the rat isolated uterus assay. The structural requirements for receptor blockade by sarmesin [I; X = Sar, X1 = Tyr(Me), X2 = Phe] (II) are very stringent; modifications at positions 1, 4, and 8 reduce the antagonist activity of II.
IT 101759-46-0P
RL: SYN (Synthetic preparation); PREP (Preparation)
(preparation and angiotensin antagonist activity of)
RN 101759-46-0 CAPLUS
CN Angiotensin II, 1-(N-methylglycine)-4-(trans-4-hydroxy-L-proline)-5-L-isoleucine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.



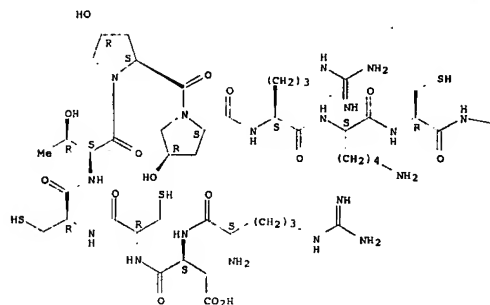
PAGE 1-B

 C_9H

Ph

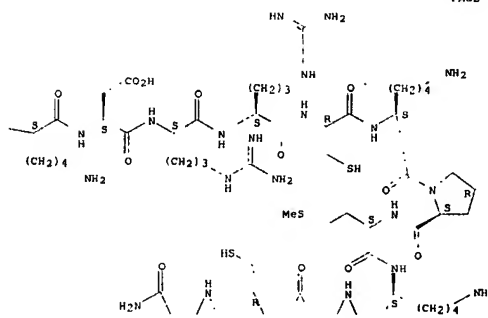
L6 ANSWER 489 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:164775 CAPLUS
DOCUMENT NUMBER: 104:164775
TITLE: Remnants for sodium channel analysis
INVENTOR(S): Oizumi, Yuzushi; Nakamura, Eiji; Minoshima, Nobuo;
Kobayashi, Masanori; Takahashi, Masami; Ogura, Akihiko
PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JXKXAP
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60239671	A	19851128	JP 1984-97070	19840515
PRIORITY APP. INFO.			JP 1984-70700	19840515
AB	Asp-Arg-Cys-Cys-Thr-Hyp-Hyp-X1-Lys-Cys-Lys-Arg-Asp-Arg-Arg-X2-Cys-Lys-Hyp-X3-Lys-Cys-Arg-Ala-NH2 (where X1 = Lys or Arg; X2 = Gln or Arg; X3 = Gln or Met; X4 = Arg or Lys) are isolated from the toxin of <i>Conus geographus</i> for use in anal. and anal. Thet. The toxin is extracted with 2M NaOAc, and the extract was subjected to chromatography on Sephadex G-50 and CM-Sephadex C-25 and HPLC to give peptide A (X1 = Lys, X2 = Gln, X3 = Gln, X4 = Arg) and peptide B (X1 = Arg, X2 = Arg, X3 = Met, X4 = Lys).			
IT	As14-29-IP	101655-S4-EP		
	RI: PUR (Purification or recovery); PREP (Preparation)			



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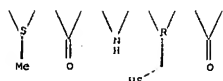
PAGE 1-B



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PAGE 1-B

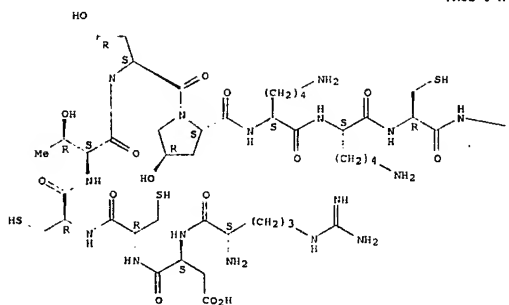
OH



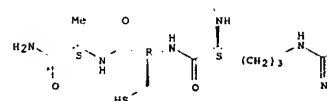
PAGE 2 - 8

RN 101555-54-8 CAPLUS
CN μ -Conotoxin G IIIA (reduced), 21-de-L-cysteine- (9CI) (CA INDEX NAME)

Absolute stereochemistry



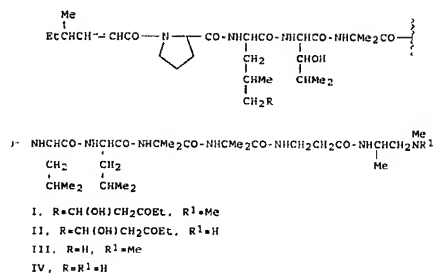
PAGE 1-A



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PAGE 2-C

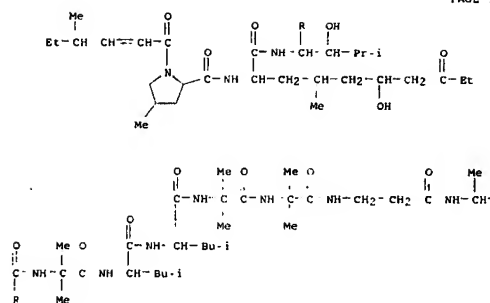
L6 ANSWER 490 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1986:149399 CAPLUS
 DOCUMENT NUMBER: 104:149399
 TITLE: Identification and structure assignment of components of leucinostatin and CC-1014 by directly coupled liquid chromatography/fast atom bombardment mass spectrometry
 AUTHOR(S): Stroh, Justin G.; Rinehart, Kenneth L., Jr.; Cook, J. Carter; Kihara, Tsuyoshi; Suzuki, Makoto; Arai, Tadashi
 CORPORATE SOURCE: Sch. Chem. Sci., Univ. Illinois, Urbana, IL, 61801, USA
 SOURCE: Journal of the American Chemical Society (1986), 108(43), 858-9



AB The title method was used to analyze the components of peptide antibiotics leucinostatin and CC-1014. Six different components were observed for leucinostatin, including the previously reported leucinostatin A (I) and B (II). The mol. structures of leucinostatin C and D were assigned as structures III and IV, resp. Leucinostatins E and F were observed in such low abundance that only mol. weight information was obtained; leucinostatin E corresponds to leucinostatin A with an extra oxygen, whereas leucinostatin F corresponds to leucinostatin C with another oxygen. CC-1014 was identified as leucinostatin A.

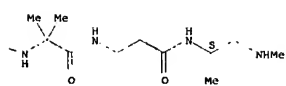
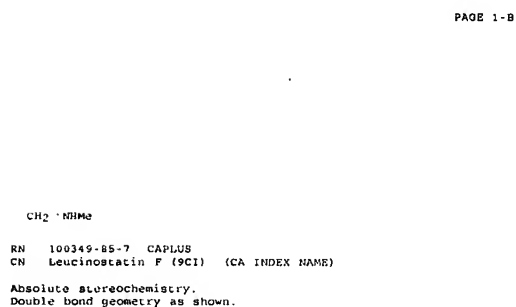
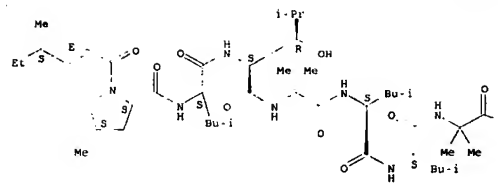
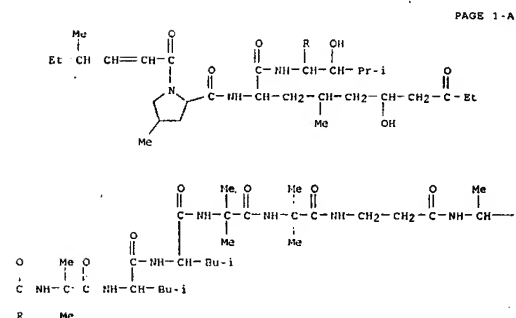
IT 76600-38-9 76663-52-0 100349-85-7
RL: PROC (Process)
(identification of, by liquid chromatog./fast-atom-bombardment mass spectrometry)

RN 76600-38-9 CAPLUS
CN Leucinostatin A (9CI) (CA INDEX NAME)



-CH₂-NMe₂

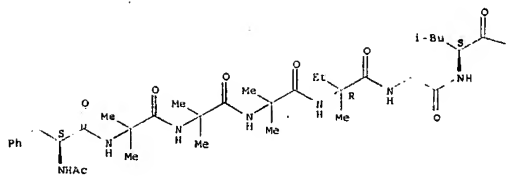
RN 76663-52-0 CAPLUS
CN Leucinostatin B (9CI) (CA INDEX NAME)



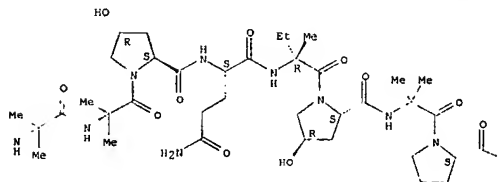
L4 ANSWER 491 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:144895 CAPLUS
DOCUMENT NUMBER: 104:144895
TITLE: Applications of fast atom bombardment mass spectrometry
AUTHOR(S): Rinehart, Kenneth L., Jr.
CORPORATE SOURCE: Sci. Chem. Sci., Univ. Illinois, Urbana, IL, 61801, USA
SOURCE: Analytical Chemistry Symposia Series (1985), 24 (Mass Spectrom. Health Life Sci.), 119-48
CODEN: ACSSDR; ISSN: 0167-6350
DOCUMENT TYPE: Journal; General Review
AB The use of fast-atom-bombardment mass spectrometry for elucidating the mol. weight, mol. formulas, as structure of polar biol. mols. such as antibiotics, marine natural products (e.g., toxins), and insect and cockroach neuropeptides is discussed with respect to matrices used, obtaining high resolution, and interfacing to liquid chromatog. by a moving belt interface system, and examples are given.
IT 64347-37-1 79392-51-1 79395-85-0
79395-86-1
RL: PRP (Properties)
(fast-atom-bombardment mass spectra of)
RN 64347-37-1 CAPLUS
CN Antiamerin I (9CI) (CA INDEX NAME)
Absolute stereochemistry.

PAGE 1-A

isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-
(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-
prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA
INDEX NAME)



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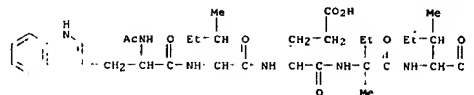


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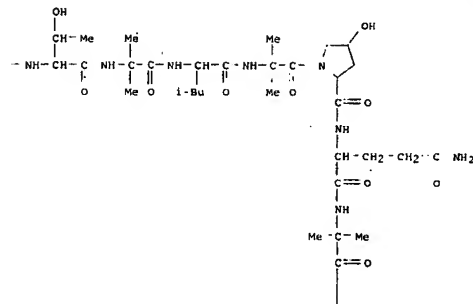


RN 79392-51-1 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-α-glutamyl-D-

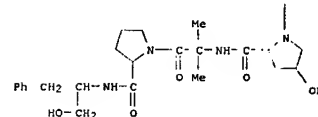
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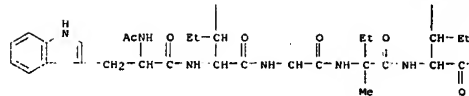
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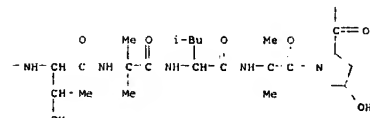
RN 79395-85-0 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-
isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-
L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-
methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

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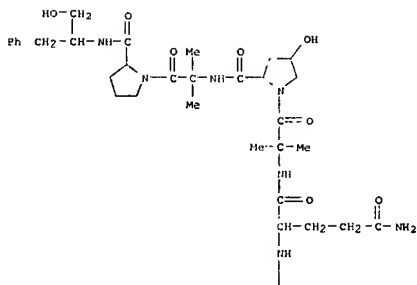


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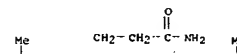
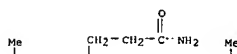


RN 79395-86-1 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-2-
methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-
(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-
prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA
INDEX NAME)

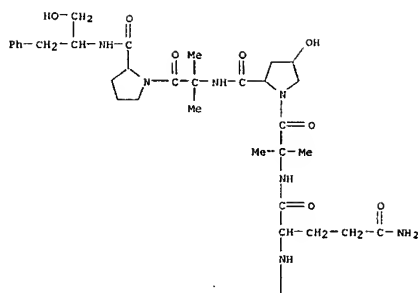
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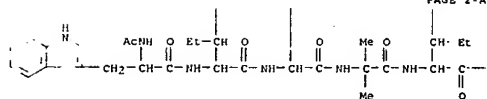
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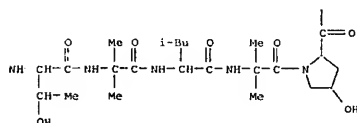
PAGE 1-B



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L6 ANSWER 492 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1986:124652 CAPLUS
 DOCUMENT NUMBER: 104:124652
 TITLE: Presynaptic inhibitory effect of geographotoxin II, a new peptide toxin from *Conus geographus* venom, in the guinea pig vas deferens
 AUTHOR(S): Ohizumi, Yasushi; Nakamura, Hideshi; Kobayashi, Junichi
 CORPORATE SOURCE: Mitsubishi-Kasei Inst. Life Sci., Michida, 194, Japan
 SOURCE: European Journal of Pharmacology (1986), 120(2), 245-8

CODEN: EJPAAZ; ISSN: 0014-2999

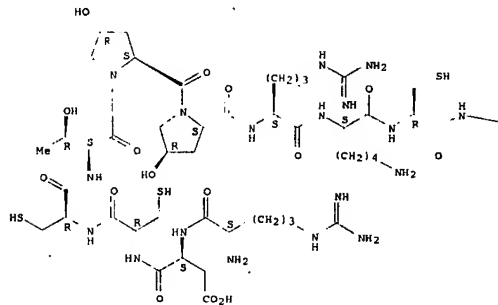
DOCUMENT TYPE:
LANGUAGE: English

AB Geographotoxin II (GTX II) [86414-29-1] caused a dose-dependent inhibition of the contractile response of the guinea pig vas deferens to transmural stimulation at concns. of 3×10^{-8} to 10^{-6} M. The dose-response curves for noradrenaline [51-41-2], acetylcholine [51-84-3] and KCl were not affected by GTX II (2×10^{-7} to 10^{-6} M). The release of noradrenaline induced by veratridine was strongly inhibited by GTX II. The GTX II-induced inhibition of the vas deferens may be a presynaptic effect causing a decrease of transmitter release.

IT 86414-29-1
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (vas deferens response to)
 RN 86414-29-1 CAPLUS
 CN μ -Conotoxin G IIIB (reduced) (9C1) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



AUTHOR(S): Toniolo, Claudio; Bonora, Gian Maria; Benedetti, Ettore; Bavoso, Alfonso; Di Blasio, Benedetto; Pavone, Vincenzo; Pedone, Carlo
 CORPORATE SOURCE: Biopolym. Res. Cent., Univ. Padova, Padua, 35131, Italy
 SOURCE: International Journal of Biological Macromolecules (1985), 7(6), 357-62

CODEN: IJBMDD; ISSN: 0141-8130

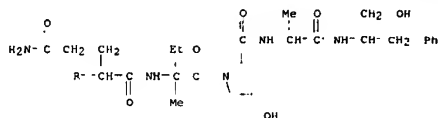
DOCUMENT TYPE:
LANGUAGE: English

AB With the aim of obtaining information on the effect induced by main-chain length and amino acid sequence on the type of helical structure adopted by naturally occurring peptides rich in Cn,α-dialkylated residues, an IR absorption and 1H NMR anal. of CHCl3 solns. of the protected 2-9 segment of the peptaibol antibiotics emerimicins III and IV (-(Aib)3-L-Val-Gly-L-Leu-(Aib)2-) (Aib = aminoisobutyrate) and all related short sequences starting from both the N- and C-termini was performed. The results are consistent with the presence of folded structures of the β-bend type (in the shorter peptides) or 310-helices (in the longer peptides). Extent of formation and stability of the inter- and intramol. H bonds were assessed as a function of concentration, temperature, and addition of DMSO.

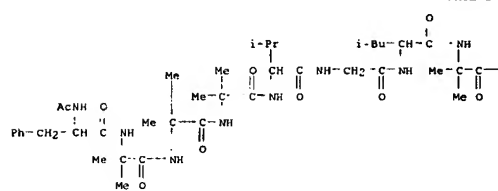
and the free radical Tempo. At high peptide concentration both folded and helical structures tend to self-associate extensively. In the self-association process, the N(1)H and N(2)H groups are those acting as H-bonding donors. These results agree well with those obtained in the solid state by x-ray diffraction on the octapeptide itself and selected short sequences.

IT 52931-42-7 52931-43-8
 RL: PKP (Properties)
 (conformation of)
 RN 52931-42-7 CAPLUS
 CN Emerimicin III (9C1) (CA INDEX NAME)

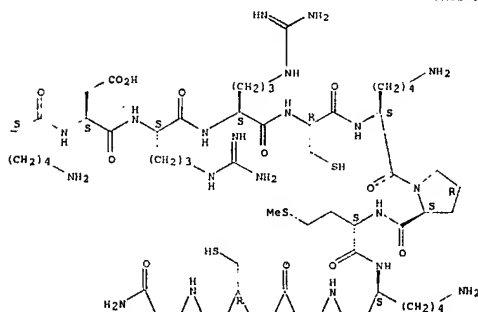
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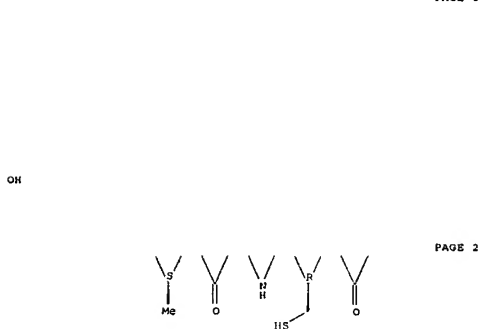
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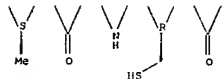
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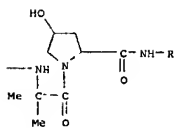
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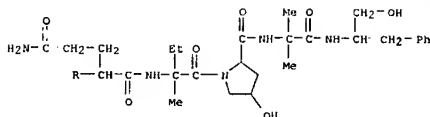


L6 ANSWER 493 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1986:104601 CAPLUS
 DOCUMENT NUMBER: 104:104601
 TITLE: Linear oligopeptides: peptaibol antibiotics - preferred conformation of the 2-9 segment of emerimicins III and IV and all related short sequences

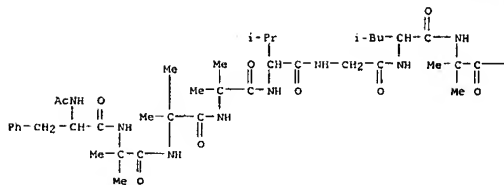


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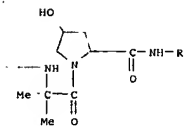
RN 52931-43-8 CAPLUS
CN Emerimicin IV (9C1) (CA INDEX NAME)



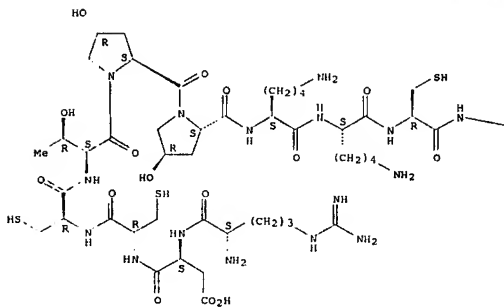
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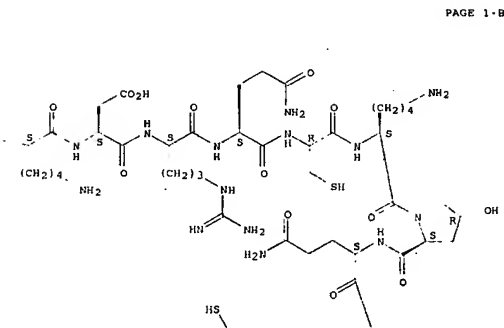
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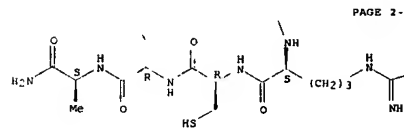


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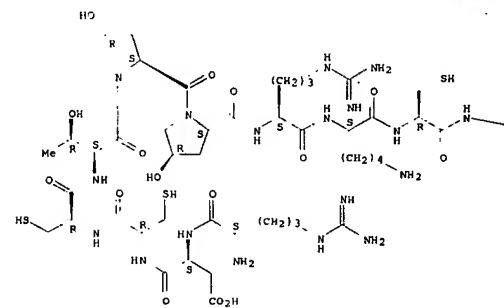
L6 ANSWER 494 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1985:59163 CAPLUS
DOCUMENT NUMBER: 103:191163
TITLE: Conus geographus toxins that discriminate between neuronal and muscle sodium channels
AUTHOR(S): Cruz, Lourdes J.; Gray, William R.; Olivera, Baldomero M.; Zeikus, Regina D.; Kerr, Lynne; Yoshikami, Doju; Moczydlowski, Edward
CORPORATE SOURCE: Dep. Biol., Univ. Utah, Salt Lake City, UT, 84112, USA
SOURCE: Journal of Biological Chemistry (1985), 260(16), 9280-8
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The properties of a family of 22 amino acid peptides, the μ -conotoxins, which are useful probes for investigating voltage-dependent Na channels of excitable tissues were described. The μ -conotoxins are present in the venom of the piscivorous marine snail, *C. geographus*. Seven homologs of the μ -conotoxin set (GIIIA [86394-16-3], [Pro7]GIIIA [98183-95-0], [Pro7]GIIIA [98183-96-1], GIIIB [86414-29-1], [Pro7]GIIIB [98183-97-2], [Pro7]GIIIB [98183-98-3], GIIIC [98183-99-4]) were purified. Using the major peptide (GIIIA) in electrophysiological studies on nerve-muscle preps, and in single channel studies using planar lipid bilayers, the toxin blocks muscle Na channels, but had no discernible effect on nerve or brain Na channels. In bilayers, the blocking kinetics of GIIIA were derived by statistical analysis of discrete transitions between blocked and unblocked states of batrachotoxin-activated Na channels from rat muscle. The kinetics conform to a single-site, reversible binding equilibrium with a voltage-dependent binding constant. The measured value of the equilibrium K_D for GIIIA is 100 nM at 0 mV, decreasing e-fold/34 mV of hyperpolarization. This voltage dependence of blocking is similar to that of tetrodotoxin (4368-28-9) and saxitoxin (35523-89-8) as measured by the same technique. The tissue specificity and kinetic characteristics suggest that the μ -conotoxins may serve as useful ligands to distinguish Na channel subtypes in different tissues.
IT 86394-16-3 86414-29-1 98183-95-0
98183-96-1 98183-97-2 98183-99-4
98183-98-3
RL: PRP (Properties)
(amino acid sequence of, from *Conus geographus* venom, muscle and nerve sodium channel blockade in relation to)
RN 86394-16-3 CAPLUS
CN μ -Conotoxin G IIIA (reduced) (9C1) (CA INDEX NAME)
Absolute stereochemistry.



PAGE 2-B

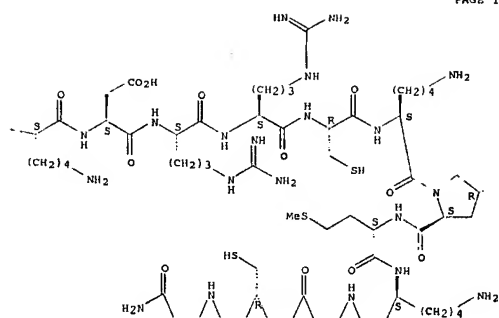
RN 86414-29-1 CAPLUS
CN μ -Conotoxin G IIIB (reduced) (9C1) (CA INDEX NAME)
Absolute stereochemistry.

PAGE 2-C



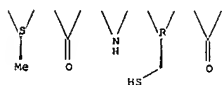
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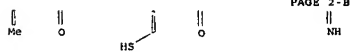
PAGE 1-C

OH



RN 98183-95-0 CAPLUS
CN μ -Conotoxin G IITA (reduced), 6-L-proline- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

PAGE 1-C

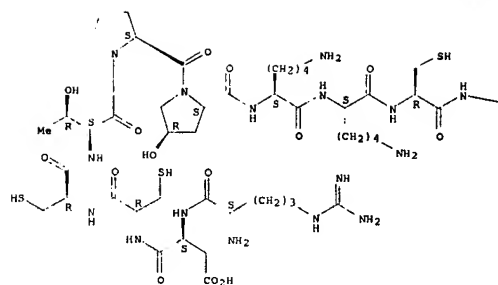
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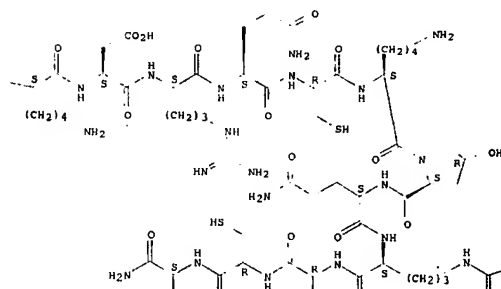
RN  98183-96-1  CAPLUS
CN  μ-Conotoxin G IIIA (reduced), 7-L-proline-16-L-arginine- (9CI) (CA
    INDEX NAME)
    .
Absolute stereochemistry.

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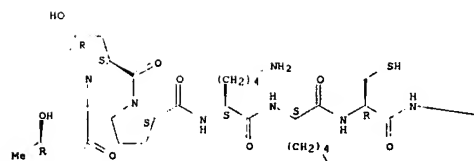
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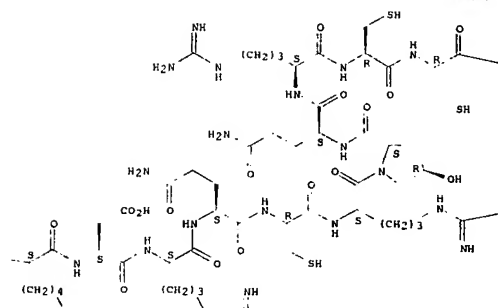
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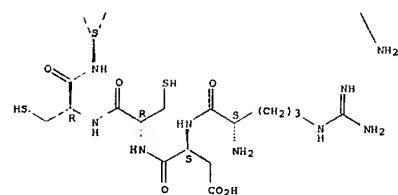
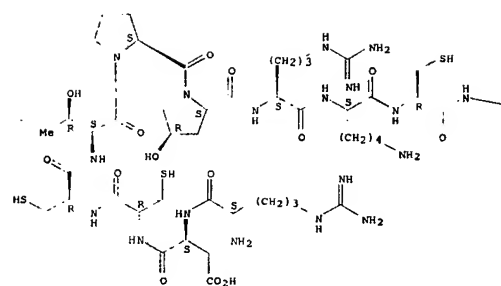
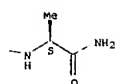


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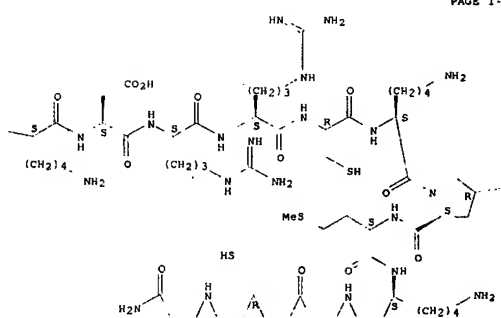


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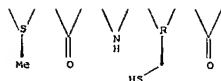




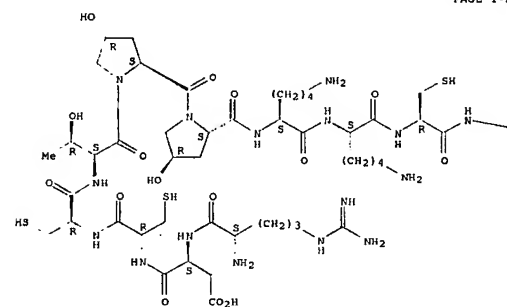
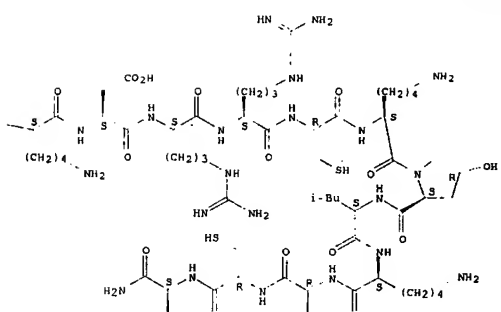
RN 98183-97-2 CAPLUS
CN μ -Conotoxin G IIIB (reduced), 6-L-proline- (9CI) (CA INDEX NAME)
Absolute stereochemistry.



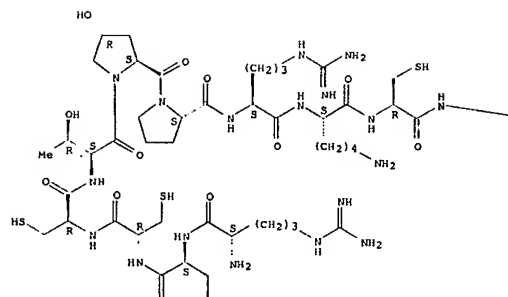
OH



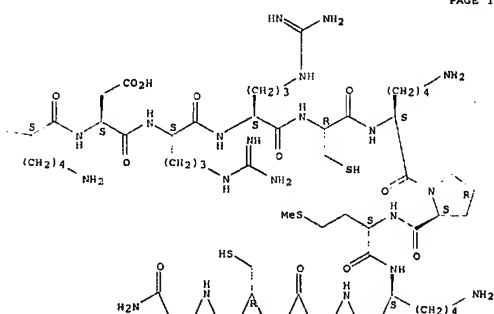
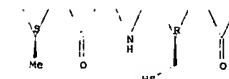
RN 98183-99-4 CAPLUS
CN μ -Conotoxin G IIIC (reduced) (9CI) (CA INDEX NAME)
Absolute stereochemistry.



RN 98233-17-3 CAPLUS
CN μ -Conotoxin G IIIB (reduced), 7-L-proline- (9CI) (CA INDEX NAME)
Absolute stereochemistry.



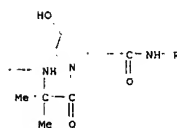
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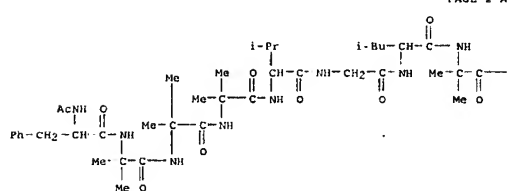
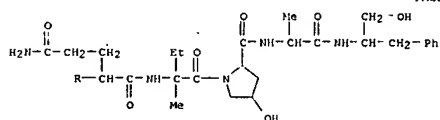
L6 ANSWER 495 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1985:183747 CAPLUS
 Correction of: 1985:77268
 DOCUMENT NUMBER: 102:183747
 Correction of: 102:77268
 TITLE: Strain of *Trichoderma harzianum*, its isolation, its culture, peptides or compounds produced by this strain and application of this strain and these peptides or the product produced by the culture process as a means for biological control in the form of an agricultural fungicide
 INVENTOR(S): Merlier, Odile Anne Marie; Boirie, Monique Jeanne; Pons, Benoit Joseph; Renaud, Claude Marcel
 PATENT ASSIGNEE(S): Produits Organiques du Santerre-Orsan, Fr.
 SOURCE: Eur. Pat. Appl., 76 pp.
 CODEN: EPXKDW
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

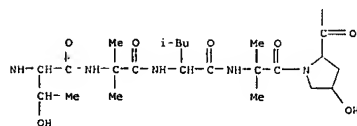
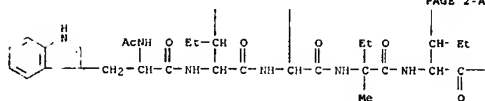
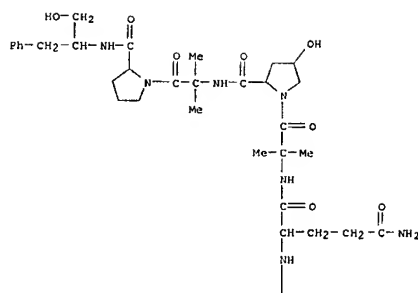
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 124388	A1	19841107	EP 1984-400545	19840316
R: AT, DE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2545099	A1	19841102	FR 1983-7051	19830428

FR 2545099 B1 19850823 ZA 1984-3015 19840424
 ZA 4403015 A 19841128 19840427
 ES 532026 A1 19850601 ES 1984-532026 19840428
 JP 59210883 A 19841129 JP 1984-87629 19850216
 ES 540483 A1 19860401 ES 1985-540483 19850216
 ES 540484 A1 19860401 ES 1985-540484 19850216
 EP 1983-7051 A 19830428
 EP 1984-400545 A 19840316
 EP 1984-400545 A 19840316
 AB Novel peptides, called trichorhizianines, and 6-pentyl-2-pyrone (I) [27593-23-3] which all have fungicidal activity, were extracted from spores of *T. harzianum* ATCC 20672. Thus, a culture on oatmeal agar was grown at 24° under light until sporulation was complete. The surface was scraped and the recovered material was dried and powdered. It contained 8-1010 viable spores/g. The powder was extracted with acetone, the extract concentrated, and the resulting oil mixed with ether. The insol. fraction was added to MeOH, and the fraction insol. in MeOH was separated by chromatog. to obtain the trichorhizianines. I was extracted from the spores with hexane, the extract concentrated to an oil, the oil extracted with acetone or CH₂Cl₂, and I purified from the extract by chromatog. I or several of the trichorhizianines inhibited *Botrytis cinerea*, *Ceratocystis ulmi*, and fungal pathogens of fruit trees.
 IT 52931-42-7 79395-85-0 79395-91-8
 RI: AGK (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
 (fungicide, from *Trichoderma harzianum* spores)
 RN 52931-42-7 CAPLUS
 CN Emericin III (9CI) (CA INDEX NAME)

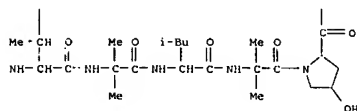
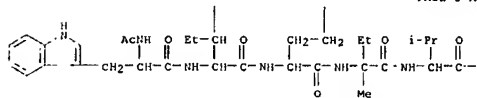


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 CN L-prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)



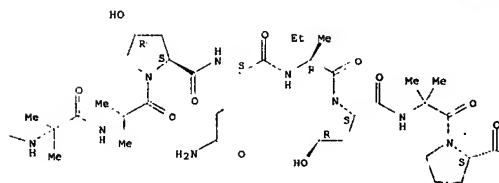
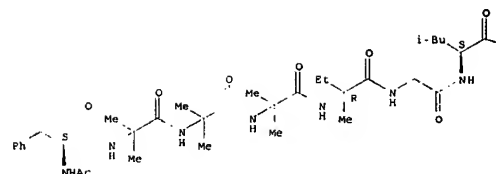
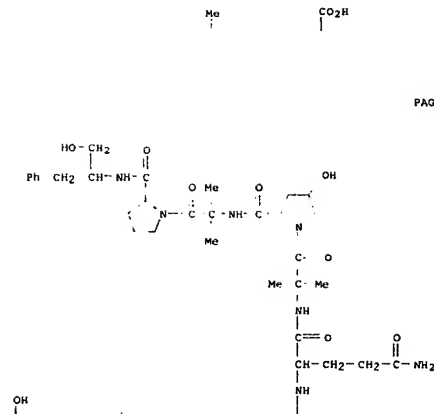


RN 79395-91-8 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L- α -glutamyl-D-isovalyl-L-valyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)



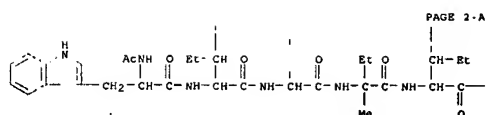
L6 ANSWER 496 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1985:163279 CAPLUS
 DOCUMENT NUMBER: 102:163279
 TITLE: On-line liquid chromatography/fast atom bombardment mass spectrometry
 AUTHOR(S): Stroh, Justin G.; Cook, J. Carter; Milberg, Richard M.; Brayton, Larry; Kihara, Tsuyoshi; Huang, Zhaogeng; Rinehart, Kenneth L., Jr.; Lewis, Ivor A. S.
 CORPORATE SOURCE: Sch. Chem. Sci., Univ. Illinois, Urbana, IL, 61801, USA
 SOURCE: Analytical Chemistry (1985), 57(6), 985-91
 CODEN: ANCHAM; ISSN: 0003-2700
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The technique of liquid chromatography/fast-atom-bombardment mass spectrometry with a modified moving belt interface is described. Compds. studied by this method include antiamebin I, emerimicins IIA and IIB, digitonin, gramicidin J, and a mixture of tetra- to nonapeptides obtained by partial hydrolysis of antiamebin I. For liquid chromatog., a Spherisorb ODS column was used for the antiamebin I hydrolysate and an Alltech C-18 column was used for all other expts. Partial structures are assigned to 2 new antiamebins identified from the hydrolysate of crude antiamebin I.
 IT 64347-37-1
 RL: ANST (Analytical study)
 hydrolysate, liquid chromatog.-fast-atom-bombardment mass spectroscopy of fragments of
 RN 64347-37-1 CAPLUS
 CN Antiamebin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.

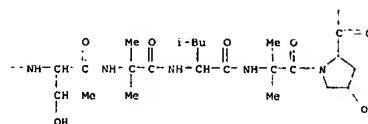


RL: PRP (Properties)
(liquid chromatog.-fast-atom-bombardment mass spectroscopy of)
RN 79395-85-0 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovaleryl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

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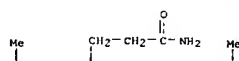


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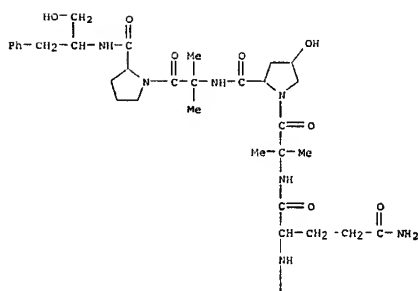


RN 79395-86-1 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

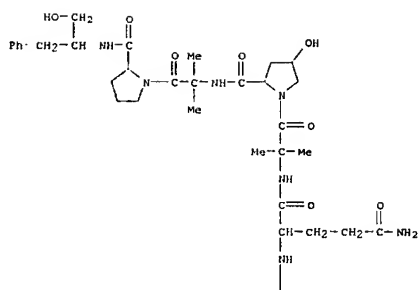
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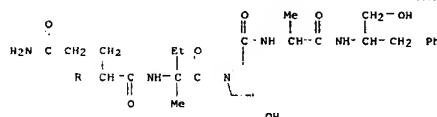
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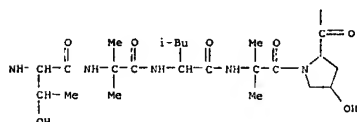
PATENT ASSIGNEE(S): Pons, Benoit Joseph; Renaud, Claude Marcel
SOURCE: Produits Organiques du Santerre-Orsan, Fr.
Bur. Pat. Appl., 76 pp.
CODEN: EPXKDW
DOCUMENT TYPE: Patent
LANGUAGE: French
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 124388 A1		19841107	EP 1984-400545	19840316
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
PRIORITY APPLN. INFO.: FR 1983-7051 19830428				
AB Novel peptides, called trichlorianines, and 6-pentyl-2-pyrone (1) [27593-23-3], which all have fungicidal activity, were extracted from spores of <i>T. harzianum</i> ATCC 20672. Thus, a culture on oatmeal agar was grown at 24° under light until sporulation was complete. The surface was scraped and the recovered material was dried and powdered. It contained 2 + 1010 viable spores/g. The powder was extracted with acetone, the extract concentrated, and the resulting oil mixed with ether. The insol. fraction was added to MeOH, and the fraction insol. in MeOH was separated by chromatog. to obtain the trichlorianines. I was extracted from the spores with hexane, the extract concentrated to an oil, the oil extracted with acetone or CH ₂ Cl ₂ , and I purified from the extract by chromatog. I or several of the trichlorianines inhibited <i>Botrytis cinerea</i> , <i>Ceratocystis ulmi</i> , and fungal pathogens of fruit trees.				
IT 52931-42-7 79395-85-0 79395-91-8				
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)				
(fungicide, from <i>Trichoderma harzianum</i> spores)				
RN 52931-42-7 CAPLUS				
CN Emerimicin III (9CI) (CA INDEX NAME)				

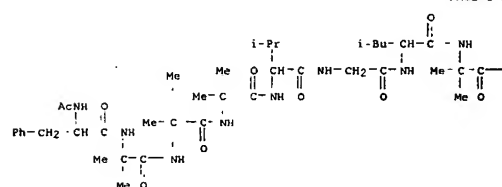
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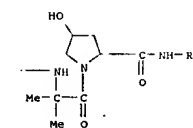
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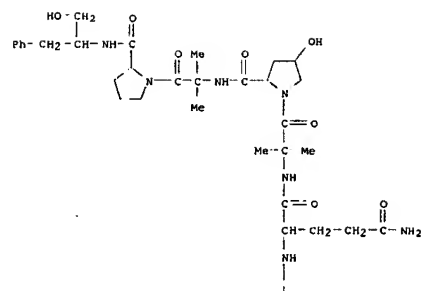


L6 ANSWER 497 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:77268 CAPLUS
DOCUMENT NUMBER: 102:77268
TITLE: Strain of *Trichoderma harzianum*, its isolation, its culture, peptides or compounds produced by this strain and application of this strain and these peptides or the product produced by the culture process as a means for biological control in the form of an agricultural fungicide
INVENTOR(S): Merlier, Odile Anne Marie; Boirie, Monique Jeanne;

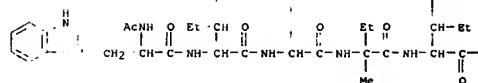


RN 79395-85-0 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

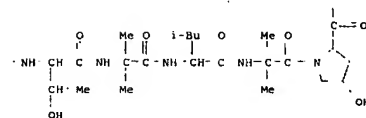
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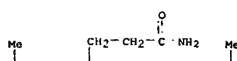


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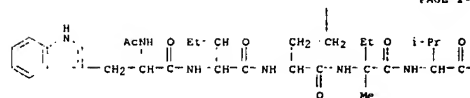


RN 79395-91-8 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-α-glutamyl-D-isovalyl-L-valyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

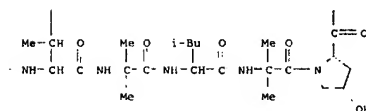
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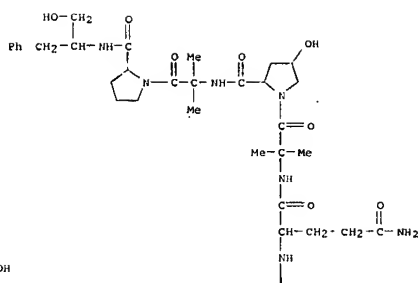
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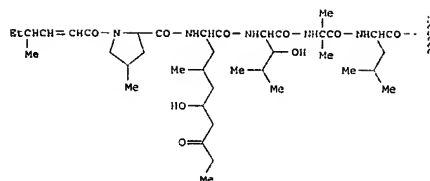
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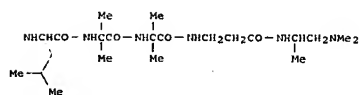
PAGE 1-B



L6 ANSWER 498 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1985:22787 CAPLUS
 DOCUMENT NUMBER: 102:22787
 TITLE: Structure of a peptidal antibiotic P168 produced by Paecilomyces lilacinus (Thom) Samson
 AUTHOR(S): Isogai, Akira; Suzuki, Akinori; Tamura, Saburo; Higashikawa, Shizuo; Kuyama, Shimeji
 CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1984), (7), 1405-11
 CODEN: JCPRB4; ISSN: 0300-922X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



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AB The structure of the title antibiotic (I) was determined by in-beam mass spectrometry. I contains the new amino acid (2S,4S)-EtCOCH₂CH(OH)CH₂CHMeCH₂CH(NH₂)CO₂H and the amine (S)-H₂NCHMeCH₂NMe₂.
 IT 78184-61-9
 RL: PROC (Process)
 (isolation of, from Paecilomyces lilacinus)
 RN 78184-61-9 CAPLUS
 CN Leucinostatin A, monoacetate (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 76600-38-9
 CMF C62 H111 N11 O13

CH₂-NMe₂

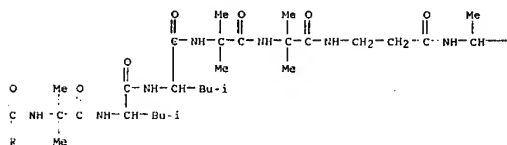
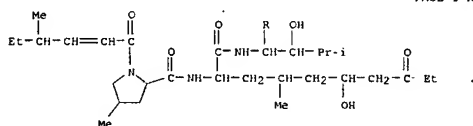
CM 2

CRN 64-19-7
 CMF C2 H4 O2

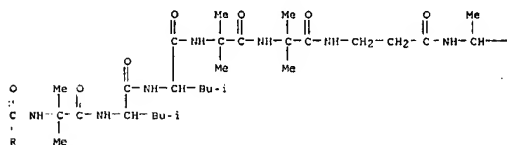
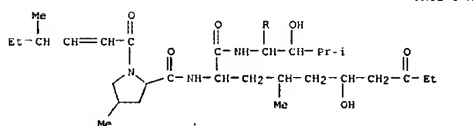


IT 76600-38-9
 RL: PROC (Process)
 (mol. structure determination of)
 RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9CI) (CA INDEX NAME)

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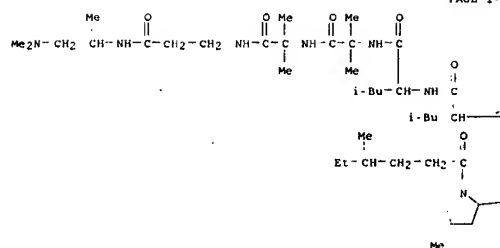


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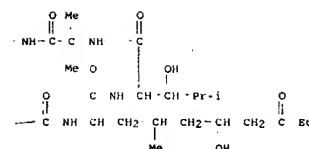
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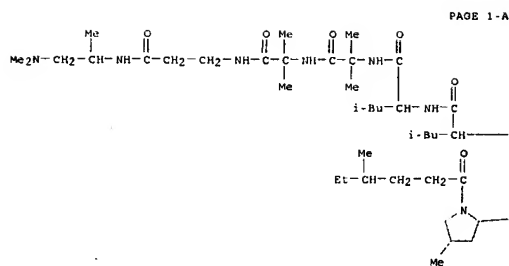
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CH₂-NMe₂

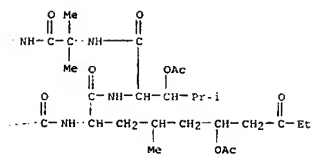
IT 93667-70-0P
 RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and derivatization and hydrolysis of)
 RN 93667-70-0 CAPLUS
 CN Leucinostatin A, 1-[(4S)-4-methyl-1-[(4S)-4-methyl-1-oxohexyl]-L-proline],
 [1(S)]- (9CI) (CA INDEX NAME)



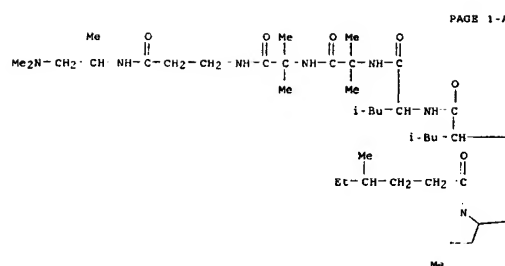
IT 93667-71-1P 93667-72-2P 93667-73-3P
 93697-27-9P
 RL: PREP (Preparation)
 (preparation of)
 RN 93667-71-1 CAPLUS
 CN Leucinostatin A, 1-[(4S)-4-methyl-1-[(4S)-4-methyl-1-oxohexyl]-L-proline],
 diacetate (ester), [1(S)]- (9CI) (CA INDEX NAME)



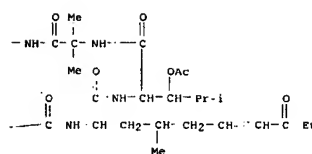
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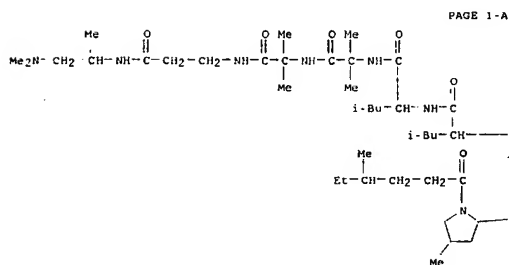
RN 93667-72-2 CAPLUS
CN Leucinostatin A, 1-[(4S)-4-methyl-1-[(4S)-4-methyl-1-oxohexyl]-L-proline]-2-[(2S,4S,6E)-2-amino-4-methyl-8-oxo-6-decanoic acid]-, acetate (ester) (9CI) (CA INDEX NAME)



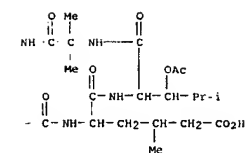
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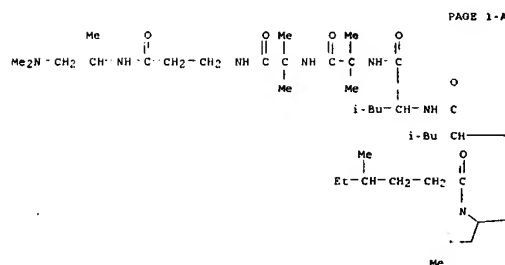
RN 93667-73-3 CAPLUS
CN Leucinostatin A, 1-[(4S)-4-methyl-1-[(4S)-4-methyl-1-oxohexyl]-L-proline]-2-[(2S,4S,6E)-2-amino-4-methyl-8-oxo-6-decanoic acid]-, acetate (ester) (9CI) (CA INDEX NAME)



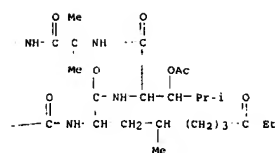
PAGE 1-B



RN 93697-27-9 CAPLUS
CN Leucinostatin A, 1-[(4S)-4-methyl-1-[(4S)-4-methyl-1-oxohexyl]-L-proline]-2-[(2S,4S,6E)-2-amino-4-methyl-8-oxo-6-decanoic acid]-, acetate (ester) (9CI) (CA INDEX NAME)



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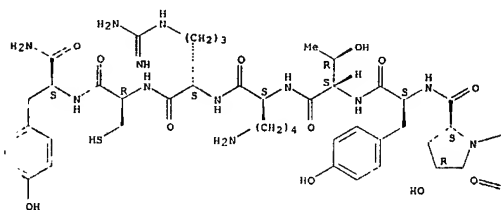


L6 ANSWER 499 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:586339 CAPLUS
DOCUMENT NUMBER: 101:186339
TITLE: Purification and sequence of a presynaptic peptide toxin from *Conus geographus* venom
AUTHOR(S): Olivera, Baldomero M.; McIntosh, J. Michael; Cruz, Lourdes J.; Luque, P. A.; Gray, William R.
CORPORATE SOURCE: Dep. Biol., Univ. Utah, Salt Lake City, UT, 84112, USA
SOURCE: Biochemistry (1984), 23(22), 5087-90
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A novel toxin, ω -conotoxin (1), from the venom of the fish-eating marine mollusk, *C. geographus*, was purified and biochemically characterized. It inhibited the voltage-activated entry of Ca^{2+} , thus providing a potentially powerful probe for exploring the vertebrate presynaptic terminal. It was a basic 27-amino-acid peptide amide with 3 disulfide bridges. An unusual feature was a remarkable preponderance of

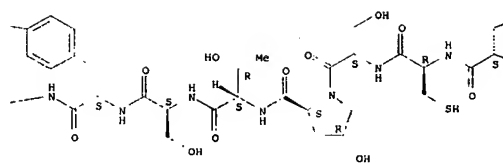
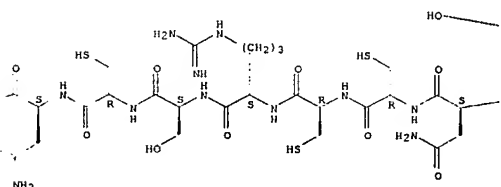
hydroxylated amino acids. Its sequence was determined.
 IT 92078-76-7P
 RL: PREP (Preparation)
 (of *Conus geographicus* venom, purification and amino acid sequence of)
 RN 92078-76-7 CAPLUS
 CN α -Conotoxin G VIA (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

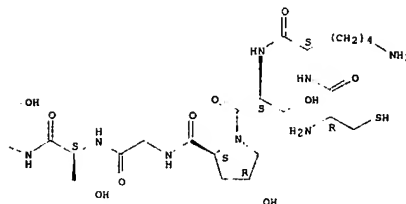
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PAGE 1-D

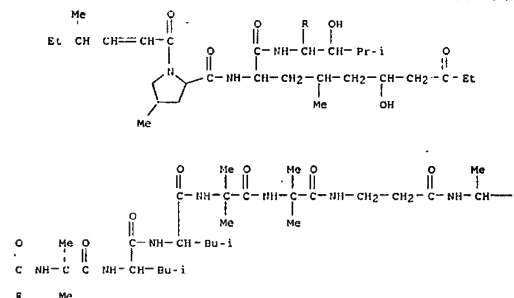


L6 ANSWER 500 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1984:565678 CAPLUS
 DOCUMENT NUMBER: 101:195679
 TITLE: Leucinostatin, peptide mycotoxins produced by
Paecilomyces lilacinus and their possible roles in
 fungal infection
 AUTHOR(S): Mikami, Y.; Fukushima, K.; Arai, T.; Abe, P.; Shibuya,
 H.; Oomura, Y.
 CORPORATE SOURCE: Res. Inst. Chemobiodyn., Chiba Univ., Chiba, 280,
 Japan
 SOURCE: Zentralblatt fuer Bakteriologie, Mikrobiologie und
 Hygiene, Series A: Medical Microbiology, Infectious
 Diseases, Virology, Parasitology (1984), 257(2),
 275-83
 CODEN: ZSMPEJ; ISSN: 0176-6724
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Peptide mycotoxins leucinostatin were obtained from the culture strains
 of *P. lilacinus*, which were isolated both from soil and a case of human

oculomycosis. Comparative studies of the symptoms of exptl. keratomycosis
 caused both by the inoculation of *P. lilacinus* and by direct
 administration of leucinostatin into the infection model (rabbit)
 suggested the possible role of leucinostatin in the inflammatory response
 of invaded tissues. Leucinostatin was formerly reported as a single
 entity. However, in the course of the structural studies, leucinostatin
 was a complex of 2 closely related components, and the structures of both
 were determined. Both of the mycotoxins possessed high toxicity to exptl.
 animals. The i.p. and oral LD50 in mice were 1.8 and 5.4-6.3 mg/kg by a
 single administration. Toxicol. studies showed that leucinostatin have
 some potent effects on liver cells after oral administration. Thus,
 leucinostatin exhibit strong uncoupling activity on the rat liver
 mitochondrial system.

IT 76600-38-9 76663-52-0
 RL: BTOL (Biological Study)
 (of *Paecilomyces lilacinus*, structure and toxicity of)
 RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9CI) (CA INDEX NAME)

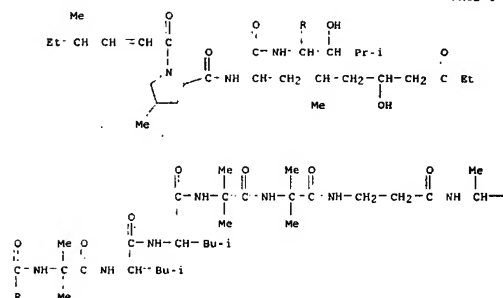
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CH2 - NMe2

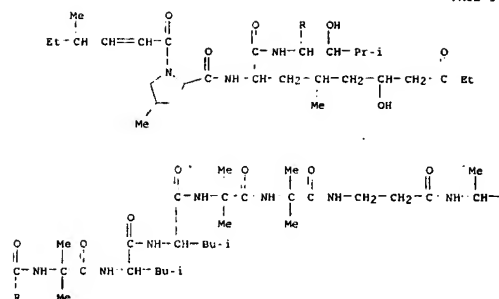
RN 76663-52-0 CAPLUS
 CN Leucinostatin B (9CI) (CA INDEX NAME)

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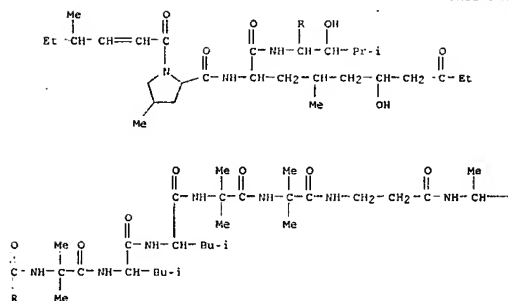


CH₂-NHMe

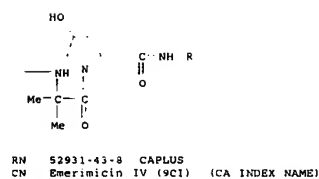
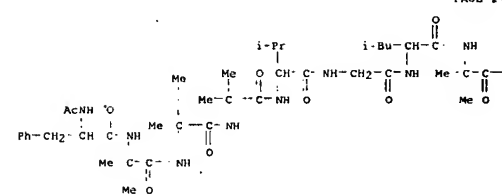
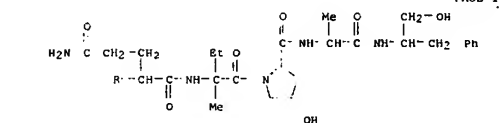
L6 ANSWER 501 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1984:566756 CAPLUS
 DOCUMENT NUMBER: 101:166756
 TITLE: Two phytotoxic, antibiotic peptides produced by submerged cultures of *Paecilomyces marquandii* (Massee) Hughes
 AUTHOR(S): Rossi, C.; Benciarri, Z.; Casinovi, C. G.; Tuttobello, L.
 CORPORATE SOURCE: Ist. Chim. Farm. Tec. Farm., Univ. Perugia, Perugia, Italy
 SOURCE: Phytopathologia Mediterranea (1983), 22(3), 209-11
 CODEN: PYMDAU; ISSN: 0031-9465
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Leucinostatin A and an N-demethyl derivative were the main constituents of 27 different peptides from *P. marquandii*. Both compds. had antibiotic and phytotoxic activities.
 IT 76600-38-9 76663-52-0
 RL: BIOL (Biological study)
 (from *Paecilomyces marquandii*)
 RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9CI) (CA INDEX NAME)

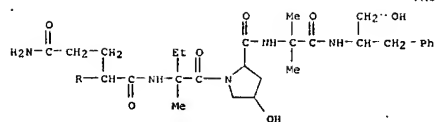
CH₂-NMe₂

RN 76663-52-0 CAPLUS
 CN Leucinostatin B (9CI) (CA INDEX NAME)

CH₂-NHMe

L6 ANSWER 502 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1984:438809 CAPLUS
 DOCUMENT NUMBER: 101:38809
 TITLE: Membrane-active peptaibol antibiotics: conformational preferences of the 2-9 segment of emerimicins III and IV and all related short sequences
 AUTHOR(S): Toniolo, Claudio; Donora, Gian Maria; Mapelli, Claudio; Benedetti, Ettore; Bavoso, Alfonso; Di Blasio, Benedetto; Pavone, Vincenzo; Pedone, Carlo
 CORPORATE SOURCE: Ist. Chim. Org., Univ. Padova, Padua, 35100, Italy
 SOURCE: Rept.: Struct. Funct., Proc. Am. Pept. Symp., 8th (1993), 495-8. Editor(s): Hruby, Victor J.; Rich, Daniel H. Pierce Chem. Co.; Rockford, Ill.
 CODEN: S1KAAK
 DOCUMENT TYPE: Conference
 LANGUAGE: English

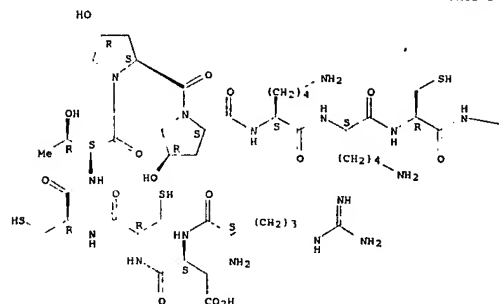




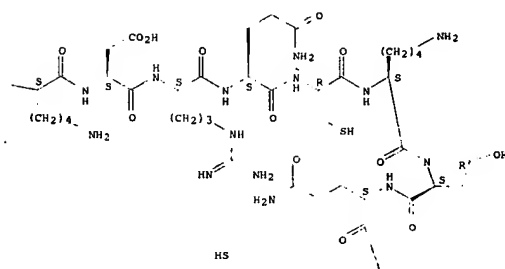
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RL: BIOL (Biological study)
(biol. activity and structure of)
RN 86394-16-3 CAPLUS
CN μ -Conotoxin G IIIA (reduced) (9CI) (CA INDEX NAME)
Absolute stereochemistry.

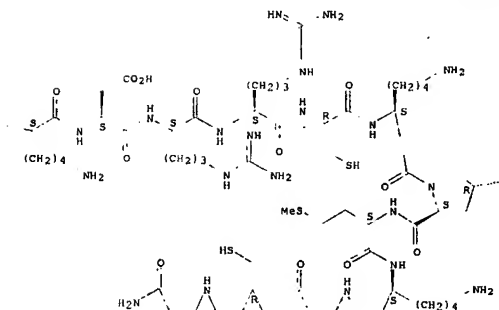
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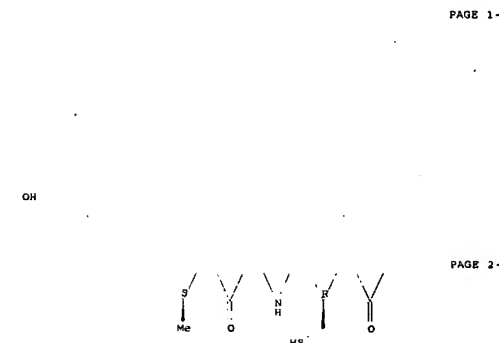
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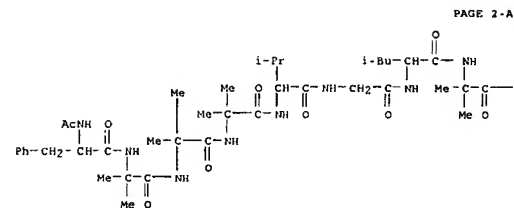
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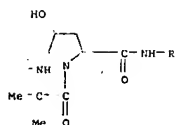


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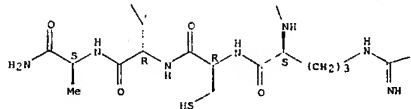
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L6 ANSWER 503 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:418499 CAPLUS
DOCUMENT NUMBER: 101:18499
TITLE: Structures and actions of the peptide toxins, geographutoxin I and II, isolated from the cone shell *Conus geographus* Nakamura, Hideshi; Sato, Shobu; Kobayashi, Junichi; Ohizumi, Yasushi; Hirata, Yoshinasa Mitsubishi-Kasei Inst. Life Sci., Machida, 194, Japan Peptide Chemistry (1984), Volume Date 1983, 21st., 197-202
CODEN: PECHDP; ISSN: 0388-3698
JOURNAL: General Review
LANGUAGE: English
AB A review with 9 refs. on the structure and biol. action of geographutoxin I [86394-16-3] and geographutoxin II [86414-29-1].
IT 86394-16-3 86414-29-1

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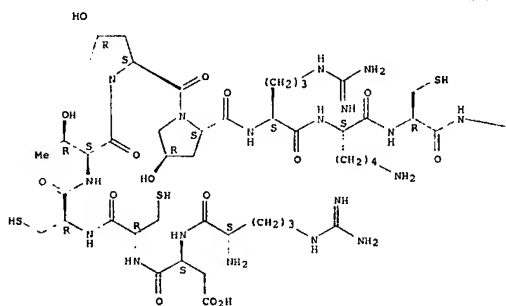


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NH₂

RN 86414-29-1 CAPLUS
CN μ -Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)
Absolute stereochemistry.

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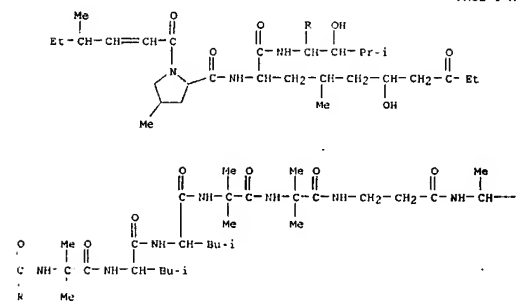


L6 ANSWER 504 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:99721 CAPLUS
DOCUMENT NUMBER: 100:99721
TITLE: Studies on peptide antibiotics, leucenostatins. I. Separation, physicochemical properties and biological activities of leucenostatins A and B

AUTHOR(S): Fukushima, Kazutaka; Arai, Tadaashi; Mori, Yuji;
 Tsuboi, Makoto; Suzuki, Makoto
 CORPORATE SOURCE: Res. Inst. Chemobiodyn., Chiba Univ., Chiba, 280,
 Japan
 SOURCE: Journal of Antibiotics (1983), 36(12), 1606-12
 CODEN: JANTAJ; ISSN: 0021-8820
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Leucinostatin, a peptide antibiotic, was separated by silica gel and alumina
 column chromatog. into 2 related components designated as leucinostatin A
 hydrochloride (C₆₁H₁₁₁N₁₁O₁₃-HCl) and leucinostatin B hydrochloride
 (C₆₁H₁₀₉N₁₁O₁₃-HCl). Physicochem. as well as biol. properties of the 2
 separated components were analyzed. These properties pointed to closely
 resembling chemical structures. Both components inhibited bacteria and fungi
 and acted as uncouplers of oxidative phosphorylation in mitochondria.
 IT 78149-02-7 88929-99-1
 RL: BIOL. (Biological study)
 (antibiotic, from Paecilomyces lilacinus)
 RN 78149-02-7 CAPLUS
 CN Leucinostatin A, hydrochloride (9CI) (CA INDEX NAME)

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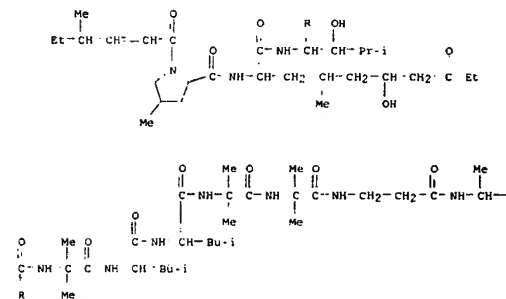


● x HCl

CH₂-NMe₂

RN 88929-99-1 CAPLUS
 CN Leucinostatin A, 9-[N-(1-methyl-2-(methylamino)ethyl)-β-alaninamide]-
 monohydrochloride, [9(s)]- (9CI) (CA INDEX NAME)

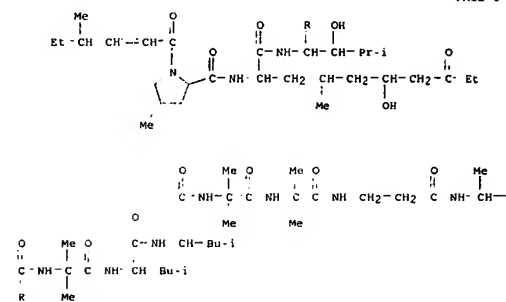
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(peptide antibiotic, structure of)
 RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9CI) (CA INDEX NAME)

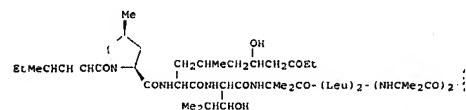
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● HCl

CH₂-NMe₂

L6 ANSWER 505 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1984:98513 CAPLUS
 DOCUMENT NUMBER: 100:98513
 TITLE: Studies on peptide antibiotics, leucinostatins. II.
 The structures of leucinostatins A and B
 AUTHOR(S): Fukushima, Kazutaka; Arai, Tadaashi; Mori, Yuji;
 Tsuboi, Makoto; Suzuki, Makoto
 CORPORATE SOURCE: Res. Inst. Chemobiodyn., Chiba Univ., Chiba, 280,
 Japan
 SOURCE: Journal of Antibiotics (1983), 36(12), 1613-30
 CODEN: JANTAJ; ISSN: 0021-8820
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



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MeCH₂CH₂CONHCHMeCH₂NMe₂

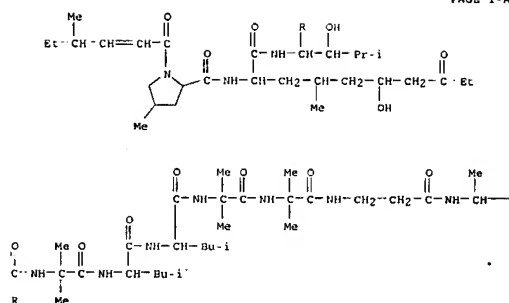
I, R=H
 II, R=Me

AB Structures were assigned to leucinostatins A (I) and B (II) based on fast
 atom bombardment, secondary ion, field desorption and chemical ionization
 mass spectrometry, NMR and chemical degradation methods of the intact
 antibiotics
 and their acid hydrolysis products. The difference between I and II was
 concluded to be the replacement of (2S)-N1,N1-dimethylpropane-1,2-diamine
 in I by (2S)-N1-methylpropane-1,2-diamine in II. This was confirmed by
 methylation of I and II with Me iodide yielding identical compds. which
 were named leucinostatin A-M.
 IT 76600-38-9 76663-52-0
 RL: BIOL. (Biological study)

CH₂-NMe₂

RN 76663-52-0 CAPLUS
 CN Leucinostatin B (9CI) (CA INDEX NAME)

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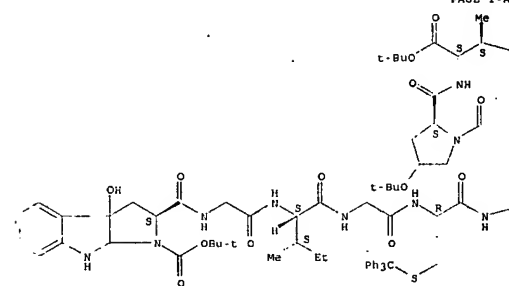
CH₂-NHMe

L6 ANSWER 506 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1984:68690 CAPLUS
 DOCUMENT NUMBER: 109:68690
 TITLE: The biomimetic gel phase synthesis of the RNA-polymerase II inhibitor peptide 6'-dehydroxymannulin
 AUTHOR(S): Birr, Christian; Schmitt, Bertram
 CORPORATE SOURCE: Max-Planck-Inst. Med. Forsch., Heidelberg, D-6900/1, Fed. Rep. Ger.
 SOURCE: Pept., Proc. Eur. Pept. Symp., 17th (1983), Meeting Dec 1982, 227-32. Editor(s): Blaha, Karel; Malon, Petr. de Gruyter: Berlin, Fed. Rep. Ger.
 CODEN: 50GFAA
 DOCUMENT TYPE: Conference
 LANGUAGE: English
 G: For diagram(s), see printed CA Issue.

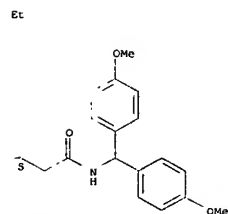
[(1,1-dimethylethoxy)carbonyl]-1,2,3,3a,8,8a-hexahydro-3a-hydroxypyrrolo[2,3-b]indol-2-yl]carbonyl]glycyl]-L-isoleucyl]glycyl]-S-(triphenylmethyl)-L-cysteinyl]-L-asparaginyll-4-[(1,1-dimethylethoxy)-L-prolyll-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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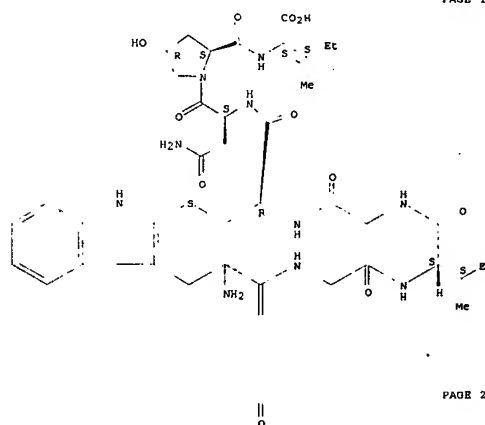
IT 88499-34-7P 88499-36-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and partial deblocking of)
 RN 88499-34-7 CAPLUS
 CN L-Isoleucine, N-[1-[N-[bis(4-methoxyphenyl)methyl]-N2-[(1-(3,5-dimethoxyphenyl)-1-methylethoxy)carbonyl]-L-asparaginyll-4-[(1,1-dimethylethoxy)-L-prolyll-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AB 6'-Dehydroxymannulin (I) was obtained from Boc-HPI-Gly-Ile-Gly-OEt (II); Boc = Me₃CO₂C, HPI = 3a-hydroxy-1,2,3,3a,8,8a-hexahydro-3a-hydroxypyrrolo[2,3-b]indol-2-carboxylic acid residue and Ddz-Cys(CPh₃)-Asn(Mbh)-Hyp(CMe₃)-Ile-OCMe₃ (III); Ddz = 3,5-(MeO)2C₆H₃CO₂C, Mbh = CH(C₆H₄OMe-4)2], which were prepared in the gel phase by stepwise couplings of Ddz amino acid active esters with polymer-bound 1-hydroxybenzotriazole (HOBt). II was saponified to give Boc-HPI-Gly-Ile-Gly-OH (IV), whereas III was Ddz-deblocked and then coupled with IV by DCC/HOBt to give Boc-HPI-Gly-Ile-Gly-Cys(CPh₃)-Asn(Mbh)-Hyp(CMe₃)-OCMe₃ (V). When V was deprotected, the HPI residue was cleaved simultaneously to give thioether-bridged peptide VI, which was cyclized by DCC/N-hydroxysuccinimide and then oxidized by H₂O₂ to give I. I contained about 3 times more (S)-sulfoxide than (R)-sulfoxide; (R)-I is analogous to the natural mushroom constituent. (R)-I inhibited the title enzyme by 50 weight % at 0.76 · 10⁻⁷ M.
 IT 88499-40-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and cyclization of)
 RN 88499-40-5 CAPLUS
 CN L-Isoleucine, 2-mercaptotryptophylglycyl-L-isoleucylglycyl-L-cysteinyl-L-asparaginyll-(4R)-4-hydroxy-L-prolyl-, cyclic (1-5)-thioether (9CI) (CA INDEX NAME)

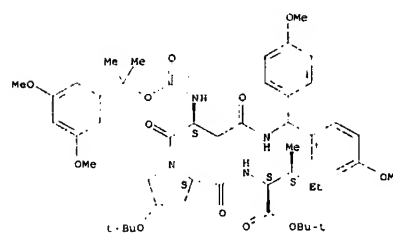
Absolute stereochemistry.

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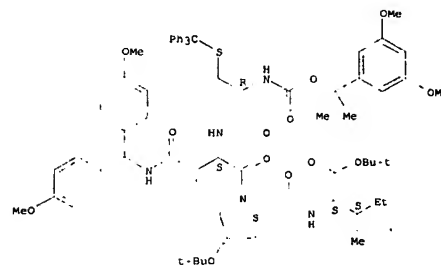
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IT 88499-39-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and deblocking-thioether bridge formation of)
 RN 88499-39-2 CAPLUS
 CN L-Isoleucine, N-[1-[N-[bis(4-methoxyphenyl)methyl]-N2-[N-[N-[N-[1-



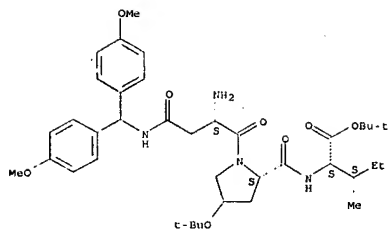
RN 88499-36-9 CAPLUS
 CN L-Isoleucine, N-[1-[N-[bis(4-methoxyphenyl)methyl]-N2-[N-[1-(3,5-dimethoxyphenyl)-1-methylethoxy]carbonyl]-S-(triphenylmethyl)-L-cysteinyl]-L-asparaginyll-4-[(1,1-dimethylethoxy)-L-prolyll-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



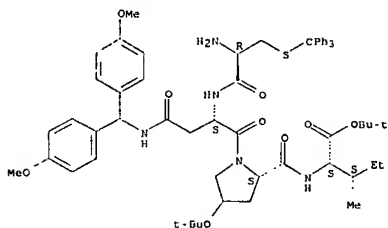
IT 88499-35-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and peptide coupling of, with protected amino acid ester with polymer-bound hydroxybenzotriazole)
 RN 88499-35-8 CAPLUS
 CN L-Isoleucine, N-[1-[N-[bis(4-methoxyphenyl)methyl]-L-asparaginyll-4-[(1,1-dimethylethoxy)-L-prolyll-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



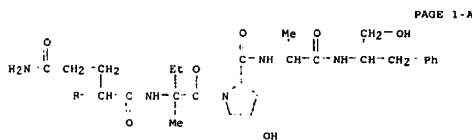
IT 88499-38-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and peptide coupling of, with tetrapeptide derivative)
 RN 88499-38-1 CAPLUS
 CN L-Isoleucine, N-[1-[N-[bis(4-methoxyphenyl)methyl]-N2-[S-(triphenylmethyl)-L-cysteinyl]-L-asparaginyl]-4-(1,1-dimethylethoxy)-L-prolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

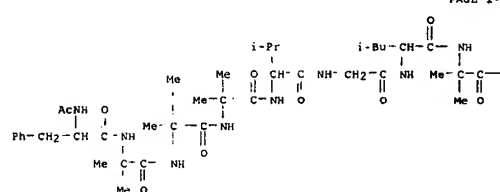


L6 ANSWER 507 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1984:51993 CAPLUS
 DOCUMENT NUMBER: 100:51993
 TITLE: Peptidol antibiotics: a study on the helical structure of emerimicins
 AUTHOR(S): Toniolo, Claudio; Bonora, Gian Maria; Benedetti, Ettore; Ravoso, Alfonso; Di Blasio, Benedetto; Pavone, Vincenzo; Pedone, Carlo
 CORPORATE SOURCE: Cent. Stud. Biopolimeri, CNR, Padua, 35100, Italy
 SOURCE: Pept., Proc. Eur. Pept. Symp., 17th (1993), Meeting Date 1992, 741-4. Editor(s): Blaha, Karel; Malon, Petr. de Gruyter: Berlin, Fed. Rep. Ger.
 CODEN: SGGPAA
 DOCUMENT TYPE: Conference

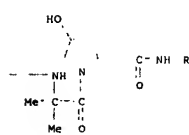
LANGUAGE: English
 AB The conformational preferences in solution of the protected 2-9 segment of emerimicins III and IV, and several related short sequences, were studied by IR and CD spectroscopies. The structural preferences of Z-(Aib)-OCMe3 (Z = PhCH2O2C, Aib = α-aminoisobutyric acid residue) (I) and Z-(Aib)3-L-Val-X-OMe (X = bond (II) or Gly (III)) were confirmed by x-ray diffraction anal. The structures of I, II, and III are characterized by C10-conformations (one type-III' for type III'), a double type-III', and triple, resp.).
 IT 52931-42-7 52931-43-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (conformation of fragments of)
 RN 52931-42-7 CAPLUS
 CN Emerimicin III (9CI) (CA INDEX NAME)



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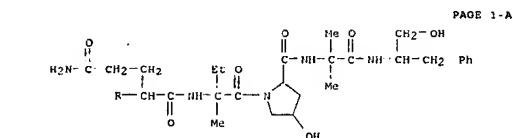


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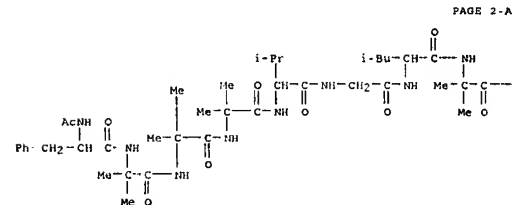


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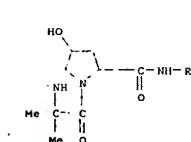
RN 52931-43-8 CAPLUS
 CN Emerimicin IV (9CI) (CA INDEX NAME)



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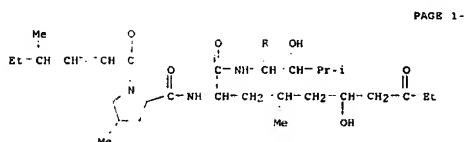
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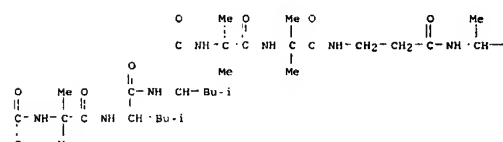
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L6 ANSWER 508 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1984:22996 CAPLUS
 DOCUMENT NUMBER: 100:22996
 TITLE: Structure of leucinostatin B, an uncoupler on mitochondria
 AUTHOR(S): Mori, Yujii; Suzuki, Makoto; Fukushima, Kazutaka; Arai, Tadashi
 CORPORATE SOURCE: Fac. Pharm., Meijo Univ., Nagoya, 468, Japan
 SOURCE: Journal of Antibiotics (1983), 36(8), 1084-6
 CODEN: JANTAJ; ISSN: 0021-8820
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 G1

AB The structure of leucinostatin B (I, Aib = NHMe2CO) was determined by chemical degradation and by 1H and 13C NMR and mass spectrometry.
 IT 88234-57-5P
 RL: FORM (Formation, nonpreparative); PREP (Preparation)
 (formation of, from hydrolysis of leucinostatin B)
 RN 88234-57-5 CAPLUS
 CN Leucinostatin A, 9-[N-[1-methyl-2-(trimethylammonio)ethyl]-β-alaninamidol-, iodide, [9(S)]- (9CI) (CA INDEX NAME)



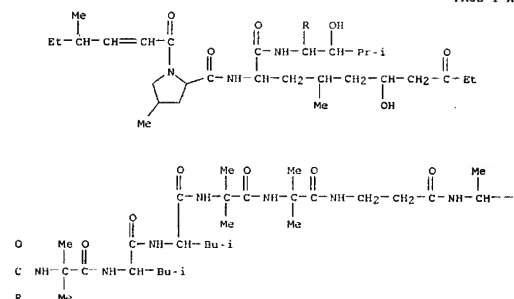
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CH₂-N⁺Me₃

IT 76663-52-0
 RL: PROC (Process)
 (mol. structure determination of)
 RN 76663-52-0 CAPLUS
 CN Leucinostatin B (9CI) (CA INDEX NAME)

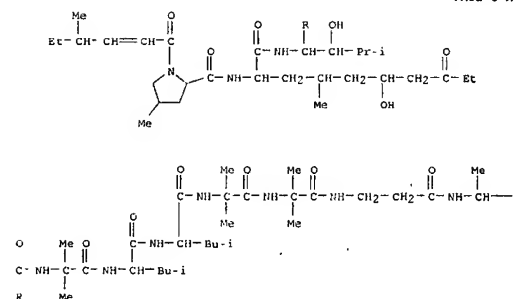
PAGE 1-A

CH₂-NHMe

L6 ANSWER 509 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1983:572499 CAPLUS
 DOCUMENT NUMBER: 99:172499
 TITLE: Studies on antibiotics produced at high alkaline pH
 AUTHOR(S): Sato, Michikatsu, Beppu, Teruhiko, Arima, Kei
 CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
 SOURCE: Agricultural and Biological Chemistry (1983), 47(9), 2019-27
 CODEN: ABCHA6; ISSN: 0002-1369

DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Screening by using highly alkaline media was carried out to detect new antibiotics produced by alkalophilic and alkali-resistant microorganisms. Three thousand strains of microorganisms, mainly fungi and streptomycetes, were isolated on highly alkaline media (pH 10.3). The microorganisms consisted of 1206 strains of streptomycetes, 1511 strains of fungi, and 283 strains of bacteria. Among these strains, 151 streptomycetes, 148 fungi, and 36 bacteria showed antimicrobial activities. Streptomyces 1543 produced antimycin A. Verticillium lecanii produced helvolic acid. Paecilomyces lilacinus produced a group of homologous new peptide antibiotics in a broth fermented under highly alkaline conditions.
 IT 76600-38-9 76663-52-0
 RL: BIOL (Biological study)
 (from Paecilomyces lilacinus)
 RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9CI) (CA INDEX NAME)

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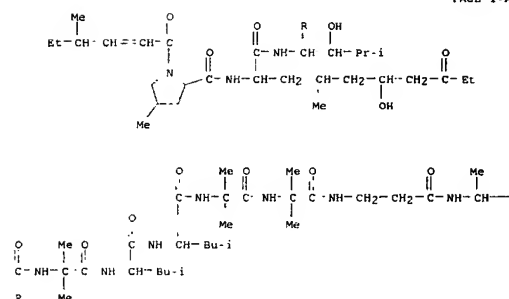


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CH₂-NMe₂

RN 76663-52-0 CAPLUS
 CN Leucinostatin B (9CI) (CA INDEX NAME)

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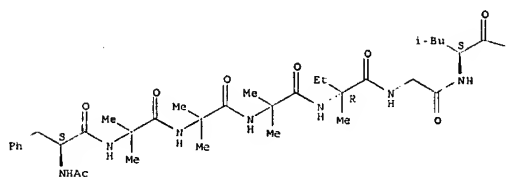
CH₂-NHMe

L6 ANSWER 510 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1983:522876 CAPLUS
 DOCUMENT NUMBER: 99:122876
 TITLE: The application of FAB spectra to structure elucidation
 AUTHOR(S): Taylor, L. C. E.; Hazelby, D.
 CORPORATE SOURCE: Kratos Anal. Instrum., Urmaton/Manchester, M31 2LD, UK
 SOURCE: Analytical Chemistry Symposia Series (1983), 14(Chromatogr. Mass Spectrom. Biomed. Sci., 2), 239-43
 CODEN: ACSSDR; ISSN: 0167-6350
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The FAB (fast-atom bombardment) mass spectra of Met-enkephalin, an endoiso peptide, and antiamocin I [Ac-Phe-Aib-Aib-Iva-Gly-Leu-Aib-Aib-Hyp-Gln-Iva-Hyp-Aib-Pro-Phe-ol (Aib = NHCMe₂CO, Iva = isovaline residue, Phe-ol = phenylalaninol)] were recorded. The results confirm the

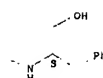
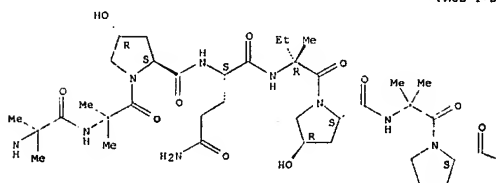
amino acid sequences and identify unexpected ions.
 IT 64347-37-1
 RL: PRP (Properties)
 (fast-atom bombardment mass spectrum of)
 RN 64347-37-1 CAPLUS
 CN Anilamebin I (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

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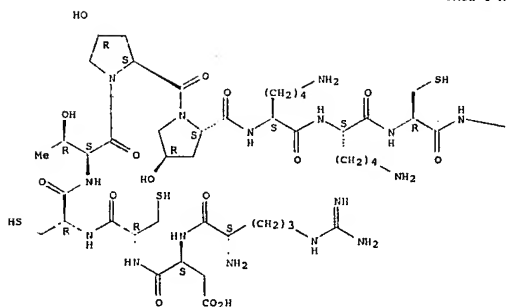


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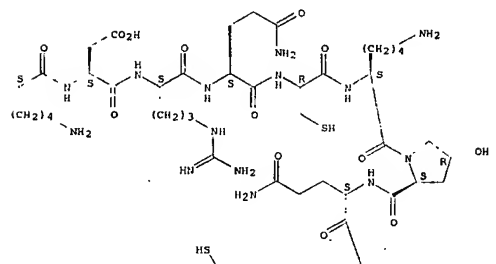


L6 ANSWER 511 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1983:450580 CAPLUS
 DOCUMENT NUMBER: 99:50580
 TITLE: Isolation and amino acid compositions of geographotoxin I and II from the marine snail Conus geographus Linne
 AUTHOR(S): Nakamura, H.; Kobayashi, J.; Ohizumi, Y.; Hirata, Y.
 CORPORATE SOURCE: Mitsubishi-Kasei Inst. Life Sci., Machida, 194, Japan
 SOURCE: Experientia (1983), 39(6), 590-1
 CODEN: EXPEAM; ISSN: 0014-4754
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Venom from *C. geographus* was chromatographed on Sephadex G-50 and CM-Sephadex C-25 to yield 2 active fractions which were further purified by high-performance liquid chromatog. and Sephadex G-25 chromatog. The resulting peptides, geographotoxins I and II, were similar in amino acid composition except for the presence of methionine in toxin II in place of the glutamic acid present in toxin I. These toxins, at concns. >10⁻⁸M, markedly inhibited twitch responses of the mouse diaphragm to direct stimulation, in contrast to conotoxins which inhibit the response to indirect but not to direct stimulation.
 IT 86394-16-3 86414-29-1
 RL: BIOL (Biological study)
 (of venom of snail)
 RN 86394-16-3 CAPLUS
 CN μ -Conotoxin G IIIA (reduced) (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

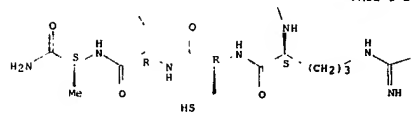
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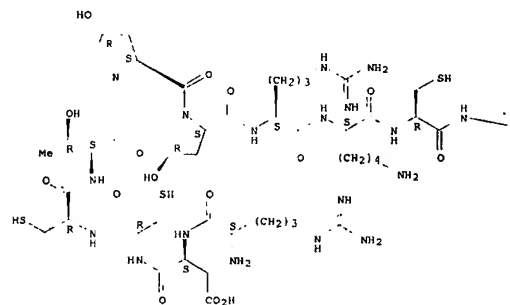


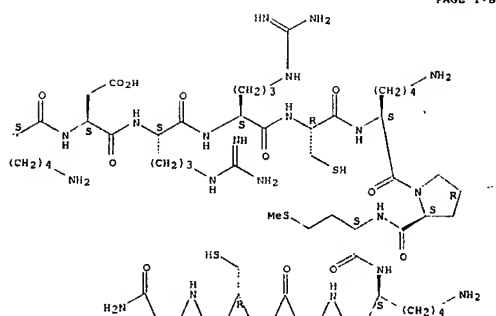
PAGE 2-C



RN 86414-29-1 CAPLUS
 CN μ -Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

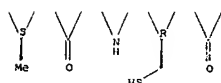
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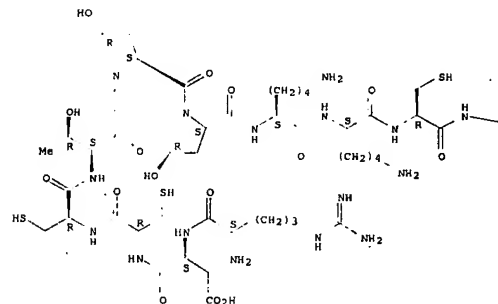
OH



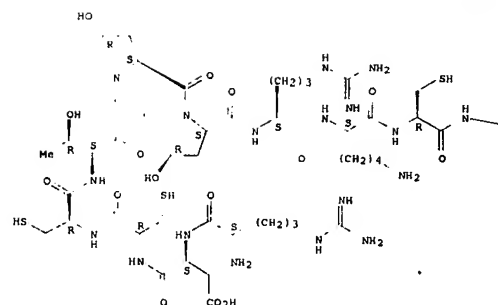
L6 ANSWER 512 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1993:434729 CAPLUS
 DOCUMENT NUMBER: 99:34729
 TITLE: The amino acid sequences of homologous hydroxyproline-containing myotoxins from the marine snail *Conus geographus* venom

AUTHOR(S): Sato, Showbu; Nakamura, Hideshi; Ohizumi, Yasushi; Kobayashi, Junichi; Hirata, Yoshimasa
 CORPORATE SOURCE: Mitsubishi-Kasei Inst. Life Sci., Machida, 194, Japan
 SOURCE: FEBS Letters (1993), 155(2), 277-80
 CODEN: FEBLAL; ISSN: 0014-5793
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Two homologous toxic peptides, geographotoxins I and II, containing hydroxyproline from the venom of the marine snail *C. geographus* were sequenced. The 2 toxins consist of 22 residues each and have very similar primary structures. These peptides inhibit the contractile response of directly stimulated mouse diaphragm.
 IT 86394-16-3 86414-29-1
 RL: PRP (Properties)
 (amino acid sequence of)
 RN 86394-16-3 CAPLUS
 CN μ -Conotoxin G IIIA (reduced) (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

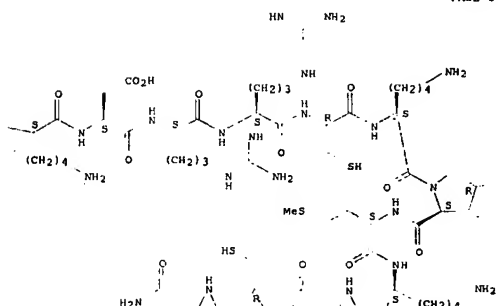
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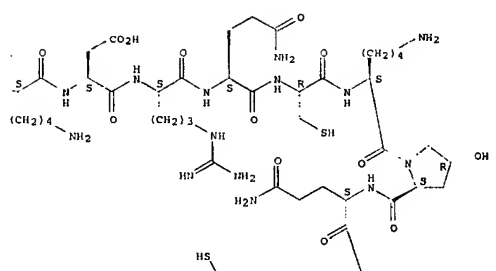
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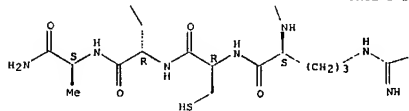
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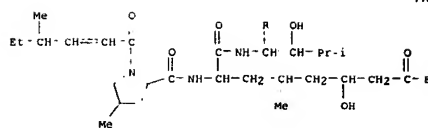
PAGE 2-B



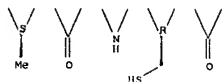
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NH2

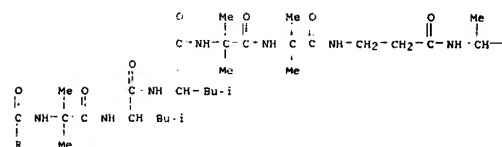
RN 86414-29-1 CAPLUS
 CN μ -Conotoxin G IIIB (reduced) (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



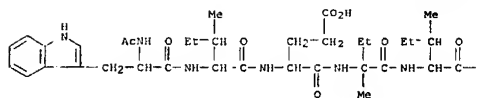
OH



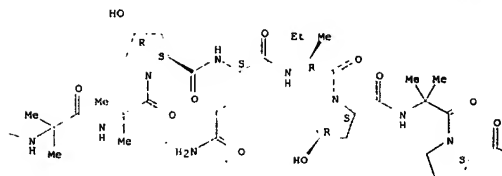
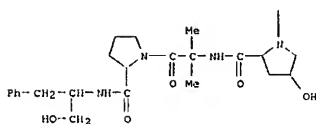
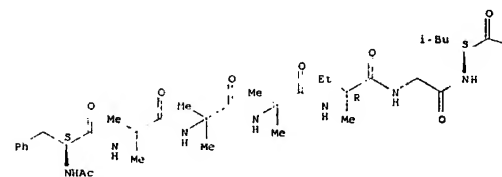
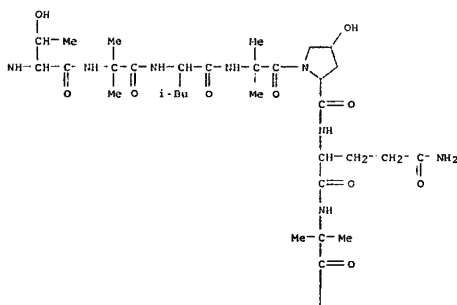
L6 ANSWER 513 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1983:122280 CAPLUS
 DOCUMENT NUMBER: 98:122280
 TITLE: Fast atom bombardment mass spectrometry; a promising tool for structural studies
 AUTHOR(S): Rinehart, Kenneth L., Jr.
 CORPORATE SOURCE: Urbana, IL, USA
 SOURCE: TrAC, Trends in Analytical Chemistry (1983), 2(1), 10-14
 CODEN: TTAEDJ; ISSN: 0165-9936
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The methodol. of fast-atom-bombardment mass spectrometry is discussed as well as its application to the assignment of structures to peptides and antibiotics, and examples are given of the use of the method in obtaining mass spectra of antimycinin III, antibiotic CC1014, and servamicin IC.
 IT 76600-38-9 79392-51-1
 RL: PRP (Properties)
 (mass spectrum of, fast-atom-bombardment)
 RN 76600-38-9 CAPLUS
 CN Leucinoslatin A (9CI) (CA INDEX NAME)

CH₂-NMe₂

RN 79392-51-1 CAPLUS
 CN L-Prolineamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-α-glutamyl-D-isovaleryl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)



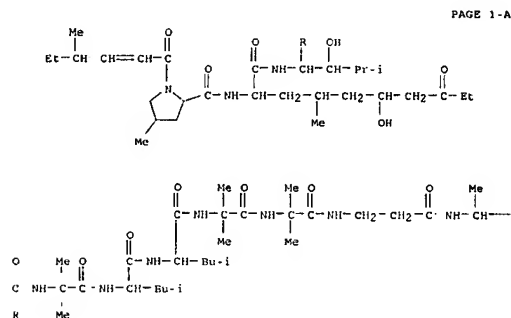
DOCUMENT TYPE: CODEN: IJMBY; ISSN: 0020-7381
 LANGUAGE: English
 AB The usefulness of fast-atom-bombardment (FAB) mass spectra for in-depth study of the spectrum by metastable scanning techniques and accurate mass measurement for structure elucidation is discussed. Examples are also given that confirm the amino acid sequence of a number of peptides and unexpected ions are identified.
 IT 64347-37-1
 RL: PRP (Properties)
 (structure of, determination of, by fast-atom-bombardment mass spectroscopy)
 RN 64347-37-1 CAPLUS
 CN Antiamerin (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



L6 ANSWER 514 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1983:103776 CAPLUS
 DOCUMENT NUMBER: 98:103776
 TITLE: The application of FAB spectra to structure elucidation
 AUTHOR(S): Taylor, L. C. E.; Hazelby, D.; Wakefield, C. J.
 CORPORATE SOURCE: Kratos Anal. Instrum., Manchester, UK
 SOURCE: International Journal of Mass Spectrometry and Ion Physics (1983), 46, 407-10



L6 ANSWER 515 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1983:68520 CAPLUS
 DOCUMENT NUMBER: 98:68520
 TITLE: Two new polypeptide antibiotics, CC-1014 and CC-1014B
 AUTHOR(S): Wiley, Paul P.; Koert, James M.; Hanka, Ladislav J.
 CORPORATE SOURCE: Upjohn Co., Kalamazoo, MI, 49001, USA
 SOURCE: Journal of Antibiotics (1982), 35(9), 1231-3
 CODEN: JANTAJ; ISSN: 0021-8820
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB In the course of a screening program for antitumor antibiotics, 2 new antibiotics elaborated by Paecilomyces abruptus were isolated. One of these (CC-1014) is a polypeptide and the other most probably is, as its properties are very similar to those of CC-1014.
 IT 76600-38-9
 RL: BIOL. (Biological study)
 (from Paecilomyces abruptus)
 RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9CI) (CA INDEX NAME)



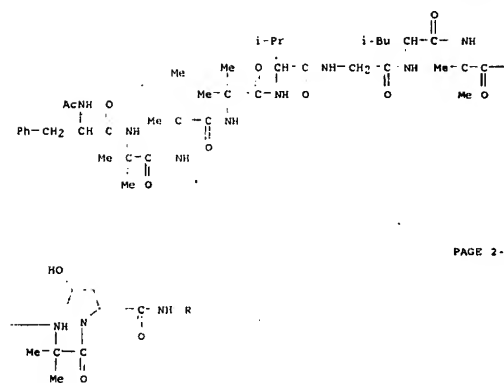
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-CH2-NMe2

L6 ANSWER 516 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1983:67385 CAPLUS
 DOCUMENT NUMBER: 98:67385
 TITLE: Peptaibol antibiotics: a study on the helical structure of the 2-9 sequence of emerimicins III and IV
 AUTHOR(S): Benedetti, Ettore; Savoso, Alfonso; Di Blasio, Benedetto; Pavone, Vincenzo; Pedone, Carlo; Toniolo, Claudio; Bonora, Gian Maria
 CORPORATE SOURCE: Ist. Chim., Univ. Napoli, Naples, 80134, Italy
 SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1982), 79(24), 7951-4
 CODEN: PNASA6; ISSN: 0027-8424
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Solution conformations of the protected 2-9 segment of the peptaibol antibiotics emerimicins III and IV [alpha-aminoisobutyric acid (Aib)13-L-Val-Gly-L-Leu-(Aib)2 and the related short sequences benzyloxycarbonyl-(Aib)3-L-Val-Ome and benzyloxycarbonyl-(Aib)3-L-Val-Gly-Ome have been investigated by CD studies. For the latter 2 compds. the structural preferences in the solid state have been assayed by x-ray diffraction analyses. These data and those previously reported support the view that the shortest Aib-containing segments (from tri- through pentapeptides) adopt the 310-helical structure both in solution and in the solid state. In contrast, the octapeptide appears to adopt the alpha-helical structure in solution. The role of peptide chain length and specific amino acid sequences in stabilizing either of the 2 helical structures and, hence, their possible implications on the nature of the channel formed by peptaibol antibiotics in the membrane are also briefly outlined.
 IT 52931-42-7 52931-43-8
 RL: BIOL. (Biological study)
 (helical conformation of octapeptide fragment of)
 RN 52931-42-7 CAPLUS
 CN Emerimicin III (9CI) (CA INDEX NAME)

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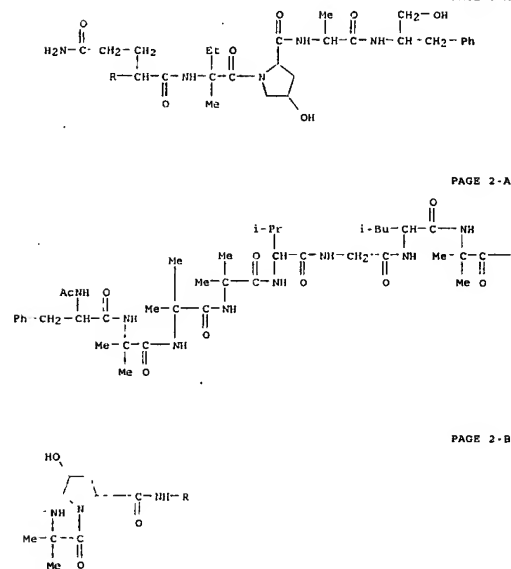
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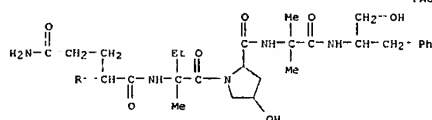
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RN 52931-43-8 CAPLUS
 CN Emerimicin IV (9CI) (CA INDEX NAME)

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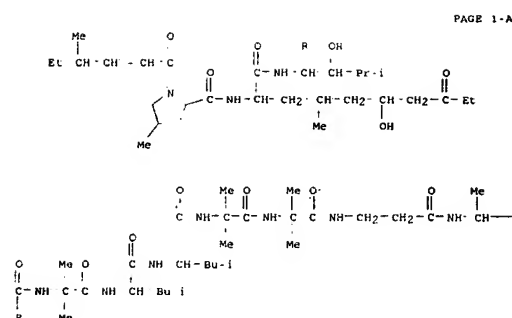
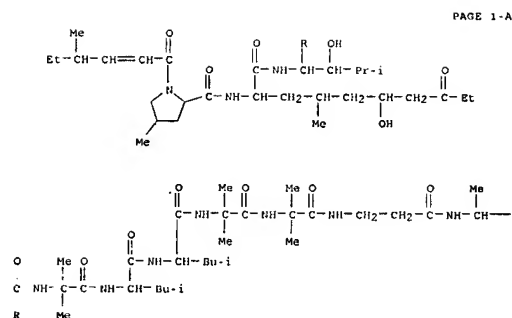
L6 ANSWER 517 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1983:15460 CAPLUS
 DOCUMENT NUMBER: 98:15460
 TITLE: Antibiotic 1907II and 1907VIII
 AUTHOR(S): Beppu, Teruhiko, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
 CODEN: JKKXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57067547	A	19820424	JP 1980-142019	19801013
JP 62057199	B	19871130		

PRIORITY APPL. INFO.:

AB The peptide antibiotic 1907II and 1907VIII were produced by cultivation of Paecilomyces lilacinus. Thus, precultured P. lilacinus 1907 was cultured on 100 L broth containing glucose 0.5, soluble starch 3, soybean powder 1.5, peptone 0.3, dry yeast 0.2, K2HPO4 0.1, NaCl 0.1, Na2CO3 1 g/dL, and small amts. of salts for 4 days at 28°. The broth was chromatographed over silica gel and Sephadex LH-20 to give 750 mg 1907II and 150 mg 1907VIII. The IR and proton NMR spectra of 1907II and 1907VIII are given. They had bactericidal and fungicidal properties and also inhibited L1210 mouse leukemia cells and sarcoma 180 ascites tumor.

IT 76600-38-9 76663-52-0
 RL: BIOL. (Biological study)
 (from Paecilomyces lilacinus)
 RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9CI) (CA INDEX NAME)



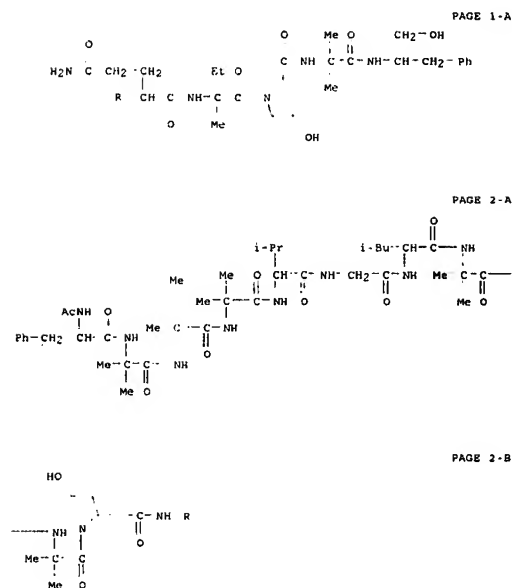
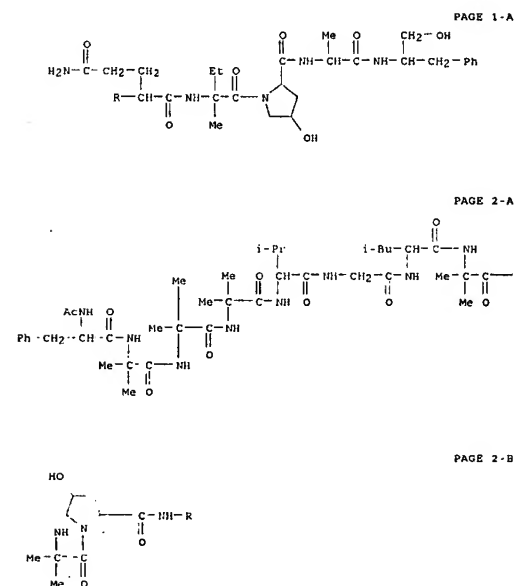
CH₂-NMe₂

RN 76663-52-0 CAPLUS
CN Leucinostatin B (9CI) (CA INDEX NAME)

-CH₂-NHMe

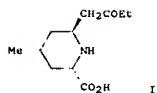
L6 ANSWER 518 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:510369 CAPLUS
DOCUMENT NUMBER: 97:110369
TITLE: Studies on the synthesis of emerimicins III and IV
AUTHOR(S): Balasubramanian, T. M.; Redlinski, A. S.; Marshall, G. R.
CORPORATE SOURCE: Sch. Med., Washington Univ., St. Louis, MO, 63110, USA
SOURCE: Pept.: Synth., Struct., Punct., Proc. Am. Pept. Symp., 7th (1981), 61-4. Editor(s): Rich, Daniel H.; Gross, Erhard. Pierce Chem. Co.: Rockford, Ill.
CODEN: 47LMAO
DOCUMENT TYPE: Conference
LANGUAGE: English
AB The protected 1-9, 10-12, and 13-15 fragments of emerimicin III and IV, Ac-Phe-Aib-Aib-Val-Gly-Leu-Aib-Aib-Hyp-Gln-Iva-Hyp-X-Phol (Aib = NHCMe₂CO, Iva = isovaline moiety, Phol = phenylalaninol, X = Aib and Ala,

resp.), were prepared by solution methods. Thus, Boc-Val-Gly-Leu-OH (Boc = Me₃CO₂C) was coupled with H-Aib-Aib-OCCH₂Ph to give Boc-Val-Gly-Leu-Aib-Aib-OCCH₂Ph, which was Boc-deblocked and then coupled with Ac-Phe-Aib-Aib-Aib-OH to give Ac-Phe-Aib-Aib-Aib-Val-Gly-Leu-Aib-Aib-OCCH₂Ph (sequence 1-9). Boc-Hyp(CH₂Ph)-Gln-Iva-OCCH₂Ph (sequence 10-12) and Boc-Hyp(CH₂Ph)-X-Phol (X = Aib, Ala) (sequence 13-15) were also prepared
IT 52931-42-7P 52931-43-8P
RL: SPH (Synthetic preparation); PREP (Preparation) (preparation of peptide fragments of)
RN 52931-42-7 CAPLUS
CN Emerimicin III (9CI) (CA INDEX NAME)



L6 ANSWER 519 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:468949 CAPLUS
DOCUMENT NUMBER: 97:58949
TITLE: Isolation of leucinostatin A and one of its constituents, the new amino acid, 4-methyl-6-(2-oxobutyl)-2-piperidinecarboxylic acid, from Paecilomyces lilacinus A-267
AUTHOR(S): Mori, Yujir; Tsuboi, Makoto; Suzuki, Makoto; Fukushima, Kazutaka; Arai, Tadashi
CORPORATE SOURCE: Fac. Pharm., Meijo Univ., Nagoya, 468, Japan
SOURCE: Journal of Antibiotics (1982), 35(4), 543-4
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

RN 52931-43-8 CAPLUS
CN Emerimicin IV (9CI) (CA INDEX NAME)



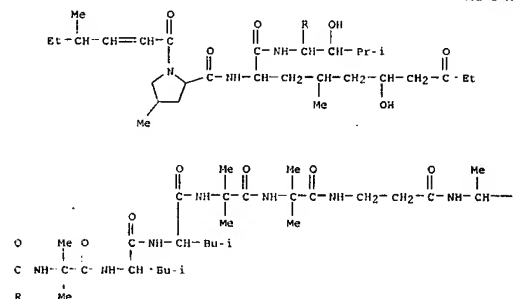
PAGE 1-B

AB A new antibiotic, leucinoctatin A, was isolated from the culture filtrate of *P. lilacinus* A-267. The antibiotic had antitumor activity against Ehrlich solid carcinoma and antimicrobial activity against gram-positive bacteria and fungi. The physicochem. properties of the antibiotic are given. Acid hydrolysis of leucinoctatin A produced an amino acid, which was identified as 4-methyl-6-(2-oxobutyl)-2-piperidinecarboxylic acid (II).

IT 76600-38-9 CAPLUS
RL: BIOL (Biological study)
(methyl-oxobutylpiperidinecarboxylic acid in. of *Paecilomyces lilacinus*)

RN 76600-38-9 CAPLUS
CN Leucinoctatin A (9CI) (CA INDEX NAME)

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- CH₂ NMe₂

L6 ANSWER 520 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:424245 CAPLUS
DOCUMENT NUMBER: 97:24245
TITLE: Aldohexose derivatives intermediates and their use
INVENTOR(S): Hartmann, Albert; Baechang, Gerhard; Wacker, Oskar; Stanek, Jaroslav
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 100 pp.
CODEN: EPXADW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

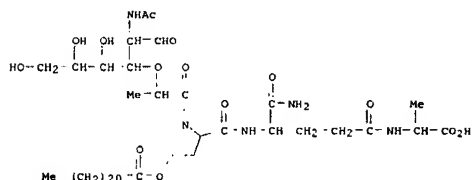
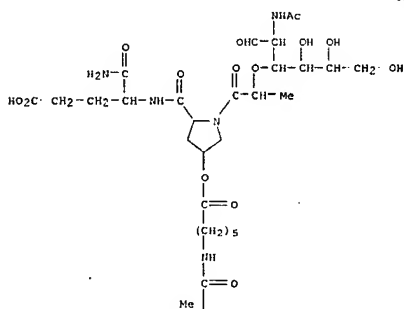
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 34347	A2	19810826	EP 1981-101017	19810213
EP 34347	A3	19811118		
EP 34347	B1	19860409		
R: AT, BE, CH, DE, FR, IT, LU, NL, SE				
US 4406889	A	19830927	US 1981-233223	19810210
GB 2070619	A	19810909	GB 1981-4347	19810212
GB 2070619	B	19830708		
DK 8100652	A	19810816	DK 1981-652	19810213
FI 8100461	A	19810816	FI 1981-461	19810213
FI 72733	B	19870331		
FI 72733	C	19870710		
NO 8100500	A	19810817	NO 1981-500	19810213
NO 151325	B	19841210		
NO 151325	C	19850320		
AU 8167284	A	19810820	AU 1981-67284	19810213
AU 544636	B2	19850606		
ES 499420	A1	19820101	ES 1981-499420	19810213
ZA 8100969	A	19820929	ZA 1981-969	19810213
HU 27225	A2	19831028	HU 1981-360	19810213
HU 194910	B	19880328		
CA 1181393	A1	19850122	CA 1981-370882	19810213
IL 62133	A	19850430	IL 1981-62133	19810213
AT 19087	T	19860415	AT 1981-101017	19810213
JP 56128794	A	19811008	JP 1981-21318	19810216
DD 159341	A5	19830302	DD 1981-27658	19810216
PRIORITY APPLN. INFO.: CH 1980-1265 A 19800215 EP 1981-101017 A 19810213				
OTHER SOURCE(S): MARPAT 97:24245 G: For diagram(s), see printed CA Issue				

AB Muramyl peptides I [R = alkyl, Ph, alkoxy, phenylalkoxy; R1 and R2 = H, alkyl; R3 = Ph, alkyl substituted by R7COOH, R7CO2, R7CO2S (R7 = long chain aliphatic or cycloaliph.); R4 and R5 = OH, (un)substituted NH2; R6 = H, (un)esterified CO2H, (un)substituted CONH2] were as immune adjuvants (no data). Thus, acylation of the muramyl dipeptide II by oleoyl chloride in pyridine and subsequent deblocking by F3CCO2H gave III.

IT 81142-95-2P 81143-00-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

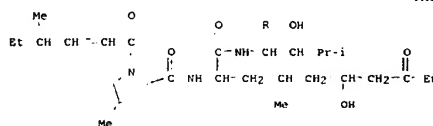
RN 81142-95-2 CAPLUS
CN D-u-Glutamine, N2-[1-(N-acetylmuramoyl)-trans-4-[[6-[[[(17 R)-3-hydroxyandrost-4-en-17-yl]carbonyl]amino]-1-oxohexyl]oxy]-L-prolyl]- (9CI) (CA INDEX NAME)

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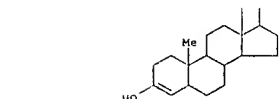


L6 ANSWER 521 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:420335 CAPLUS
DOCUMENT NUMBER: 97:20335
TITLE: Structure of leucinoctatin A, a new peptide antibiotic from *Paecilomyces lilacinus* A-267
AUTHOR(S): Mori, Yuji; Tsuboi, Makoto; Suzuki, Makoto; Fukushima, Kazutaka; Arai, Tadashi
CORPORATE SOURCE: Fac. Pharm., Meijo Univ., Nagoya, 468, Japan
SOURCE: Journal of the Chemical Society, Chemical Communications (1982), (2), 94-6
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Leucinoctatin A was isolated from *P. lilacinus* A-267 and its structure determined by mass spectrometry and chemical degradation
IT 76600-38-9 CAPLUS
RL: BIOL (Biological study)
(identity with leucinoctatin A. from *Paecilomyces lilacinus* A-267)
RN 76600-38-9 CAPLUS
CN Leucinoctatin A (9CI) (CA INDEX NAME)

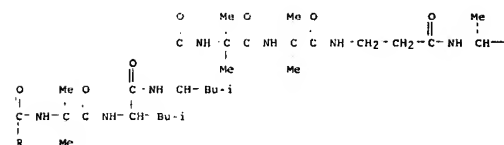
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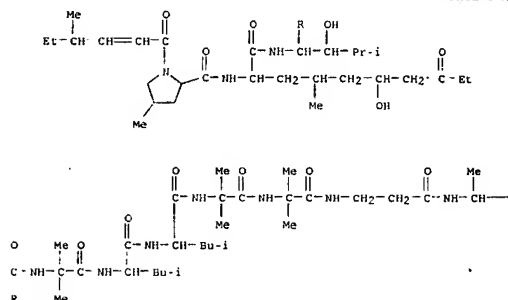
RN 81143-00-2 CAPLUS
CN L-Alanine, N-[2-[1-(N-acetylmuramoyl)-trans-4-[[1-oxodocosyl]oxy]-L-prolyl]-D-u-glutamyl]- (9CI) (CA INDEX NAME)



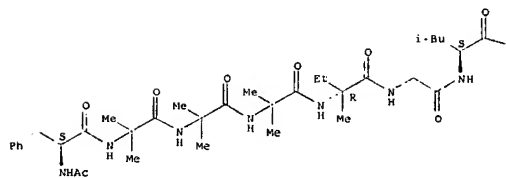
CH₂-NMe₂

IT 82111-45-3P
 RL: SPH (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 82111-45-3 CAPLUS
 CN Leucinostatin A, monoacetate (ester) (9CI) (CA INDEX NAME)
 CM 1
 CRN 76600-38-9
 CMF C62 H111 H11 O13

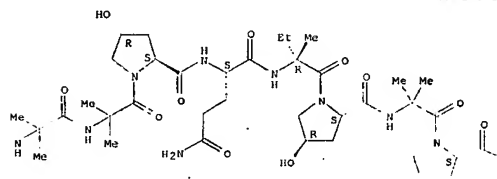
PAGE 1-A



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PAGE 1-B



PAGE 1-C



RN 76600-38-9 CAPLUS

-CH₂-NMe₂

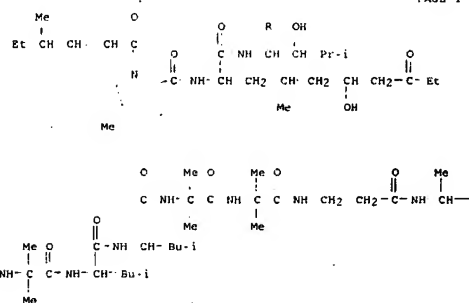
CM 2
 CRN 64-19-7
 CMF C2 H4 O2



L6 ANSWER 522 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1982:218219 CAPLUS
 DOCUMENT NUMBER: 96:218219
 TITLE: Fast atom bombardment mass spectrometry applied to peptides
 AUTHOR(S): Rinehart, K. L., Jr.; Moore, M. L.; Gaudioso, L. A.; Barber, M.; Bordoli, R. S.; Sedgwick, R. D.; Tyler, A. N.; Green, B. N.
 CORPORATE SOURCE: Roger Adams Lab., Univ. Illinois Urbana-Champaign, Urbana, IL, 61801, USA
 SOURCE: Pept.: Synth., Struct., Funct., Proc. Am. Pept. Symp., 7th (1981), 757-69. Editor(s): Rich, Daniel H.; Gross, Erhard. Pierce Chem. Co.: Rockford, Ill. CODEN: 47LMAO
 DOCUMENT TYPE: Conference
 LANGUAGE: English
 AB Fast-atom-bombardment mass spectrometry (FAB-MS) was used to elucidate the structure of peptide antibiotics such as antimycin I [64347-37-1], alamethicin I [59588-86-2], zervamicin IC [79392-51-1], the antitumor antibiotic ML 1014 [81859-20-3], and others. FAB-MS is a superior alternative to a number of other techniques of MS. Accurate mass measurement of the m/z 1067 peak in the FAB spectrum of zervamicin IC determined that the 2 hydroxyproline residues were to the right of the fragmentation giving the peak.
 IT 64347-37-1 76600-38-9 79392-51-1
 RL: PRP (Properties)
 (structure of, fast-atom-bombardment mass spectroscopy in study of)
 RN 64347-37-1 CAPLUS
 CN Antimycin I (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

CN Leucinostatin A (9CI) (CA INDEX NAME)

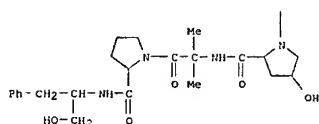
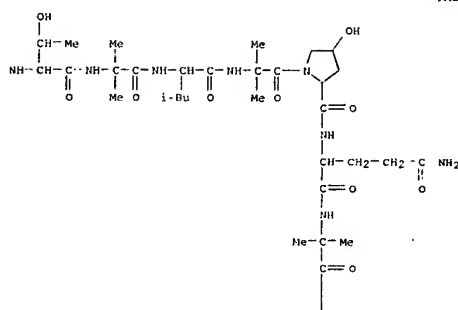
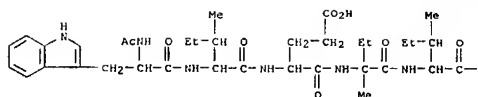
PAGE 1-A



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-CH₂-NMe₂

RN 79392-51-1 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-α-glutamyl-D-isovaleryl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 523 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1982:123320 CAPLUS
 DOCUMENT NUMBER: 56:123320
 TITLE: Oligopeptides with specific inhibiting properties of collagen-induced aggregation and pharmaceutical compositions containing them
 INVENTOR(S): Caen, Jacques; Legrand, Yves; Lefrancier, Pierre
 PATENT ASSIGNEE(S): Choay S. A., Fr.
 SOURCE: Eur. Pat. Appl., 54 pp.

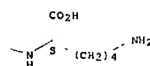
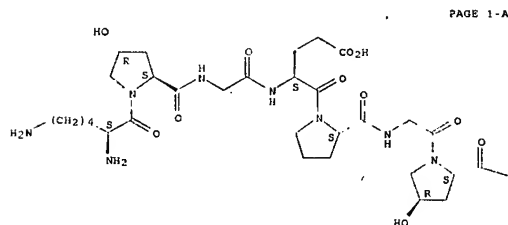
DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 40149	A1	19811118	EP 1981-400739	19810508
EP 40149	B1	19840509		
WO 8103329	A1	19811126	WO 1981-EP46	19810511
AU 8171566	A	19811207	AU 1981-71566	19810511
AU 552567	B2	19860605		
JP 57500563	T	19820401	JP 1981-501557	19810511
CA 1183526	A1	19850305	CA 1981-377436	19810512
US 4474761	A	19841002	US 1981-339437	19811226
			GB 1980-15662	A 19800512
			WO 1981-EP46	A 19810511

PRIORITY APPLN. INFO.:
 AB Title peptides with no more than 10 amino acids containing the sequence X-X1-Gly-X2-Gly-X3-X4 [X, X4 = Arg, Orn, Lys, cystine residue; X1, X3 = Pro, Hyp; X2 = X5-X6, X6-X5 (X5 = Hyp, Pro; X6 = spacer amino acid residue)] were prepared. Thus, Boc-Lys(Z)-Osu [Boc = Me3CO2C, Z = CO2CH2Ph, Su = succinimido] was coupled with H-Hyp-OMe.HCl in DMF containing N-methylmorpholine (I) to give Boc-Lys(Z)-Hyp-OMe, which was Boc-deblocked and then coupled with Z-Gly-Osu to give Z-Gly-Lys(Z)-Hyp-OMe, which was saponified and then coupled with H-Gly-OCMe3.HCl in DMF containing I to give Z-Gly-Lys(Z)-Hyp-Gly-OCMe3, which was de-tert-butylated by CF3CO2H to give Z-Gly-Lys(Z)-Hyp-Gly-OMe (III). Z-Glu(OCMe3)-Hyp-OH and H-Gly-Pro-Lys(BOC)-OCMe3 were prepared by solution methods and then they were coupled together by ClCO2CH2CHMe2 in DMF to give Z-Glu(OCMe3)-Hyp-Gly-Pro-Lys(BOC)-OCMe3, which was Z-deblocked by hydrogenolysis and then coupled with II by ClCO2CH2CHMe2 in DMF to give the protected nonapeptide, which was deblocked by CF3CO2H and hydrogenolysis to give H-Gly-Lys-Hyp-Gly-Glu-Hyp-Gly-Pro-Lys-OH (III). III inhibited collagen-induced platelet aggregation by 78%.

IT 81081-60-9P 81081-61-0P 81081-63-2P
 81081-64-3P 81081-66-5P 81081-67-6P
 81081-68-7P 81081-69-8P 81081-70-1P
 81081-71-2P 81081-73-4P 81081-74-5P
 81081-76-7P 81081-77-8P 81081-78-9P
 81081-79-0P 81081-81-4P 81081-82-5P
 81081-84-7P 81081-85-8P 81081-86-9P
 81081-87-0P 81081-89-2P 81081-90-5P
 81081-92-7P 81081-93-8P 81081-94-9P
 81081-95-0P 81099-95-8P 81099-99-2P
 81100-02-9P
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
 RN 81081-60-9 CAPLUS
 CN L-Lysine, N2-[trans-4-hydroxy-1-[N-[1-[N-[N-(trans-4-hydroxy-1-L-lysyl-L-prolyl)glycyl]-L-prolyl]glutaryl]-L-prolyl]glycyl]-L-prolyl]- (9CI) (CA INDEX NAME)

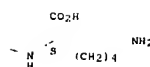
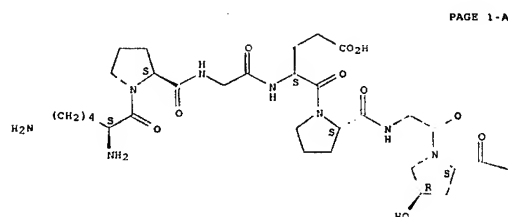
ABsolute stereochemistry.



RN 81081-63-2 CAPLUS
 CN L-Lysine, N2-[trans-4-hydroxy-1-[N-[1-[N-[N-(trans-4-hydroxy-1-L-lysyl-L-prolyl)glycyl]-L-prolyl]glutaryl]-L-prolyl]glycyl]-L-prolyl]- (9CI) (CA INDEX NAME)

ABsolute stereochemistry.

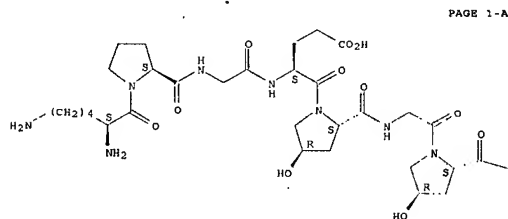
ABsolute stereochemistry.



RN 81081-64-3 CAPLUS

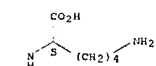
CN L-Lysine, N2-[trans-4-hydroxy-1-[N-[trans-4-hydroxy-1-[N-[N-(1-L-lysyl-L-prolyl)glycyl]-L-α-glutamyl]-L-prolyl]glycyl]-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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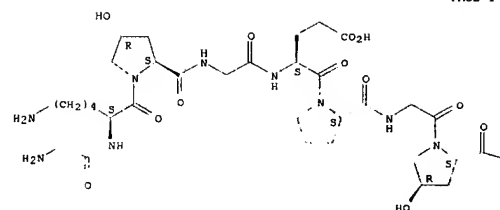
PAGE 1-B



RN 81081-66-5 CAPLUS

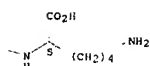
CN L-Lysine, N2-[1-[N-[1-[N-[1-(N2-glycyl-L-lysyl)-trans-4-hydroxy-L-prolyl]glycyl]-L-α-glutamyl]-L-prolyl]glycyl]-trans-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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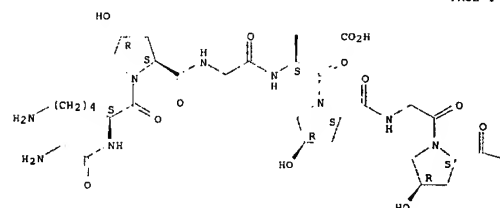
PAGE 1-B



RN 81081-67-6 CAPLUS

CN L-Lysine, N2-[1-[N-[1-[N-[1-(N2-glycyl-L-lysyl)-trans-4-hydroxy-L-prolyl]glycyl]-L-α-glutamyl]-L-prolyl]glycyl]-trans-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

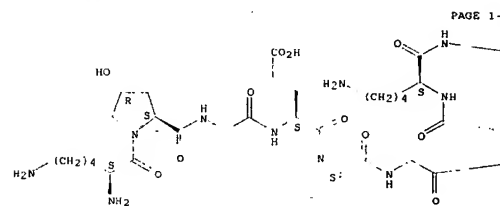


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RN 81081-69-8 CAPLUS

CN Glycine, N-[N2-[trans-4-hydroxy-1-[N-[1-[N-[N-[trans-4-hydroxy-1-L-lysyl-L-prolyl]glycyl]-L-α-glutamyl]-L-prolyl]glycyl]-L-prolyl]-L-lysyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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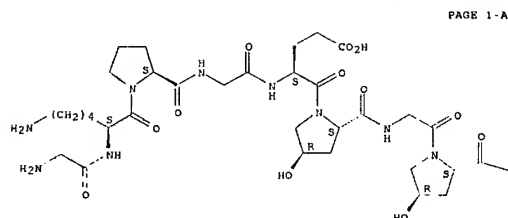
PAGE 1-B



RN 81081-70-1 CAPLUS

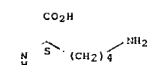
CN Glycine, N-[N2-[trans-4-hydroxy-1-[N-[trans-4-hydroxy-1-[N-[N-(trans-4-hydroxy-1-L-lysyl-L-prolyl)glycyl]-L-α-glutamyl]-L-prolyl]glycyl]-L-prolyl]-L-lysyl]- (9CI) (CA INDEX NAME)

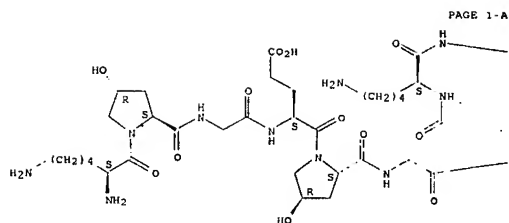
Absolute stereochemistry.



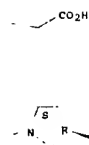
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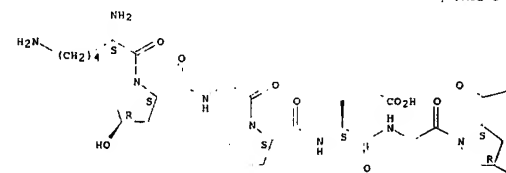
PAGE 1-B



RN 81081-73-4 CAPLUS
CN L-Lysine, N2-[trans-4-hydroxy-1-[N-[N-[1-[N-(trans-4-hydroxy-1-L-lysyl-L-prolyl)glycyl]-L-prolyl]-L-α-glutamyl]glycyl]-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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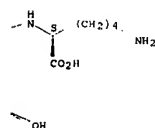
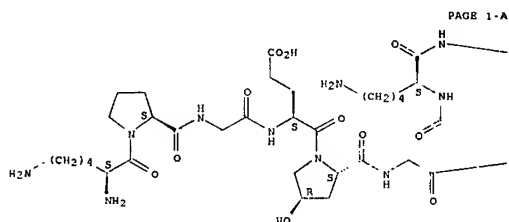
CO₂H



RN 81081-71-2 CAPLUS
CN Glycine, N-[N2-[trans-4-hydroxy-1-[N-(trans-4-hydroxy-1-[N-(1-L-lysyl-L-prolyl)glycyl]-L-α-glutamyl]-L-prolyl]glycyl]-L-prolyl]-L-lysyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

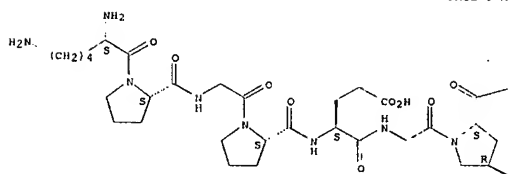
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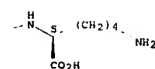
RN 81081-74-5 CAPLUS
CN L-Lysine, N2-[trans-4-hydroxy-1-[N-[N-[1-[N-(1-L-lysyl-L-prolyl)glycyl]-L-prolyl]-L-α-glutamyl]glycyl]-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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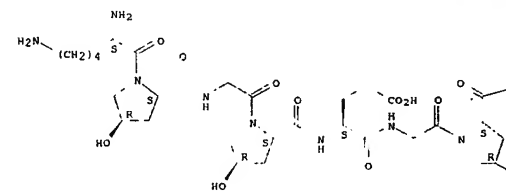
PAGE 1-B



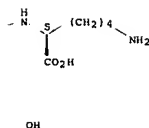
RN 81081-77-9 CAPLUS
CN L-Lysine, N2-[trans-4-hydroxy-1-[N-[N-[trans-4-hydroxy-1-[N-(trans-4-hydroxy-1-L-lysyl-L-prolyl)glycyl]-L-prolyl]-L-α-glutamyl]glycyl]-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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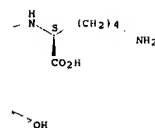
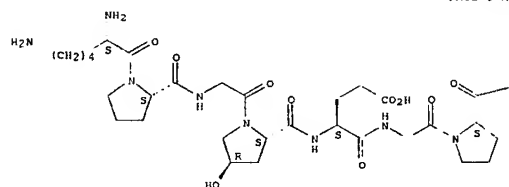
PAGE 1-B



RN 81081-76-7 CAPLUS
CN L-Lysine, N2-[1-[N-[N-[trans-4-hydroxy-1-[N-(1-L-lysyl-L-prolyl)glycyl]-L-prolyl]-L-α-glutamyl]glycyl]-L-prolyl]- (9CI) (CA INDEX NAME)

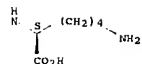
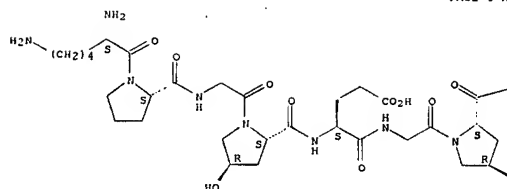
Absolute stereochemistry.

PAGE 1-A



RN 81081-78-9 CAPLUS
CN L-Lysine, N2-[trans-4-hydroxy-1-[N-[N-[trans-4-hydroxy-1-[N-(1-L-lysyl-L-prolyl)glycyl]-L-prolyl]-L-α-glutamyl]glycyl]-L-prolyl]- (9CI) (CA INDEX NAME)

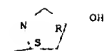
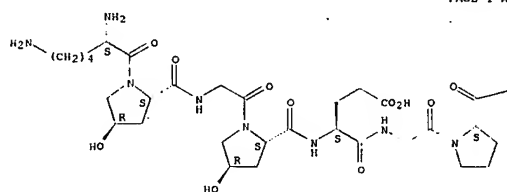
Absolute stereochemistry.



OH

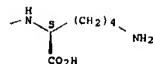
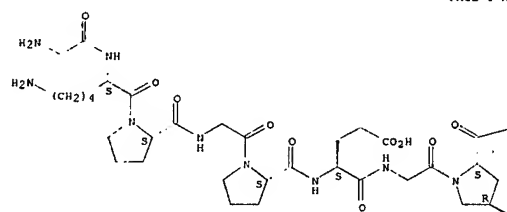
RN 81081-79-0 CAPLUS
 CN L-Lysine, N2-[1-[N-[N-[trans-4-hydroxy-1-[N-(trans-4-hydroxy-1-L-lysyl-L-prolyl)glycyl]-L-prolyl]-L-α-glutamylglycyl]-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



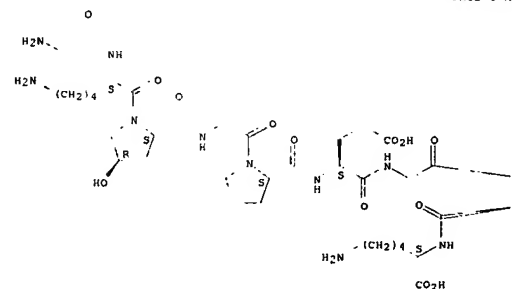
RN 81081-82-5 CAPLUS
 CN L-Lysine, N2-[1-[N-[N-[1-[N-(N2-glycyl-L-lysyl)-L-prolyl]glycyl]-L-prolyl]-L-α-glutamylglycyl]-trans-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

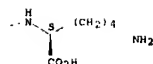


RN 81081-84-7 CAPLUS
 CN L-Lysine, N2-[1-[N-[N-[1-[N-(N2-glycyl-L-lysyl)-trans-4-hydroxy-L-prolyl]glycyl]-L-prolyl]-L-α-glutamylglycyl]-trans-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



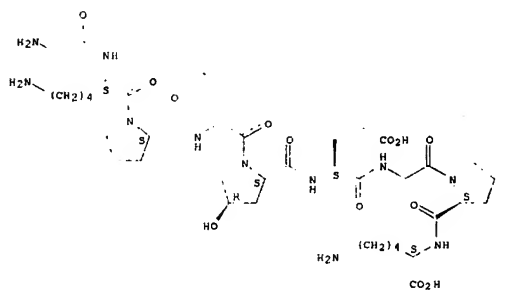
CO2H



OH

RN 81081-84-7 CAPLUS
 CN L-Lysine, N2-[1-[N-[N-[1-[N-(N2-glycyl-L-lysyl)-L-prolyl]glycyl]-trans-4-hydroxy-L-prolyl]-L-α-glutamylglycyl]-L-prolyl]- (9CI) (CA INDEX NAME)

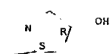
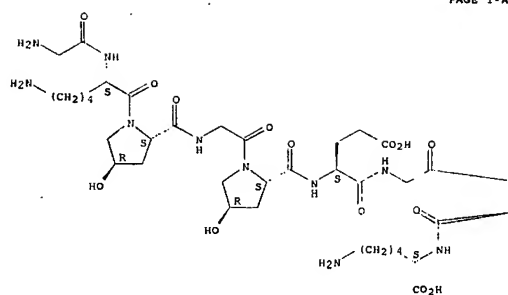
Absolute stereochemistry.



CO2H

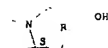
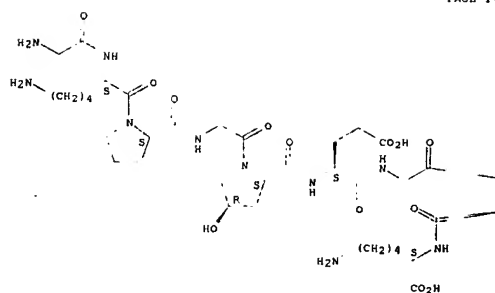
RN 81081-85-8 CAPLUS
 CN L-Lysine, N2-[1-[N-[N-[1-[N-(N2-glycyl-L-lysyl)-trans-4-hydroxy-L-prolyl]glycyl]-trans-4-hydroxy-L-prolyl]-L-α-glutamylglycyl]-trans-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



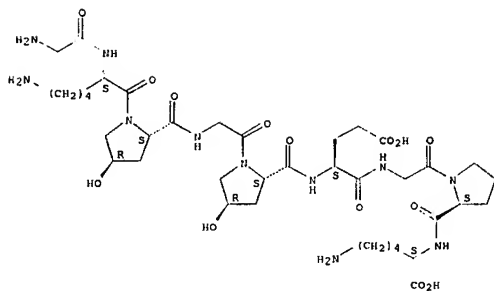
RN 81081-86-9 CAPLUS
 CN L-lysine, N2-[1-[N-[1-[N-[1-(N2-glycyl-L-lysyl)-L-prolyl]glycyl]-trans-4-hydroxy-L-prolyl]-L-α-glutamyl]glycyl]-trans-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



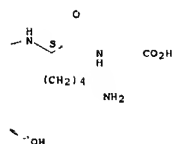
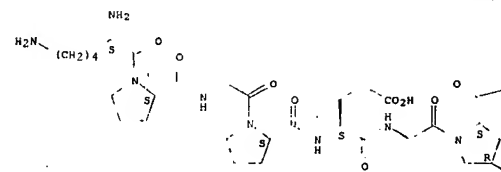
RN 81081-87-0 CAPLUS
 CN L-lysine, N2-[1-[N-[1-[N-[1-(N2-glycyl-L-lysyl)-trans-4-hydroxy-L-prolyl]glycyl]-trans-4-hydroxy-L-prolyl]-L-α-glutamyl]glycyl]-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 81081-89-2 CAPLUS
 CN Glycine, N-[N2-[trans-4-hydroxy-1-[N-[N-[1-(N-(trans-4-hydroxy-1-L-lysyl)-L-prolyl]glycyl]-L-prolyl]-L-α-glutamyl]glycyl]-L-prolyl]-L-lysyl- (9CI) (CA INDEX NAME)

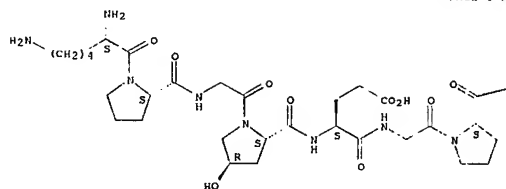
Absolute stereochemistry.



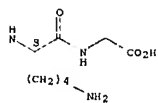
RN 81081-92-7 CAPLUS
 CN Glycine, N-[N2-[1-[N-[N-(trans-4-hydroxy-1-[N-(1-L-lysyl)-L-prolyl]glycyl]-L-prolyl]-L-α-glutamyl]glycyl]-L-prolyl]-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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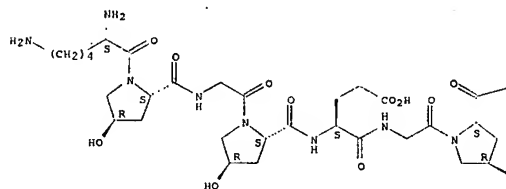
PAGE 1-B



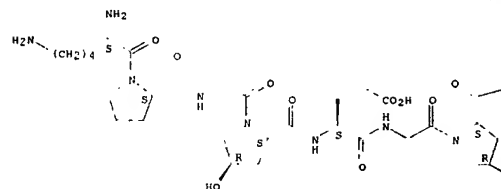
RN 81081-93-8 CAPLUS
CN Glycine, N-[N2-[trans-4-hydroxy-1-[N-[N-[trans-4-hydroxy-1-[N-(trans-4-hydroxy-1-L-lysyl-L-prolyl)glycyl]-L-prolyl]-L-α-glutamyl]glycyl]-L-prolyl]-L-lysyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

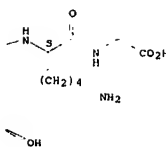
PAGE 1-A



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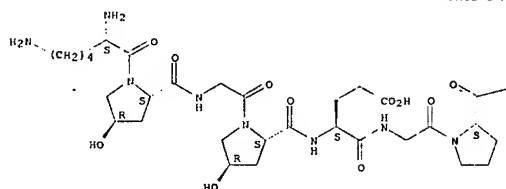


RN 81081-95-0 CAPLUS
CN Glycine, N-[N2-[1-[N-[N-[trans-4-hydroxy-1-[N-(trans-4-hydroxy-1-L-lysyl-L-prolyl)glycyl]-L-prolyl]-L-α-glutamyl]glycyl]-L-prolyl]-L-lysyl]- (9CI) (CA INDEX NAME)

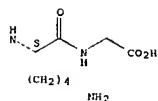
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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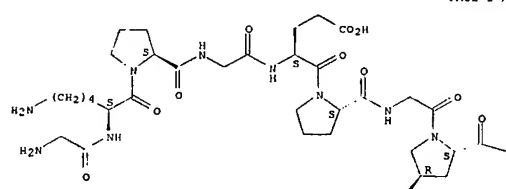
PAGE 1-B



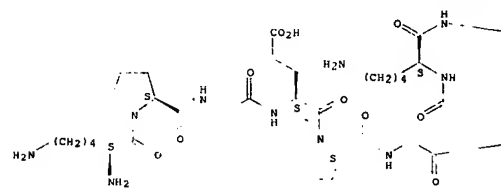
RN 81099-95-8 CAPLUS
CN L-Lysine, N2-[1-[N-[1-[N-[1-[N2-glycyl-L-lysyl]-L-prolyl]glycyl]-L-α-glutamyl]-L-prolyl]glycyl]-trans-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

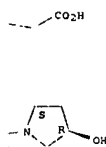
PAGE 1-A



PAGE 1-A

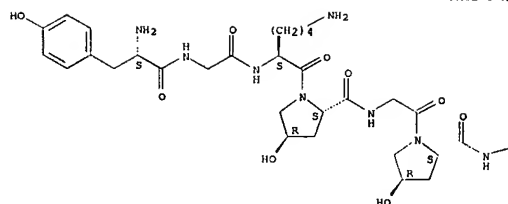


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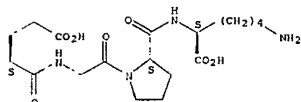
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CN L-Lysine, N2-[1-[N-[N-[trans-4-hydroxy-1-[N-(trans-4-hydroxy-1-[N2-(N-L-tyrosylglycyl)-L-lysyl]-L-prolyl]glycyl]-L-prolyl]-L-α-glutamyl]glycyl]-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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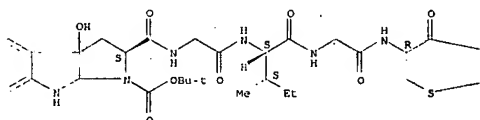
L6 ANSWER 524 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1981:604416 CAPLUS
 DOCUMENT NUMBER: 95:204416
 TITLE: Analogs of amanin. Synthesis of Ile3-aminamide and its diastereoisomeric (S)-sulfoxide
 AUTHOR(S): Zanotti, Giancarlo; Birr, Christian; Wieland, Theodor
 CORPORATE SOURCE: Dep. Naturstoffchem., Max-Planck-Inst. Med. Res., Heidelberg, Fed. Rep. Ger.
 SOURCE: International Journal of Peptide & Protein Research (1981), 18(2), 162-8
 CODEN: IJPPCJ; ISSN: 0367-8377
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 Q1 For diagram(s), see printed CA issue.
 AB Ile3-aminamide (R-1; X = O) (II) and its diastereomeric sulfoxide S-1 (X = O) (III) were prepared by oxidation of the bicyclic thioether peptide (IV) by H2O2-AcOH. IV was prepared by an intramol. Savige-Fontana reaction of V whose N-terminal BOC-Hpi (BOC = Me3CO2C, Hpi = O) on treatment with F3CO2H lost the BOC-group and reacted under thioether formation with the released cysteine-SH. The concomitantly deprotected carboxyl terminus was coupled intramol. with the free amino group of VI using the mixed anhydride or DCCl method. Compds. II and III agreed with analog samples in chiroptical behavior. Thioether IV and sulfoxide II exerted 50% inhibition of RNA polymerase II (or B) from Drosophila melanogaster in

PAGE 2-A

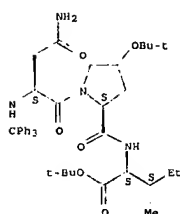
RN 79794-10-8 CAPLUS
 CN L-Isoleucine, N-[trans-4-(1,1-dimethylethoxy)-1-[N2-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-1,2,3,3a,8,8a-hexahydro-3a-hydroxypyrroro[2,3-b]indol-2-yl]carbonyl]glycyl]-L-isoleucyl]glycyl]-S-(triphenylmethyl)-L-cysteinyl-L-asparaginyl-L-prolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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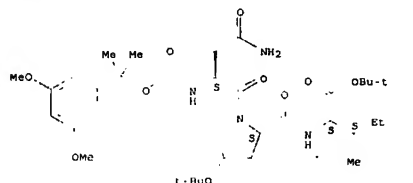
PAGE 1-B



L6 ANSWER 525 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1981:564160 CAPLUS
 DOCUMENT NUMBER: 95:164160
 TITLE: Structures of eleven zervamicin and two emerimicin peptide antibiotics studied by fast atom bombardment mass spectrometry
 AUTHOR(S): Rinehart, Kenneth L., Jr.; Gaudioso, Larry A.; Moore, Michael L.; Pandey, Ramesh C.; Cook, J. Carter, Jr.,

10-6M solution whereas Ki of III is about 5 times higher.
 IT 79775-11-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and peptide coupling of)
 RN 79775-11-4 CAPLUS
 CN L-Isoleucine, N-[1-[N2-[1-[1-(3,5-dimethoxyphenyl)-1-methylethoxy]carbonyl]-L-asparaginyl]-trans-4-(1,1-dimethylethoxy)-L-prolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

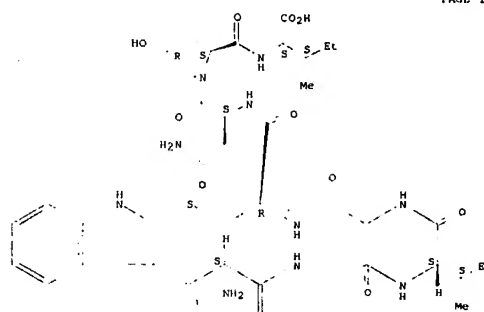
Absolute stereochemistry.



IT 79775-04-5P 79794-10-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and ring closure of)
 RN 79775-04-5 CAPLUS
 CN L-Isoleucine, 2-mercapto-L-tryptophylglycyl-L-isoleucylglycyl-L-cysteinyl-L-asparaginyl-(4R)-4-hydroxy-L-prolyl-, cyclic (1-5)-thioether (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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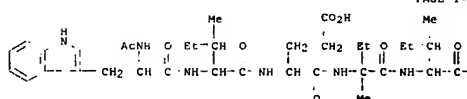
Warber, Michael; Sedgwick, R. Donald; Bordoli, Robert S.; Tyler, Andrew N.; Green, Brian N.
 CORPORATE SOURCE: Sch. Chem. Sci., Univ. Illinois, Urbana, IL, 61801, USA
 SOURCE: Journal of the American Chemical Society (1981), 103(21), 6517-20
 CODEN: JACSAT; ISSN: 0002-7863
 DOCUMENT TYPE: Journal
 LANGUAGE: English

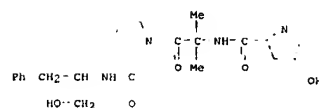
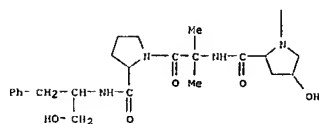
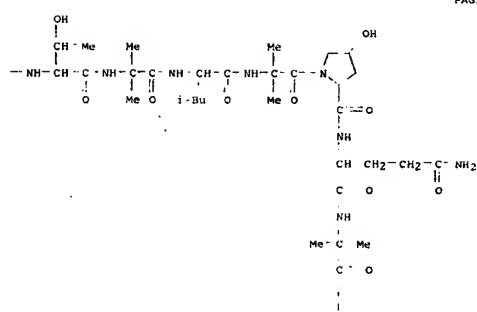
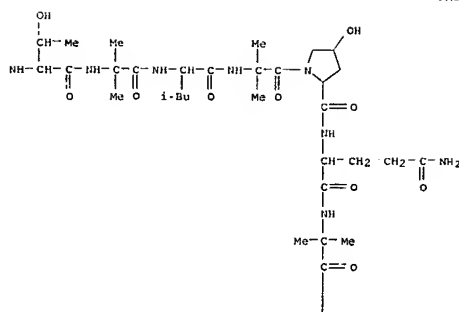
AB The new technique of fast atom bombardment mass spectrometry (FABMS), together with gas chromatog. and gas chromatog./mass spectrometry, was employed in assigning the structures of the antibacterial peptaibol antibiotics zervamicins IA, IB, IC (acidic), IIA, IIB, and II-1 to II-5 (neutral). Emerimicins IIA and IIB are identical to zervamicins IIA and IIB. The major acidic antibiotic, zervamicin IC, has the structure Ac-Trp-Ile-Glu-Iva-Ile-Thr-Aib-Leu-Aib-Hyp-Gln-Aib-Hyp-Aib-Pro-Phol whereas the major neutral antibiotic, zervamicin IIB, has the same structure but with Glu replaced by Gln. Other zervamicins differ from these by isovaline-aminoisobutyrate, isoleucine-valine, and leucine-valine exchanges.

IT 79392-51-1 79395-82-7 79395-83-8
 79395-84-9 79395-85-0 79395-86-1
 79395-87-2 79395-88-3 79395-89-4
 79395-90-7 79395-91-8
 RL: PRP (Properties)
 (structure oil)

RN 79392-51-1 CAPLUS
 CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-α-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

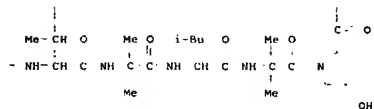
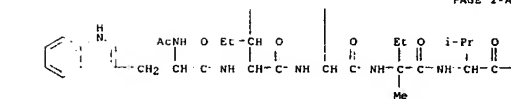
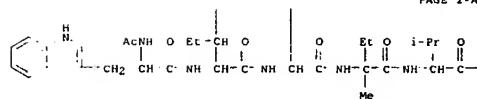
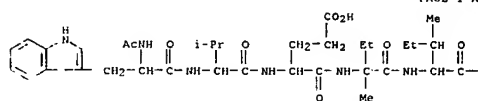
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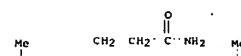
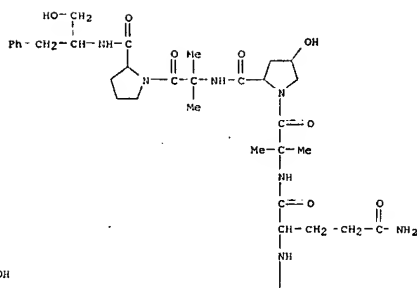


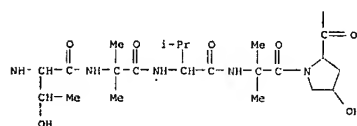
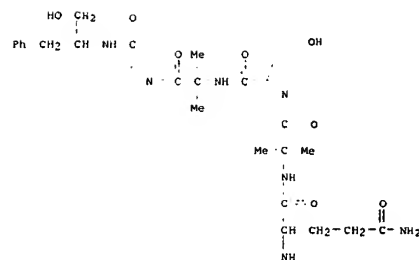
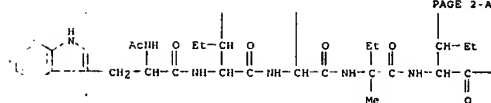
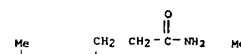
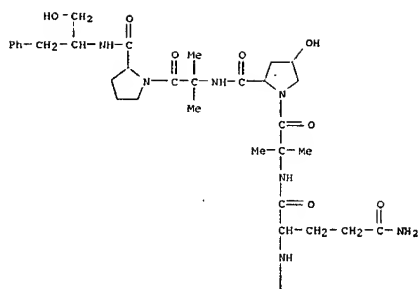
RN 79395-82-7 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-valyl-L-n-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

RN 79395-83-8 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-valyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

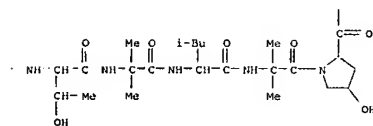
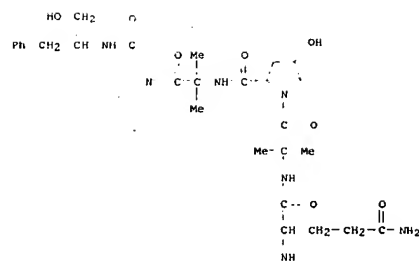
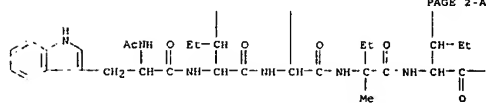


RN 79395-84-9 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-D-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-valyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

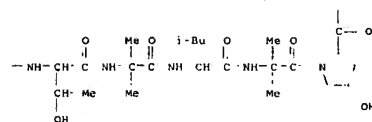
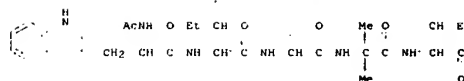




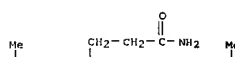
RN 79395-85-0 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-L-isovalyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (CA INDEX NAME)

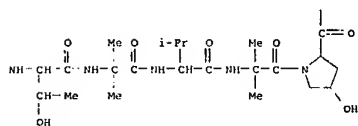
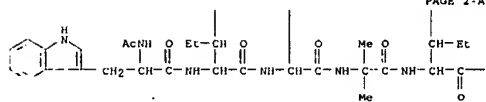
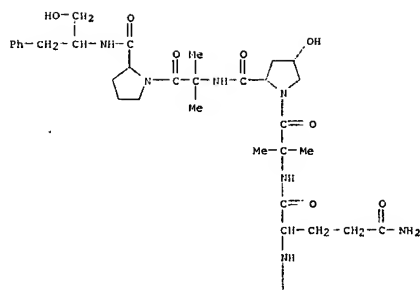
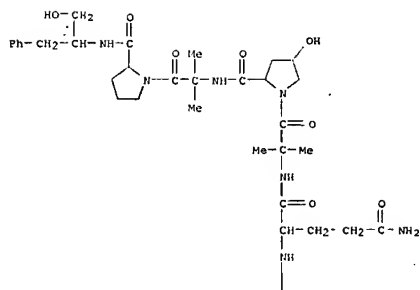
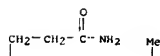


RN 79395-86-1 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutamyl-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)



RN 79395-87-2 CAPLUS
CN L-Prolinamide, N-acetyl-L-tryptophyl-L-valyl-L-glutamyl-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

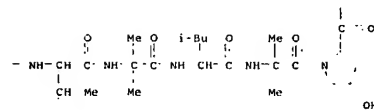
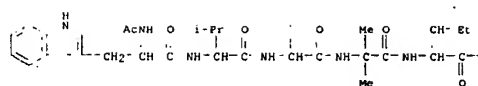




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#N      79395-89-4  CARLUS
CN      L-Polylamide, N-acetyl-L-threophyl-L-isoleucyl-L-glutaminy-2-
        methyalanyl-L-valyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-
        (4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-
        prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI)  (CA
INDEX NAME)

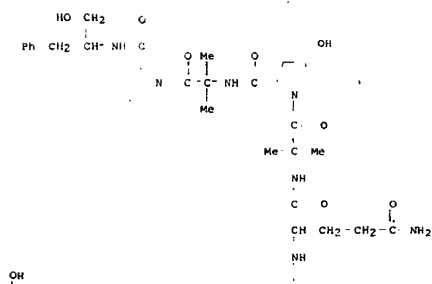
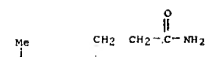
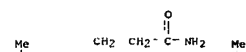
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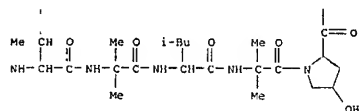
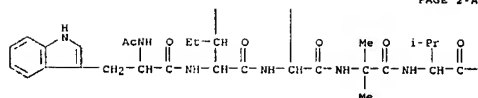


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RN      79395-88-3  CAPIUS
CN      L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-glutaminy-2-
        methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-valyl-2-methylalanyl-
        (4R)-4-hydroxy-L-prolyl-L-glutaminy-2-methylalanyl-(4R)-4-hydroxy-L-
        prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA
INDEX NAME)

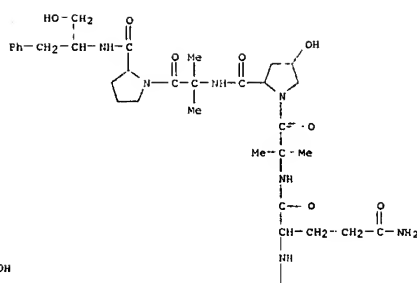
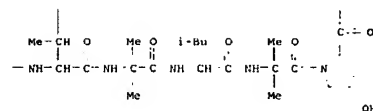
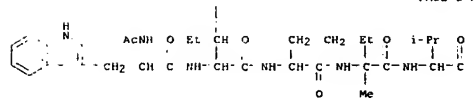
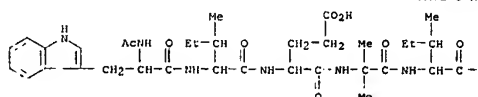
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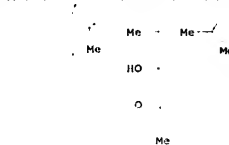
RN 79395-90-7 CAPLUS

CN L-Prolinamide, N-acetyl-L-tryptophyl-L-isoleucyl-L-α-glutamyl-2-methylalanyl-L-isoleucyl-L-threonyl-2-methylalanyl-L-leucyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-L-glutamyl-2-methylalanyl-(4R)-4-hydroxy-L-prolyl-2-methylalanyl-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 526 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1981:515989 CAPLUS
 DOCUMENT NUMBER: 05:115989
 TITLE: Structure of a peptidic antibiotic P168
 AUTHOR(S): Isogai, Akira; Suzuki, Akinori; Higashikawa, Shisuo;
 Fuyama, Shimpel; Tamura, Saburo
 CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
 SOURCE: Peptide Chemistry (1980), 18th, 125-30
 CODEN: PECHDP; ISSN: 0388-3698
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

EtMeCHCH₂CHCO N - CO NHCHCO-NHCHCO-Aib-Leu-



Leu-Aib-Aib-? Ala-NHCHMeCH₂NMe₂ I

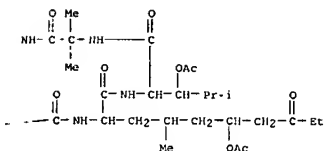
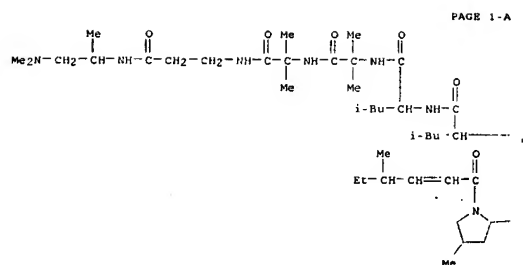
AB The structure of Antibiotic P168 (I, Aib = NHCMe₂CO) was determined by chemical degradation, and mass spectral data.

IT 78604-14-5

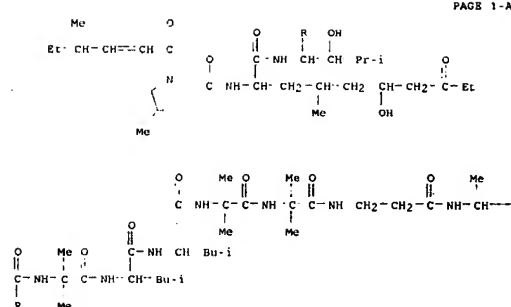
RL: PRP (Properties)
 (mass spectrum of)

RN 78604-14-5 CAPLUS

CN Leucinostatin A, diacetate (ester) (9CI) (CA INDEX NAME)

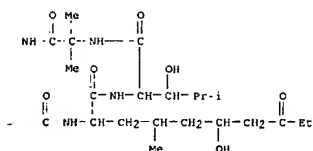
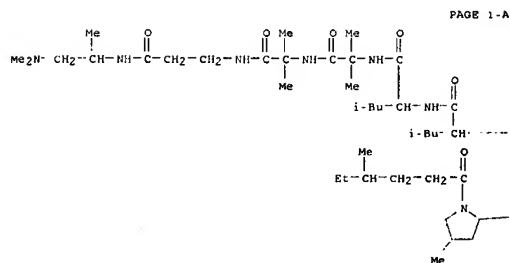


IT 76600-38-9
 RL: PROC (Process)
 (mol. structure determination of)
 RN 76600-38-9 CAPLUS
 CN Leucinosstatin A (9CI) (CA INDEX NAME)



-CH₂-NMe₂

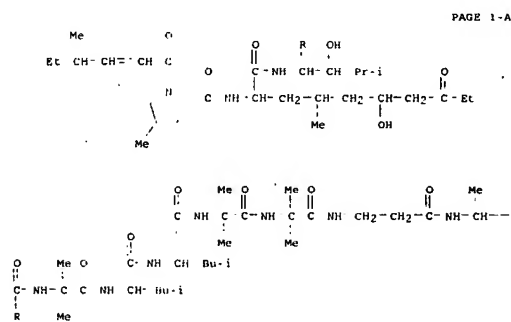
IT 93667-70-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 93667-70-0 CAPLUS
 CN Leucinosstatin A, 1 [cis-4-methyl-1-(4-methyl-1-oxohexyl)-L-proline],
 (1S)- (9CI) (CA INDEX NAME)



L6 ANSWER 327 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1981:436248 CAPLUS
 DOCUMENT NUMBER: 55:36248
 TITLE: Isolation and biological activity of a peptidal
 antibiotic P168
 AUTHOR(S): Isogai, Akira; Suzuki, Akinori; Higashikawa, Shizuo;
 Kuyama, Shimpel; Tamura, Saburo
 CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
 SOURCE: Agricultural and Biological Chemistry (1981), 45(4),
 1023-4
 CODEN: ABCHIA6; ISSN: 0002-1369
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Antibiotic P168 [76600-38-9] was isolated from the culture
 filtrate of Paecilomyces lilacinus by extraction with EtOAc, followed by column
 chromatog. on silicic acid and alumina. The antibiotic was isolated as
 acetic acid salt [78184-61-9], m. p. 111-113°, mol.
 formula C₆₂H₁₁₁N₁₁O₁₃.HOAc. The antibiotic exhibited a wide antimicrobial

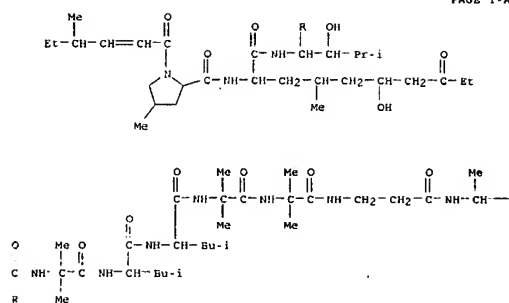
spectrum against fungi, yeast, and gram-pos. bacteria. It was especially
 active against phytopathogenic fungi, and in vivo it protected intact plants from
 infections of these fungi.

IT 76600-38-9
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); BIOL (Biological study)
 (bactericidal and fungicidal activity of)
 RN 76600-38-9 CAPLUS
 CN Leucinosstatin A (9CI) (CA INDEX NAME)



-CH₂-NMe₂

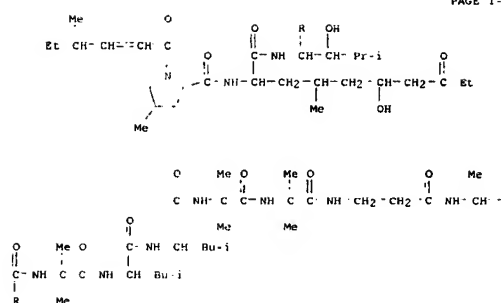
IT 78149-02-7P 78144-61-9P
 RL: PREP (Preparation)
 (preparation of)
 RN 78149-02-7 CAPLUS
 CN Leucinosstatin A, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

CH₂ NMe₂

RN 78184-61-9 CAPLUS
CN Leucinosstatin A, monoacetate (salt) (9CI) (CA INDEX NAME)
CM 1
CRN 76600-38-9
CMP C62 H111 N11 O13



CH₂ NMe₂

CM 2
CRN 64-19-7
CMP C2 H4 O2



L6 ANSWER 528 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1981:115070 CAPLUS
DOCUMENT NUMBER: 94:115070
TITLE: Properties and structure of a novel peptide antibiotic

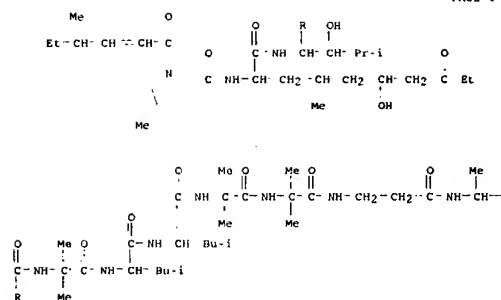
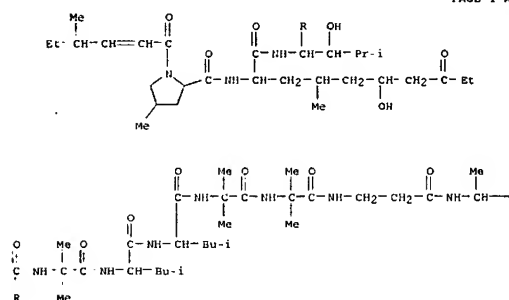
AUTHOR(S): Number 1907
SATO, Michikatsu; Beppu, Teruhiko; Arima, Kei
CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Agricultural and Biological Chemistry (1980), 44(12), 3077-40
CODEN: ABCHIA6; ISSN: 0002-1369

DOCUMENT TYPE: Journal

LANGUAGE: English

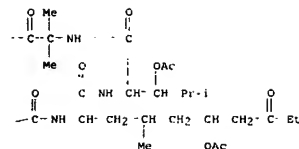
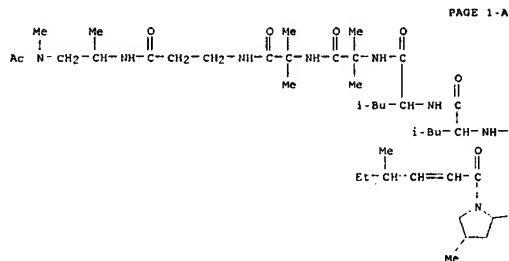
AB A new antibiotic isolated from Paecilomyces lilacinus strain Number 1907 consisted of 2 products, a major product antibiotic 1907-II (76663-52-0) and a minor product antibiotic 1907-VIII (76600-38-9). Both products showed antimicrobial activity against bacteria and fungi. Antibiotic 1907-II had a mol. weight of 1203 and gave a ninhydrin-pos. reaction. It consisted of several amino acids, a methylamine, and a fatty acid. The primary structure of 1907-II was elucidated by mass fragmentation of its acetylated derivative

IT 76600-38-9 76663-52-0
RI: PRP (Properties)
(from Paecilomyces lilacinus, properties and structure of)
RN 76600-38-9 CAPLUS
CN Leucinosstatin A (9CI) (CA INDEX NAME)

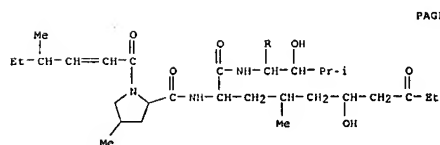


CH₂-NHMe

IT 76683-88-0
 RL: PRP (Properties)
 (structure of)
 RN 76683-88-0 CAPLUS
 CN Leucinostatin A, 9-[N-[2-(acetylmethylamino)-1-methylethyl]-β-alaninamide]-, diacetate (ester) (9CI) (CA INDEX NAME)

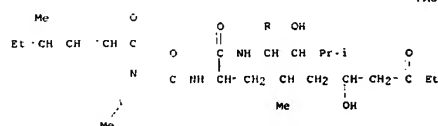
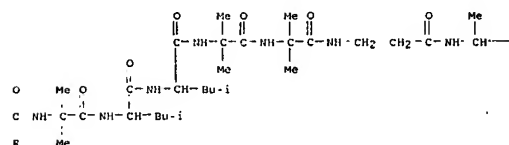


L6 ANSWER 529 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1981:78744 CAPLUS
 DOCUMENT NUMBER: 94:78744
 TITLE: Structure of a peptidal antibiotic P168 produced by Paecilomyces lilacinus (Thom) Samson
 AUTHOR(S): Isogai, Akira; Suzuki, Akinori; Higashikawa, Shizuo; Kuyama, Shimpei; Tamura, Saburo
 CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
 SOURCE: Agricultural and Biological Chemistry (1980), 44(12), 3033-5
 CODEN: ABCHA6; ISSN: 0002-1369
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The structure of P168, a peptide antibiotic produced by *P. lilacinus* was determined by mass spectrometry. It contains (E)-4-methyl-2-hexenoic acid, N1,N1-dimethyl-1,2-propanediamine, 2 mol of L-leucine, 3 mol of α-aminobutyric acid, threo-β-hydroxy-L-leucine, cis-4-methyl-L-proline, β-alanine, and (2S,4S)-2-amino-6-hydroxy-4-methyl-8-oxodecanoic acid. The structure of P168 is similar to that of trichopolyn; its N-terminus is blocked with a fatty acid and its C-terminus with a diamine.
 IT 76600-38-9
 RL: PROC (Process)
 (of Paecilomyces lilacinus, structure determination of)
 RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9CI) (CA INDEX NAME)



α-aminobutyric acid, β-alanine, cis-4-methyl-L-proline, threo-β-hydroxy-L-leucine, and N1,N1-dimethyl-1,2-propanediamine were identified chromatog. after acid hydrolysis of P168. The ether extractable fraction of the acid hydrolyzate was composed mainly of 4-hydroxy-4-methylhexanoic-1,4-lactone which was derived from (E)-4-methyl-2-hexenoic acid during acid hydrolysis. Another compound, 4-methyl-6-(2-oxobutyl)-2-piperidine carboxylic acid, also isolated from the acid hydrolyzate, was derived by dehydration from 2-amino-6-hydroxy-4-methyl-8-oxodecanoic acid in P168.

IT 76600-38-9
 RL: BIOL (Biological study)
 (constituents of, of Paecilomyces lilacinus)
 RN 76600-38-9 CAPLUS
 CN Leucinostatin A (9CI) (CA INDEX NAME)

-CH₂-NMe₂

L6 ANSWER 530 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1981:78743 CAPLUS
 DOCUMENT NUMBER: 94:78743
 TITLE: Constituents of a peptidal antibiotic P168 produced by Paecilomyces lilacinus (Thom) Samson
 AUTHOR(S): Isogai, Akira; Suzuki, Akinori; Higashikawa, Shizuo; Kuyama, Shimpei; Tamura, Saburo
 CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
 SOURCE: Agricultural and Biological Chemistry (1980), 44(12), 3029-31
 CODEN: ABCHA6; ISSN: 0002-1369
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The peptide antibiotic, P168, which has activity against fungi, yeasts, and gram pos. bacteria, was isolated from Paecilomyces lilacinus as the acetate salt. Its mol. formula is C₆₂H₁₁₁N₁₁O₁₃. Leucine,

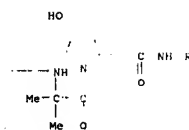
-CH₂-NMe₂

L6 ANSWER 531 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN

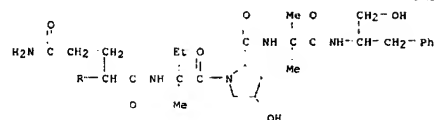
ACCESSION NUMBER: 1980.509215 CAPLUS
 DOCUMENT NUMBER: 93:109215
 TITLE: Gas chromatographic determination of the configuration of isovaline in antiamebin, samarosporin (emerimicin IV), stilbellin, suzukacillins and trichotoxins
 AUTHOR(S): Brueckner, H.; Nicholson, G. J.; Jung, G.; Kruse, K.; Koenig, W. A.
 CORPORATE SOURCE: Inst. Org. Chem., Univ. Tuebingen, Tuebingen, D-7400/1, Fed. Rep. Ger.
 SOURCE: Chromatographia (1980), 13(4), 209-14
 CODEN: CHROGB7; ISSN: 0009-5893
 DOCUMENT TYPE: JOURNAL
 LANGUAGE: English

AB The D (R) configuration of isovaline (= 2-ethylalanine) was established for the peptide antibiotics antiamebin, Tu 165 (CBS 382.62), stilbellin, samarosporin (= emerimicin IV), suzukacillin B (A), and trichotoxin A-40 and A-50. This contradicts the previously reported L-configuration for isovaline in antiamebin and emerimicin IV. The configuration was determined by gas chromatog. of the N-trifluoroacetyl-isovaline n-Pr ester on glass capillary columns coated with the chiral stationary phase N-propionyl-L-valine butylamide polysiloxane (Chirasil Val). The D-configuration of the isovaline from trichotoxin A-40 was also established independently, using gas chromatog. of N-pentafluoro-propionyl-isovaline (-)-3-methyl-2-butyl esters on glass capillary columns coated with OV-17.

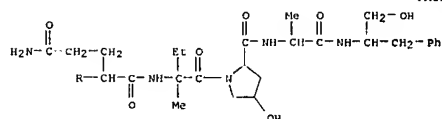
IT 52931-42-7 52931-43-8 64347-37-1
 66713-71-1
 RL: BIOL. (Biological study)
 (isovaline of, configuration of)
 RN 52931-42-7 CAPLUS
 CN Emerimicin III (9CI) (CA INDEX NAME)



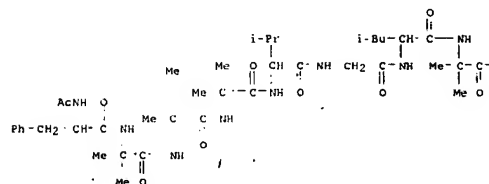
RN 52931-43-8 CAPLUS
 CN Emerimicin IV (9CI) (CA INDEX NAME)



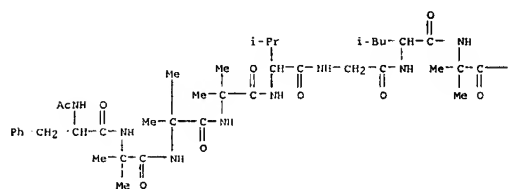
PAGE 1-A



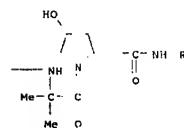
PAGE 1-A



PAGE 2-A



PAGE 2-A



PAGE 2-B

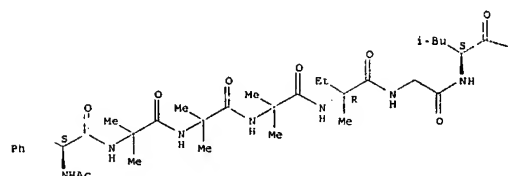
RN 64347-37-1 CAPLUS

CN Antiamebin I (9CI) (CA INDEX NAME)

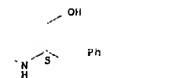
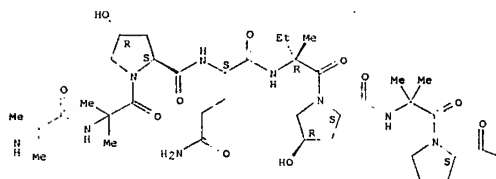
Absolute stereochemistry.

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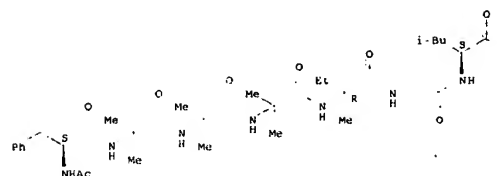
PAGE 1-B



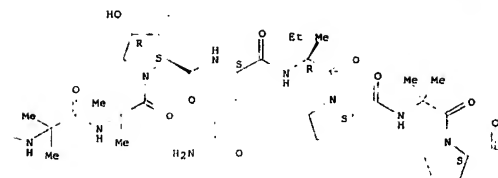
RN 66713-71-1 CAPLUS
 CN Antiamebin II (9CI) (CA INDEX NAME)

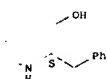
Absolute stereochemistry.

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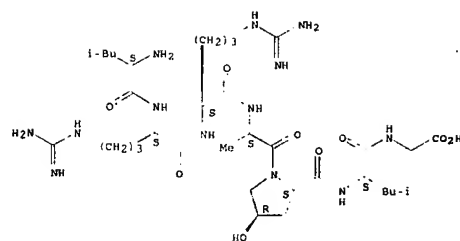


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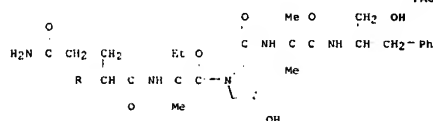


L6 ANSWER 532 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1979:536176 CAPLUS
 DOCUMENT NUMBER: 91:136176
 TITLE: Phosphorylation of hydroxyproline in a synthetic peptide catalyzed by cyclic AMP-dependent protein kinase
 AUTHOR(S): Feramisco, James R.; Kemp, Bruce E.; Krebs, Edwin O.
 CORPORATE SOURCE: Sch. Med., Univ. California, Davis, CA, 95616, USA
 SOURCE: Journal of Biological Chemistry (1979), 254(15), 6987-90
 CODEN: JBCHA3; ISSN: 0021-9258
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Cyclic AMP-dependent protein kinase catalyzed the phosphorylation of hydroxyproline present in the heptapeptide, Leu-Arg-Arg-Ala-Hyp-Leu-Gly. The Km value for the reaction with this substrate was high (approx. 18 mM) compared to the Km values reported for the analogous threonine and serine-containing peptides, which were 0.59 mM and 0.016 mM, resp. The Vmax with the hydroxyproline-containing peptide was 1 μmol min⁻¹ mg⁻¹ in contrast to Vmax values of 6 and 20 μmol min⁻¹ mg⁻¹ for the threonine- and serine-containing peptides, resp. Phosphate esterified to hydroxyproline present in the peptide was relatively stable in hot alkali, only 10% being released as inorg. phosphate within 30 min in 0.1N NaOH at 100°, whereas all of the phosphate was released from the phosphoserine peptide analog under these conditions. Phosphohydroxyproline in the peptide was also more stable to acid (5.7N HCl, 110°) than phosphoserine, the time for 50% release as inorg. phosphate being 15 h in contrast to 6 h for the latter.
 IT 71552-55-1
 RL: BIOL (Biological study)
 (phosphorylation of, kinetics of)
 RN 71552-55-1 CAPLUS
 CN Glycine, N-[N-[trans-4-hydroxy-1-[N-[N2-(N2-L-leucyl-L-arginyl)-L-arginyl]-L-alanyl]-L-prolyl]-L-leucyl]- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

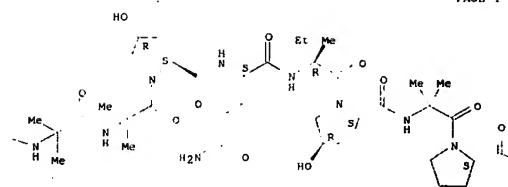


L6 ANSWER 533 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
 ACCESSION NUMBER: 1979:416046 CAPLUS
 DOCUMENT NUMBER: 91:16046
 TITLE: Visualization of N-protected peptides, amino acids and aminocyclitol antibiotics on a thin-layer chromatogram by ninhydrin
 AUTHOR(S): Pandey, Ramesh C.; Misra, Renuka; Rinehart, Kenneth L., Jr.
 CORPORATE SOURCE: Roger Adams Lab., Univ. Illinois, Urbana, IL, 61801, USA
 SOURCE: Journal of Chromatography (1979), 170(2), 498-501
 CODEN: JOCRAM; ISSN: 0021-9673
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB N-Acetyl amino acids and antibiotics were separated by thin-layer chromatog. on silica gel G plates, sprayed with concentrated HCl (approx. 17%), heated at 120° for 10-15 min, cooled, and then sprayed with ninhydrin (0.5% ninhydrin in 1-BuOH). The Rf values and spot colors for each of the compds. are listed.
 IT 52931-43-8 64347-37-1 64406-43-5
 RL: ANT (Analyte); ANST (Analytical study)
 (thin-layer chromatog. of, ninhydrin as spray reagent in)
 RN 52931-43-8 CAPLUS
 CN Emerimicin IV (9CI) (CA INDEX NAME)

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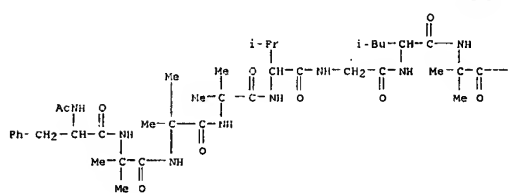


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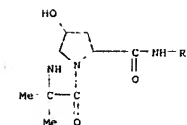


RN 64406-43-5 CAPLUS
 CN Antiamebin I, triacetate (ester) (9CI) (CA INDEX NAME)

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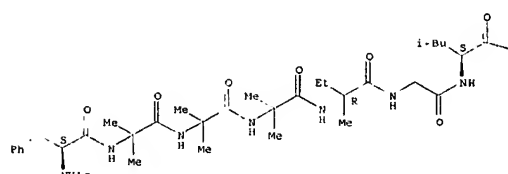


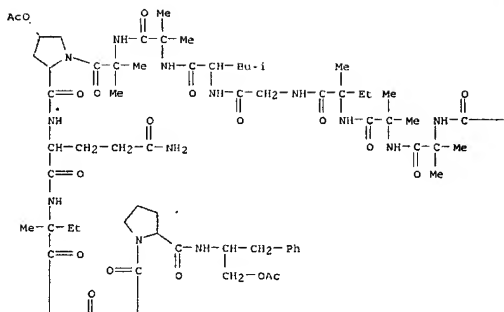
PAGE 2-B



RN 64347-37-1 CAPLUS
 CN Antiamebin I (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

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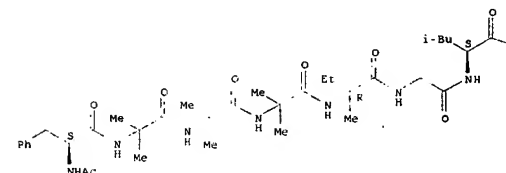
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CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The structure of Antiamoebin II was determined to be
Ac-Phe-Alb-Alb-Alb-Iva-Gly-
Leu-Alb-Alb-Hyp-Gly-Iva-Pro-Alb-Pro-NHCH(CH₂Ph)CH₂OH (Alb = HNCMe₂CO, Iva
= L-HNCMe₂CO) by an anal. of its hydrolysis products, IR spectra,
electron impact mass spectra, and field-desorption mass spectra
techniques.
IT 66713-71-1
RL: PROC (Process)
(mol. structure determination of)
RN 66713-71-1 CAPLUS
CN Antiamoebin II (9C1) (CA INDEX NAME)
Absolute stereochemistry.

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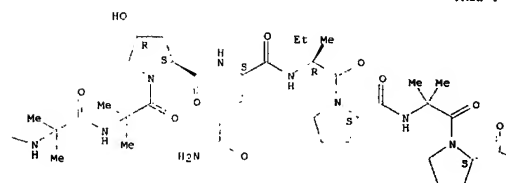


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L6 ANSWER 534 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1978:444215 CAPLUS
DOCUMENT NUMBER: 89:44215
TITLE: Peptidophor antibiotics. Part 5. Structure of the
peptide antibiotic antiamoebin II
AUTHOR(S): Pandey, Ramesh C.; Cook, J. Carter, Jr.; Rinehart,
Kenneth L., Jr.
CORPORATE SOURCE: Sch. Chem. Sci., Univ. Illinois, Urbana, IL, USA
SOURCE: Journal of Antibiotics (1978), 31(3), 241-3



was coupled to H-Val-D-Glu(OCH₂Ph)-NH₂ by diisopropylcarbodiimide/1-
hydroxybenzotriazole to give II (R₄ = D-CHMeCO-Val-D-Glu(OCH₂Ph)-NH₂)
which was deblocked by hydrogenolysis to give I (R = R₁ = H, R₂ = Me, R₃ =
D-Me, X = Val, X₁ = D-Glu). Data on the immune adjuvant activities of I
in guinea pigs and dogs and toxicity data on I in mice are given.
IT 66111-91-9P 66112-02-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and deblocking of)
RN 66111-91-9 CAPLUS
CN D-α-Glutamine, N2-[1-[N-acetyl-1-0-(phenylmethyl)-4,6-O-
(phenylmethylene)-α-muramoyl]-trans-4-(phenylmethoxy)-L-prolyl]-,
phenylmethyl ester (9C1) (CA INDEX NAME)

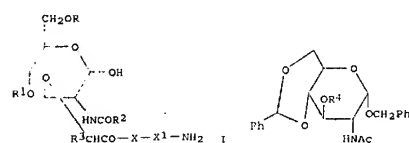


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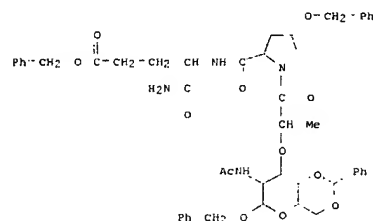
L6 ANSWER 535 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1978:191481 CAPLUS
DOCUMENT NUMBER: 88:191481
TITLE: Immunological adjuvant compounds
INVENTOR(S): Jones, Gordon H.; Moffatt, John G.; Nestor, John J.,
Jr.
PATENT ASSIGNEE(S): Syntex (U.S.A.), Inc., USA
SOURCE: Ger. Offen., 217 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2718010	A1	19771110	DE 1977-2718010	19770422
DE 2718010	C2	19901108		
US 4082735	A	19780404	US 1976-680260	19760426
US 4082736	A	19780404	US 1976-680618	19760426
PRIORITY APPLN. INFO.:			US 1976-680260	A 19760426
			US 1976-680618	A 19760426
			US 1977-777204	A 19770314

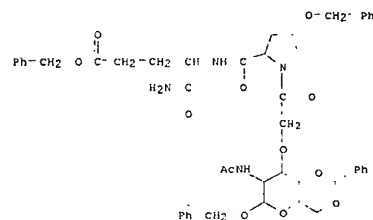
G1



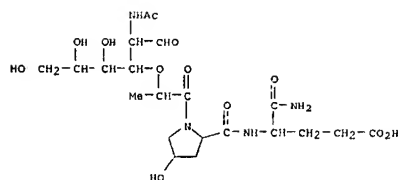
AB D-Glucose-3-O-ylacetyl peptides I (R = R₁ = H, C1-22-acyl; R₂ = C1-21-alkyl,
C6-10-aryl; R₃ = H, C1-16-alkyl; X = Gly, Ala, Val, Leu, Ile, L-HNCMe₂CO,
Ser, Thr, Met, Cys, Phe, Tyr, Trp, Lys, Orn, Arg, His, Glu, Gln, Asp, Asn,
Pro, Hyp; X₁ = D-Asp, D-Glu), useful as immune adjuvants, were prepared
Thus, glucopyranoside II (R₁ = H) was treated with NaH and DL-MeCHClCO₂H
in DMF and then methylated with MeOSO₂Me to give II (R₄ = D-CHMeCO₂Me)
which was saponified with aqueous NaOH to give II (R₄ = D-CHMeCO₂H) (III). III



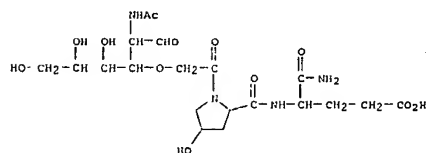
RN 66112-02-5 CAPLUS
CN α-D-Glucopyranoside, phenylmethyl 2-(acetyl amino)-3-O-[2-[2-[[1-
(aminoCarbonyl)-4-oxo-4-(phenylmethoxy)butyl]amino]carbonyl]-4-
(phenylmethoxy)-1-pyrrolidinyl]-2-oxoethyl]-2-deoxy-4,6-O-
(phenylmethylene)- (9C1) (CA INDEX NAME)



IT 66112-63-8P 66112-74-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 66112-63-8 CAPLUS
CN D-α-Glutamine, N2-[1-[N-(N-acetylmuramoyl)-trans-4-hydroxy-L-prolyl]-
(9C1) (CA INDEX NAME)

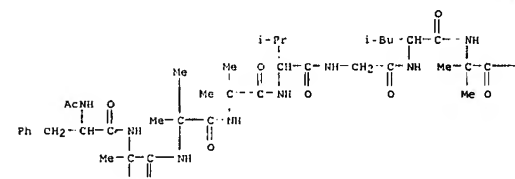


RN 66112-74-1 CAPLUS
CN D-Glucose, 2-(acetylamine)-3-O-[2-[[1-(aminocarbonyl)-3-carboxypropyl]amino]carbonyl]-4-hydroxy-1-pyrrolidinyll-2-oxoethyl]-2-deoxy-, [2S-[2R-(5',1',5'')]- (9CI) (CA INDEX NAME)

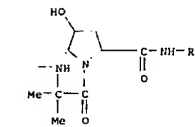


L6 ANSWER 536 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1978:191396 CAPLUS
DOCUMENT NUMBER: 88:191396
TITLE: Mass spectrometric determination of molecular formulas for membrane-modifying antibiotics
AUTHOR(S): Ringhart, K. L. Jr.; Cook, J. C. Jr.; Meng, H.; Olson, K. L.; Pandey, R. C.
CORPORATE SOURCE: Sch. Chem. Sci., Univ. Illinois, Urbana, IL, USA
SOURCE: Nature (London, United Kingdom) (1977), 269(5631), 832-3
CODEN: NATUAS; ISSN: 0028-0836
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Mol. structures were determined for valine-gramicidin, isoleucine-gramicidin, alamethicin I, alamethicin II, antiamebin I, emerimicin III, and emerimicin IV by a modification of field desorption mass spectrometry involving the systematic addition of a series of alkali metal salts to solutions of the antibiotics and observation of the resulting shifts in the mol. ion region due to cationization.
IT 52931-42-7 52931-43-8 64347-37-1
RL: PROC (Process)
(mol. formula determination of, by mass spectrometry and cation exchange)
RN 52931-42-7 CAPLUS
CN Emerimicin III (9CI) (CA INDEX NAME)

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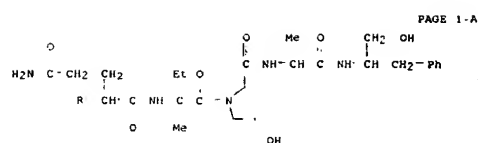
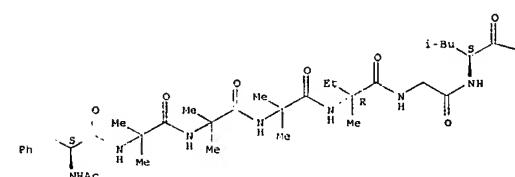
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RN 64347-37-1 CAPLUS
CN Antiamebin I (9CI) (CA INDEX NAME)

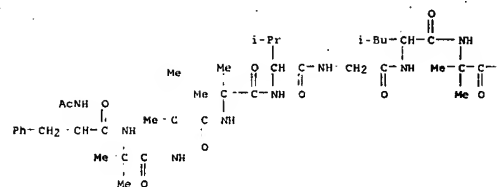
Absolute stereochemistry.

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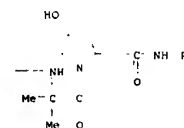


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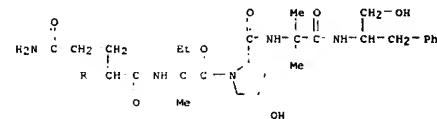


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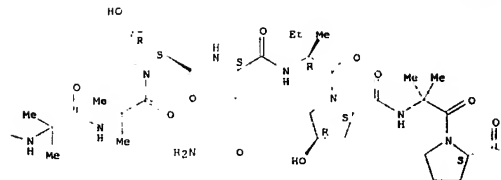


RN 52931-43-8 CAPLUS
CN Emerimicin IV (9CI) (CA INDEX NAME)

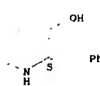
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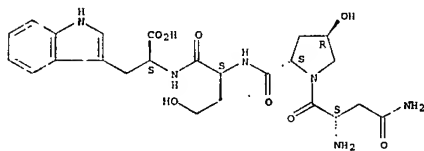
PAGE 1-C



L6 ANSWER 537 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1978:136936 CAPLUS
DOCUMENT NUMBER: 88:136936
TITLE: Syntheses of the skeleton of the amatoxins
AUTHOR(S): Wieland, T.; Buku, A.; Altmann, R.
CORPORATE SOURCE: Abt. Naturst.-Chem., Max-Planck-Inst. Med. Forsch., Heidelberg, Fed. Rep. Ger.
SOURCE: Pept., Proc. Eur. Pept. Symp., 14th (1976), 523-8.
Editor(s): Loffet, Albert, Editions Univ. Bruxelles: Brussels, Belg.
CODEN: 36PZAV
DOCUMENT TYPE: Conference
LANGUAGE: English
GI For diagram(s), see printed CA issue.
AB Bisnordeoxyammaninamide (I) was prepared by deblocking and hydrolyzing peptide II (R = Me)CO₂C (DOC), R1 = Me, Hse = homoserine residue) (III) and cyclizing the resulting II (R = R1 = H). H-Asn-Hyp-Hse-Trp-Gly-Ile-Gly-OMe was treated with BOC-Cys(1)-OH to give the indole-cysteine sulfide derivative which was cyclized to give III. H-Asn-Hyp-Ile-Trp-OMe was treated with BOC-Gly-Ile-Gly-Cys-OH to give peptide sulfide IV which was converted to 6'-dehydroxy-5'-deoxy-ammanulin (V) by a series of deblockings and cyclizations; V was oxidized to give its (R)- and (S)-S-oxide derivs. The inhibition of RNA polymerase II by the above amatoxin analogs is given; maximum inhibition requires at least 5 C atoms in the isoleucine-related side chain and the absence of an (S) sulfoxide.

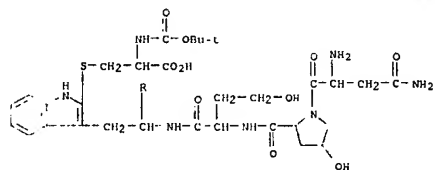
IT 65518-14-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (peptide coupling of, with tripeptide derivative)
 RN 65518-14-1 CAPLUS
 CN L-Tryptophan, N-[N-(1-L-asparaginyl-trans-4-hydroxy-L-prolyl)-L-homoseryl]-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

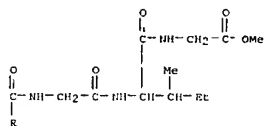


IT 59409-00-6P 59409-01-7P
 RL: RCT (Reactant); SPH (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and cyclization of)
 RN 59409-00-6 CAPLUS
 CN Glycine, N-[N-[N-[N-(1-L-asparaginyl-trans-4-hydroxy-L-prolyl)-L-
 homoseryl]-2-[[2-carboxy-2-[[[1,1-dimethylethoxy]carbonyl]amino]ethyl]thio
 1-L-tryptophyl]glycyl]-L-isoleucyl]-, 1-methyl ester (9CI) (CA INDEX
 NAME)

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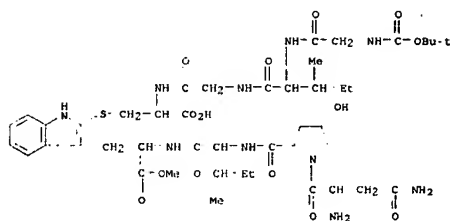


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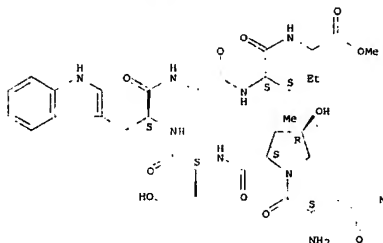
RN 59409-01-7 CAPLUS
 CN L-Tryptophan, L-asparaginyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl-2-mercapto-

, methyl ester, (4-4')-thioether with N-[(1,1-dimethylethoxy)carbonyl]glycyl-L-isoleucylglycyl-L-cysteine (9CI) (CA INDEX NAME)



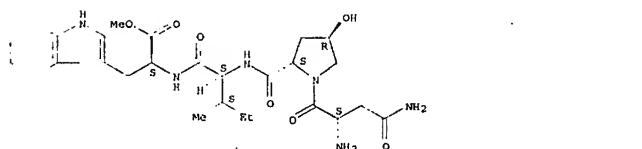
IT 59408-84-3P
 RL: PCT (Reactant); SPH (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and reaction of, with cysteine derivative)
 RN 59408-84-3 CAPLUS
 CN Glycine, N-[N-[N-[N-(1-L-asparaginyl-trans-4-hydroxy-L-prolyl)-L-
 homoseryl]-L-tryptophyl]glycyl]-L-isoleucyl]-, methyl ester (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



IT 59408-91-2
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with cysteine-containing peptide)
 RN 59408-91-2 CAPLUS
 CN L-Tryptophan, N-[N-(1-L-asparaginyl-trans-4-hydroxy-L-prolyl)-L-isoleucyl]-
 , methyl ester (9CI) (CA INDEX NAME)

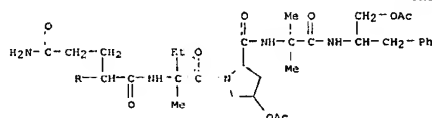
Absolute stereochemistry.



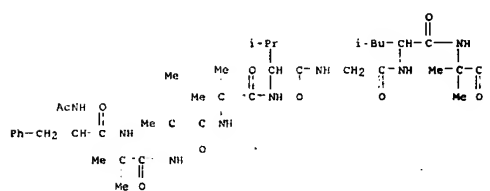
L6 ANSWER 538 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1977:536272 CAPLUS
 DOCUMENT NUMBER: 87:116372
 TITLE: Reptaiophol antibiotics. 2. Structures of the
 peptide antibiotics emerimicins III and IV
 AUTHOR(S): Pandey, Ramesh C.; Cook, J. Carter, Jr.; Rinehart,
 Kenneth L., Jr.
 CORPORATE SOURCE: Sch. Chem. Sci., Univ. Illinois, Urbana, IL, USA
 SOURCE: Journal of the American Chemical Society (1977),
 99(18), 5205-6
 CODEN: JACSAT; ISSN: 0002-7863
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The structures of emerimicins III and IV were determined as
 Ac-Phe-Aib-Aib-Val-Gly-Leu-Aib-Hyp-Gln-Iva-Hyp-X-Phol (I; Aib =
 HNCMe2CO, Iva = L-HNCMe2CO, Phol = L-HNCH(CH2Ph)CH2OH, X = Ala) and I (X
 = Aib), resp., according to mass spectrometry data. The L-configuration
 was shown by the gas chromatog. separation of their N-trifluoroacetyl Me ester
 derivs. on a 10% N-lauroyl-N'-tert-butyl-L-valinamide column.
 IT 64375-01-5
 RL: PRP (Properties)
 (mass spectra of)
 RN 64375-01-5 CAPLUS
 CN Antiamerin I, 5-L-valine-14-[N-(1-[(acetyloxy)methyl]-2-phenylethyl)-2-
 methylalaninamide]-15-de[N-(1-(hydroxymethyl)-2-phenylethyl)-L-
 prolinamide]-, diacetate (ester), (S)- (9CI) (CA INDEX NAME)

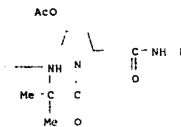
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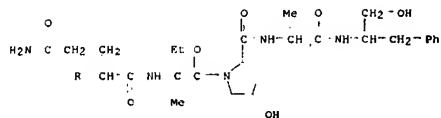


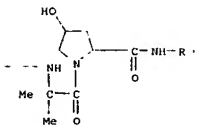
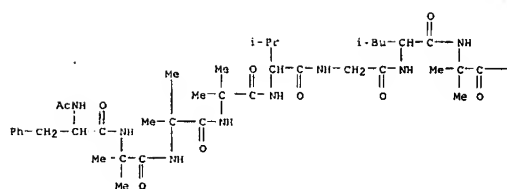
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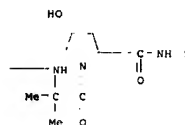
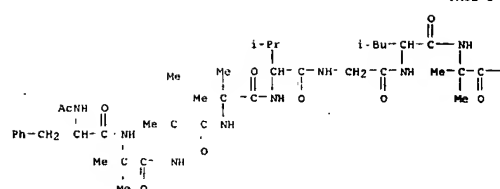
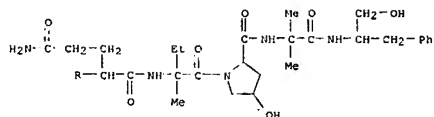
IT 52931-42-7 52931-43-8
 RL: PROC (Process)
 (mol. structure determination of)
 RN 52931-42-7 CAPLUS
 CN Emerimicin III (9CI) (CA INDEX NAME)

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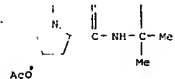
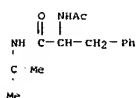
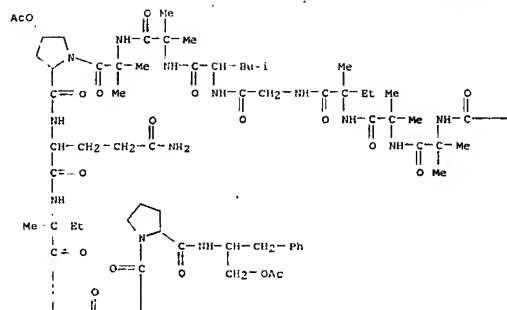




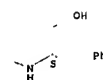
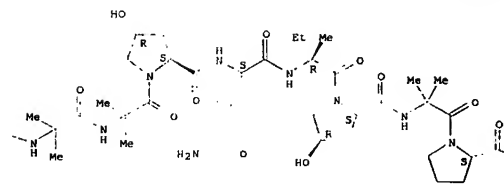
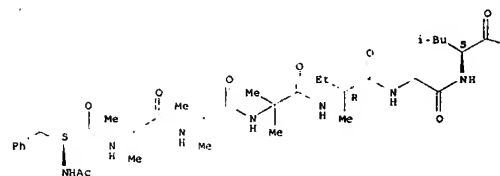
RN 52931-43-8 CAPLUS
CN Emerimicin IV (9CI) (CA INDEX NAME)



L6 ANSWER 539 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1977:536371 CAPLUS
DOCUMENT NUMBER: 97:136371
TITLE: Structure of antiamebin I from high resolution field desorption and gas chromatographic mass spectrometry studies
AUTHOR(S): Pandey, Ramesh C.; Meng, Hsi; Cook, J. Carter, Jr.; Rinehart, Kenneth L., Jr.
CORPORATE SOURCE: Sch. Chem. Sci., Univ. Illinois, Urbana, IL, USA
SOURCE: Journal of the American Chemical Society (1977), 99(15), 5203-5
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The structure of antiamebin I was determined as Ac-Phe-Aib-Aib-Aib-Iva-Gly-Leu-
Aib-Aib-Hyp-Gln-Iva-Hyp-Aib-Pro-Phol [Aib = HNCMe2CO, Iva = L-HNCMe2CO, Phol = L-HNCH(CH2Ph)CH2OH] according to the title methods. The L-configuration was shown by the gas chromatog. separation of their N-trifluoroacetyl Me ester deriva. on a 10% N-lauroyl-N'-tert-butyl-L-valinamide column.
IT 64406-43-5
RL: PRP (Properties)
(field desorption mass spectra of)
RN 64406-43-5 CAPLUS
CN Antiamebin I, triacetate (ester) (9CI) (CA INDEX NAME)



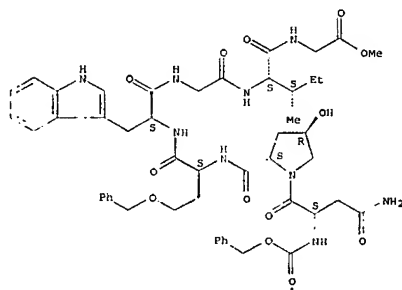
IT 64347-37-1
RL: PROC (Process)
(mol. structure determination of)
RN 64347-37-1 CAPLUS
CN Antiamebin I (9CI) (CA INDEX NAME)
Absolute stereochemistry.



IT 59408-83-2P 59408-84-3P 59409-00-6P
59409-01-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 59408-83-2 CAPLUS
CN Glycine, N-[N-[N-[N-[trans-4-hydroxy-1-(2-{(phenylmethoxy)carbonyl}-L-

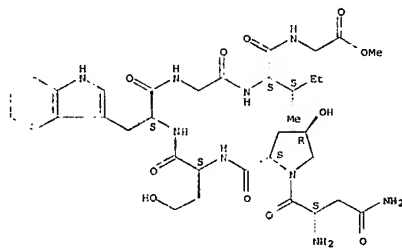
asparaginyll-L-prolyl-O-(phenylmethyl)-L-homoserinyl-L-tryptophyllglycyl-L-isoleucyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 59408-84-3 CAPLUS
CN Glycine, N-[N-[N-[N-[N-(1-L-asparaginyll-trans-4-hydroxy-L-prolyl)-L-homoserinyl]-L-tryptophyllglycyl]-L-isoleucyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 59409-00-6 CAPLUS
CN Glycine, N-[N-[N-[N-[N-(1-L-asparaginyll-trans-4-hydroxy-L-prolyl)-L-homoserinyl]-2-[[2-carboxy-2-[[[1,1-dimethylethoxy]carbonyl]amino]ethyl]thio]-L-tryptophyllglycyl]-L-isoleucyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

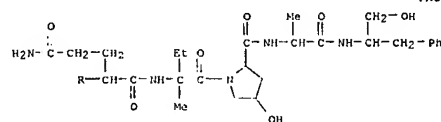
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2352693	A1	19740425	DE 1973-2352693	19731020
US 3823367	A	19740628	US 1972-300433	19721024
GB 1363874	A	19750212	GB 1973-42366	19730910
JP 49071195	A	19740710	JP 1973-112418	19731008
NL 7314397	A	19740426	NL 1973-14397	19731019
FR 2208646	A1	19740628	FR 1973-37716	19731023
PRIORITY APPLN. INFO:			US 1972-300433	A 19721024

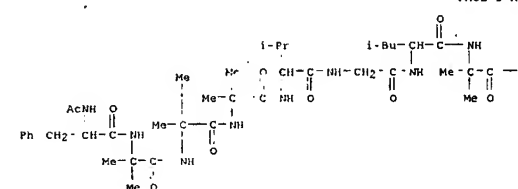
AB The antibiotics EM-2, C91H146N18O26, m.p. 261.4°, EM-3, C68H108N13O19, m.p. 256.9°, and EM-4, C81H127N17O22, m.p. 239.8°, were obtained in 180, 250, and 410 mg yields, resp., by aerobic fermentation of 5 ml *Emericella microspora* 333 NRRL 5648 inoculum/100 ml medium in the presence of 50 mg 4(R)-propyl-L-proline/100 ml medium (added after 24 hr) for 192 hr at pH 7.2 and 28°, filtration of the fermentation liquor (10 l.), and chromatog. on silica gel. The antibiotics were recognized as polypeptides and had bactericidal and protozoacidal activity.

IT 52931-42-7P 52931-43-8P
RL: PREP (Preparation)
(preparation of)
RN 52931-42-7 CAPLUS
CN Emerimicin III (9CI) (CA INDEX NAME)

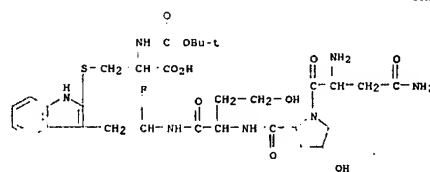
PAGE 1-A



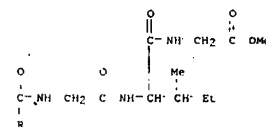
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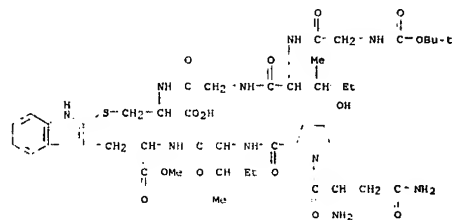
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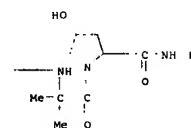


RN 59409-01-7 CAPLUS
CN L-Tryptophan, L-asparaginyll-(4R)-4-hydroxy-L-prolyl-L-isoleucyl-2-mercapto-, methyl ester, (4-4')-thioether with N-[[1,1-dimethylethoxy]carbonyl]glycyl-L-isoleucylglycyl-L-cysteine (9CI) (CA INDEX NAME)



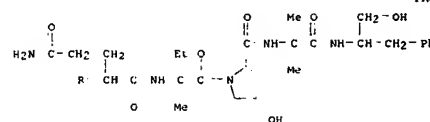
L6 ANSWER 543 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1974:424170 CAPLUS
DOCUMENT NUMBER: 81:24170
TITLE: New antibiotics
INVENTOR(S): Argoudelis, Alexander D.; Johnson, LeRoy Emanuel
PATENT ASSIGNEE(S): Upjohn Co.
SOURCE: Ger. Offen., 50 pp.
CODEN: GWXXBX

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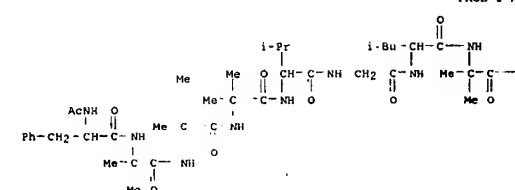


RN 52931-43-8 CAPLUS
CN Emerimicin IV (9CI) (CA INDEX NAME)

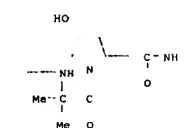
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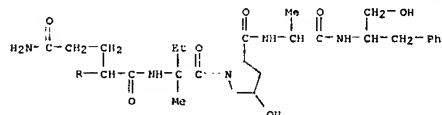


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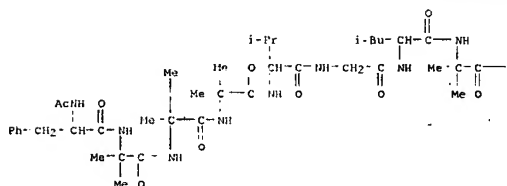


L6 ANSWER 544 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1974:411772 CAPLUS
 DOCUMENT NUMBER: 81:11772
 TITLE: Emerimicins II, III, and IV, antibiotics produced by *Emericellopsis microspora* in media supplemented with trans-4-n-propyl-L-proline
 AUTHOR(S): Argoudelis, A. D.; Johnson, L. E.
 CORPORATE SOURCE: Res. Lab., Upjohn Co., Kalamazoo, MI, USA
 SOURCE: Journal of Antibiotics (1974), 27(4), 274-82
 CODEN: JANTAJ; ISSN: 0021-8820
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Emerimicins II, III, and IV were new antibiotics produced by *E. microspora* when 4-trans-n-propyl-L-proline (propyl proline) was added to the fermentation medium. Emerimicins were similar to antimycin and stilbellin. However, all emerimicins were differentiated from the latter antibiotics. Propyl proline induced the production of the new antibiotics since the amino acid did not incorporate into the mols. of emerimicins II, III, or IV.
 IT 52931-42-7 52931-43-8
 RL: BIOL (Biological study)
 (a new antibiotic)
 RN 52931-42-7 CAPLUS
 CN Emerimicin III (9C1) (CA INDEX NAME)

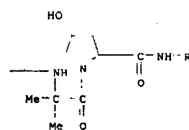
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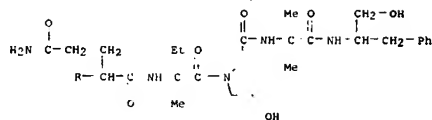


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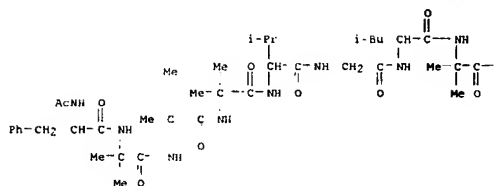


RN 52931-43-8 CAPLUS
 CN Emerimicin IV (9C1) (CA INDEX NAME)

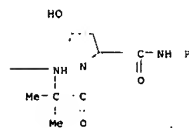
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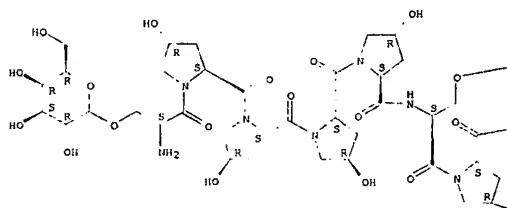


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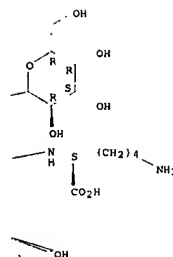


L6 ANSWER 545 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1973:475930 CAPLUS
 DOCUMENT NUMBER: 79:75830
 TITLE: Galactosylserine in extensin
 AUTHOR(S): Lampert, Derek T. A.; Katona, Laura; Roerig, Sandra
 CORPORATE SOURCE: Plant Res. Lab., Michigan State Univ., East Lansing, MI, USA
 SOURCE: Biochemical Journal (1973), 133(1), 125-32
 CODEN: BIJOAK; ISSN: 0264-6021
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Tryptic attack of cell walls of tomato after the removal of arabinose from extensin by treatment for 1 hr at pH 1.100, yielded a glycopeptide of sequence Ser-Hyp-Hyp-Hyp-Ser-Hyp-Lys, containing 2 galactose residues. NaOH-NaH2PO4 or NaOH-Na2SO3 converted serine to alanine or cysteine acid, releasing galactose, but the reaction was only complete if the N-terminal serine was maleylated or 3-carboxypropionylated. Galactose is probably attached O-glycosidically to each serine. N2H4 destroyed serine in cell walls and in the glycopeptide, but not in nonglycosylated serine, showing that the galactosylserine link is sensitive to N2H4.
 IT 50828-77-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with alkali and hydrazine)
 RN 50828-77-8 CAPLUS
 CN L-Lysine, N2-[1-[O-D-galactopyranosyl-N-[1-[1-[1-[O-D-galactopyranosyl-L-seryl]-4-hydroxy-L-prolyl]-4-hydroxy-L-prolyl]-4-hydroxy-L-prolyl]-4-hydroxy-L-prolyl]-L-seryl]-4-hydroxy-L-prolyl]- (9C1) (CA INDEX NAME)
 Absolute stereochemistry.

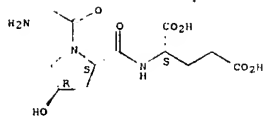
PAGE 1-A



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L6 ANSWER 546 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1971:405287 CAPLUS
 DOCUMENT NUMBER: 75:6287
 ORIGINAL REFERENCE NO.: 75:1047a,1050a
 TITLE: Synthesis of some tripeptides containing serine, glutamic acid, and imino acids
 AUTHOR(S): Poroshin, K. T.; Khalikov, Sh. Kh.; Pesina, O. A.; Shimev, V. A.
 CORPORATE SOURCE: Inst. Mol. Biol., Moscow, USSR
 SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1970), (8), 1823-5
 CODEN: IASKA6; ISSN: 0002-3353
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB Carbobenzoxy-Gly-DL-Ser (II) in THF containing Et3N treated at -10° with iso-BuO2CCl, followed by L-proline benzyl ester-HCl and Et3N, and kept 24 hr, gave the benzyl ester of carbobenzoxy-Gly-DL-Ser-L-Pro which with H over Pd gave Gly-DL-Ser-L-Pro. Similarly, I with the benzyl ester of L-hydroxyproline gave the benzyl ester of carbobenzoxy-Gly-DL-Ser-L-Hyp, which gave 80% Gly-DL-Ser-L-Hyp; similarly, I and the dibenzyl ester of glutamic acid gave the corresponding ester of the peptide which was converted to 98% Gly-L-Pro-L-Glu. Carbobenzoxy-Gly-Pro and the p-nitrobenzyl ester of DL-serine-HBr gave the peptide ester which was hydrogenolysed over Pd to Gly-L-Pro-DL-Ser. Similarly prepared was the p-nitrobenzyl ester of carbobenzoxy-Gly-L-Hyp-DL-Ser which gave 85% Gly-L-Hyp-DL-Ser. Carbobenzoxy-Gly-L-Hyp and dicyclohexylcarbodiimide in THF-MeCN containing Et3N and dibenzyl glutamate gave the benzyl ester of carbobenzoxy-Gly-L-Hyp-L-(benzyl ester)Glu, which hydrogenated to Gly-L-Hyp-L-Glu. Similarly, carbobenzoxy-Gly-Ser was converted to the p-nitrobenzyl ester of carbobenzoxy-Gly-DL-ser-Gly, which gave 90% Gly-DL-Ser-Gly.
 IT 32302-79-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 32302-79-7 CAPLUS
 CN L-Glutamic acid, glycyl-(4R)-4-hydroxy-L-prolyl- (CA INDEX NAME)
 Absolute stereochemistry. Rotation (+).



L6 ANSWER 547 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1971:54165 CAPLUS
 DOCUMENT NUMBER: 74:54165
 ORIGINAL REFERENCE NO.: 74:8753n,8756a

TITLE: Mass-spectrometric determination of the amino-acid sequence in peptides. XVI. Synthesis of arginine-containing peptides

AUTHOR(S): Vinogradova, E. I.; Alakhov, Yu. B.; Lipkin, V. M.; Aldanova, N. A.; Feigina, M. Yu.; Shvetsov, Yu. B.; Fonina, L. A.

CORPORATE SOURCE: Inst. Khim. Priir. Soedin., Moscow, USSR
 SOURCE: Zhurnal Obshchei Khimii (1970), 40(6), 1385-98
 CODEN: ZOKHAI; ISSN: 0044-460X

DOCUMENT TYPE: Journal
 LANGUAGE: Russian

AB Treating Me ester HCl salt of nitro-L-arginine in dioxane with Et3N and N-hydroxysuccinimidyl acetate 18 hr gave Me ester of N-acetylnitro-L-arginine, m. 177-9°, which with AcOH-NaOH as solvent was hydrogenated over Pd to AcOH-Ac-L-Arg-OMe, an oil; caproic acid and Et3N in THF treated at -5° with ClCO2Et, followed by Cu complex of lysine, held 12 hr, then treated with aqueous HCl gave N-caproyl-L-lysine, m. 227-9°; this with MeOH-HCl gave the Me ester HCl salt. Decanoic acid treated as above gave N-decanoyl-L-threonine, and the decanoyl-L-arginine, m. 168-9°. Similarly prepared was Me ester of N-decanoyl-L-lysine, m. 65-6°, which saponified to decanoyl-L-tyrosine, m. 114-16°; this was converted by N-hydroxysuccinimidyl method into N-hydroxysuccinimidyl ester m. 150-2°. Me ester of L-histidine was acylated and converted into hydrazide of N-decanoyl-L-histidine, m. 215-16°. Acylation with decanoyl chloride of Me ester of L-hydroxyproline-HCl and treatment with N2H4 gave N-decanoyl-L-hydroxyproline hydrazide, m. 150-1°. Esters of the amino acids treated with ClCO2Et and Et3N in THF in the cold, or with N-hydroxysuccinimidyl ester of N-acylamino acid and Me ester of amino acid and Et3N, or with M.O.-blocked amino acid and Me ester of nitro-L-arginine and di-cyclohexylcarbodiimide in THF gave the esters of N-acyl peptides such as tert-butyl-L-Arg(NO2)-L-Leu-OMe. Taking up the Me ester of tert-butoxycarbonyl dipeptide in CF3CO2H and holding 1 hr, or treating Me ester of carbobenzoxy dipeptide with saturated HBr in AcOH 2 hr at room temperature, or treating Me or benzyl ester of tert-butoxycarbonyl dipeptide with saturated HCl in MeOH-Et2O gave the dipeptide Me or benzyl esters, such as CF3CO2H-L-Phe-L-Arg(NO2)-OMe. Removal of the blocking groups with CF3CO2H, H2 and Pd, gave CF3CO2H-L-Phe-L-Arg(NO2)-OH. H-(tert-butoxycarbonyl)nitro-L-arginine and L-leucyl-D-alanine Me ester-HBr gave tert-butyl-L-Arg(NO2)-L-Leu-D-Ala-OMe, m. 106-8°. Treating N-decanoyl-L-asparagine with Et3N-pyridine in DMF, followed by Me2CCOCl at -10°, followed by Et3N and CF3CO2H-L-Phe-L-Arg(NO2)-OMe gave decanoyl-L-Asn-L-Phe-L-Arg(NO2)-OMe. Saponification of Me esters of decanoyl peptides or hydrogenation of nitroarginine derivs. or treatment of the peptides in the form of acetate or trifluoroacetate with N-hydroxysuccinimidyl ester of decanoic acid in dioxane 1 day, gave N-decanoyl peptides, such as decanoyl-L-Arg(NO2)-L-Leu-D-Ala-OH, m. 251-3°. Action of N-hydroxysuccinimidyl decanoate on acetates of

appropriate amino acid ester acetates and hydrogenolysis of esters of N-decanoyl peptides with nitroarginine links gave the Me esters of N-acylated peptides, such as decanoyl-L-Arg-L-Arg-L-Leu-OMe. About 13 peptides were prepared as model substances for study of possibility of using mass spectroscopy to determine the amino acid sequence in peptides.

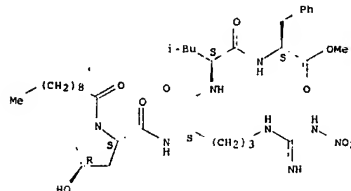
IT 30611-44-0P 30668-57-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 30611-44-0 CAPLUS

CN Alanine, N-[N-(N2-(1-decanoyl-4-hydroxy-L-prolyl)-N5-(nitroamidino)-L-ornithyl)-L-leucyl]-3-phenyl-, methyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 30668-57-6 CAPLUS

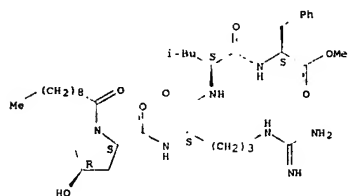
CN Alanine, N-[N-(N2-(1-decanoyl-4-hydroxy-L-prolyl)-L-arginyl)-L-leucyl]-3-phenyl-, methyl ester, monoacetate (salt), L- (8CI) (CA INDEX NAME)

CM 1

CRN 47880-47-7

CMF C37 H61 N7 O7

Absolute stereochemistry.



CM 2

CRN 64-19-7

CMF C2 H4 O2

O
 HO C CH3

L6 ANSWER 548 OF 551 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1569:11554 CAPLUS
 DOCUMENT NUMBER: 70:11554
 ORIGINAL REFERENCE NO.: 70:21603n,21606a

TITLE: Synthesis and pharmacological activity of 9-β-alanine-lysine-vasopressin, 9-deamido-lysine-vasopressin, 7-L-hydroxyproline-lysine-vasopressin, and 4-D-glutamine-lysine-vasopressin

AUTHOR(S): Dutta, A. S.; Anand, Nitya; Srimal, R. C.
 CORPORATE SOURCE: Div. Med. Chem., Cent. Drug Res. Inst., Lucknow, India
 SOURCE: Indian Journal of Chemistry (1969), 7(1), 3-8
 CODEN: IJOCAP; ISSN: 0019-5103

DOCUMENT TYPE: Journal
 LANGUAGE: English

AB 9-β-Alanine-lysine-vasopressin (I), 9-deamido-lysine-vasopressin (II), 7-L-hydroxyproline-lysine-vasopressin, and 4-D-glutamine-lysine-vasopressin were synthesized. Pharmacol. testing shows that none of the samples has significant vasopressor activity; I and II showed antivasopressin activity.

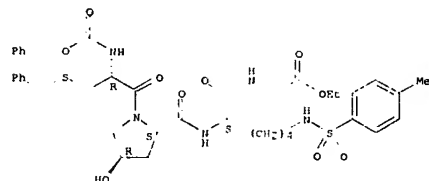
IT 22031-85-2P 22031-86-3P 22031-87-4P
 22031-88-5P 22031-89-6P 22031-90-9P
 22031-91-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 22031-85-2 CAPLUS

CN Glycine, N-(N2-[1-[3-(benzylthio)-N-carboxy-L-alanyl]-4-hydroxy-L-prolyl]-N6-(p-tolylsulfonyl)-L-lysyl)-, N-benzyl ethyl ester (8CI) (CA INDEX NAME)

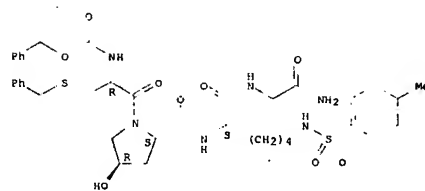
Absolute stereochemistry.



RN 22031-86-3 CAPLUS

CN Glycinamide, 5-benzyl-N-carboxy-L-cysteinyl-4-hydroxy-L-prolyl-N-(p-tolylsulfonyl)-L-lysyl-, benzyl ester (8CI) (CA INDEX NAME)

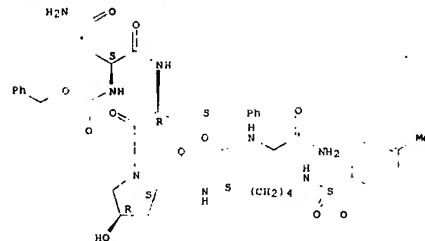
Absolute stereochemistry.



RN 22031-87-4 CAPLUS

CN Glycinamide, N2-carboxy-L-asparaginyl-S-benzyl-L-cysteinyl-4-hydroxy-L-prolyl-N-(p-tolylsulfonyl)-L-lysyl-, benzyl ester (8CI) (CA INDEX NAME)

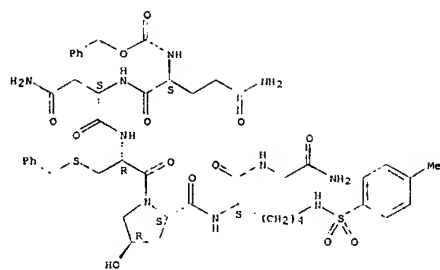
Absolute stereochemistry.



RN 22031-88-5 CAPLUS

CN Glycinamide, N2-carboxy-L-glutaminyl-L-asparaginyl-S-benzyl-L-cysteinyl-4-hydroxy-L-prolyl-N-(p-tolylsulfonyl)-L-lysyl-, benzyl ester (8CI) (CA INDEX NAME)

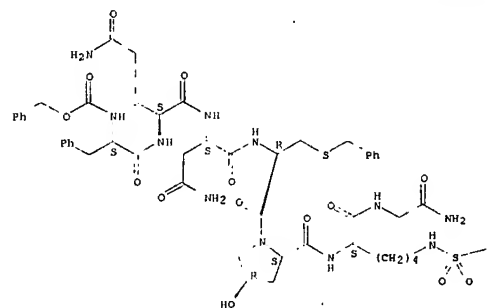
Absolute stereochemistry.



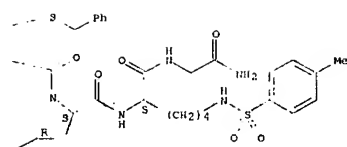
RN 22031-89-6 CAPLUS
 CN Glycinamide, N-carboxy-L-phenylalanyl-L-glutamyl-L-asparagyl-S-benzyl-L-cysteiny-4-hydroxy-L-prolyl-N-(p-tolylsulfonyl)-L-lysyl-, benzyl ester (8C1) (CA INDEX NAME)

Absolute stereochemistry.

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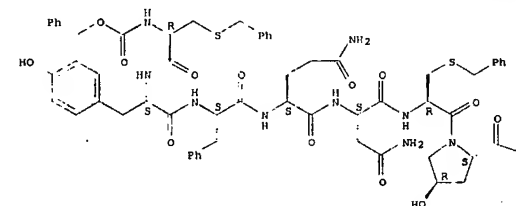
PAGE 1-B



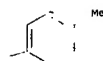
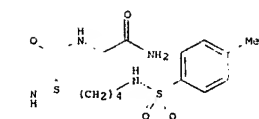
RN 22031-91-0 CAPLUS
 CN Glycinamide, S-benzyl-N-carboxy-L-cysteiny-L-tyrosyl-L-phenylalanyl-L-glutamyl-L-asparagyl-S-benzyl-L-cysteiny-4-hydroxy-L-prolyl-N-(p-tolylsulfonyl)-L-lysyl-, benzyl ester (8C1) (CA INDEX NAME)

Absolute stereochemistry.

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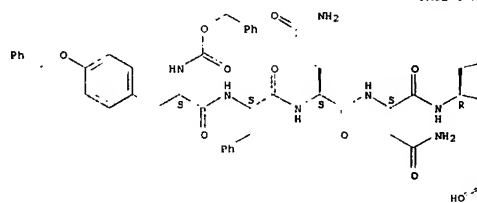
PAGE 1-B



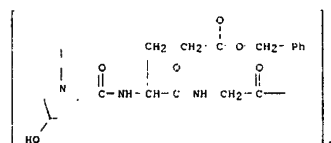
RN 22031-90-9 CAPLUS
 CN Glycinamide, O-benzyl-N-carboxy-L-tyrosyl-L-phenylalanyl-L-glutamyl-L-asparagyl-S-benzyl-L-cysteiny-4-hydroxy-L-prolyl-N-(p-tolylsulfonyl)-L-lysyl-, benzyl ester (8C1) (CA INDEX NAME)

Absolute stereochemistry.

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L6 ANSWER 549 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STM
 ACCESSION NUMBER: 1969:88238 CAPLUS
 DOCUMENT NUMBER: 70:88238
 ORIGINAL REFERENCE NO.: 70:16517a,16520a
 TITLE: Preparation of polypeptides with stable conformation as possible models of esterase activity
 AUTHOR(S): Khalikov, Sh. Kh., Poroshin, K. T., Shibnev, V. A.
 CORPORATE SOURCE: Tadzh. Gosuniv. im. Lenin, Dushanbe, USSR
 SOURCE: Doklady Akademii Nauk Tadzhikskoi SSR (1968), 11(9), 28-31
 CODEN: DANTAL; ISSN: 0002-3469
 JOURNAL
 DOCUMENT TYPE: Russian
 LANGUAGE: Russian
 AB The synthesis of 2 polypeptides: (Gly-Hypro-Ser)in (I) and (Gly-Hypro-Glu)in (II) is described. Hydrogenation of 0.91 g. 2,4,6-trichlorophenyl ester of 2-Hypro-Ser-Gly (Z = carbobenzoxy) on Pd in a MeOH solution containing 0.11 ml. 12N HCl 2.5 hrs. gave 0.51 g. 2,4,6-trichlorophenyl ester of Hypro-Ser-Gly.HCl (III), m. 180° (decomposition), [α]_D²⁰ -16.2°. To 5.26 g. 2,4,6-trichlorophenyl ester of HCO-Hypro-Glu(OCH₂Ph)-Gly in 20 ml. MeOH was added 2.1 ml. 12N HCl, the mixture kept 47 hrs. at 20°, evaporated in vacuo at 20-35° and worked up to give 3.8 g. 2,4,6-trichlorophenyl ester of Hypro-Glu(OCH₂Ph)-Gly.HCl(IV), m. 165°. To 0.505 g. III dissolved in 0.5335 g. 50% Me₂SO at 45-50° and cooled to 20°, was added 0.16 ml. Et₃N, the mixture stirred by shaking 30 min., kept 6 days at 20°, then MeOH and Et₂O added to give 0.993 g. I, insol. in MeOH, and 0.15 g. soluble product, mol. weight 6130. Similarly, 0.9822 g. IV in 0.7304 g. 57% Me₂SO with 0.225 ml. Et₃N gave after 10 days 0.67 g. polypeptide [Hypro-Glu(OCH₂Ph)-Gly]n (V), mol. weight 8500. Dialyzing 0.34 g. V in 7 ml. H₂O 8 hrs. at 30-40° and lyophilizing gave 0.1488 g. polypeptide. Dissolving 0.17 g. nondialyzed V in 18 ml. MeOH, and hydrogenating 1.5 hrs. on Pd gave 1.4 g. II.
 IT 28679-85-8P
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
 RN 28679-85-8 CAPLUS
 CN Poly[[[(2S,4R)-4-hydroxy-1,2-pyrrolidinediyl]carbonylimino[(1S)-2-oxo-1-[3-oxo-3-(phenylethoxy)propyl]-1,2-ethanediyl]imino[2-oxo-1,2-ethanediyl]] (9C1) (CA INDEX NAME)



L6 ANSWER 550 OF 551 CAPLUS COPYRIGHT 2007 ACS ON STM
 ACCESSION NUMBER: 1967:508599 CAPLUS
 DOCUMENT NUMBER: 67:108999
 ORIGINAL REFERENCE NO.: 67:20583a,20586a
 TITLE: New Analogs of oxytocin. L-pipecoloc⁷-oxytocin and L-hydroxyproline⁷-oxytocin
 AUTHOR(S): Bespalova, Zh. D.; Kurov, I. A.; Martynov, V. P.; Natochin, Yu. V.; Titov, M. I.; Shakhmatova, E. I.
 SOURCE: Vestnik Leningradskogo Universiteta (1966), No. 4, 157-9

AB Analogs of oxytocin (I) were synthesized in which proline in the 7-position was substituted by either L-pipecolic acid moiety (L-Pip) or L-hydroxyproline moiety (L-Hyp). Studies using frog bladder tissue indicated that both analogs, depending on the concentration, raised the permeability for H₂O transport according to the osmotic gradient. For Na transport on frog tissue expts. showed both analogs active. While both analogs showed wide oxytocic activity, the magnitude was smaller with L-pipecolic7-oxytocin showing 40% of the activity of I and L-hydroxyproline7-oxytocin, 6%. The peptides were prepared thus (all amino acids are in the L-form; Z = PhCH₂SO₂C): Treating 225 mg. of Z-Cys(CH₂Ph)-Tyr-Ile-Glu(NH₂)-Asp(NH₂)-Cys(CH₂Ph)-OMe dissolved in 2.5 ml. Me₂NCHO (DMP) with 1.2 ml. N₂H₄ overnight gave the corresponding hydrazide (II), m. 245°. After conversion of 107 mg. of II in DMP to the azide with HCl/NaNO₂, the product was combined with 34 mg. Pip-Leu-Gly-NH₂HCl, after its release from the salt with NEt₃, Z-Cys(CH₂Ph)-Tyr-Ile-Glu(NH₂)-Asp(NH₂)-Cys(CH₂Ph)-Pip-Leu-Gly-NH₂ (III) was isolated as a crystalline material (62%), m. 237°. Treatment of III with anhydrous HF and then oxidation by air gave L-Pip7-oxytocin. Similarly, from 107 mg. of II converted to the azide and 35 mg. of Hyp-Leu-Gly-NH₂HCl was isolated 67% crystalline Z-Cys(CH₂Ph)-Tyr-Ile-Glu(NH₂)-Asp(NH₂)-Cys(CH₂Ph)-Hyp-Leu-Gly-NH₂ (IV), m. 239°. Treatment of IV with HF and then air gave L-hydroxyproline7-oxytocin. Also prepared from 92 mg. of II and 26 mg. of Pro-Leu-Gly-NH₂ (m. 120°) was Z-Cys(CH₂Ph)-Tyr-Ile-Glu(NH₂)-Asp(NH₂)-Cys(CH₂Ph)-Pro-Leu-Gly-NH₂, m. 234°. This treated with HF and then air gave I.

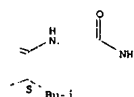
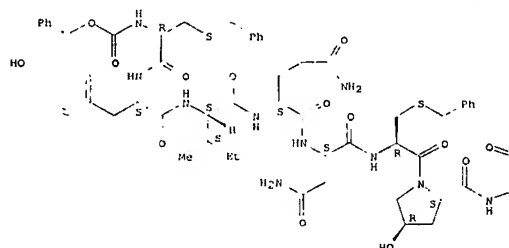
IT 14902-43-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 14902-43-3 CAPLUS

CN Glycinamide, S-benzyl-N-carboxy-L-cysteinyl-L-tyrosyl-L-isoleucyl-L-glutamyl-L-asparaginyl-S-benzyl-L-cysteinyl-4-hydroxy-L-prolyl-L-leucyl-, benzyl ester (SCI) (CA INDEX NAME)

Absolute stereochemistry.

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=> log hold
 COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
2910.02	3131.25

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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CA SUBSCRIBER PRICE

SESSION WILL BE HELD FOR 120 MINUTES
 STN INTERNATIONAL SESSION SUSPENDED AT 09:54:48 ON 23 OCT 2007